

(19)



(11)

EP 2 139 483 B1

(12)

EUROPEAN PATENT SPECIFICATION

(45) Date of publication and mention
of the grant of the patent:
18.09.2013 Bulletin 2013/38

(21) Application number: **08742674.8**

(22) Date of filing: **08.04.2008**

(51) Int Cl.:
A61K 31/497 ^(2006.01) **A61K 31/498** ^(2006.01)
A61K 31/4985 ^(2006.01) **A61K 31/517** ^(2006.01)
A61K 31/436 ^(2006.01) **A61K 31/555** ^(2006.01)
A61K 31/337 ^(2006.01) **A61K 45/06** ^(2006.01)
A61P 35/00 ^(2006.01)

(86) International application number:
PCT/US2008/004570

(87) International publication number:
WO 2008/127594 (23.10.2008 Gazette 2008/43)

(54) **COMBINATION THERAPIES COMPRISING A QUINOXALINE INHIBITOR OF PI3K-ALPHA FOR USE IN THE TREATMENT OF CANCER**

KOMBINATIONSTHERAPIEN MIT EINEM PI3K-ALPHA-CHINOXALINHEMMER ZUR VERWENDUNG IN DER KREBSBEHANDLUNG

THERAPIES COMBINATOIRES COMPRENANT UN INHIBITEUR DE PI3K-ALPHA DE TYPE QUINAXOLINE POUR LEUR UTILISATION DANS LE TRAITEMENT DU CANCER

(84) Designated Contracting States:
AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HR HU IE IS IT LI LT LU LV MC MT NL NO PL PT RO SE SI SK TR
Designated Extension States:
AL BA MK RS

(30) Priority: **11.04.2007 US 923164 P**

(43) Date of publication of application:
06.01.2010 Bulletin 2010/01

(60) Divisional application:
12175813.0

(73) Proprietor: **Exelixis, Inc.**
South San Francisco, CA 94080 (US)

(72) Inventors:
• **LAMB, Peter**
Oakland, California 94610 (US)
• **MATTHEWS, David**
San Francisco, California 94127 (US)

(74) Representative: **Main, Malcolm Charles**
Murgitroyd & Company
Immeuble Atlantis
55 Allee Pierre Ziller
06560 Valbonne - Sophia Antipolis (FR)

(56) References cited:
WO-A-2007/023186 WO-A-2007/044729
WO-A-2008/021389 WO-A-2008/101979

Note: Within nine months of the publication of the mention of the grant of the European patent in the European Patent Bulletin, any person may give notice to the European Patent Office of opposition to that patent, in accordance with the Implementing Regulations. Notice of opposition shall not be deemed to have been filed until the opposition fee has been paid. (Art. 99(1) European Patent Convention).

EP 2 139 483 B1

Description**Cross-Reference to Related Applications**

- 5 **[0001]** The Applicants claim priority under 35 U.S.C. 119(e) to copending Provisional Application No. 60/923,164 filed on April 11, 2007.

FIELD OF THE INVENTION

- 10 **[0002]** This invention relates to the use in a method of treating cancer with a compound that inhibits lipid kinase enzymatic activity and the resultant modulation of cellular activities (such as proliferation, differentiation, programmed cell death, migration, chemoinvasion and metabolism) in combination with anticancer agents.

BACKGROUND OF THE INVENTION

- 15 **[0003]** Improvements in the specificity of agents used to treat various disease states such as cancer, metabolic, and inflammatory diseases is of considerable interest because of the therapeutic benefits which would be realized if the side effects associated with the administration of these agents could be reduced. Traditionally, dramatic improvements in the treatment of cancer are associated with identification of therapeutic agents acting through novel mechanisms.

- 20 **[0004]** Phosphatidylinositol 3- kinase (PI3K or PIK3CA) is composed of an 85 kDa regulatory subunit and a 110 kDa catalytic subunit. The protein encoded by this gene represents the catalytic subunit, which uses ATP to phosphorylate PtdIns, PtdIns4P and PtdIns (4, 5) P2. PTEN, a tumor suppressor which inhibits cell growth through multiple mechanisms, can dephosphorylate PIP3, the major product of PIK3CA. PIP3, in turn, is required for translocation of protein kinase B (AKT1, PKB) to the cell membrane, where it is phosphorylated and activated by upstream kinases. The effect of PTEN
- 25 on cell death is mediated through the PIK3CA/AKT1 pathway.

- [0005]** PI3K α has been implicated in the control of cytoskeletal reorganization, apoptosis, vesicular trafficking, proliferation and differentiation processes. Increased copy number and expression of PIK3CA or activating mutations in the p110 α catalytic subunit of PIK3CA are associated with a number of malignancies such as ovarian cancer (Campbell et al., Cancer Res 2004, 64, 7678- 7681; Levine et al., Clin Cancer Res 2005, 11, 2875- 2878; Wang et al., Hum Mutat 2005, 25, 322; Lee et al., Gynecol Oncol 2005, 97, 26- 34), cervical cancer, breast cancer (Bachman, et al. Cancer Biol Ther 2004, 3, 772- 775; Levine, et al., supra; Li et al., Breast Cancer Res Treat 2006, 96, 91- 95; Saal et al., Cancer Res 2005, 65, 2554- 2559; Samuels and Velculescu, Cell Cycle 2004, 3, 1221- 1224), colorectal cancer (Samuels, et al. Science 2004, 304, 554; Velho et al. EurJ Cancer 2005, 41, 1649- 1654), endometrial cancer (Oda et al. Cancer Res. 2005, 65, 10669- 10673), gastric carcinomas (Byun et al., Int J Cancer 2003, 104, 318- 327; Li et al., supra; Velho et al., supra; Lee et al., Oncogene 2005, 24, 1477- 1480), hepatocellular carcinoma (Lee et al., *id.*), small and non- small cell lung cancer (Tang et al., Lung Cancer 2006, 51, 181- 191; Massion et al., Am J Respir Crit Care Med 2004, 170, 1088- 1094), thyroid carcinoma (Wu et al., J Clin Endocrinol Metab 2005, 90, 4688- 4693), acute myelogenous leukemia (AML) (Sujobert et al., Blood 1997, 106, 1063- 1066), chronic myelogenous leukemia (CML) (Hickey and Cotter J Biol Chem 2006, 281, 2441- 2450), and glioblastomas (Hartmann et al. Acta Neuropathol (Berl) 2005, 109, 639- 642; Samuels et al., supra).
- 40

- [0006]** The prior art already discloses quinaxoline derivatives as PI3K inhibitors. Indeed document W02007/023186 discloses fused-pyrazine derivatives, in particular quinaxoline derivatives as P13K inhibitors and document WO2007/044729, published in the priority period of the present disclosure, also discloses the present compounds of formula (I), as P13K inhibitors, in combination with a chemotherapeutic agent, surgery and radiation.
- 45

- [0007]** In view of the important role of PI3K- α in biological processes and disease states, inhibitors and/or modulators of this lipid kinase are desirable. In addition, it is well established that combining treatments with different mechanisms of action often leads to enhanced anti-tumor activity as compared to single treatments administered alone. This is true for combinations of chemotherapies (e.g. Kyrgiou M. et. al. J Natl Cancer Inst 2006, 98, 1655) and combinations of antibodies and chemotherapy (e.g. Pasetto LM et. al. Anticancer Res 2006, 26, 3973).
- 50

- [0008]** For example, activation of the PI3K pathway contributes to the resistance of human tumor cells to a wide variety of chemotherapeutic agents, including microtubule stabilizing agents such as taxol (Brognard, J., et. al. Cancer Res 2001, 61, 3986-3997; Clark, A. S., et. al. Mol Cancer Ther 2002, 1, 707-717; Kraus, A. C., et. al. Oncogene 2002, 21, 8683-8695; Krystal, G. W., et. al. Mol Cancer Ther 2002, 1, 913-922; and Yuan, Z. Q., et. al. J Biol Chem 2003, 278, 23432-23440). Taxol is widely used to treat advanced cancers including prostate carcinomas, which frequently harbor deletions in the PTEN gene, resulting in elevated signaling downstream of PI3K. A number of preclinical studies suggest that inhibiting signaling downstream of PI3K restores or enhances the ability of chemotherapeutic agents such as taxol to kill tumor cells (Brognard, J., et. al. Cancer Res 2001, 61, 3986-3997; Clark, A. S., et. al. Mol Cancer Ther 2002, 1, 707-717; Kraus, A. C., et. al. Oncogene 2002, 21, 8683-8695; Krystal, G. W., et. al. Mol Cancer Ther 2002, 1, 913-922;
- 55

and Saga, Y., et. al. Clin Cancer Res 2002, 8, 1248-1252).

[0009] Rapamycin, another chemotherapeutic agent, is a potent inhibitor of the mTOR/ Raptor complex. Inhibition of mTOR/ Raptor prevents p70S6K and S6 phosphorylation, but also leads to relief of a negative feedback loop emanating from p70S6K that serves to downregulate PI3K (Sarbasov, D. D., et. al. Science 2005, 307, 1098- 1101) . As a result, rapamycin treatment can lead to upregulation of PI3K and increased phosphorylation of AKT (O'Donnell, A., et. al. paper presented at Proc Am Soc Clin Oncol. 2003; and O'Reilly, K. E., et. al. Cancer Res 2006, 66, 1500- 1508) . Thus, combining rapamycin with inhibitors of PI3K can enhance the efficacy of rapamycin (Powis, G. et. al. Clinical Cancer Research 2006, 12, 2964- 2966; Sun, S.- Y., et. al. Cancer Research 2005, 65, 7052- 7058) .

[0010] A growing body of clinical and preclinical data indicates that activation of the PI3K pathway confers resistance to EGFR inhibitors such as erlotinib (Bianco, R., et. al. Oncogene 2003, 22, 2812-2822; Chakravarti, A., et. al. Cancer Res 2002, 62, 200-207; and Janmaat, M. L., et. al. Clin Cancer Res 2003, 9, 2316-2326). Both NSCLC patients with K-Ras mutations and glioblastoma patients with PTEN deletions fail to respond to erlotinib, potentially because of genetic activation of the PI3K pathway (Mellinghoff, I. K., et. al. N. Eng. J Med. 2006, 353, 2012-2024). Preclinical studies have shown that downregulation of PI3K signaling in EGFR-expressing tumor cells confers increased sensitivity to EGFR inhibitors (Ihle, N. T., et. al. Mol Cancer Ther 2005, 4, 1349-1357). Thus, treating cancer with a PI3K inhibitor in combination with an EGFR inhibitor, such as erlotinib, is desirable.

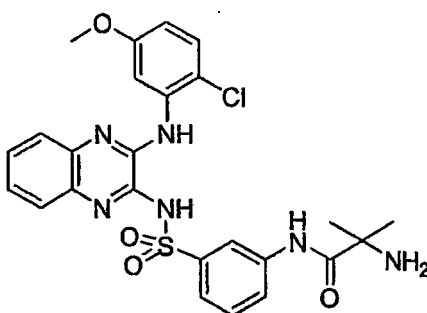
[0011] Activation of the PI3K pathway also contributes to the resistance of human tumor cells to DNA damaging agents, such as platins. A number of preclinical studies suggest that inhibiting signaling downstream of PI3K restores or enhances the ability of chemotherapeutic agents such as platins to kill tumor cells (Brognard, J., et. al. Cancer Res 2001, 61, 3986-3997; and Yuan, Z. Q., et. al. J Biol Chem 2003, 278, 23432-23440). Carboplatin is widely used to treat advanced cancers including non-small cell lung carcinomas (NSCLC), which frequently harbor activating mutations in the K-Ras gene, resulting in activation of PI3K (Aviel-Ronen S., et. al. Clin Lung Cancer 2006, 8, 30-38). NSCLC patients with K-Ras mutations do not respond to EGFR inhibitors such as Tarceva, and thus represent a significant unmet medical need (Janne PA, et. al. J Clin Oncology 2005, 23, 3227-3234). Thus, treating NSCLC with a DNA-damaging agent such as a platin in combination with an inhibitor of P13K is desirable in light of the lack of efficacious treatments.

[0012] Treatments that combine an inhibitor of PI3K- α with other anti-cancer agents are desirable and needed.

SUMMARY OF THE INVENTION

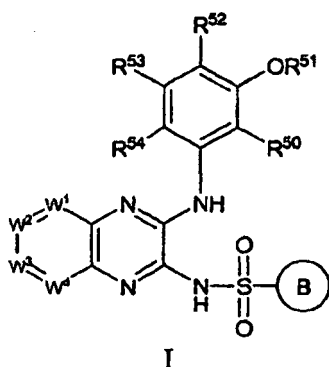
[0013] The following only summarizes certain aspects of the invention and is not intended to be limiting in nature. These aspects and other aspects and embodiments are described more fully below. In the event of a discrepancy between the express disclosure of this specification and the references mentioned herein, the express disclosure of this specification shall control.

[0014] According to the invention, there is provided a compound which is:



or a tautomer or a single isomer thereof where the compound is optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof; for use in combination with one or more treatments independently selected from one or more chemotherapeutic agents selected from the group consisting of a platin, a taxane, rapamycin, and erlotinib for the treatment of cancer.

[0015] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a compound of Formula I:



or a single isomer thereof where the compound is optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof; or administering a pharmaceutical composition comprising a therapeutically effective amount of a compound of Formula I and a pharmaceutically acceptable carrier, excipient, or diluent in combination with one or more treatments independently selected from surgery, one or more chemotherapeutic agents, one or more hormone therapies, one or more antibodies, one or more immunotherapies, radioactive iodine therapy, and radiation, where the Compound of Formula I is that wherein:

W¹, W², W³, and W⁴ are -C(R¹)=; or one or two of W¹, W², W³, and W⁴ are independently -N= and the remaining are -C(R¹)=; and where each R¹ is independently hydrogen, alkyl, haloalkyl, nitro, alkoxy, haloalkoxy, halo, hydroxy, cyano, amino, alkylamino, or dialkylamino;

R⁵¹ is hydrogen or alkyl;

R⁵² is hydrogen or halo;

R⁵⁰, R⁵³, and R⁵⁴ are independently hydrogen, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, hydroxy, alkoxy, alkenyloxy, haloalkoxy, nitro, amino, alkylamino, dialkylamino, -N(R⁵⁵)C(O)-C₁-C₆-alkylene-N(R^{55a})R^{55b}, alkylcarbonyl, alkenylcarbonyl, carboxy, alkoxycarbonyl, cyano, alkylthio, -S(O)₂NR⁵⁵R^{55a}, or alkylcarbonylamino and where R⁵⁵ and R^{55b} are independently hydrogen, alkyl, or alkenyl and R^{55a} is hydrogen, alkyl, alkenyl, hydroxy, or alkoxy; or R⁵³ and R⁵⁴ together with the carbons to which they are attached form a 5- or 6- membered heteroaryl or 5- or 6- membered heterocycloalkyl;

B is phenyl substituted with R^{3a} and optionally further substituted with one, two, or three R³; or

B is heteroaryl optionally substituted with one, two, or three R³;

R^{3a} is cyano; hydroxyamino; carboxy; alkoxycarbonyl; alkylamino; dialkylamino; alkylcarbonyl; haloalkoxy; alkylsulfonyl; aminoalkoxy; alkylaminoalkoxy; dialkylaminoalkoxy; or

a)- N(R⁷)C(O)-C₁-C₆-alkylene-N(R^{7a})(R^{7b}) where R⁷ is hydrogen, alkyl, or alkenyl and R^{7a} and R^{7b} are independently hydrogen, alkyl, alkenyl, hydroxyalkyl, haloalkyl, alkoxy, alkoxyalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, arylalkyl, or arylalkoxy and where the aryl, cycloalkyl, heterocycloalkyl and heteroaryl rings in R^{7a} and R^{7b} (either alone or as part of arylalkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroarylalkyl) are independently optionally substituted with 1, 2, or 3 groups independently selected from alkyl, amino, alkylamino, dialkylamino, hydroxy, halo, alkoxy, alkylthio, and oxo);

b)- C(O)NR⁸R^{8a} where R⁸ is hydrogen, hydroxy, alkoxy, alkyl, alkenyl, haloalkyl, or haloalkoxy and R^{8a} is hydrogen, alkyl, alkenyl, hydroxyalkyl, cyanoalkyl, alkoxyalkyl, alkylthioalkyl, heterocycloalkyl, heterocycloalkylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, or arylalkyl and where the aryl, cycloalkyl, heteroaryl, and heterocycloalkyl rings in R^{8a} (either alone or as part of arylalkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroarylalkyl) are independently optionally substituted with 1, 2, or 3 groups independently selected from alkyl, alkenyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxy, hydroxyalkyl, oxo, amino, alkylamino, dialkylamino, alkylcarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, alkoxycarbonyl, and -C(O)H;

c)- NR⁹C(O)R^{9a} where R⁹ is hydrogen, hydroxy, alkoxy, alkyl, alkenyl, haloalkyl, or haloalkoxy and R^{9a} is hydrogen, C₂-C₆-alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, or arylalkyl; where the aryl, cycloalkyl, heteroaryl, and heterocycloalkyl rings in R^{9a} (either alone or as part of arylalkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroarylalkyl) are independently optionally substituted with 1, 2, or 3 groups independently selected

from alkyl, alkenyl, alkoxy, hydroxy, hydroxyalkyl, halo, haloalkyl, haloalkoxy, oxo, amino, alkylamino, dialkylamino, alkylcarbonyl, alkoxycarbonyl, -C(O)H, aryl (optionally substituted with one or two halo), arylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl, heterocycloalkylalkyl, cycloalkyl, cycloalkylalkyl, and cycloalkylcarbonyl;

d)- C(O)N(R¹⁰)-C₁-C₆-alkylene-N(R^{10a})R^{10b} where R^{10a} is hydrogen, hydroxy, alkoxy, alkyl, alkenyl, haloalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, or hydroxyalkyl and R¹⁰ and R^{10b} are independently hydrogen, alkyl, alkenyl, haloalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, or hydroxyalkyl;

e)- NR¹¹C(O)NR^{11a}R^{11b} where R^{11a} is hydrogen, alkyl, alkenyl, hydroxy, or alkoxy and R¹¹ and R^{11b} are independently hydrogen, alkyl, alkenyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl;

f)-C(O)R¹² where R¹² is heterocycloalkyl optionally substituted with 1, 2, or 3 groups selected from alkyl, oxo, amino, alkylamino, and heterocycloalkylalkyl;

g)- NR¹³C(O)OR^{13a} where R¹³ is hydrogen, alkyl, or alkenyl and R^{13a} is aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, aryl, or arylalkyl;

h)- C(O)N(R¹⁴)N(R^{14a})R^{14b} where R¹⁴, R^{14a}, and R^{14b} are independently hydrogen, alkyl, or alkenyl;

i)- S(O)₂N(R¹⁵)-C₁-C₆-alkylene-N(R^{15a})R^{15b} where R¹⁵, R^{15a}, and R^{15b} are independently hydrogen, alkyl, or alkenyl;

j)- C(O)N(R¹⁶)-C₁-C₆-alkylene-C(O)OR^{16a} where R¹⁶ is hydrogen, alkyl, or alkenyl and R^{16a} is alkyl or alkenyl;

k) heteroaryl optionally substituted with one or two aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl;

l)- N(R¹⁷)-C(=N(R^{17b})(R^{17a}))(NR^{17c}R^{17d}) where R¹⁷, R^{17a}, R^{17b}, R^{17c}, and R^{17d} are independently hydrogen, alkyl, or alkenyl;

m)- N(R¹⁸)C(O)-C₁-C₆-alkylene-N(R^{18b})C(O)R^{18a} where R^{18a} is hydrogen, alkyl, alkenyl, or alkoxy and R¹⁸ and R^{18b} are independently hydrogen, alkyl, or alkenyl;

n)- C(O)N(R¹⁹)-C₁-C₆-alkylene-C(O)R^{19a} where R¹⁹ is hydrogen, alkyl, or alkenyl and R^{19a} is amino, alkylamino, dialkylamino, or heterocycloalkyl;

o)- N(R²⁰)C(O)-C₁-C₆-alkylene-C(O)R^{20a} where R²⁰ is hydrogen, alkyl, or alkenyl and R^{20a} is cycloalkyl or heterocycloalkyl;

p)- NR²¹S(O)₂-C₁-C₆-alkylene-N(R^{21b})R^{21a} where R²¹ is hydrogen, alkyl, or alkenyl and R^{21a} and R^{21b} are independently hydrogen, alkyl, or alkenyl;

q)- N(R²²)C(O)-C₁-C₆-alkylene-N(R^{22b})-N(R^{22c})(R^{22a}) where R²², R^{22a} and R^{22b} are independently hydrogen, alkyl, or alkenyl;

r)- C₀-C₆-alkylene-N(R²³)-C₁-C₆-alkylene-N(R^{23b})R^{23a} where R²³, R^{23a} and R^{23b} are independently hydrogen, alkyl, or alkenyl; or

s)- NR²⁴C(O)-C₁-C₆-alkylene-OR^{24a} where R²⁴ is hydrogen, alkyl, or alkenyl and R^{24a} is

alkoxyalkyl or aryl optionally substituted with one or two halo or alkyl; and

where each of the alkylene in R^{3a} is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, amino, alkylamino, and dialkylamino; and each R³ (when R³ is present) is independently alkyl; alkenyl; alkynyl; halo; hydroxy; oxo;

alkoxy; cyano; hydroxyamino; carboxy; alkoxycarbonyl; amino; alkylamino; dialkylamino; alkylcarbonyl; haloalkoxy; alkylsulfonyl; aminoalkyloxy; alkylaminoalkyloxy; dialkylaminoalkyloxy; or

a)- N(R⁷)C(O)-C₁-C₆-alkylene-N(R^{7a})(R^{7b}) where R⁷ is hydrogen, alkyl, or alkenyl and R^{7a} and R^{7b} are independently hydrogen, alkyl, alkenyl, hydroxyalkyl, haloalkyl, alkoxy, alkoxyalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, arylalkyl, or arylalkyloxy and where the aryl, cycloalkyl, heterocycloalkyl and heteroaryl rings in R^{7a} and R^{7b} (either alone or as part of arylalkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroarylalkyl) are independently optionally substituted with 1, 2, or 3 groups independently selected from alkyl, amino, alkylamino, dialkylamino, hydroxy, halo, alkoxy, alkylthio, and oxo);

b)- C(O)NR⁸R^{8a} where R⁸ is hydrogen, hydroxy, alkoxy, alkyl, alkenyl, haloalkyl, or haloalkoxy and R^{8a} is hydrogen, alkyl, alkenyl, hydroxyalkyl, cyanoalkyl, alkoxyalkyl, alkylthioalkyl, heterocycloalkyl, heterocycloalkylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, or arylalkyl and where the aryl, cycloalkyl, heteroaryl, and heterocycloalkyl rings in R^{8a} (either alone or as part of arylalkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroarylalkyl) are independently optionally substituted with 1, 2, or 3 groups independently selected from alkyl, alkenyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxy, hydroxyalkyl, oxo, amino, alkylamino, dialkylamino, alkylcarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, alkoxycarbonyl, and -C(O)H;

c)- NR⁹C(O)R^{9a} where R⁹ is hydrogen, hydroxy, alkoxy, alkyl, alkenyl, haloalkyl, or haloalkoxy and R^{9a} is hydrogen, C₂-C₆-alkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl,

heterocycloalkylalkyl, heteroaryl, heteroarylalkyl, aryl, or arylalkyl; where the aryl, cycloalkyl, heteroaryl, and heterocycloalkyl rings in R^{9a} (either alone or as part of arylalkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroarylalkyl) are independently optionally substituted with 1, 2, or 3 groups independently selected from alkyl, alkenyl, alkoxy, hydroxy, hydroxyalkyl, halo, haloalkyl, haloalkoxy, oxo, amino, alkylamino, dialkylamino, alkylcarbonyl, alkoxycarbonyl, -C(O)H, aryl (optionally substituted with one or two halo), arylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl, heterocycloalkylalkyl, cycloalkyl, cycloalkylalkyl, and cycloalkylcarbonyl;

d)- C(O)N(R¹⁰)-C₁-C₆-alkylene-N(R^{10a})R^{10b} where R^{10a} is hydrogen, hydroxy, alkoxy, alkyl, alkenyl, haloalkyl, or hydroxyalkyl and R¹⁰ and R^{10b} are independently hydrogen, alkyl, alkenyl, haloalkyl, or hydroxyalkyl;

e)- NR¹¹C(O)NR^{11a}R^{11b} where R^{11a} is hydrogen, alkyl, alkenyl, hydroxy, or alkoxy and R¹¹ and R^{11b} are independently hydrogen, alkyl, alkenyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl;

f)-C(O)R¹² where R¹² is heterocycloalkyl optionally substituted with 1, 2, or 3 groups selected from alkyl, oxo, amino, alkylamino, and heterocycloalkylalkyl;

g)- NR¹³C(O)OR^{13a} where R¹³ is hydrogen, alkyl, or alkenyl and R^{13a} is aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, aryl, or arylalkyl);

h)- C(O)N(R¹⁴)N(R^{14a})(R^{14b}) where R¹⁴, R^{14a}, and R^{14b} are independently hydrogen, alkyl, or alkenyl;

i)- S(O)₂N(R¹⁵)-C₁-C₆-alkylene-N(R^{15a})R^{15b} where R¹⁵, R^{15a}, and R^{15b} are independently hydrogen, alkyl, or alkenyl;

j)- C(O)N(R¹⁶)-C₁-C₆-alkylene-C(O)OR^{16a} where R¹⁶ is hydrogen, alkyl, or alkenyl and R^{16a} is alkyl or alkenyl;

k) heteroaryl optionally substituted with one or two aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl;

l)- N(R¹⁷)-C(=N(R^{17b})(R^{17a}))(NR^{17c}R^{17d}) where R¹⁷, R^{17a}, R^{17b}, R^{17c}, and R^{17d} are independently hydrogen, alkyl, or alkenyl;

m)- N(R¹⁸)C(O)-C₁-C₆-alkylene-N(R^{18b})C(O)R^{18a} where R^{18a} is hydrogen, alkyl, alkenyl, or alkoxy and R¹⁸ and R^{18b} are independently hydrogen, alkyl, or alkenyl;

n)- C(O)N(R¹⁹)-C₁-C₆-alkylene-C(O)R^{19a} where R¹⁹ is hydrogen, alkyl, or alkenyl and R^{19a} is amino, alkylamino, dialkylamino, or heterocycloalkyl;

o)- N(R²⁰)C(O)-C₁-C₆-alkylene-C(O)R^{20a} where R²⁰ is hydrogen, alkyl, or alkenyl and R^{20a} is cycloalkyl or heterocycloalkyl;

p)- NR²¹S(O)₂-C₁-C₆-alkylene-N(R^{21b})R^{21a} where R²¹ is hydrogen, alkyl, or alkenyl and R^{21a} and R^{21b} are independently hydrogen, alkyl, or alkenyl;

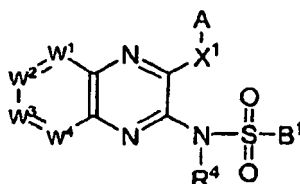
q)- N(R²²)C(O)-C₁-C₆-alkylene-N(R^{22b})-N(R^{22c})(R^{22a}), where R²², R^{22a} and R^{22b} are independently hydrogen, alkyl, or alkenyl;

r)- C₀-C₆-alkylene-N(R²³)-C₁-C₆-alkylene-N(R^{23b})R^{23a} where R²³, R^{23a} and R^{23b} are independently hydrogen, alkyl, or alkenyl; or

s) NR²⁴C(O)-C₁-C₆-alkylene-OR^{24a} where R²⁴ is hydrogen, alkyl, or alkenyl and R^{24a} is alkoxyalkyl or aryl optionally substituted with one or two halo or alkyl;

wherein each of the alkylene in R³ is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, amino, alkylamino, and dialkylamino; and provided that when R⁵⁰ and R⁵² are hydrogen, R⁵¹ is hydrogen or methyl, R⁵³ is hydrogen or methoxy, and R⁵⁴ is hydrogen or methoxy, then B is not 2, 3-dihydro-1, 4-benzodioxinyl, thien-2-yl, or thien-2-yl substituted with one R³ where R³ is halo.

[0016] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a compound of Formula II:



II

or a pharmaceutically acceptable salt or solvate, thereof; or administering a pharmaceutical composition comprising a therapeutically effective amount of a compound of Formula II and a pharmaceutically acceptable carrier, excipient, or

diluent in combination with one or more treatments independently selected from surgery, one or more chemotherapeutic agents, one or more of the hormone therapies, one or more of the antibodies, one or more immunotherapies, radioactive iodine therapy, and radiation wherein the Compound of Formula I is that wherein: W¹, W², W³, and W⁴ are- C (R^{1a}) =; or one or two of W¹, W², W³, and W⁴ are independently- N= and the remaining are- C (R^{1a}) =;

X¹ is- N (R^{5a})-;

A is aryl, -S (O)₂- aryl, heteroaryl, cycloalkyl, heterocycloalkyl, halo, haloalkyl, haloalkoxy, alkyl, alkoxy, or- alkyl- N (R⁷) R^{7a}, where each of the aryl, heteroaryl, cycloalkyl, heterocycloalkyl, alkyl and alkoxy groups, each either alone or as part of another group within A, are independently optionally substituted with one, two, three, or four R^{2a}; or

B¹ is aryl, arylalkyl, alkyl, heteroaryl, or heteroaryalkyl, wherein each of the aryl, heteroaryl and alkyl groups are independently optionally substituted with one, two, three, or four R^{3d};

each R^{1a} is independently selected from hydrogen, alkoxy, alkyl, nitro, halo, cyano, and- C₀- C₆- alkyl- N (R⁷) R^{7a}, wherein each of the alkyl and alkoxy groups is optionally substituted with 1, 2, 3, 4, or 5 groups selected from alkyl, alkoxy, halo, haloalkyl, haloalkoxy, nitro, cyano, hydroxy, -N (R⁸) R^{8a}, and- C (O) OR⁶;

each R^{2a} (when R^{2a} is present) is independently selected from alkyl, alkenyl, -alkenyl- C (O) OR⁶, -OR⁶, -N (R⁷) C (O) R⁶, -N (R⁷) C (O)- C₀- C₆ alkyl- N (R^{7b}) R^{7a}, -OC (O)- C₀- C₆ alkyl- N (R⁷) R^{7a}, -N (R⁷) C (O)- C₁- C₆ alkylC (O) OR⁶, C₀- C₆- alkyl- C (O) R⁶, oxo, dioxo, -S (O)₂- N (R⁷) R^{7a}, -C (O) OR⁶, -CH (R⁶)₂- C (O) OR⁶, -S (O)₂R⁶, cycloalkyl, heterocycloalkyl, heteroaryl, -C (O) N (R⁷)- alkyl- OR⁶, -C₀- C₆ alkyl- C (O) N (R⁷)- C₀- C₆- alkyl- C (O) OR⁶, -C₀- C₆- alkyl- C (O) N (R⁷) R^{7a}, aryl, arylalkyl, -S- (C₁- C₆ alkyl), halo, oxo, nitro, -SCN, cyano, and- C₀- C₆ alkyl- N (R⁷) R^{7a}, wherein each of the alkyl (including, for example the alkyl within alkoxy), aryl, cycloalkyl, heterocycloalkyl, and heteroaryl

groups, either alone or as part of another group within R², is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from alkyl, halo, haloalkyl, haloalkoxy, oxo, nitro, cyano, hydroxy, -N (R⁸) R^{8a}, alkoxy, and- C (O) OR⁹;

each R^{3d} (when R^{3d} is present) is independently oxo, nitro, halo, cyano, alkyl, alkenyl, alkynyl, alkoxy, C₃- C₆- cycloalkyl, -C₀- C₆- alkyl- heterocycloalkyl, -C₀- C₆ alkyl- N (R⁷) C (O)- C₀- C₆- alkyl- N (R^{7b}) R^{7a}, -C₀- C₆ alkyl- N (R⁷) C (O)- C₀- C₆- alkyl- N (R^{7b}) C (O) R^{7a}, -C₀- C₆ alkyl- C (O)- C₀- C₆- alkyl- N (R⁷) R^{7a}, -C₀- C₆- alkyl- C (O) N (R⁷)- C₀- C₆- alkyl- N (R^{7b}) R^{7a}, -C₀- C₆- alkyl- C (O) N (R⁷)- C₁- C₆alkylC (O) OR^{7a}, -C₀- C₆ alkyl- N (R⁷) C (O)- C₀- C₆- alkyl- (R^{7a}), - C₀- C₆ alkyl- N (R⁷)- C₀- C₆- alkyl- N (R^{7b}) R^{7a}, -C₀- C₆ alkyl- N (R⁷) C (O)- C₀- C₆- alkyl- N (R^{7b})- N (R^{7c}) R^{7a}, -C₀- C₆ alkyl- N (R⁷) C (O) O- C₀- C₆- alkyl- aryl, -C₀- C₆ alkyl- C (O) N (R⁷)- C₀- C₆- alkyl- N (R^{7b}) R^{7a}, -C₀- C₆ alkyl- N (R⁷)- C₀- C₆alkyl- C (=N (R^{7b}) (R^{7a})) (NR^{7c}R^{7d}), - C₀- C₆- alkyl- aryl, -C₀- C₆- alkyl- heteroaryl, -C₀- C₆ alkyl- heterocycloalkyl, -O- C₀- C₆ alkyl- N (R⁷) R^{7a}, -C₀- C₆ alkyl- OR⁶, -C₀- C₆ alkyl- C (O) OR⁶, C₀- C₆- alkyl- N (R⁷) R^{7a}, -C₀- C₆ alkyl- C (O) NR⁷R^{7a}, -C₀- C₆ alkyl- C (O) R⁷, -SR₇, -S (O)₂R₇, -S (O)₃R₇, -S (O) R⁷, -SO₂N (R⁷) R^{7a}, -SO₂N (R⁷)- C₀- C₆ alkyl- N (R^{7b}) R^{7a}, -C₀- C₆- alkyl- N (R⁷)- aryl, -C₀- C₆- alkyl- N (R⁷)- heteroaryl, -C₀- C₆- alkyl- N (R⁷)- heterocycloalkyl, -C₀- C₆- alkyl- C (O) N (R⁷)- C₀- C₆- alkyl- cycloalkyl, C₀- C₆- alkyl- C (O) N (R⁷)- C₀- C₆- alkyl- aryl, C₀- C₆ alkyl- C (O) N (R⁷)- C₀- C₆ alkyl- heteroaryl, C₀- C₆ alkyl- C (O) N (R⁷)- C₀- C₆- alkyl- heterocycloalkyl, -C₀- C₆- alkyl- N (R⁷) C (O)- C₀- C₆- alkyl- cycloalkyl, -C₀- C₆- alkyl- N (R⁷) C (O)- C₀- C₆- alkyl- aryl, C₀- C₆- alkyl- N (R⁷) C (O)- C₀- C₆- alkyl- heteroaryl, -C₀- C₆- alkyl- heterocycloalkyl, C₀- C₆- alkyl- N (R⁷) C (O)- C₀- C₆- alkyl- heterocycloalkyl- aryl, -N (R⁷) C (O) OR⁶, or- NHC (O) H, wherein each of the alkyl, alkenyl, cycloalkyl, aryl, (including, for example the alkyl within alkoxy), heterocycloalkyl, and heteroaryl groups, either alone or as part of another group within R^{3d}, is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from alkyl, alkenyl, -C₀- C₆- alkyl- OR⁹, cycloalkyl, halo, haloalkyl, haloalkoxy, -C (O) R⁹, nitro, cyano, oxo, -C₀- C₆- alkyl- N (R⁸) R^{8a}, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, -C (O) OR⁹, alkylthio, and hydroxyalkyl;

R⁴ is hydrogen, aryl, -C₀- C₆- alkyl- N (R⁷) R^{7a}, alkoxy, or C₁- C₆ alkyl, wherein each of the alkyl and aryl groups, either alone or as part of another group in R⁴, is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from alkyl, halo, haloalkyl, haloalkoxy, nitro, cyano, hydroxy, -N (R⁸) R^{8a}, alkoxy, and- C (O) OR⁶; or

R⁴ and X¹ together with the atoms to which they are attached form a heterocycloalkyl or heteroaryl group, wherein R^{5a} is absent when X is- N (R^{5a})-, wherein each of the heterocycloalkyl or heteroaryl is optionally substituted with 1, 2, 3, 4, or 5 groups selected from alkyl, halo, haloalkyl, haloalkoxy, nitro, cyano, hydroxy, -N (R⁷) R^{7a}, alkoxy, and- C (O) OR⁶; R^{5a} is hydrogen, -C₁- C₆ alkyl- N (R⁷) R^{7a}, alkoxy, alkyl, or aryl, wherein each of the alkyl and aryl is optionally substituted with 1, 2, 3, 4, or 5 groups selected from alkyl, halo, haloalkyl, haloalkoxy, nitro, cyano, hydroxy, -N (R⁸) R^{8a}, C₁- C₆ alkoxy, or- C (O) OR⁶; or

R^{5a} and R⁴ together with the atoms to which they are attached form a heterocycloalkyl or heteroaryl group, wherein the heterocycloalkyl and heteroaryl is optionally substituted with 1, 2, 3, 4, or 5 groups selected from alkyl, halo, haloalkyl, haloalkoxy, nitro, cyano, hydroxy, -N (R⁷) R^{7a}, C₁- C₆ alkoxy, and- C (O) OR⁶;

R⁶ and R⁹ are independently hydrogen, hydroxy, alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, heteroarylalkyl, or aryl, each alkyl, aryl, cycloalkyl, heterocycloalkyl, and heteroaryl, either alone or as part of another group within R⁶ and R⁹, is independently optionally substituted with 1, 2, 3, 4,

or 5 groups independently selected from amino, hydroxy, alkoxy, alkyl, and halo; and R⁷, R^{7a} R^{7b}, R^{7c}, R^{7d}, R⁸, and R^{8a} are independently hydrogen, alkyl, alkenyl, hydroxy, alkyloxy, alkenyloxy, -O- C₀- C₆ alkyl- aryl, -C₀- C₆ alkyl- C (O) OR⁶, -C₀- C₆ alkyl- C (O) R⁶, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, or

heterocycloalkylalkyl, wherein each of the alkyl, aryl, heteroaryl, and heterocycloalkyl, either alone or part of another group within R⁷, R^{7a}, R^{7b}, R^{7c}, R^{7d}, R⁸, and R^{8a} is independently optionally substituted with 1, 2, 3, 4, or 5 groups selected from amino, alkylamino, dialkylamino, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, -S- C₁- C₆ alkyl, cyano, nitro, hydroxy, C₁- C₆ alkoxy, C₁- C₆ alkyl, halo, aryl, heterocycloalkylalkyl, and heteroaryl optionally substituted with one or two C₁- C₆ alkyl.

DETAILED DESCRIPTION OF THE INVENTION

Abbreviations and Definitions

[0017] The following abbreviations and terms have the indicated meanings throughout:

Abbreviation	Meaning
br	broad
°C	degrees Celsius
CBZ	CarboBenZoxy = benzyloxycarbonyl
d	doublet
dd	doublet of doublet
dt	doublet of triplet
EI	Electron Impact ionization
Et	Ethyl
g	gram(s)
GC	gas chromatography
h or hr	hour(s)
HPLC	high pressure liquid chromatography
L	liter(s)
M	molar or molarity
m	Multiplet
mg	milligram(s)
MHz	megahertz (frequency)
Min	minute(s)
mL	milliliter(s)
mM	Millimolar
mmol	millimole(s)
mol	mole(s)
MS	mass spectral analysis
N	normal or normality
nM	Nanomolar
NMR	nuclear magnetic resonance spectroscopy
q	Quartet
RT	Room temperature
s	Singlet
s-	Secondary

(continued)

Abbreviation	Meaning
t-	Tertiary
t or tr	Triplet
TFA	trifluoroacetic acid
THF	Tetrahydrofuran
μL	microliter(s)
μM	Micromole(s) or micromolar

Definitions for a Compound of Formula I, Ia, and II

[0018] The symbol "-" means a single bond, "=" means a double bond, "≡" means a triple bond, and "___" means a single bond and optionally a double bond. When chemical structures are depicted or described, unless explicitly stated otherwise, all carbons are assumed to have hydrogen substitution to conform to a valence of four.

[0019] "Administration" and variants thereof (e.g., "administering" a compound) in reference to a compound of the invention means introducing the compound or a prodrug of the compound into the system of the animal in need of treatment. When a compound of the invention or prodrug thereof is provided in combination with one or more other active agents (e.g., surgery, radiation, and chemotherapy, etc.), "administration" and its variants are each understood to include concurrent and sequential introduction of the compound or prodrug thereof and other agents.

[0020] "Alkenyl" or "lower alkenyl" means a straight or branched hydrocarbon radical having from 2 to 6 carbon atoms and at least one double bond and includes ethenyl, propenyl, 1-but-3-enyl, 1-pent-3-enyl, 1-hex-5-enyl and the like.

[0021] "Alkenylcarbonyl" means a C(O)R group where R is alkenyl, as defined herein.

[0022] "Alkenyloxy" or "lower alkenyloxy" means an-OR group where R is alkenyl, as defined herein. Representative examples include methoxy, ethoxy, 1-methoxyprop-1-en-3-yl, propoxy, isopropoxy, cyclopropyloxy, cyclohexyloxy and the like.

[0023] "Alkoxy" or "lower alkoxy" means an-OR group where R is alkyl, as defined herein. Representative examples include methoxy, ethoxy, 1-methoxyprop-1-en-3-yl, propoxy, isopropoxy, cyclopropyloxy, cyclohexyloxy and the like.

[0024] "Alkoxyalkyl" means an alkyl group, as defined herein, substituted with one, two, or three alkoxy groups, as defined herein.

[0025] "Alkoxy carbonyl" means a -C(O)OR group where R is alkyl as defined herein.

[0026] "Alkoxy carbonylalkyl" means an alkyl group, as defined herein, substituted with one, two, or three alkoxy carbonyl groups, as defined herein.

[0027] "Alkyl" or "lower alkyl" means a linear or branched hydrocarbon group having one to six carbon atoms. Examples of lower alkyl groups include methyl, ethyl, propyl, isopropyl, butyl, *s*-butyl, *t*-butyl, isobutyl, pentyl, hexyl and the like. A "C₀" alkyl (as in "C₀-C₆-alkyl") is a covalent bond. "C₆ alkyl" refers to, for example, *n*-hexyl, *iso*-hexyl, and the like.

[0028] "Alkylamino" means a-NHR radical where R is alkyl as defined herein, or an N-oxide derivative thereof, e.g., methylamino, ethylamino, *n*-, *iso*-propylamino, *n*-, *iso*-, *tert*-butylamino, or methylamino-N-oxide, and the like.

[0029] "Alkylaminoalkyl" means an alkyl group substituted with one or two alkylamino groups, as defined herein.

[0030] "Alkylaminoalkyloxy" means an -OR group where R is alkylaminoalkyl, as defined herein.

[0031] "Alkylcarbonyl" means a C(O)R group where R is alkyl, as defined herein.

[0032] "Alkylcarbonylamino" means a-NRC(O)R' group where R is hydrogen or alkyl, as defined herein, and R' is alkyl, as defined herein.

[0033] "Alkylene" refers to straight or branched divalent hydrocarbon, containing no unsaturation and having from two to eight carbon atoms. Examples of alkylene include ethdiyl (-CH₂CH₂-), prop-1,3-diyl (-CH₂CH₂CH₂-), 2,2-dimethylprop-1,3-diyl (-CH₂C(CH₃)₂CH₂-), and the like.

[0034] "Alkylsulfonyl" means a-S(O)₂R group where R is alkyl, as defined herein.

[0035] "Alkylthio" means a-SR group where R is alkyl, as defined herein. Examples of alkylthio include methylthio and ethylthio, and the like.

[0036] "Alkylthioalkyl" means an alkyl group substituted with one or two alkylthio groups, as defined herein, e.g. 2-(methylthio)-ethyl and 2-(ethylthio)-ethyl.

[0037] "Alkynyl" or "lower alkynyl" means a straight or branched hydrocarbon radical having from 2 to 6 carbon atoms and at least one triple bond and includes ethynyl, propynyl, butynyl, pentyn-2-yl and the like.

[0038] "Amino" means a-NH₂.

[0039] "Aminoalkyl" means an alkyl group substituted with at least one, for example one, two, or three, amino groups.

[0040] "Aminoalkyloxy" means an -OR group where R is aminoalkyl, as defined herein.

[0041] "Aryl" means a monovalent six- to fourteen-membered, mono- or bi-carbocyclic ring, wherein the monocyclic ring is aromatic and at least one of the rings in the bicyclic ring is aromatic. Representative examples include phenyl, naphthyl, and indanyl, and the like.

[0042] "Arylalkyl" means an alkyl group, as defined herein, substituted with one or two aryl groups, as defined herein. Examples include benzyl, phenethyl, phenylvinyl, phenylallyl and the like.

[0043] "Aryloxy" means a -OR group where R is aryl as defined herein.

[0044] "Arylalkyloxy" means a -OR group where R is arylalkyl as defined herein.

[0045] "Arylsulfonyl" means a -SO₂R group where R is aryl as defined herein.

[0046] "Carboxyalkyl" means an alkyl group, as defined herein, substituted with one, two, or three -C(O)OH groups.

[0047] "Carboxy ester" means a -C(O)OR group where R is lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, aryl or arylalkyl, each of which is defined herein. Representative examples include methoxycarbonyl, ethoxycarbonyl, and benzyloxycarbonyl, and the like.

[0048] "Cyanoalkyl" means an alkyl, alkenyl, or alkynyl radical, as defined herein, substituted with at least one, for example one, two, or three, cyano groups.

[0049] "Cycloalkyl" means a monocyclic or polycyclic hydrocarbon radical having three to thirteen carbon atoms. The cycloalkyl can be saturated or partially unsaturated, but cannot contain an aromatic ring. Cycloalkyl includes fused, bridged, and spiro ring systems. Examples of such radicals include cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

[0050] "Cycloalkylalkyl" means alkyl group substituted with one or two cycloalkyl groups, as defined herein. Representative examples include cyclopropylmethyl and 2- cyclobutyl- ethyl, and the like.

[0051] "Cycloalkylcarbonyl" means a -C(O)R group where R is cycloalkyl as defined herein.

[0052] "Dialkylamino" means a -NRR' radical where R and R' are independently alkyl as defined herein, or an N- oxide derivative, or a protected derivative thereof, e.g., dimethylamino, diethylamino, *N, N*- methylpropylamino or *N, N*- methylethylamino, and the like.

[0053] "Dialkylaminoalkyl" means an alkyl group substituted with one or dialkylamino groups, as defined herein.

[0054] "Dialkylaminoalkyloxy" means an -OR group where R is dialkylaminoalkyl, as defined herein.

[0055] "Fused ring system" and "fused ring" refer to a polycyclic ring system that contains bridged or fused rings; that is, where two rings have more than one shared atom in their ring structures. In this application, fused- polycyclics and fused ring systems are not necessarily all aromatic ring systems. Typically, but not necessarily, fused- polycyclics share a vicinal set of atoms, for example naphthalene or 1, 2, 3, 4- tetrahydro- naphthalene. A spiro ring system is not a fused- polycyclic by this definition, but fused polycyclic ring systems of the invention may themselves have spiro rings attached thereto via a single ring atom of the fused- polycyclic. In some examples, as appreciated by one of ordinary skill in the art, two adjacent groups on an aromatic system may be fused together to form a ring structure. The fused ring structure may contain heteroatoms and may be optionally substituted with one or more groups. It should additionally be noted that saturated carbons of such fused groups (i.e. saturated ring structures) can contain two substitution groups.

[0056] "Haloalkoxy" means an -OR' group where R' is haloalkyl as defined herein, e.g., trifluoromethoxy or 2, 2, 2- trifluoroethoxy, and the like.

[0057] "Haloalkoxyalkyl" means an alkyl group, as defined herein, substituted with one, two, or three haloalkoxy, as defined herein.

[0058] "Halogen" or "halo" means fluoro, chloro, bromo and iodo.

[0059] "Haloalkenyl" means an alkenyl group, as defined herein, substituted with one or more halogens, for example one to five halo atoms.

[0060] "Haloalkyl" means an alkyl group, as defined herein, substituted with one or more halogens, for example one to five halo atoms. Representative examples includes 2, 2- difluoroethyl, trifluoromethyl, and 2- chloro- 1- fluoroethyl, and the like.

[0061] "Heteroaryl" means a monocyclic, fused bicyclic, or fused tricyclic, monovalent radical of 5 to 14 ring atoms containing one or more, for example one, two, three, or four ring heteroatoms independently selected from -O-, -S(O)_n- (n is 0, 1, or 2), -N-, -N(R^x)-, and the remaining ring atoms being carbon, wherein the ring comprising a monocyclic radical is aromatic and wherein at least one of the fused rings comprising a bicyclic or tricyclic radical is aromatic. One or two ring carbon atoms of any nonaromatic rings comprising a bicyclic or tricyclic radical may be replaced by a -C(O)-, -C(S)-, or -C(=NH)- group. R^x is hydrogen, alkyl, hydroxy, alkoxy, acyl, or alkylsulfonyl. Fused bicyclic radical includes bridged ring systems. Unless stated otherwise, the valency may be located on any atom of any ring of the heteroaryl group, valency rules permitting. In particular, when the point of valency is located on the nitrogen, R^x is absent. In another embodiment, the term heteroaryl includes, but is not limited to, 1, 2, 4- triazolyl, 1, 3, 5- triazolyl, phthalimidyl, pyridinyl, pyrrolyl, imidazolyl, thienyl, furanyl, indolyl, 2, 3- dihydro- 1*H*- indolyl (including, for example, 2, 3- dihydro- 1*H*- indol- 2- yl or 2, 3- dihydro- 1*H*- indol- 5- yl, and the like), isoindolyl, indolinyl, isoindolinyl, benzimidazolyl, benzodioxol- 4- yl, benzofuranyl, cinnolinyl, indoliziny, naphthyridin- 3- yl, phthalazin- 3- yl, phthalazin- 4- yl, pteridinyl, purinyl, quinazolinyl, quinoxalinyl, tetrazolyl, pyrazolyl, pyrazinyl, pyrimidinyl, pyridazinyl, oxazolyl, isooxazolyl, oxadiazolyl, benzoxazolyl,

quinolinyl, isoquinolinyl, tetrahydroisoquinolinyl (including, for example, tetrahydroisoquinolin-4-yl or tetrahydroisoquinolin-6-yl, and the like), pyrrolo [3, 2-c] pyridinyl (including, for example, pyrrolo [3, 2-c] pyridin-2-yl or pyrrolo [3, 2-c] pyridin-7-yl, and the like), benzopyranyl, thiazolyl, isothiazolyl, thiadiazolyl, benzothiazolyl, benzothieryl, and the derivatives thereof, or N-oxide or a protected derivative thereof.

[0062] "Heteroarylalkyl" means an alkyl group substituted with one or two heteroaryl groups as defined herein.

[0063] "Heterocycloalkyl" means a saturated or partially unsaturated monovalent monocyclic group of 3 to 8 ring atoms or a saturated or partially unsaturated monovalent fused bicyclic group of 5 to 12 ring atoms in which one or more, for example one, two, three, or four ring heteroatoms independently selected from -O-, -S(O)_n- (n is 0, 1, or 2), -N=, -N(R^y)- (where R^y is hydrogen, alkyl, hydroxy, alkoxy, acyl, or alkylsulfonyl), the remaining ring atoms being carbon. One or two ring carbon atoms may be replaced by a -C(O)-, -C(S)-, or -C(=NH)- group. Fused bicyclic radical includes bridged ring systems. Unless otherwise stated, the valency of the group may be located on any atom of any ring within the radical, valency rules permitting. In particular, when the point of valency is located on a nitrogen atom, R^y is absent. In another embodiment the term heterocycloalkyl includes, but is not limited to, azetidiny, pyrrolidiny, 2-oxopyrrolidiny, 2,5-dihydro-1H-pyrrolyl, piperidiny, 4-piperidonyl, morpholinyl, piperazinyl, 2-oxopiperazinyl, tetrahydropyranyl, 2-oxopiperidiny, thiomorpholinyl, thiamorpholinyl, perhydroazepiny, pyrazolidiny, imidazolinyl, imidazolidiny, dihydropyridiny, tetrahydropyridiny, oxazolinyl, oxazolidiny, isoxazolidiny, thiazolinyl, thiazolidiny, quinuclidiny, isothiazolidiny, octahydroindolyl, octahydroisoindolyl, decahydroisoquinolyl, tetrahydrofuryl, and tetrahydropyranyl, and the derivatives thereof and N-oxide or a protected derivative thereof.

[0064] "Heterocycloalkylalkyl" means an alkyl group, as defined herein, substituted with one or two heterocycloalkyl groups, as defined herein.

[0065] "Hydroxyalkyl" means an alkyl radical, as defined herein, substituted with at least one, for example one, two, or three, hydroxy groups, provided that if two hydroxy groups are present they are not both on the same carbon atom. Representative examples include, but are not limited to, hydroxymethyl, 2-hydroxyethyl, 2-hydroxypropyl, 3-hydroxypropyl, 1-(hydroxymethyl)-2-methylpropyl, 2-hydroxybutyl, 3-hydroxybutyl, 4-hydroxybutyl, 2,3-dihydroxypropyl, 1-(hydroxymethyl)-2-hydroxyethyl, 2,3-dihydroxybutyl, 3,4-dihydroxybutyl and 2-(hydroxymethyl)-3-hydroxypropyl, for example 2-hydroxyethyl, 2,3-dihydroxypropyl, or 1-(hydroxymethyl)-2-hydroxyethyl, and the like.

[0066] "Hydroxyamino" means a -NH(OH) group.

[0067] "Optional" or "optionally" means that the subsequently described event or circumstance may or may not occur, and that the description includes instances where said event or circumstance occurs and instances in which it does not. One of ordinary skill in the art would understand that with respect to any molecule described as containing one or more optional substituents, only sterically practical and/or synthetically feasible compounds are meant to be included. "Optionally substituted" refers to all subsequent modifiers in a term. So, for example, in the term "optionally substituted arylC₁₋₈ alkyl," both the "C₁₋₈ alkyl" portion and the "aryl" portion of the molecule may or may not be substituted. A list of exemplary optional substitutions is presented below in the definition of "substituted."

[0068] "Optionally substituted alkyl" means an alkyl radical, as defined herein, optionally substituted with one or more groups, for example one, two, three, four, or five groups, independently selected from alkylcarbonyl, alkenylcarbonyl, cycloalkylcarbonyl, alkylcarbonyloxy, alkenylcarbonyloxy, amino, alkylamino, dialkylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cyano, cyanoalkylaminocarbonyl, alkoxy, alkenyloxy, hydroxy, hydroxyalkoxy, carboxy, alkylcarbonylamino, alkylcarbonyloxy, alkyl-S(O)₀₋₂-, alkenyl-S(O)₀₋₂-, aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, alkylsulfonyl-NR^c- (where R^c is hydrogen, alkyl, optionally substituted alkenyl, optionally substituted alkynyl, hydroxy, alkoxy, alkenyloxy, or cyanoalkyl), alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkylaminoalkyloxy, dialkylaminoalkyloxy, alkoxyalkyloxy, and -C(O)NR^aR^b (where R^a and R^b are independently hydrogen, alkyl, optionally substituted alkenyl, optionally substituted alkynyl, hydroxy, alkoxy, alkenyloxy, or cyanoalkyl).

[0069] "Optionally substituted alkenyl" means an alkenyl radical, as defined herein, optionally substituted with one or more groups, for example one, two, or three groups, independently selected from alkylcarbonyl, alkenylcarbonyl, cycloalkylcarbonyl, alkylcarbonyloxy, alkenylcarbonyloxy, amino, alkylamino, dialkylamino, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, cyano, cyanoalkylaminocarbonyl, alkoxy, alkenyloxy, hydroxy, hydroxyalkoxy, carboxy, alkylcarbonylamino, alkylcarbonyloxy, alkyl-S(O)₀₋₂-, alkenyl-S(O)₀₋₂-, aminosulfonyl, alkylaminosulfonyl, dialkylaminosulfonyl, alkylsulfonyl-NR^c- (where R^c is hydrogen, optionally substituted alkyl, optionally substituted alkynyl, hydroxy, alkoxy, or alkenyloxy), alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkylaminoalkyloxy, dialkylaminoalkyloxy, alkoxyalkyloxy, and -C(O)NR^aR^b (where R^a and R^b are independently hydrogen, optionally substituted alkyl, alkenyl, optionally substituted alkynyl, hydroxy, alkoxy, or alkenyloxy).

[0070] "Optionally substituted aryl" means an aryl group, as defined herein, which is optionally substituted with one, two, three, four, or five groups selected from halo, haloalkyl, haloalkoxy, hydroxy, lower alkyl, lower alkenyl, lower alkynyl, alkoxy, carboxy, carboxy ester, amino, alkylamino, dialkylamino, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, optionally substituted heteroaryl, -C(O)NR^aR^b (where R^a is hydrogen or alkyl and R^b is

hydrogen, alkyl, aryl, heteroaryl, or

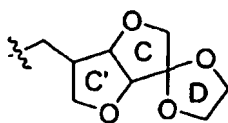
heterocycloalkyl), -NR'C(O)R" (where R' is hydrogen or alkyl and R" is alkyl, aryl, heteroaryl, or heterocycloalkyl), and- NHS(O)₂R' (where R' is alkyl, aryl, or heteroaryl) .

[0071] "Optionally substituted heteroaryl" means a heteroaryl group, as defined herein, optionally substituted with one, two, three, four, or five groups selected from halo, haloalkyl, haloalkoxy, lower alkyl, lower alkenyl, lower alkynyl, alkoxy, hydroxy, oxo (valency rules permitting), carboxy, carboxy ester, amino, alkylamino, dialkylamino, optionally substituted cycloalkyl, optionally substituted heterocycloalkyl, heteroaryl, optionally substituted aryl, -C(O)NR'R" (where R' is hydrogen or alkyl and R" is hydrogen, alkyl, aryl, heteroaryl, or heterocycloalkyl), -NR'C(O)R" (where R' is hydrogen or alkyl and R" is alkyl, aryl, heteroaryl, or heterocycloalkyl), and- NHS(O)₂R' (where R' is alkyl, aryl, or heteroaryl) .

[0072] "Optionally substituted heterocycloalkyl" means a heterocycloalkyl, as defined herein, optionally substituted with one, two, three, four, or five groups selected from halo, haloalkyl, haloalkoxy, hydroxy, oxo, lower alkyl, lower alkenyl, lower alkynyl, alkoxy, optionally substituted cycloalkyl, heterocycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, alkylaminoalkyl, dialkylaminoalkyl, carboxy, carboxy ester, -C(O)NR'R" (where R' is hydrogen or alkyl and R" is hydrogen, alkyl, aryl, heteroaryl, or heterocycloalkyl), -NR'C(O)R" (where R' is hydrogen or alkyl and R" is alkyl, aryl, heteroaryl, or heterocycloalkyl), amino, alkylamino, dialkylamino, and- NHS(O)₂R' (where R' is alkyl, aryl, or heteroaryl) .

[0073] "Saturated bridged ring system" refers to a bicyclic or polycyclic ring system that is not aromatic. Such a system may contain isolated or conjugated unsaturation, but not aromatic or heteroaromatic rings in its core structure (but may have aromatic substitution thereon) . For example, hexahydro-furo [3, 2- b] furan, 2, 3, 3a, 4, 7, 7a- hexahydro- 1H-indene, 7- aza- bicyclo [2.2.1] heptane, and 1, 2, 3, 4, 4a, 5, 8, 8a- octahydro- naphthalene are all included in the class "saturated bridged ring system."

[0074] "Spirocyclyl" or "spirocyclic ring" refers to a ring originating from a particular annular carbon of another ring. For example, as depicted below, a ring atom of a saturated bridged ring system (rings C and C'), but not a bridgehead atom, can be a shared atom between the saturated bridged ring system and a spirocyclyl (ring D) attached thereto. A spirocyclyl can be carbocyclic or heteroalicyclic.



[0075] "Yield" for each of the reactions described herein is expressed as a percentage of the theoretical yield.

Definitions for the Compound of formula 100

[0076] The terms used to describe the scope of formula 100 are defined in WO 2004/006846 (US Nat'l Stage Application Serial No. 10/522,004) which is herein incorporated by reference. For example "optionally substituted alkyl" for formula 100 has the meaning given in WO 2004/006846 (US Nat'l Stage Application Serial No. 10/522,004). Whenever a compound of formula 100 is described in this application, whether by structure or by use of the term "formula 100," the terms used to describe that compound are defined by WO 2004/006846 (US Nat'l Stage Application Serial No. 10/522,004).

Other Definitions

[0077] "AKT inhibitor" includes, for example, LY294002, PKC 412, perifosine, compounds in Table 2a, compounds in Table 2b, and compounds described in WO 2006/071819 and WO05/117909. These references also describe in vitro assays that can be used to determine the inhibitory activity of AKT.

[0078] "Alkylating agent" includes, for example, one or more of the following: Chlorambucil, Chlormethine, Cyclophosphamide, Ifosfamide, Melphalan, Carmustine, Streptozocin, Fotemustine, Lomustine, Streptozocin, Carboplatin, Cisplatin, Oxaliplatin, BBR3464, Busulfan, Dacarbazine, Mechlorethamine, Procarbazine, Temozolomide, ThioTEPA, and Uramustine.

[0079] "Antibody" includes, for example, one or more of the following: an IGF1R antibody (including, for example, αIGF- 1R A12 MoAb, 19D12, h7C10 and CP- 751871), an EGFR antibody (including, for example, Cetuximab (Erbix®) and Panitumumab), an ErbB2 antibody (including, for example, Trastuzumab (Herceptin®)), a VEGF antibody (including, for example, Bevacizumab (Avastin®)), an IgG1 antibody (including, for example, Ibritumomab (tiuxetan)), a CD20 antibody (including, for example, Rituximab and Tositumomab), a CD33 antibody (including, for example, Gemtuzumab and Gemtuzumab ozogamicin), and a CD52 antibody (including, for example, Alemtuzumab) .

[0080] "Antimetabolite" include, for example, methotrexate, Pemetrexed, Raltitrexed, Cladribine, Clofarabine, Fludara-

bine, Mercaptopurine, Thioguanine, Capecitabine, Cytarabine, fluorouracil (administered with or without leucovorin or folinic acid), and Gemcitabine.

[0081] "Antimicrotubule agent" includes, for example, Vincristine, Vinblastine, Vinorelbine, Vinflunine, and Vindesine.

[0082] "Aromatase inhibitor" includes, for example, one or more of the following: Aminoglutethimide, Anastrozole (Arimidex®), Letrozole (Femara®), Exemestane (Aromasin®), and Formestane (Lentaron®).

[0083] "Cancer" refers to cellular- proliferative disease states, including but not limited to: Cardiac: sarcoma (angiosarcoma, fibrosarcoma, rhabdomyosarcoma, liposarcoma), myxoma, rhabdomyoma, fibroma, lipoma and teratoma; Lung: bronchogenic carcinoma (squamous cell, undifferentiated small cell, undifferentiated large cell, adenocarcinoma), alveolar (bronchiolar) carcinoma, bronchial adenoma, sarcoma, lymphoma, chondromatous hamartoma, mesothelioma; Gastrointestinal: esophagus (squamous cell carcinoma, adenocarcinoma, leiomyosarcoma, lymphoma), stomach (carcinoma, lymphoma, leiomyosarcoma), pancreas (ductal adenocarcinoma, insulinoma, glucagonoma, gastrinoma, carcinoid tumors, vipoma), small bowel (adenocarcinoma, lymphoma, carcinoid tumors, Kaposi's sarcoma, leiomyoma, hemangioma, lipoma, neurofibroma, fibroma), large bowel (adenocarcinoma, tubular adenoma, villous adenoma, hamartoma, leiomyoma); Genitourinary tract: kidney (adenocarcinoma, Wilm's tumor [nephroblastoma], lymphoma, leukemia), bladder and urethra (squamous cell carcinoma, transitional cell carcinoma, adenocarcinoma), prostate (adenocarcinoma, sarcoma), testis (seminoma, teratoma, embryonal carcinoma, teratocarcinoma, choriocarcinoma, sarcoma, interstitial cell carcinoma, fibroma, fibroadenoma, adenomatoid tumors, lipoma); Liver: hepatoma (hepatocellular carcinoma), cholangiocarcinoma, hepatoblastoma, angiosarcoma, hepatocellular adenoma, hemangioma; Bone: osteogenic sarcoma (osteosarcoma), fibrosarcoma, malignant fibrous histiocytoma, chondrosarcoma, Ewing's sarcoma, malignant lymphoma (reticulum cell sarcoma), multiple myeloma, malignant giant cell tumor chordoma, osteochondroma (osteochondroma), benign chondroma, chondroblastoma, chondromyxofibroma, osteoid osteoma and giant cell tumors; Nervous system: skull (osteoma, hemangioma, granuloma, xanthoma, osteitis deformans), meninges (meningioma, meningiosarcoma, gliomatosis), brain (astrocytoma, medulloblastoma, glioma, ependymoma, germinoma [pinealoma], glioblastoma multiforme, oligodendroglioma, schwannoma, retinoblastoma, congenital tumors), spinal cord neurofibroma, meningioma, glioma, sarcoma); Gynecological: uterus (endometrial carcinoma), cervix (cervical carcinoma, pre-tumor cervical dysplasia), ovaries (ovarian carcinoma [serous cystadenocarcinoma, mucinous cystadenocarcinoma, unclassified carcinoma], granulosa-theca cell tumors, Sertoli-Leydig cell tumors, dysgerminoma, malignant teratoma), vulva (squamous cell carcinoma, intraepithelial carcinoma, adenocarcinoma, fibrosarcoma, melanoma), vagina (clear cell carcinoma, squamous cell carcinoma, botryoid sarcoma [embryonal rhabdomyosarcoma], fallopian tubes (carcinoma); Hematologic: blood (myeloid leukemia [acute and chronic], acute lymphoblastic leukemia, chronic lymphocytic leukemia, myeloproliferative diseases, multiple myeloma, myelodysplastic syndrome), Hodgkin's disease, non-Hodgkin's lymphoma [malignant lymphoma]; Skin: malignant melanoma, basal cell carcinoma, squamous cell carcinoma, Kaposi's sarcoma, moles dysplastic nevi, lipoma, angioma, dermatofibroma, keloids, psoriasis; Adrenal Glands: neuroblastoma; and breast cancer. Thus, the term "cancerous cell" as provided herein, includes a cell afflicted by any one of the above- identified conditions.

[0084] "Chemotherapeutic agent" includes, but is not limited to, an AKT inhibitor, an alkylating agent, an antimetabolite, an antimicrotubule agent, an aromatase inhibitor, a c-KIT inhibitor, a cMET inhibitor, an EGFR inhibitor, an ErbB2 inhibitor, a FLT-3 inhibitor, an HSP90 inhibitor, an IGF1R inhibitor, a platinum, a Raf inhibitor, rapamycin, a Rapamycin analogue, a Receptor Tyrosine Kinase inhibitor, a taxane, a topoisomerase inhibitor, a SRC and/or ABL kinase inhibitor, and a VEGFR inhibitor. A pharmaceutically acceptable salt, solvate, and/or hydrate of a chemotherapeutic agent can be prepared by one of ordinary skill in the art and such salt, solvate, and/or hydrates thereof can be used to practice the invention.

[0085] "c-KIT inhibitor" includes, for example, imatinib, sunitinib, nilotinib, AMG 706, sorafenib, compounds in Table 3b, compounds in Table 3c, compounds in Table 8, compounds in Table 9, and compounds described in WO 2006/108059, WO/ 2005/020921, WO/ 2006/033943, and WO 2005/030140.

[0086] "cMET inhibitor" includes, for example, compounds in Table 3a, compounds in Table 3b, compounds in Table 3c, compounds described in WO 2006/108059, WO 2006/014325, and WO 2005/030140.

[0087] "EGFR inhibitor" includes, for example, one or more of the following: pelitinib, lapatinib (Tykerb®), gefitinib (Iressa®), erlotinib (Tarceva®), Zactima (ZD6474, vandetanib), AEE788 and HKI- 272, EKB- 569, CI- 1033, *N*- (3, 4-dichloro- 2- fluorophenyl)- 7- ((3a*R*, 5*R*, 6a*S*)- 2- methyloctahydrocyclopenta [c] pyrrol- 5- yl) methyl oxy)- 6- (methyloxy) quinazolin- 4- amine *N*- (4- bromo- 3- chloro- 2- fluorophenyl)- 7- ((3a*R*, 5*R*, 6a*S*)- 2- methyloctahydrocyclopenta [c] pyrrol- 5- yl) methyl oxy)- 6- (methyloxy) quinazolin- 4- amine, *N*- (3, 4- dichloro- 2- fluorophenyl)- 7- ((3a*R*, 5*S*, 6a*S*)- 2- methyloctahydrocyclopenta [c] pyrrol- 5- yl) methyl oxy)- 6- (methyloxy) quinazolin- 4- amine, *N*- (4- bromo- 3- chloro- 2- fluorophenyl)- 7- ((3a*R*, 5*S*, 6a*S*)- 2- methyloctahydrocyclopenta [c] pyrrol- 5- yl) methyl oxy)- 6- (methyloxy) quinazolin- 4- amine, compounds in Table 4, compounds in Table 7, and compounds described in WO 2004/006846 and WO 2004/050681.

[0088] "ErbB2 inhibitor" includes, for example, lapatinib (GW572016), PKI- 166, canertinib, CI- 1033, HKI272, and EKB- 569.

[0089] "Flt-3 inhibitor" includes, for example, CEP-701, PKC412, MLN518, sunitinib, sorafenib, compounds in Table 3a, compounds in Table 3b, compounds in Table 3c, compounds in Table 9, and compounds described in WO 2006/108059, WO/2006/033943, WO 2006/014325, and WO 2005/030140.

[0090] "Hormone therapy" or "hormonal therapy" includes, for example, treatment with one or more of the following: steroids (e.g. dexamethasone), finasteride, tamoxifen, and an aromatase inhibitor.

[0091] "HSP90 inhibitor" includes, for example, 17-AAG, 17-DMAG, Geldanamycin, 5-(2,4-dihydroxy-5-isopropylphenyl)-N-ethyl-4-(4-(morpholinomethyl)phenyl)isoxazole-3-carboxamide [NVP-AUY922 (VER 52296)], 6-chloro-9-((4-methoxy-3,5-dimethylpyridin-2-yl)methyl)-9H-purin-2-amine (CNF2024, also named BIIB021), compounds disclosed in WO2004072051 (which is herein incorporated by reference), compounds disclosed in WO2005028434 (which is herein incorporated by reference), compounds disclosed in WO2007035620 (which is herein incorporated by reference) and compounds disclosed in WO2006091963 (which is herein incorporated by reference).

[0092] "IGF1R inhibitor" includes, for example, Tyrphostin AG 1024, compounds in Table 5a, compounds in Table 5b, and compounds described in WO06/074057.

[0093] "Kinase-dependent diseases or conditions" refer to pathologic conditions that depend on the activity of one or more lipid kinases. Kinases either directly or indirectly participate in the signal transduction pathways of a variety of cellular activities including proliferation, adhesion, migration, differentiation and invasion. Diseases associated with kinase activities include tumor growth, the pathologic neovascularization that supports solid tumor growth, and associated with other diseases where excessive local vascularization is involved such as ocular diseases (diabetic retinopathy, age-related macular degeneration, and the like) and inflammation (psoriasis, rheumatoid arthritis, and the like).

[0094] While not wishing to be bound to theory, phosphatases can also play a role in "kinase-dependent diseases or conditions" as cognates of kinases; that is, kinases phosphorylate and phosphatases dephosphorylate, for example lipid substrates. Therefore compounds of the invention, while modulating kinase activity as described herein, may also modulate, either directly or indirectly, phosphatase activity. This additional modulation, if present, may be synergistic (or not) to activity of compounds of the invention toward a related or otherwise interdependent kinase or kinase family. In any case, as stated previously, the compounds of the invention are useful for treating diseases characterized in part by abnormal levels of cell proliferation (*i.e.* tumor growth), programmed cell death (apoptosis), cell migration and invasion and angiogenesis associated with tumor growth.

[0095] "Metabolite" refers to the break-down or end product of a compound or its salt produced by metabolism or biotransformation in the animal or human body; for example, biotransformation to a more polar molecule such as by oxidation, reduction, or hydrolysis, or to a conjugate (see Goodman and Gilman, "The Pharmacological Basis of Therapeutics" 8th ed., Pergamon Press, Gilman et al. (eds), 1990 for a discussion of biotransformation). As used herein, the metabolite of a compound of the invention or its salt may be the biologically active form of the compound in the body. In one example, a prodrug may be used such that the biologically active form, a metabolite, is released *in vivo*. In another example, a biologically active metabolite is discovered serendipitously, that is, no prodrug design *per se* was undertaken. An assay for activity of a metabolite of a compound of the present invention is known to one of skill in the art in light of the present disclosure.

[0096] "Patient" for the purposes of the present invention includes humans and other animals, particularly mammals, and other organisms. Thus the methods are applicable to both human therapy and veterinary applications. In another embodiment the patient is a mammal, and in another embodiment the patient is human.

[0097] A "pharmaceutically acceptable salt" of a compound means a salt that is pharmaceutically acceptable and that possesses the desired pharmacological activity of the parent compound. It is understood that the pharmaceutically acceptable salts are non-toxic. Additional information on suitable pharmaceutically acceptable salts can be found in Remington's Pharmaceutical Sciences, 17th ed., Mack Publishing Company, Easton, PA, 1985, which is incorporated herein by reference or S. M. Berge, et al., "Pharmaceutical Salts," J. Pharm. Sci., 1977;66:1-19 both of which are incorporated herein by reference.

[0098] Examples of pharmaceutically acceptable acid addition salts include those formed with inorganic acids such as hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid, phosphoric acid, and the like; as well as organic acids such as acetic acid, trifluoroacetic acid, propionic acid, hexanoic acid, cyclopentanepropionic acid, glycolic acid, pyruvic acid, lactic acid, oxalic acid, maleic acid, malonic acid, succinic acid, fumaric acid, tartaric acid, citric acid, benzoic acid, cinnamic acid, 3-(4-hydroxybenzoyl) benzoic acid, mandelic acid, methanesulfonic acid, ethanesulfonic acid, 1,2-ethanedithiosulfonic acid, 2-hydroxyethanesulfonic acid, benzenesulfonic acid, 4-chlorobenzenesulfonic acid, 2-naphthalenesulfonic acid, 4-toluenesulfonic acid, camphorsulfonic acid, glucoheptonic acid, 4,4'-methylenebis-(3-hydroxy-2-ene-1-carboxylic acid), 3-phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid, p-toluenesulfonic acid, and salicylic acid and the like.

[0099] Examples of a pharmaceutically acceptable base addition salts include those formed when an acidic proton present in the parent compound is replaced by a metal ion, such as sodium, potassium, lithium, ammonium, calcium, magnesium, iron, zinc, copper, manganese, aluminum salts and the like. Preferable salts are the ammonium, potassium,

sodium, calcium, and magnesium salts. Salts derived from pharmaceutically acceptable organic non-toxic bases include, but are not limited to, salts of primary, secondary, and tertiary amines, substituted amines including naturally occurring substituted amines, cyclic amines and basic ion exchange resins. Examples of organic bases include isopropylamine, trimethylamine, diethylamine, triethylamine, tripropylamine, ethanolamine, 2-dimethylaminoethanol, 2-diethylaminoethanol, dicyclohexylamine, lysine, arginine, histidine, caffeine, procaine, hydrabamine, choline, betaine, ethylenediamine, glucosamine, methylglucamine, theobromine, purines, piperazine, piperidine, N-ethylpiperidine, tromethamine, N-methylglucamine, polyamine resins, and the like. Exemplary organic bases are isopropylamine, diethylamine, ethanolamine, trimethylamine, dicyclohexylamine, choline, and caffeine.

[0100] "Platin," and "platin- containing agent" include, for example, cisplatin, carboplatin, and oxaliplatin.

[0101] "Prodrug" refers to compounds that are transformed (typically rapidly) *in vivo* to yield the parent compound of the above formulae, for example, by hydrolysis in blood. Common examples include, but are not limited to, ester and amide forms of a compound having an active form bearing a carboxylic acid moiety. Examples of pharmaceutically acceptable esters of the compounds of this invention include, but are not limited to, alkyl esters (for example with between about one and about six carbons) the alkyl group is a straight or branched chain. Acceptable esters also include cycloalkyl esters and arylalkyl esters such as, but not limited to benzyl. Examples of pharmaceutically acceptable amides of the compounds of this invention include, but are not limited to, primary amides, and secondary and tertiary alkyl amides (for example with between about one and about six carbons). Amides and esters of the compounds of the present invention may be prepared according to conventional methods. A thorough discussion of prodrugs is provided in T. Higuchi and V. Stella, "Pro-drugs as Novel Delivery Systems," Vol 14 of the A.C.S. Symposium Series, and in Bioreversible Carriers in Drug Design, ed. Edward B. Roche, American Pharmaceutical Association and Pergamon Press, 1987, both of which are incorporated herein by reference for all purposes.

[0102] "Raf inhibitor" includes, for example, sorafenib, RAF 265 (CHIR 265), compounds in Table 6, and compounds described in WO 2005/112932. These references also describe *in vitro* assays that can be used to determine the inhibitory activity of RAF.

[0103] "Rapamycin analogue" includes for example, CCI-779, AP23573, RAD 001, TAFA 93, and compounds described in WO 2004/101583 and US 7,160,867 which are each incorporated herein by reference in their entireties.

[0104] "Receptor Tyrosine Kinase inhibitor" includes, for example, inhibitors of AKT, EGFR, ErbB2, IGF1R, KIT, Met, Raf, and VEGFR2. Examples of receptor tyrosine kinase inhibitors can be found in WO 2006/108059 (US Nat'l Stage Application Serial No. 11/910, 720), WO 2006/074057 (US Nat'l Stage Application Serial No. 11/722, 719), WO 2006/071819 (US Nat'l Stage Application Serial No. 11/722, 291), WO 2006/014325 (US Nat'l Stage Application Serial No. 11/571, 140), WO 2005/117909 (US Nat'l Stage Application Serial No. 11/568, 173), WO 2005/030140 (US Nat'l Stage Application Serial No. 10/573, 336), WO 2004/050681 (US Nat'l Stage Application Serial No. 10/533, 555), WO 2005/112932 (US Nat'l Stage Application Serial No. 11/568, 789), and WO 2004/006846 (US Nat'l Stage Application Serial No. 10/522, 004), each of which is incorporated herein by reference for all purposes. In particular, the applications cited in this paragraph are incorporated for the purpose of providing specific examples and generic embodiments (and the definitions associated with the terms used in the embodiments) of compounds that are useful in the practice of the invention. These references also describe *in vitro* assays useful in the practice of this invention.

[0105] "Taxane" includes, for example, one or more of the following: Paclitaxel (Taxol®) and Docetaxel (Taxotere®).

[0106] "Therapeutically effective amount" is an amount of a compound of the invention, that when administered to a patient, ameliorates a symptom of the disease. The amount of a compound of the invention which constitutes a "therapeutically effective amount" will vary depending on the compound, the disease state and its severity, the age of the patient to be treated, and the like. The therapeutically effective amount can be determined routinely by one of ordinary skill in the art having regard to their knowledge and to this disclosure.

[0107] "Topoisomerase inhibitor" includes, for example, one or more of the following: amsacrine, camptothecin, etoposide, etoposide phosphate, exatecan, irinotecan, lurtotecan, and teniposide, and topotecan.

[0108] "Treating" or "treatment" of a disease, disorder, or syndrome, as used herein, includes (i) preventing the disease, disorder, or syndrome from occurring in a human, i.e. causing the clinical symptoms of the disease, disorder, or syndrome not to develop in an animal that may be exposed to or predisposed to the disease, disorder, or syndrome but does not yet experience or display symptoms of the disease, disorder, or syndrome; (ii) inhibiting the disease, disorder, or syndrome, i.e., arresting its development; and (iii) relieving the disease, disorder, or syndrome, i.e., causing regression of the disease, disorder, or syndrome. As is known in the art, adjustments for systemic versus localized delivery, age, body weight, general health, sex, diet, time of administration, drug interaction and the severity of the condition may be necessary, and will be ascertainable with routine experimentation by one of ordinary skill in the art.

[0109] "SRC and/or ABL kinase inhibitor" includes, for example, dasatinib, imatinib (Gleevec®), and compounds described in WO 2006/074057.

[0110] "VEGFR inhibitor" includes, for example, one or more of the following: VEGF Trap, ZD6474 (vandetanib, Zactima), sorafenib, Angiozyme, AZD2171 (cediranib), pazopanib, sorafenib, axitinib, SU5416 (semaxanib), PTK787 (vatalanib), AEE778, RAF 265, sunitinib (Sutent), N- (3, 4- dichloro- 2- fluorophenyl)- 7- ({ [(3aR, 5r, 6aS)- 2- methylloc-

tahydrocyclopenta [c] pyrrol- 5- yl] methyl} oxy)- 6- (methyloxy) quinazolin- 4- amine, *N*- (4- bromo- 3- chloro- 2- fluorophenyl)- 7- ({ [(3a*R*, 5*r*, 6a*S*)- 2- methyloctahydrocyclopenta [c] pyrrol- 5- yl] methyl} oxy)- 6- (methyloxy) quinazolin- 4- amine, *N*- (3, 4- dichloro- 2- fluorophenyl)- 7- ({ [(3a*R*, 5*s*, 6a*S*)- 2- methyloctahydrocyclopenta [c] pyrrol- 5- yl] methyl} oxy)- 6- (methyloxy) quinazolin- 4- amine, *N*- (4- bromo- 3- chloro- 2- fluorophenyl)- 7- ({ [(3a*R*, 5*s*, 6a*S*)- 2- methyloctahydrocyclopenta [c] pyrrol- 5- yl] methyl} oxy)- 6- (methyloxy) quinazolin- 4- amine, compounds in Table 7, and compounds described in WO 2004/050681 and WO 2004/006846.

[0111] The following paragraphs present a number of options for compounds described herein. In each instance, the option includes both the recited compounds as well as individual isomers and mixtures of isomers. In addition, in each instance, the option optionally includes the pharmaceutically acceptable salts, hydrates, and/or solvates of the recited compounds and any individual isomers or mixture of isomers thereof.

[0112] For each of the following options, the Compound of Formula I can, for example, be of Formula I(a) or be selected from a Compound in Table 1.

[0113] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, where growth and/or survival of tumor cells of the cancer is enhanced, at least in part, by the activity of PI3K; in combination with one or more treatments selected from surgery, one or more chemotherapeutic agents, one or more hormone therapies, one or more antibodies, one or more immunotherapies radioactive iodine therapy, and radiation.

[0114] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from surgery, one or more chemotherapeutic agents, one or more hormone therapies, one or more antibodies, one or more immunotherapies, radioactive iodine therapy, and radiation; where the cancer is selected from breast cancer, colon cancer, rectal cancer, endometrial cancer, gastric carcinoma (including gastrointestinal carcinoid tumors and gastrointestinal stromal tumors), glioblastoma, hepatocellular carcinoma, small cell lung cancer, non-small cell lung cancer (NSCLC), melanoma, ovarian cancer, cervical cancer, pancreatic cancer, prostate carcinoma, acute myelogenous leukemia (AML), chronic myelogenous leukemia (CML), non-Hodgkin's lymphoma, and thyroid carcinoma. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from surgery, one or more chemotherapeutic agents, one or more hormone therapies, one or more antibodies, one or more immunotherapies, radioactive iodine therapy, and radiation; where the cancer is selected from prostate cancer, NSCLC, ovarian cancer, cervical cancer, breast cancer, colon cancer, rectal cancer, and glioblastoma. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from surgery, one or more chemotherapeutic agents, one or more hormone therapies, one or more antibodies, one or more immunotherapies, radioactive iodine therapy, and radiation; where the cancer is selected from NSCLC, breast cancer, prostate cancer, glioblastoma, and ovarian cancer.

[0115] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or more chemotherapeutic agents.

[0116] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents independently selected from rapamycin, a rapamycin analogue, an alkylating agent, a taxane, a platin, an EGFR inhibitor, and an ErbB2 inhibitor. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above,

in combination with a treatment where the treatment is one or two chemotherapeutic agents independently selected from rapamycin, temozolomide, paclitaxel, docetaxel, carboplatin, cisplatin, oxaliplatin, gefitinib (Iressa®), erlotinib (Tarceva®), Zactima (ZD6474), HKI- 272, pelitinib, canertinib, a compound selected from Table 4, a compound in Table 7, and lapatinib. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents independently selected from rapamycin, temozolomide, paclitaxel, docetaxel, carboplatin, trastuzumab, erlotinib, *N*- (3, 4- dichloro- 2- fluorophenyl)- 7- ({ [(3a*R*, 5*r*, 6a*S*)- 2- methyloctahydrocyclopenta [c] pyrrol- 5- yl] methyl} oxy)- 6- (methyloxy) quinazolin- 4- amine, *N*- (4- bromo- 3- chloro- 2- fluorophenyl)- 7- ({ [(3a*R*, 5*r*, 6a*S*)- 2- methyloctahydrocyclopenta [c] pyrrol- 5- yl] methyl} oxy)- 6- (methyloxy) quinazolin- 4- amine, *N*- (3, 4- dichloro- 2- fluorophenyl)- 7- ({ [(3a*R*, 5*s*, 6a*S*)- 2- methyloctahydrocyclopenta [c] pyrrol- 5- yl] methyl} oxy)- 6- (methyloxy) quinazolin- 4- amine, *N*- (4- bromo- 3- chloro- 2- fluorophenyl)- 7- ({ [(3a*R*, 5*s*, 6a*S*)- 2- methyloctahydrocyclopenta [c] pyrrol- 5- yl] methyl} oxy)- 6- (methyloxy) quinazolin- 4- amine, a compound in Table 7, and lapatinib. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment

where the defined combination a treatment treatment is one or two chemotherapeutic agents independently selected from rapamycin, paclitaxel, carboplatin, erlotinib, and *N*-(3, 4-dichloro-2-fluorophenyl)-7-({[(3a*R*, 5*r*, 6a*S*)-2-methyloctahydrocyclopenta-[c] pyrrol-5-yl] methyl} oxy)-6-(methyloxy) quinazolin-4-amine.

[0117] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents independently selected from a platin and a taxane. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents independently selected from carboplatin, cisplatin, oxaliplatin, and paclitaxel.

[0118] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an AKT inhibitor. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an AKT inhibitor selected from perifosine, PKC 412, a compound in Table 2a, and a compound in Table 2b.

[0119] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a cMET inhibitor. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a cMET inhibitor selected from a compound in Table 3a, a compound in Table 3b, and a compound in Table 3c.

[0120] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an EGFR inhibitor. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above,

in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an EGFR inhibitor selected from lapatinib (Tykerb®), gefitinib (Iressa®), erlotinib (Tarceva®), Zactima (ZD6474), AEE788, HKI-272, EKB-569, CI 1033, a compound selected from Table 4, and a compound in Table 7. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an EGFR inhibitor selected from lapatinib (Tykerb®), gefitinib (Iressa®), erlotinib (Tarceva®), Zactima (ZD6474), AEE788, HKI-272, EKB-569, CI 1033, *N*-(3, 4-dichloro-2-fluorophenyl)-7-({[(3a*R*, 5*r*, 6a*S*)-2-methyloctahydrocyclopenta [c] pyrrol-5-yl] methyl} oxy)-6-(methyloxy) quinazolin-4-amine *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*, 5*r*, 6a*S*)-2-methyloctahydrocyclopenta [c] pyrrol-5-yl] methyl} oxy)-6-(methyloxy) quinazolin-4-amine, *N*-(3, 4-dichloro-2-fluorophenyl)-7-({[(3a*R*, 5*s*, 6a*S*)-2-methyloctahydrocyclopenta [c] pyrrol-5-yl] methyl} oxy)-6-(methyloxy) quinazolin-4-amine, and *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*, 5*s*, 6a*S*)-2-methyloctahydrocyclopenta [c] pyrrol-5-yl] methyl} oxy)-6-(methyloxy) quinazolin-4-amine.

[0121] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an ErbB2 inhibitor. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an ErbB2 inhibitor selected from lapatinib, EKB-569, HKI272, CI 1033, PKI-166, and a compound selected from Table 4.

[0122] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an HSP90 inhibitor. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an HSP90 inhibitor selected from 17-AAG, 17-DMAG, Geldanamycin, and CNF2024. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an HSP90 inhibitor selected from 17-AAG, 17-DMAG, and Geldanamycin.

[0123] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an IGF1R inhibitor. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is an IGF1R inhibitor selected from Table 5a and Table 5b.

[0124] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a Raf inhibitor. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a Raf inhibitor selected from sorafenib, RAF 265 (CHIR- 265), and a compound in Table 6.

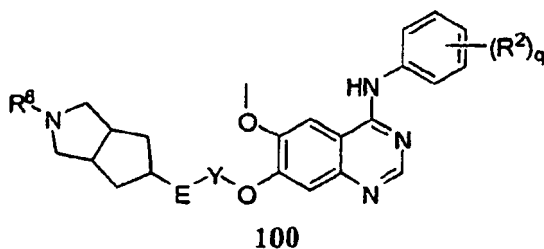
[0125] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a VEGFR inhibitor. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a VEGFR inhibitor selected from VEGF Trap, ZD6474 (Zactima), cediranib (AZ2171), pazopanib, sunitinib, sorafenib, axitinib, AEE788, RAF 265 (CHIR- 265), a compound selected from Table 4, and a compound selected from Table 7.

[0126] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a cKIT inhibitor. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a cKIT inhibitor selected from imatinib, sunitinib, nilotinib, AMG 706, sorafenib, a compound in Table 3b, a compound in Table 3c, a compound in Table 8, and a compound in Table 9.

[0127] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a FLT3 inhibitor. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is a FLT3 inhibitor selected from CEP-701, PKC 412, sunitinib, MLN518, sunitinib, sorafenib, a compound in Table 3a, a compound in Table 3b a compound in Table 3c, and a compound in Table 9.

[0128] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from rapamycin, a rapamycin analogue, PI103, and SF 1126. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is select from rapamycin, CCI-779, AP23573, RAD 001, TAFA 93, PI103, and SF 1126. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is rapamycin.

[0129] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is of formula 100:



where q is 1, 2, or 3; E is- NR⁹-, - O-, or absent and Y is- CH₂CH₂-, - CH₂-, or absent provided that when E is- NR⁹- or O-, then Y is- CH₂CH₂-; R² is selected from halogen, trihalomethyl, -CN, -NO₂, -OR³, and lower alkyl; R⁸ is selected from- H, lower alkyl, -C (O) OR³, -C (O) N (R³) R⁴, -SO₂R⁴, and- C (O) R³; R⁹ is hydrogen or lower alkyl; R³ is hydrogen or R⁴; R⁴ is selected from lower alkyl, aryl, lower arylalkyl, heterocyclyl, and lower heterocyclylalkyl; or R³ and R⁴, when taken together with a common nitrogen to which they are attached, form a five- to seven- membered heterocyclyl, said five- to seven- membered heterocyclyl optionally containing one or more additional heteroatom selected from N, O, S, and P; or a single geometric isomer, stereoisomer, racemate, enantiomer, or diastereomer, thereof and optionally as a pharmaceutically acceptable salt, additionally optionally as a solvate, and additionally as a hydrate thereof. The terms used to describe the scope of formula 100 are defined in WO 2004/006846 (US Nat'l Stage Application Serial No. 10/522, 004) which is herein incorporated by reference. Whenever a compound of formula 100 is described in this application, whether by structure or by use of the term "formula 100," the terms used to describe that compound are defined by WO 2004/006846 (US Nat'l Stage Application Serial No. 10/522, 004). In particular, "alkyl" in formula 100 is intended to include linear, branched, or cyclic hydrocarbon structures and combinations thereof, inclusively; "lower alkyl" means alkyl groups of from one to six carbon atoms. "Aryl" in formula 100 means an aromatic six- to fourteen- membered carbocyclic rings which include, for example, benzene, naphthalene, indane, tetralin, fluorene and the like. "Lower arylalkyl" in formula 100 means a residue in which an aryl moiety is attached to a parent structure via one of an alkylene, alkenylene, or alkynylene radical where the "alkyl" portion of the group has one to six carbons; examples include benzyl, phenethyl, phenylvinyl, phenylallyl and the like. In formula 100, "heterocyclyl" means a stable monocyclic, bicyclic or tricyclic three- to fifteen- membered ring radical (including fused or bridged ring systems) that consists of carbon atoms and from one to five heteroatoms selected from the group consisting of nitrogen, phosphorus, oxygen and sulfur where the nitrogen, phosphorus, carbon and sulfur atoms in the heterocyclyl radical may be optionally oxidized to various oxidation states and the nitrogen atom may be optionally quaternized; and the ring radical may be partially or fully saturated or aromatic. "Lower heterocyclylalkyl" means a residue in which a heterocyclyl is attached to a parent structure via one of an alkylene, alkenylene, and alkynylene radical having one to six carbons.

[0130] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 2a. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 2a. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 2a.

[0131] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 2b. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 2b. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 2b.

[0132] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined in the Summary of the Invention, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 3a. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula

(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 3a. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 3a.

[0133] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 3b. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 3b. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected in a compound in Table 3b.

[0134] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 3c. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 3c. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 3c.

[0135] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 4. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 4. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 4.

[0136] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is *N*-(3, 4-dichloro-2-fluorophenyl)-7-((3*R*, 5*R*, 6*S*)-2-methyloctahydrocyclopenta [c] pyrrol-5-yl)methyl oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-(((3*R*, 5*R*, 6*S*)-2-methyloctahydrocyclopenta [c] pyrrol-5-yl)methyl oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(3, 4-dichloro-2-fluorophenyl)-7-(((3*R*, 5*S*, 6*S*)-2-methyloctahydrocyclopenta [c] pyrrol-5-yl)methyl oxy)-6-(methyloxy)quinazolin-4-amine, or *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-(((3*R*, 5*S*, 6*S*)-2-methyloctahydrocyclopenta [c] pyrrol-5-yl)methyl oxy)-6-(methyloxy)quinazolin-4-amine, optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I (a) in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is *N*-(3, 4-dichloro-2-fluorophenyl)-7-(((3*R*, 5*R*, 6*S*)-2-methyloctahydrocyclopenta [c] pyrrol-5-yl)methyl oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-(((3*R*, 5*R*, 6*S*)-2-methyloctahydrocyclopenta [c] pyrrol-5-yl)methyl oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(3, 4-dichloro-2-fluorophenyl)-7-(((3*R*, 5*S*, 6*S*)-2-methyloctahydrocyclopenta [c] pyrrol-5-yl)methyl oxy)-6-(methyloxy)quinazolin-4-amine, or *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-(((3*R*, 5*S*, 6*S*)-2-methyloctahydrocyclopenta [c] pyrrol-5-yl)methyl oxy)-6-(methyloxy)quinazolin-4-amine, optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is one

or two chemotherapeutic agents where one of the chemotherapeutic agents is *N*- (3, 4- dichloro- 2- fluorophenyl)- 7- ([(3*R*, 5*R*, 6*S*)- 2- methyloctahydrocyclopenta [c] pyrrol- 5- yl] methyl) oxy)- 6- (methyloxy) quinazolin- 4- amine, *N*-

(4-bromo-3-chloro-2-fluorophenyl)-7-(([(3*A*R, 5*R*, 6*A*S)-2-methyloctahydrocyclopenta[*c*]pyrrol-5-yl)methyl]oxy)-6-(methyloxy)quinazolin-4-amine, *N*-(3,4-dichloro-2-fluorophenyl)-7-(([(3*A*R, 5*S*, 6*A*S)-2-methyloctahydrocyclopenta[*c*]pyrrol-5-yl)methyl]oxy)-6-(methyloxy)quinazolin-4-amine, or *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-(([(3*A*R, 5*S*, 6*A*S)-2-methyloctahydrocyclopenta[*c*]pyrrol-5-yl)methyl]oxy)-6-(methyloxy)quinazolin-4-amine, optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof.

[0137] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is *N*-(3, 4-dichloro-2-fluorophenyl)-7-({[(3*R*, 5*R*, 6*S*)-2-methyloctahydrocyclopenta-[*c*] pyrrol-5-yl] methyl} oxy)-6-(methyloxy)quinazolin-4-amine optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof. Also described is a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I (a) in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is *N*-(3, 4-dichloro-2-fluorophenyl)-7-({[(3*R*, 5*R*, 6*S*)-2-methyloctahydrocyclopenta-[*c*] pyrrol-5-yl] methyl} oxy)-6-(methyloxy)quinazolin-4-amine optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table I in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is *N*-(3, 4-dichloro-2-fluorophenyl)-7-({[(3*R*, 5*R*, 6*S*)-2-methyloctahydrocyclopenta-[*c*] pyrrol-5-yl] methyl} oxy)-6-(methyloxy)quinazolin-4-amine optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof.

[0138] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 5ac. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 5a. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents, is selected from a compound in Table 5a.

[0139] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 5b. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents re one of the chemotherapeutic agents is selected from a compound in Table 5b. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 5b.

[0140] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 6. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 6. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 6.

[0141] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined, in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from a compound in Table 7. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a), in combination with a treatment where the treatment is one or two chemotherapeutic agents where one of the chemotherapeutic agents is selected from

[0154] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two antibodies. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two antibodies independently selected from an IGF1R antibody (including, for example, α IGF- 1R A 12 MoAb, α IGF- 1R 19D12 MoAb, α IGF- 1R h7C10 MoAb and α IGF- 1R CP- 751871 MoAb), Alemtuzumab, Bevacizumab (Avastin®), Gemtuzumab, Gemtuzumab ozogamicin, Ibritumomab tiuxetan, Panitumumab, Rituximab, Tositumomab, Omnitarg (pertuzimab), an anti- ErbB2 antibodies (including trastuzumab (Herceptin®)), and an anti- EGFR antibodies (including, for example, cetuximab (Erbix), panitumumab, nimotuzumab, and EMD72000)).

[0155] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I (a) in combination with a treatment where the treatment is one or two antibodies. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I (a) in combination with a treatment where the treatment is one or two antibodies independently selected from an IGF1R antibody (including, for example, α IGF- 1R A12 MoAb, α IGF- 1R 19D12 MoAb, α IGF- 1R h7C10 MoAb and α IGF- 1R CP- 751871 MoAb), Alemtuzumab, Bevacizumab (Avastin®), Gemtuzumab, Gemtuzumab ozogamicin, Ibritumomab tiuxetan, Panitumumab, Rituximab, Tositumomab, Omnitarg (pertuzimab), an anti- ErbB2 antibodies (including trastuzumab (Herceptin®)), and an anti- EGFR antibodies (including, for example, cetuximab (Erbix), panitumumab, nimotuzumab, and EMD72000)).

[0156] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from 1 in combination with a treatment where the treatment is one or two antibodies. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table I in combination with a treatment where the treatment is one or two antibodies independently selected from an IGF1R antibody (including, for example, α IGF- 1R A12 MoAb, α IGF- 1R 19D12 MoAb, α IGF- 1R h7C10 MoAb and α IGF- 1R CP- 751871 MoAb), Alemtuzumab, Bevacizumab (Avastin®), Gemtuzumab, Gemtuzumab ozogamicin, Ibritumomab tiuxetan, Panitumumab, Rituximab, Tositumomab, Omnitarg (pertuzimab), an anti- ErbB2 antibodies (including trastuzumab (Herceptin®)), and an anti- EGFR antibodies (including, for example, cetuximab (Erbix), panitumumab, nimotuzumab, and EMD72000)).

[0157] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or more chemotherapeutic agents where one of the chemotherapeutic agent is temozolomide. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a) in combination with a treatment where the treatment is one or more chemotherapeutic agents where one of the chemotherapeutic agent is temozolomide. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is one or more chemotherapeutic agents where one of the chemotherapeutic agent is temozolomide.

[0158] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is surgery. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a) in combination with a treatment where the treatment is surgery. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table I in combination with a treatment where the treatment is surgery.

[0159] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where the treatment is one or two hormone therapies. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I or I (a), as defined above, in combination with a treatment where the treatment is one or two hormone therapies independently selected from tamoxifen, Toremifene (Fareston), Fulvestrant (Faslodex), Megestrol acetate (Megace), ovarian ablation, Raloxifene, a luteinizing hormone- releasing hormone (LHRH) analog (including goserelin and leuprolide), Megestrol acetate (Megace), and one or more aromatase inhibitors. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I or I (a), as defined above, in combination with a treatment where the treatment is one or two hormone therapies where one of the hormone therapies is an aromatase inhibitor selected from letrozole (Femara), anastrozole (Arimidex), and exemestane (Aromasin) . Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I or I (a), as defined above, in combination with a treatment

where the treatment is one or two hormone therapies independently selected from from tamoxifen and an aromatase inhibitor.

[0160] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where the treatment is one or two hormone therapies. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table I in combination with a treatment where one of the treatments is one or two hormone therapies independently selected from tamoxifen, Toremifene (Fareston), Fulvestrant (Faslodex), Megestrol acetate (Megace), ovarian ablation, Raloxifene, a luteinizing hormone- releasing hormone (LHRH) analog (including goserelin and leuprolide), Megestrol acetate (Megace), and one or two aromatase inhibitors. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where one of the treatments is one or two hormone therapies where one of the hormone therapies is an aromatase inhibitors selected from letrozole (Femara), anastrozole (Arimidex), and exemestane (Aromasin) . Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where one of the treatments is one or two hormone therapies independently selected from from tamoxifen and an aromatase inhibitor.

[0161] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with a treatment where one of the treatments is one antibody selected from an EGFR antibody and an ErbB2 antibody, or the treatment is one or two chemotherapeutic agents independently selected from a rapamycin, rapamycin analogue an alkylating agent, a taxane, a platin, an EGFR inhibitor, and an ErbB2 inhibitor. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I according to Formula I(a) in combination with a treatment where one of the treatments is one antibody selected from an EGFR antibody and an ErbB2 antibody, or the treatment is one or two chemotherapeutic agents independently selected from rapamycin, a rapamycin analogue, an alkylating agent, a taxane, a platin, an EGFR inhibitor, and an ErbB2 inhibitor. Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I selected from Table 1 in combination with a treatment where one of the treatments is one antibody selected from an EGFR antibody and an ErbB2 antibody, or the treatment is one or two chemotherapeutic agents independently selected from rapamycin, a rapamycin analogue, an alkylating agent, a taxane, a platin an EGFR inhibitor, and an ErbB2 inhibitor.

[0162] Also described is the use in a method of treating acute myelogenous leukemia (AML) which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from bone marrow or peripheral blood stem cell transplantation, radiation, one or two antibodies, and one or two chemotherapeutic agents. Also described is the use in a method of treating acute myelogenous leukemia (AML) which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or t treatments where one of the treatments is one antibody selected from Gemtuzumab ozogamicin (Mylotarg), α IGF- 1R A12 MoAb, α IGF- 1R 19D12 MoAb α IGF- 1R h7C10 MoAb, α IGF- 1R CP- 751871 MoAb and trastuzumab. Also described is the use in a method of treating acute myelogenous leukemia (AML) which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents selected from Imatinib (i.e. Gleevec®), PKC 412, CEP- 701, daunorubicin, doxorubicin, cytarabine (ara- C), an anthracycline drug such as daunorubicin or idarubicin (Daunomycin, Idamycin), 6- thioguanine, and a granulocyte colony- stimulating factor (such as Neupogen or Leukine) .

[0163] Also described is the use in a method of treating chronic myelogenous leukemia (CML) which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from bone marrow or peripheral blood stem cell transplantation, radiation, one or two chemotherapeutic agents, immunotherapy, and one or two antibodies. Also described is the use in a method of treating chronic myelogenous leukemia (CML) which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two of the chemotherapeutic agents selected from Imatinib (i.e. Gleevec®), PKC 412, hydroxyurea (Hydrea), cytosine, cytosine arabinoside, dasatinib, AMN107, VX680 (MK0457), and cytarabine (ara-C). Also described is the use in a method of treating chronic myelogenous leukemia (CML) which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents selected from Imatinib (i.e. Gleevec®) and dasatinib. Also described is the use in a method of treating chronic myelogenous leukemia (CML) which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is immunotherapy

and the immunotherapy is interferon therapy such as interferon- α .

[0164] Also described is the use in a method of treating prostate cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from surgery (including cryosurgery), radiation, one or two chemotherapeutic agents, one or two antibodies, and one or two hormone therapies. Also described is the use in a method of treating prostate cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is an antibody selected from α IGF- 1R A12 MoAb, α IGF- 1R 19D12 MoAb, α IGF- 1R h7C10 MoAb, and α IGF- 1R CP- 751871 MoAb. Also described is the use in a method of treating prostate cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two of the chemotherapeutic agents independently selected from rapamycin, mitoxantrone, prednisone, docetaxel (Taxotere), doxorubicin, etoposide, vinblastine, paclitaxel, and carboplatin. Also described is the use in a method of treating prostate cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two of the hormone therapy independently selected from androgen deprivation therapy and androgen suppression therapy. Also described is the use in a method of treating prostate cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two of the chemotherapeutic agents is a taxanes. Also described is the use in a method of treating prostate cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents where one of the chemotherapeutic agents is rapamycin.

[0165] Also described is the use in a method of treating melanoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from surgery, radiation, one or two immunotherapies, one or two hormone therapies, and one or two chemotherapeutic agents. Also described is the use in a method of treating melanoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from an alkylating agent, a taxane, a platin, and a Raf inhibitor. Also described is the use in a method of treating melanoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from sorafenib, Paclitaxel (Taxol®), Docetaxel (Taxotere®), dacarbazine, rapamycin, imatinib mesylate (Gleevec®), sorafenib, cisplatin, carboplatin, dacarbazine (DTIC), carmustine (BCNU), vinblastine, temozolomide (Temodar), Melphalan, and imiquimod (Aldara) . Also described is the use in a method of treating melanoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two immunotherapies independently selected from ipilimumab, interferon- alpha and interleukin- 2. Also described is the use in a method of treating melanoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is hormone therapy where the hormone therapy is tamoxifen.

[0166] Also described is the use in a method of treating colon or rectal cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from surgery, radiation, one or two antibodies, and one or two chemotherapeutic agents. Also described is the use in a method of treating colon or rectal cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is surgery selected from local excision, electrofulguration, segmental colon resection, polypectomy, local transanal resection, low anterior resection, abdominoperineal resection, and pelvic exenteration. Also described is the use in a method of treating colon or rectal cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from a platinum- containing compound (including cisplatin, oxaliplatin, and carboplatin), 5- fluorouracil (5- FU), leucovorin, capecitabine (Xeloda), irinotecan (Camptosar), FOLFOX (Folinic acid, 5- FU, Oxaliplatin), and leucovorin. Also described is the use in a method of treating colon or rectal cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two antibodies independently selected from cetuximab (Erbix) and bevacizumab (Avastin) .

[0167] Also described is the use in a method of treating pancreatic cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from surgery, radiation, one or two antibodies, and one or two chemotherapeutic

agents. Also described is the use in a method of treating pancreatic cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is selected from one or two chemotherapeutic agents independently selected from platinum- containing compound (including cisplatin, oxaliplatin, and carboplatin), 5- fluorouracil (5- FU), gemcitabine, a taxane (including paclitaxel and docetaxel), topotecan, irinotecan, capecitabine, streptozocin, erlotinib (Tarceva), , leucovorin, and capecitabine (Xeloda) . Also described is the use in a method of treating pancreatic cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is an antibody where the antibody is cetuximab

[0168] Also described is the use in a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from surgery, radiation, one or two chemotherapeutic agents, one or two hormone therapies, and one or two antibodies. Also described is the use in a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two of the chemotherapeutic agents independently selected from lapatinib (Tykerb®), Paclitaxel (Taxol®), docetaxel, capecitabine, Cyclophosphamide (Cytoxan), CMF (cyclophosphamide, fluorouracil, and methotrexate), methotrexate, fluorouracil, doxorubicin, epirubicin, gemcitabine, carboplatin (Paraplatin), cisplatin (Platinol), vinorelbine (Navelbine), capecitabine (Xeloda), pegylated liposomal doxorubicin (Doxil), albumin- bound paclitaxel (Abraxane), AC (adriamycin and Cyclophosphamide), adriamycin, and pamidronate or zoledronic acid (to treat bone weakness) . Also described is the use in a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two hormone therapies independently selected from tamoxifen, Toremifene (Fareston), Fulvestrant (Faslodex), Megestrol acetate (Megace), ovarian ablation, Raloxifene, a luteinizing hormone- releasing hormone (LHRH) analogs (including goserelin and leuprolide), Megestrol acetate (Megace), and one or more aromatase inhibitors. Also described is the use in a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two hormone therapies and one of the hormone therapies is an aromatase inhibitors selected from letrozole (Femara), anastrozole (Arimidex), and exemestane (Aromasin) . Also described is the use in a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two antibodies independently selected from α IGF- 1R A12 MoAb, α IGF- 1R 19D12 MoAb, α IGF- 1R h7C10 MoAb, α IGF- 1R CP- 751871 MoAb, bevacizumab (Avastin), and trastuzumab.

[0169] Also described is the use in a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents and one of the chemotherapeutic agents is erlotinib.

[0170] Also described is the use in a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two of the chemotherapeutic agents and one or two of the chemotherapeutic agents are independently selected from rapamycin, lapatinib, erlotinib, *N*- (3, 4- dichloro- 2- fluorophenyl)- 7- ({ [(3aR, 5r, 6aS)- 2- methyloctahydrocyclopenta [c] pyrrol- 5- yl] methyl} oxy)- 6- (methyloxy) quinazolin- 4- amine optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof, *N*- (4- bromo- 3- chloro- 2- fluorophenyl)- 7- ({ [(3aR, 5r, 6aS)- 2- methyloctahydrocyclopenta [c] pyrrol- 5- yl] methyl} oxy)- 6- (methyloxy) quinazolin- 4- amine optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof, *N*- (3, 4- dichloro- 2- fluorophenyl)- 7- ({ [(3aR, 5s, 6aS)- 2- methyloctahydrocyclopenta [c] pyrrol- 5- yl] methyl} oxy)- 6- (methyloxy) quinazolin- 4- amine optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof, and *N*- (4- bromo- 3- chloro- 2- fluorophenyl)- 7- ({ [(3aR, 5s, 6aS)- 2- methyloctahydrocyclopenta [c] pyrrol- 5- yl] methyl} oxy)- 6- (methyloxy) quinazolin- 4- amine optionally as a pharmaceutically acceptable salt and additionally optionally as hydrate and additionally optionally as a solvate thereof.

[0171] Also described is the use in a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two of the antibodies. Also described is the use in a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two antibodies and one of the antibodies is trastuzumab.

[0172] Also described is the use in a method of treating breast cancer which method comprises administering to a

patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two of the chemotherapeutic agents and one of the chemotherapeutic agents is selected from *N*-(3, 4-dichloro-2-fluorophenyl)-7-({[(3a*R*, 5*r*, 6a*S*)-2-methyloctahydrocyclopenta[c] pyrrol-5-yl] methyl} oxy)-6-(methyloxy) quinazolin-4-amine, *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*, 5*r*, 6a*S*)-2-methyloctahydrocyclopenta[c] pyrrol-5-yl] methyl} oxy)-6-(methyloxy) quinazolin-4-amine, *N*-(3, 4-dichloro-2-fluorophenyl)-7-({[(3a*R*, 5*s*, 6a*S*)-2-methyloctahydrocyclopenta[c] pyrrol-5-yl] methyl} oxy)-6-(methyloxy) quinazolin-4-amine, and *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*, 5*s*, 6a*S*)-2-methyloctahydrocyclopenta[c] pyrrol-5-yl] methyl} oxy)-6-(methyloxy) quinazolin-4-amine; optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof

[0173] Also described is the use in a method of treating breast cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two of the chemotherapeutic agents and one of the chemotherapeutic agents is *N*-(3, 4-dichloro-2-fluorophenyl)-7-({[(3a*R*, 5*r*, 6a*S*)-2-methyloctahydrocyclopenta[c] pyrrol-5-yl] methyl} oxy)-6-(methyloxy) quinazolin-4-amine optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof.

[0174] Also described is the use in a method of treating non-small cell lung cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from surgery, radiation, one or more antibodies, and one or more chemotherapeutic agents. Also described is the use in a method of treating non-small cell lung cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from cisplatin, oxaliplatin, carboplatin, Zactima (ZD6474), Paclitaxel, Docetaxel (Taxotere®), Gemcitabine (Gemzar®), Vinorelbine, Irinotecan Etoposide, Vinblastine, Erlotinib (Tarceva®), gefitinib (Iressa), and Pemetrexed. Also described is the use in a method of treating non-small cell lung cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is an antibody and the antibody is Bevacizumab. Also described is the use in a method of treating non-small cell lung cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from cisplatin, oxaliplatin, carboplatin, Paclitaxel, Docetaxel (Taxotere®), and erlotinib (Tarceva®).

[0175] Also described is the use in a method of treating non-small cell lung cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents and one of the chemotherapeutic agents is carboplatin.

[0176] Also described is the use in a method of treating non-small cell lung cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents and one of the chemotherapeutic agents is selected from *N*-(3, 4-dichloro-2-fluorophenyl)-7-({[(3a*R*, 5*r*, 6a*S*)-2-methyloctahydrocyclopenta[c] pyrrol-5-yl] methyl} oxy)-6-(methyloxy) quinazolin-4-amine, *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*, 5*r*, 6a*S*)-2-methyloctahydrocyclopenta[c] pyrrol-5-yl] methyl} oxy)-6-(methyloxy) quinazolin-4-amine, *N*-(3, 4-dichloro-2-fluorophenyl)-7-({[(3a*R*, 5*s*, 6a*S*)-2-methyloctahydrocyclopenta[c] pyrrol-5-yl] methyl} oxy)-6-(methyloxy) quinazolin-4-amine, and *N*-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3a*R*, 5*s*, 6a*S*)-2-methyloctahydrocyclopenta[c] pyrrol-5-yl] methyl} oxy)-6-(methyloxy) quinazolin-4-amine; optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof. Also described is the use in a method of treating non-small cell lung cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents and one of the chemotherapeutic agents is *N*-(3, 4-dichloro-2-fluorophenyl)-7-({[(3a*R*, 5*r*, 6a*S*)-2-methyloctahydrocyclopenta[c] pyrrol-5-yl] methyl} oxy)-6-(methyloxy) quinazolin-4-amine optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof.

[0177] Also described is the use in a method of treating small cell lung cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from surgery, radiation, and one or two chemotherapeutic agents. Also described is the use in a method of treating small cell lung cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapy agents independently selected from a platin (such as cisplatin, oxaliplatin, and carboplatin), gefitinib, vinorelbine, docetaxel, paclitaxel, etoposide, fosfamide, ifosfamide, cyclophosphamide, cyclophosphamide/doxorubicin/vincristine (CAV), doxorubicin, vincristine, gemcitabine, paclitaxel,

vinorelbine, topotecan, irinotecan, methotrexate, and docetaxel.

[0178] Also described is the use in a method of treating papillary or anaplastic thyroid cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from surgery, radiation, radioactive iodine therapy, one or two hormone therapies, and one or two chemotherapeutic agents. Also described is the use in a method of treating papillary or anaplastic thyroid cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from thyroid hormone pills, Doxorubicin and a platin. Also described is the use in method of treating papillary or anaplastic thyroid cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is hormone therapy and the hormone therapy is radioiodine ablation.

[0179] Also described is the use in a method of treating endometrial cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from surgery, radiation, one or two hormone therapies, and one or two chemotherapeutic agents. Also described is the use in a method of treating endometrial cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two hormone therapies independently selected from megestrol acetate, Tamoxifen, and a progestin including medroxyprogesterone acetate (Provera) and megestrol acetate. Also described is the use in (Megace). Also described is the use in a method of treating endometrial cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from a platinum- containing compound (including cisplatin, oxaliplatin, and carboplatin, more for example cisplatin), a taxane (including paclitaxel), doxorubicin (Adriamycin), cyclophosphamide, fluorouracil (5- FU), methotrexate, and vinblastine.

[0180] Also described is the use in a method of treating ovarian cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from surgery, radiation, or two antibodies, and one or two chemotherapeutic agents. Also described is the use in a method of treating ovarian cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is an antibody and the antibody is bevacizumab. Also described is the use in a method of treating ovarian cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from a platinum- containing compound (including cisplatin, oxaliplatin and carboplatin), a taxane (including paclitaxel and docetaxel), topotecan, an anthracyclines (including doxorubicin and liposomal doxorubicin), gemcitabine, cyclophosphamide, vinorelbine (Navelbine), hexamethylmelamine, ifosfamide, etoposide, bleomycin, vinblastine, ifosfamide, vincristine, and cyclophosphamide. Also described is the use in a method of treating ovarian cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from a platin and a taxane. Also described is the use in a method of treating ovarian cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from cisplatin, oxaliplatin, carboplatin, paclitaxel, and docetaxel.

[0181] Also described is the use in a method of treating glioblastoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from surgery, radiation, one or two chemotherapeutic agents, one or two anti-seizure agents, and one or two agents to reduce swelling. Also described is the use in a method of treating glioblastoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is radiation selected from external beam radiation, interstitial radiotherapy, and stereotactic radiosurgery. Also described is the use in a method of treating glioblastoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from carmustine (BCNU), Erlotinib (Tarceva), bevacizumab, gefitinib (Iressa), rapamycin, temozolomide, cisplatin, BCNU, lomustine, procarbazine, and vincristine. Also described is the use in a method of treating glioblastoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is an anti-seizure agent and the anti-seizure agent is diphenylhydantoin (Dilantin). Also described is the use in a method of treating glioblastoma which method comprises administering to a patient a therapeutically effective

amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is an agent to reduce swelling and the agent is dexamethasone (Decadron). Also described is the use in a method of treating glioblastoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents. Also described is the use in a method of treating glioblastoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from erlotinib and temozolomide.

[0182] Also described is the use in a method of treating cervical cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from surgery, radiation, and one or two chemotherapeutic agents. Also described is the use in a method of treating cervical cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is surgery selected from cryosurgery, laser surgery, loop electrosurgical excision, conization, simple hysterectomy, and radical hysterectomy and pelvic lymph node dissection. Also described is the use in a method of treating cervical cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined, in combination with one or more treatments where one of the treatments is radiation selected from external beam radiation therapy and brachytherapy. Also described is the use in a method of treating cervical cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from a platinum compound (such as cisplatin, carboplatin, and oxaliplatin), paclitaxel, topotecan, ifosfamide, gemcitabine, vinorelbine, and fluorouracil.

[0183] Also described is the use in a method of treating a gastrointestinal carcinoid tumor which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from surgery radiation, immunotherapy and one or two chemotherapeutic agents. Also described is a method of treating a gastrointestinal carcinoid tumor which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is surgery selected from excision and electrofulguration. Also described is the use in a method of treating a gastrointestinal carcinoid tumor which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from cyproheptadine, SOM230, octreotide and lanreotide. Also described is the use in a method of treating a gastrointestinal carcinoid tumor which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is immunotherapy and the immunotherapy is an interferon.

[0184] Also described is the use in a method of treating a gastrointestinal stromal tumor which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from surgery, radiation, and one or two chemotherapeutic agents. Also described is the use in a method of treating a gastrointestinal stromal tumor which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from imatinib mesylate (Gleevec), sunitinib (Sutent), and nilotinib (AMN107).

[0185] Also described is the use in a method of treating hepatocellular carcinoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from surgery, radiofrequency ablation, ethanol ablation, cryosurgery, hepatic artery embolization, chemoembolization, radiation, and one or two chemotherapeutic agents. Also described is the use in a method of treating hepatocellular carcinoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is surgery selected from resection and transplantation. Also described is the use in a method of treating hepatocellular carcinoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is one or two chemotherapeutic agents independently selected from sorafenib, 5-fluorouracil and cisplatin.

[0186] Also described is the use in a method of treating non-Hodgkin's lymphoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments independently selected from radiation, one or two chemotherapeutic agents, interferon therapy, one or two antibodies, and bone marrow or peripheral blood stem cell transplantation. Also described is the use in a method of treating non-Hodgkin's lymphoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the

treatments is one or two chemotherapeutic agents selected from CHOP (cyclophosphamide, doxorubicin, vincristine and prednisone), chlorambucil, fludarabine, and etoposide. Also described is the use in a method of treating non-Hodgkin's lymphoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is an antibody selected from rituximab, ibritumomab tiuxetan, tositumomab, and alemtuzumab. Also described is the use in a method of treating non-Hodgkin's lymphoma which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is an antibody and the antibody is rituximab.

[0187] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is radiation and another treatment is surgery.

[0188] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is radiation and another treatment is one or two chemotherapeutic agents.

[0189] Also described is the use in a method of treating cancer which method comprises administering to a patient a therapeutically effective amount of a Compound of Formula I, as defined above, in combination with one or more treatments where one of the treatments is surgery and another treatment is one or two chemotherapeutic agents.

[0190] For each of the foregoing, the Compound of Formula I is selected from any of the following described compounds, including from the Representative Compounds in Table 1.

[0191] One described compound (A) is directed to a compound of Formula I where W^1 , W^2 , W^3 , and W^4 are $-C(R^1)=$; or one or two of W^1 , W^2 , W^3 , and W^4 are independently $-N=$ and the remaining are $-C(R^1)=$; where each R^1 is independently hydrogen, alkyl, haloalkyl, nitro, alkoxy, haloalkoxy, halo, hydroxy, cyano, amino, alkylamino, or dialkylamino; and all other groups are as defined above. In another embodiment, W^1 , W^2 , W^3 , and W^4 are $-C(R^1)=$ and each R^1 is independently hydrogen or alkyl; or one of W^1 and W^4 is $-N=$ and the other is $-C(H)=$. In another, W^1 , W^2 , W^3 , and W^4 are $-C(R^1)=$ where each R^1 is independently hydrogen or alkyl. In another embodiment, R^1 is hydrogen.

[0192] Another (B) is a Compound of Formula I where R^{50} is hydrogen, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, hydroxy, alkoxy, alkenyloxy, haloalkoxy, nitro, amino, alkylamino, dialkylamino, $-N(R^{55})C(O)-C_1-C_6$ -alkylene- $N(R^{55a})R^{55b}$, alkylcarbonyl, alkenylcarbonyl, carboxy, alkoxycarbonyl, cyano, alkylthio, $-S(O)_2NR^{55}R^{55a}$, or alkylcarbonylamino; where R^{55} and R^{55b} are independently hydrogen, alkyl, or alkenyl and R^{55a} is hydrogen, alkyl, alkenyl, hydroxy, or alkoxy; and all other groups are as defined above. In another, R^{50} is hydrogen.

[0193] Another (C) is a Compound of formula I where R^{51} is hydrogen or alkyl; and all other groups are as defined above. In another, R^{51} is alkyl. In another, R^{51} is methyl.

[0194] Another (D) is a Compound of Formula I where R^{52} is hydrogen or halo; and all other groups are as defined above. In another R^{52} is hydrogen or fluoro. In another embodiment, R^{52} is hydrogen.

[0195] Another (E) is a Compound of Formula I where R^{53} is hydrogen, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, hydroxy, alkoxy, alkenyloxy, haloalkoxy, nitro, amino, alkylamino, dialkylamino, $-N(R^{55})C(O)-C_1-C_6$ -alkylene- $N(R^{55a})R^{55b}$, alkylcarbonyl, alkenylcarbonyl, carboxy, alkoxycarbonyl, cyano, alkylthio, $-S(O)_2NR^{55}R^{55a}$, or alkylcarbonylamino; where R^{55} and R^{55b} are independently hydrogen, alkyl, or alkenyl and R^{55a} is hydrogen, alkyl, alkenyl, hydroxy, or alkoxy; and all other groups are as defined above. In another, R^{53} is hydrogen, alkoxy, nitro, amino, or $-N(R^{55})C(O)-C_1-C_6$ -alkylene- $N(R^{55a})R^{55b}$. In another, R^{53} is hydrogen, methoxy, nitro, amino, or $-NHC(O)CH_2N(CH_3)_2$. In another R^{53} is hydrogen or methoxy.

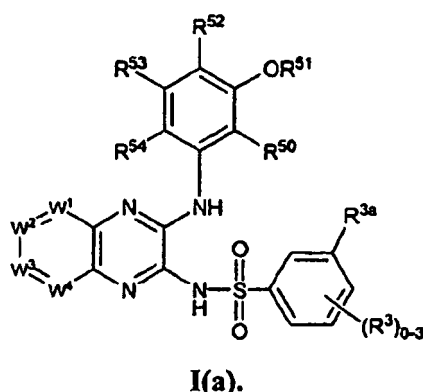
[0196] Another (F) is a Compound of Formula I where R^{54} is hydrogen, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, hydroxy, alkoxy, alkenyloxy, haloalkoxy, nitro, amino, alkylamino, dialkylamino, $-N(R^{55})C(O)-C_1-C_6$ -alkylene- $N(R^{55a})R^{55b}$, alkylcarbonyl, alkenylcarbonyl, carboxy, alkoxycarbonyl, cyano, alkylthio, $-S(O)_2NR^{55}R^{55a}$, or alkylcarbonylamino; where R^{55} and R^{55b} are independently hydrogen, alkyl, or alkenyl and R^{55a} is hydrogen, alkyl, alkenyl, hydroxy, or alkoxy; and all other groups are as defined above. In another, R^{54} is hydrogen, alkyl, alkoxy, or halo. In another, R^{54} is hydrogen, methyl, methoxy, bromo, or chloro. In another, R^{54} is hydrogen, methoxy, or chloro.

[0197] Another (G) is a compound of Formula I where R^{50} , R^{52} , and R^{53} are hydrogen and R^{54} is halo or alkoxy; R^{50} , R^{52} , and R^{54} are hydrogen and R^{53} is alkoxy; or R^{50} and R^{52} are hydrogen and R^{53} and R^{54} together with the carbons to which they are attached form a 6-membered heteroaryl; and all other groups are as defined above. In another, R^{50} , R^{52} , and R^{53} are hydrogen and R^{54} is chloro or methoxy; R^{50} , R^{52} , and R^{54} are hydrogen and R^{53} is methoxy; or R^{50} and R^{52} are hydrogen and R^{53} and R^{54} together with the carbons to which they are attached form pyridinyl. Even more specifically, R^{50} , R^{52} , and R^{53} are hydrogen and R^{54} is chloro or methoxy; or R^{50} , R^{52} , and R^{54} are hydrogen and R^{53} is methoxy.

[0198] One compound of the compound of the paragraph above (G1) is a compound of formula I where R^{51} is methyl.

[0199] Another described compound (H) is a compound of Formula I where B is phenyl substituted with R^{3a} and optionally further substituted with one, two, or three R^3 ; and all other groups are as defined above. In another, B is phenyl

substituted with R^{3a}. In another the Compound is of Formula I(a):



In another B is phenyl substituted with R^{3a} as depicted in Ia and is not further substituted with R³.

[0200] Another described compound (J) a compound of Formula I where B is heteroaryl optionally substituted with one, two, or three R³. In another embodiment, B is thien- 3- yl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, oxazolyl, isoxazolyl, pyrrolyl, imidazolyl, pyrazolyl, or thiazolyl, each of which is optionally substituted with one or two R³. In another embodiment, B is thien- 3- yl, pyridin- 2- yl, pyridin- 3- yl, pyridin- 4- yl, oxazol- 2- yl, oxazol- 4- yl, oxazol- 5- yl, isoxazol- 3- yl, isoxazol- 4- yl, isoxazol- 5- yl, imidazol- 2- yl, pyrrol- 2- yl, pyrrol- 3- yl, imidazol- 4- yl, imidazol- 5- yl, pyrazol- 3- yl, pyrazol- 4- yl, or pyrazol- 5- yl, each of which is optionally substituted with one or two R³. In another embodiment, B is thien- 3- yl, pyridin- 3- yl, pyridin- 4- yl, isoxazol- 4- yl, or pyrazol- 4- yl, each of which is optionally substituted with one or two R³. In another B is pyridin- 3- yl, 2- hydroxy- pyridin- 5- yl, isoxazol- 4- yl, or pyrazol- 4- yl, each of which is optionally substituted with one or two R³.

[0201] Another (K) is a compound of Formula I or Ia where R^{3a} is cyano; hydroxyamino; carboxy; alkylsulfonyl, aminoalkoxy; alkylaminoalkoxy; dialkylaminoalkoxy; - N (R⁷) C (O)- C₁- C₆- alkylene- N (R^{7a}) (R^{7b}); - C (O) NR⁸R^{8a}; - NR⁹C (O) R^{9a}; - C (O) N (R¹⁰)- C₁- C₆- alkylene- N (R^{10a}) R^{10b}; - NR¹¹C (O) NR^{11a}R^{11b} where R^{11a}; - C (O) R¹²; - NR¹³C (O) OR^{13a}; - C (O) N (R¹⁴) N (R^{14a}) (R^{14b}); - S (O)₂N (R¹⁵)- C₁- C₆- alkylene- N (R^{15a}) R^{15b}; - C (O) N (R¹⁶)- C₁- C₆- alkylene- C (O) OR^{16a}; heteroaryl optionally substituted with one or two aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl; - N (R¹⁷)- C (=N (R^{17b}) (R^{17b}) (NR^{17c}R^{17d}); - N (R¹⁸) C (O)- C₁- C₆- alkylene- N (R^{18b}) C (O) R^{18a}; - C (O) N (R¹⁹)- C₁- C₆- alkylene- C (O) R^{19a}; - N (R²²) C (O)- C₁- C₆- alkylene- N (R^{22b})- N (R^{22c}) (R^{22a}); - C₀- C₆- alkylene- N (R²³)- C₁- C₆- alkylene- N (R^{23b}) R^{23a}; or - NR²⁴C (O)- C₁- C₆- alkylene- OR^{24a}; where each of the alkylene in R^{3a} is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, amino, alkylamino, and dialkylamino; and all other groups are as defined above.

[0202] In another, R^{3a} is - NHC (O) CH₂NH (CH₃), - NHC (O) CH₂NH (CH₂CH₃), - NHC (O) CH (CH₃) NH₂, -NHC (O) C (CH₃)₂NH₂, -NHC (O) CH₂N (CH₃)₂, -NHC (O) CH₂N (CH₃) CH₂CH₂N (CH₃)₂, -NHC (O) CH (NH₂) CH₂CH₃, -NHC (O) CH₂N (CH₃) CH₂CH₂N (CH₃)₂, -NHC (O) CH (CH₃) NH (CH₃), - NHC (O) CH₂NH₂, -NHC (O) H, -NHC (O) CH₂ (azetidin- 1- yl), - NHC (O) (pyrrolidin- 2- yl), - NHC (O) CH (NH₂) CH₂OH, -NHC (O) (azetidin- 4- yl), - NHC (O) C (CH₃)₂NH (CH₃), - NH₂, -NHC (O) CH₂NH (CH₂CH₂CH₃), - NHC (O) CH₂CH₂NH₂, -NHOH, -NHC (O) (piperidin- 3- yl), - NHC (O) CH₂ (4- methyl- 1, 4- diazepan- 1- yl), - NHC (O) CH (NH₂) (CH₂CH₃), - NHC (O) CH₂NH (CH₂CH (OH) (CH₃)), - NHC (O) CH₂NHCH₂CH₂F, -NHC (O) CH₂NH (OCH₂CH (CH₃)₂), - NHC (O) (1- aminocycloprop- 1- yl), - NHC (O) CH₂NH (CH₂cyclopropyl), - NHC (O) CH₂ (3- (dimethylamino)- azetidin- 1- yl), - NHC (O) (piperidin- 2- yl), - NHC (O) (morpholin- 4- yl), - NHC (O) CH₂ (pyrrolidin- 1- yl), - NHC (O) CH (NH₂) CH₂CH₂CH₂CH₂N (CH₃)₂, -NHC (O) CH₂N (CH₃) (CH₂CH₃), - NHC (O) CH₂ (imidazol- 5- yl), - NHC (O) (1- aminocyclopent- 1- yl), - NHC (O) CH₂NH (CH₂CH (CH₃)₂), - NHC (O) CH₂N (CH₃) (CH₂CH₃), - NHC (O) (N- (imidazol- 4- ylmethyl)- azetidin- 3- yl), - NHC (O) (N- ethyl- azetidin- 3- yl), - NHCH₂N (CH₃) CH₂CH₂N (CH₃)₂, -NHC (O) CH₂N (CH₃) (N- methyl- pyrrolidin- 3- yl), - NHC (O) CH₂N (CH₃) (CH₂CH₂N (CH₃)₂), - NHC (O) CH₂ (3- hydroxy- pyrrolidin- 1- yl), - NHC (O) (1- amino- cyclobut- 1- yl), - NHC (O) CH₂NH (CH₂)₃CH₃, -NHC (O) CH₂ (3- piperidin- 1- ylazetidin- 1yl), - NHC (O) NH₂, -NHC (O) (1- hydroxycyclopropyl), - NHC (O) CH₂NHN (CH₃)₂, -NHC (O) NH (CH₂)₂N (CH₃)₂, -NHC (O) CH₂OH, -NHC (O) (pyridazin- 4- yl), - NHC (O) (N- methyl- piperidin- 4- yl), - NHC (O) CH₂NHCH (CH₃)₃, -NHC (O) CH₂ (3- dimethylamino- pyrrolidin- 1yl), - NHC (O) CH₂NH (CH₂)₂N (CH₃)₂, -NHC (O) (1- cyclopropylmethyl- azetidin- 3- yl), - NHC (O) CH₂NH (CH₃)₃, -NHC (O) (imidazol- 2- yl), - NHC (O) (imidazol- 4- yl), - NHC (O) (1, 2- oxazol- 5- yl), - NHC (O) CH₂NHCH₂CF₃, -NHC (O) CH₂CH₂ (piperidin- 1- yl), - NHC (O) (3- oxo- cyclopent- 1- yl), - NHC (O) (2- hydroxy- pyridin- 6- yl), - NHC (O) CH₂NH (3- fluoro- 4- hydroxyphenyl), - NHC (O) (CH₂)₃N (CH₃)₂, -NHC (O) (1- (furan- 2- ylmethyl)- azetidin- 3- yl), - NHC (O) (pyrimidin- 5- yl), - NHC (O) (pyrrol- 2- yl), - NHC (O) CH₂N (CH₃) CH (CH₃)₂, -NHC (O) CH₂N (CH₂CH₃)₂, -NHC (O) CH₂ (3- methyl- 1, 2- oxazol- 5- yl), - NHC (O) CH₂NHCH₂ (3- hydroxyphenyl), - NHC (O) (N- methyl- pyrrol- 2- yl), - NHC (O) (2- amino-

tetrahydropyran- 2- yl), - NHC (O) CH₂ (4- methylamino- piperidin- 1- yl), - NHC (O) (piperidin- 1- yl), - NHC (O) (*N*-methyl- pyrrolidin- 2yl), - NHC (O) (thien- 3yl), - NHC (O) (*N*- (cyclopropylcarbonyl) azetidin- 3- yl), - NHC (O) CH₂ (4- methylpiperazin- 1- yl), - NHC (O) (*N*- benzylazetidin- 3- yl), - NHC (O) (2- chloro- pyridin- 3- yl), - NHC (O) CH₂ (pyridin- 4- yl), - NHC (O) CH₂N (CH₃) (CH₂CH=CH₂), - NHC (O) CH₂NH (benzyl), - NHC (O) CH₂OCH₃, -NHC (O) [1- (C (O) CH₂CH₃)- azetidin- 3- yl], - NHC (O) (pyridin- 3- yl), - NHC (O) CH₂NHCH₂CH₂OCH₃, -NHC (O) (1- [C (O) CH₃] piperidin- 4- yl), - NHC (O) CH₂ (2- methyl- pyrrolidin- 1- yl), - NHC (O) (furan- 3- yl), - NHC (O) CH₂N (CH₃)₂, -NHC (O) (2- chloro- pyridin- 5- yl), - NHC (O) (2- chlorophenyl), - NHC (O) CH₂ (pyridin- 2- yl), - NHC (O) CH₂ (3- dimethylamino- azetidin- 1- yl), - NHC (O) CH₂ (pyridin- 3- yl), - NHC (O) CH₂ (2- chlorophenyl), - NHC (O) CH₂N (CH₃) CH₂CH₂CH₂N (CH₃)₂, -NHC (O) CH₂N (CH₂CH₃) CH₂CH₂OH, -NHC (O) CH₂ (2- benzyl- pyrrolidin- 1- yl), - NHC (O) (furan- 2- yl, -NHC (O) (2- chloro- pyridin- 4- yl), - NHC (O) CH₂NHC (O) CH₃, -NHC (O) CH₂CH₂CH₃, -NHC (O) (4- chlorophenyl), - NHC (O) (4- methyl- phenyl), - NHC (O) CH₂NHC (O) O (CH₃)₃, -NHC (O) (benzo [d] [1, 3] dioxol- 5- yl), - NHC (O) CH₂NHOCH₂ (2- methoxyphenyl), - NHC (O) (pyridin- 4- yl), - NHC (O) CH₂ [4- (3, 4- dichlorophenyl)- piperazin- 1- yl], - NHC (O) CH₂CH₂ (pyridin- 3- yl), - NHC (O) (tetrahydrofuran- 3- yl), - NHC (O) CH₂NHCH₂ (2- methylphenyl), - NHC (O) CH (CH₃) CH₂CH₃, -NHC (O) CH₂ (3- fluorophenyl), - NHC (O) CH₂C (CH₃)₂phenyl, -NHC (O) (2- methyl- cycloprop- 1- yl), - NHC (O) (2- methyl- 4- methoxyphenyl), - NHC (O) (2- methylpyridin- 3- yl), - NHC (O) (4- methoxyphenyl), - NHC (O) CH₂ (4- ethylpiperazin- 1- yl), - NHC (O) (thien- 2- yl), - NHC (O) (3- fluoro- 2- methylphenyl), - NHC (O) (2- bromo- thien- 3- yl), - NHC (O) (4- fluorophenyl), - NHC (O) CH₂ (3- methylpiperidin- 1- yl), - NHC (O) CH (CH₃)₂, -NHC (O) (CH₂)₃CH₃, -NHC (O) CH₂OCH₂CH₃, -NHC (O) CH₂NH (2- fluorophenyl), - NHC (O) (3- dimethylaminophenyl), - NHC (O) CH₂ (4- methylpiperidin- 1- yl), - NHC (O) CH₂NH (2- *n*- propylphenyl), - NHC (O) phenyl, -NHC (O) (pyrazin2- yl), - NHC (O) (3- fluoro- 4- methoxyphenyl), - NHC (O) C (CH₃)₂CH₂CH₃, -NHC (O) CH₂O (4- fluorophenyl), - NHC (O) (1- methylcarbonyl- azetidin- 3- yl), - NHC (O) CH₂NH (4- methylphenyl), - NHC (O) CH₂NH (phenyl), - NHC (O) CH₂ (4- allyl- piperazin- 1- yl), - NHC (O) (2- methylphenyl), - NHC (O) CH₂CH₂OCH₃, -NHC (O) (3- methylfuran- 2- yl), - NHC (O) C (CH₃)₃, -NHC (O) CH₂NHObenzyl, -NHC (O) CH₂NH (3- chlorophenyl), - NHC (O) cyclobutyl, -NHC (O) CH₂ (3- methoxyphenyl), - NHC (O) (1- methylcycloprop- 1- yl), - NHC (O) (3- fluoro- phenyl), - NHC (O) (4- dimethylaminophenyl), - NHC (O) (3, 4- dichlorophenyl), - NHC (O) CH₂NHCH₂ (2- methylthiophenyl), - NHC (O) CH₂ (2- fluorophenyl), - NHC (O) CH₂N (CH₂CH₃) CH (CH₃)₂, -NHC (O) (thiazol- 4- yl), - NHC (O) CH₂N (CH₃) benzyl, -NHC (O) CH₂NHCH₂ (thien- 2- yl), - NHC (O) CH₂NHCH₂ (pyridin- 2- yl), - NHC (O) (3- methoxyphenyl), - NHC (O) CH₂NHCH₂ (3- chloro- 4- methylphenyl), - NHC (O) CH (CH₃) CH₂CH₂CH₃, -NHC (O) CH₂ (4- chlorophenyl), - NHC (O) (3- fluoro- 4- methylphenyl), - NHC (O) CH₂O (2- methylphenyl), - NHC (O) CH₂ (cyclohexyl), - NHC (O) (2- phenyl- cycloprop- 1- yl), - NHC (O) (3- chlorophenyl), - NHC (O) CH₂ (2- methoxyphenyl), - NHC (O) CH₂CH₂ (3- methoxyphenyl), - NHC (O) CH₂NH (2- fluoro- 4- methyl- phenyl), - NHC (O) CH₂NHCH₂ (3- fluoro- phenyl), - NHC (O) CH₂ (4- methoxy- phenyl), - NHC (O) benzyl, -NHC (O) (2, 4- dichlorophenyl), - NHC (O) (3- oxo- cyclohex- 1- yl), - NHC (O) CH₂NH (3- fluorophenyl), - NHC (O) CH₂ (3- chlorophenyl), - NHC (O) CH₂NHCH₂CH (CH₃) phenyl, -NHC (O) CH₂NHCH₂ (2, 4- dimethylphenyl), - NHC (O) CH₂ (2- methyl- piperidin- 1- yl), - NHC (O) CH₂NH (2- methoxyphenyl), - NHC (O) CH₂ (1, 2, 3, 4- tetrahydroisoquinolin- 2- yl), - NHC (O) CH₂CH₂CH=CH₂, -NHC (O) CH₂NH (2- methylphenyl), - NHC (O) CH₂ (4- oxo- piperidin- 1- yl), - NHC (O) (2- fluorophenyl), - NHC (O) CH₂NHCH (CH₃) phenyl, -NHC (O) (2- fluoro- 6- methoxyphenyl), - NHC (O) CH₂NH (2- isopropylphenyl), - NHC (O) CH₂CH₂ (2- methoxyphenyl), - NHC (O) CH₂CH₂CH (CH₃)₂, -NHC (O) CH₂ (2- phenyl- morpholin- 4- yl), - NHC (O) CH₂CH₂ (4- methoxyphenyl), - NHC (O) CH₂N (allyl) cyclopentyl, -NHC (O) CH₂N (CH₃) CH₂CH₂OCH₃, -NHC (O) CH₂CH₂C (O) cyclopropyl, -NHC (O) CH₂NH (3- *tert*- butylphenyl), - NHC (O) CH₂N (*n*- propyl) (cyclopropylmethyl), - NHC (O) CH₂ (2- oxo- cyclopentyl), - NHC (O) CH₂NH (4- chlorophenyl), - NHC (O) CH₂ (4- piperidin- 1- yl)piperidin- 1- yl), - NHC (O) CH₂ (4- cyclopentylpiperazin- 1- yl), - NHC (O) CH₂ (2- methylphenyl), - NHC (O) CH₂NHCH₂ (3- fluoro- 6- methylphenyl), - NHC (O) CH₂C (CH₃)₃, -NHC (O) CH₂NH (2- chlorophenyl), - NHC (O) (3- fluoro- 6- methylphenyl), - NHC (O) (4- fluoro- 3- methylphenyl), - NHC (O) (2, 3- dichlorophenyl), - NHC (O) CH₂Ophenyl, -NHC (O) CH₂NH (2, 3- dimethylphenyl), - NHC (O) (2- fluoro- 5- methylphenyl), - NHC (O) CH₂NHOCH₂ (4- methylphenyl), - NHC (O) CH₂ (4- isopropylpiperazin- 1- yl), - NHC (O) CH₂ (4- fluorophenyl), - NHC (O) CH₂CH (CH₃)₂, -NHC (O) (2- methoxy- 4- methylphenyl), - NHC (O) CH₂ (4- *n*- propylpiperidin- 1- yl), - NHC (O) CH₂O (3- methylphenyl), - NHC (O) (tetrahydrofuran- 2- yl), - NHC (O) CH₂ (3- hydroxymethylpiperidin- 1- yl), - NHC (O) (1- *tert*- butoxycarbonylpiperidin- 2- yl), - NHC (O) CH₂N (CH₃) CH₂ (pyridin- 3- yl), - NHC (O) CH₂N (CH₂CH₃) phenyl, -NHC (O) CH₂OCH₂CH₂OCH₃, -NHC (O) CH₂CH₂ (cyclopentyl), - NHC (O) (2, 5- dichlorophenyl), - NHC (O) CH₂ (4- methylcarbonylpiperazin- 1- yl), - NHC (O) (5- fluoro- 2- methoxyphenyl), - NHC (O) CH₂N (CH₂CH₃) cyclohexyl, -NHC (O) (5- methyl- 1, 2- oxazol- 3- yl), - NHC (O) (3- methylpyridin- 3- yl), - NHC (O) (2- methoxypyridin- 3- yl), - NHC (O) (3, 5- dichlorophenyl), - NHC (O) CH₂ (thiazolidin3- yl), - NHC (O) CH₂ (4- [C (O) H]- piperazin- 1- yl), - NHC (O) CH₂ (2- pyridin- 4- yl)piperidin- 1- yl), - NHC (O) (2- methoxyphenyl), - NHC (O) CH₂N (CH₃) CH₂CH (CH₃)₂, -NHC (O) CH₂ (4- [C (O) H]- homopiperazin- 1- yl), - NHC (O) (1- phenylcycloprop- 1- yl), - NHC (O) CH₂ (2, 6- dimethylmorpholin- 4- yl), - NHC (O) CH₂ (2- phenylpyrrolidin- 1- yl), - NHC (O) CH₂ (morpholin- 4- yl), - C (O) NHCH (CH₃) CH₂N (CH₃)₂, -C (O) NHCH₂CH₂N (CH₃)₂, -C (O) NH (pyrrolidin- 3- yl), - C (O) NHCH₂CH₂ (pyrrolidin- 1- yl), - C (O) NHCH₂CH₂NH₂, -C (O) N (CH₃) CH₂CH₂N (CH₃)₂, -C (O) NHCH₂ (piperidin- 2- yl), - C (O) NH (1- methylazetidin- 3- yl), - C (O) NHCH₂CH₂ (piperidin- 1- yl), - C (O) NHCH₂CH₂N (CH₂CH₃)₂, -C (O) NH (1- methylpiperidin- 3- yl), - C (O) NH (piperidin- 3- yl), -

C (O) NHCH₂ (1- methylpiperidin- 3- yl), - C (O) NHCH₂CH₂N (CH₂CH₂OH)₂, -C (O) NH (1- ethylpiperidin- 3- yl), - C (O) NH₂, -C (O) (3- aminopyrrolidin- 1- yl), - C (O) (3- methylaminopyrrolidin- 1- yl), - C (O) OH, -C (O) NHCH₂CH₂ (morpholin- 4- yl), - C (O) NHCH₂ (1- ethylpyrrolidin- 2- yl), - C (O) (4- amino- 3- oxo- pyrazolidin- 1- yl), - C (O) NHCH₃, -C (O) (3- aminocyclobut- 1- yl), - C (O) NHCH₂ (pyridin- 3- yl), - C (O) NHCH₂CH₂OH, -C (O) NH (3- oxo- pyrazolidin- 4- yl), - NHCH₂CH₂ (imidazol- 4- yl), - C (O) (3- dimethylaminopyrrolidin- 1- yl), - C (O) NHCH₂ (pyridin- 4- yl), - C (O) N (CH₃) (1- methyl- pyrrolidin- 3- yl), - C (O) (3- diethylaminopyrrolidin- 1- yl), - C (O) NH (pyrrol- 1- yl), - C (O) NHCH₂CH₂CH₂ (pyrrolidin- 1- yl), -C (O) N (CH₃) CH₂CH₂CN, -C (O) NHCH₂CH₂OCH₃, -C (O) N (CH₂CH₃) CH₂CH₂CN, -C (O) (3- aminopiperidin- 1- yl), - C (O) NHCH₂CH₂CH₂N (CH₃)₂, -C (O) NH (morpholin- 4- yl), - C (O) NHN (CH₃)₂, -C (O) NHCH₂CH₂CH₂ (imidazol- 1- yl), - C (O) NHCH₂CH₂CH₂N (CH₂CH₃)₂, -C (O) NHCH₂CH₂CN, -C (O) NHCH₂CH₂C (O) OCH₃, -C (O) NHCH₂CH₂SCH₃, -C (O) NHCH₂CH₂SCH₂CH₃, -C (O) N (CH₂CH₃) CH₂CH₂N (CH₃)₂, -C (O) NHCH₂CH₂CH₂ (2- oxo- pyrrolidin- 1- yl), - C (O) NHCH₂CH₂ (pyridin- 4- yl), - C (O) NHCH₂CH₂CH₂OCH₂CH₃, -C (O) NHCH₂CH₂CH₂ (morpholin- 4- yl), - C (O) NHCH₂CH₂CH₂OCH₃, -C (O) N (CH₃) CH₂CH₂CH₂N (CH₃)₂, -C (O) NHCH₂CH₂CH₂OCH₂CH₂CH₃, -C (O) NHCH₂CH₂C (O) OCH₂CH₃, -C (O) NHCH₂CH₂CH₂OCH (CH₃)₂, -C (O) NHC (CH₃)₂CH₂ (piperidin- 1- yl), - C (O) N (CH₃) CH₂CH₂CH₃, -C (O) NH (piperidin- 1- yl), - C (O) NHCH (CH₃) CH₂OCH₃, -C (O) NHC (CH₃)₂CH₂ (morpholin- 4- yl), - C (O) (2- dimethylaminomethylpiperidin- 1- yl), - C (O) NH (CH₂)₃O (CH₂)₃CH₃, -C (O) NHCH (CH₃) (CH₂)₃N (CH₂CH₃)₂, -C (O) NHC (CH₃)₂C (O) (piperidin- 1- yl), - C (O) (4- methylpiperazin- 1- yl), - C (O) (2- piperidin- 1- ylmethyl- piperidin- 1- yl), cyano, -NHCH₃, -CH (CH₃) NHCH₂CH₂N (CH₃)₂, -C (O) CH₃, -S (O)₂NHCH₂CH₂N (CH₃)₂, -S (O)₂NH (CH₂)₃N (CH₃)₂, 5- (N, N- dimethylaminomethyl)- 1, 3, 4- oxadiazol- 2- yl, -NHCH₂CH₂N (CH₃)₂, -N (CH₃)₂, -OCH₂CH₂N (CH₃)₂, -NHC [N (CH₃)₂] [=N (CH₃)₂], - OCH F₂, -S (O)₂CH₃, -OCF₃, or NHC (O) CH₂ (4- dimethylaminopiperidin- 1- yl) .

[0203] In another (L), the compound of Formula I or Ia is that where R^{3a} is hydroxyamino, -N (R⁷) C (O)- C₁- C₆- alkylene- N (R^{7a}) (R^{7b}), - C (O) NR⁸R^{8a}, -NR⁹C (O) R^{9a}, -C (O) N (R¹⁰)- C₁- C₆- alkylene- N (R^{10a}) R^{10b}, -NR¹¹C (O) NR^{11a}R^{11b}, -N (R²²) C (O)- C₁- C₆- alkylene- N (R^{22b})- N (R^{22c}) (R^{22a}), - NR¹³C (O) OR^{13a}, -N (R¹⁸) C (O)- C₁- C₆- alkylene- N (R^{18b}) C (O) R^{18a}, -NR²⁴C (O)- C₁- C₆- alkylene- OR^{24a}, or -N (R²⁰) C (O)- C₁- C₆- alkylene- C (O) R^{20a}; where each of the alkylene in R^{3a} is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, and amino; and all other groups are as defined above. In another, R^{3a} is- NHC (O) CH₂NH (CH₃), - NHC (O) CH (CH₃) NH₂, -NHC (O) C (CH₃)₂NH₂, -NHC (O) CH₂N (C H₃)₂, -NHC (O) CH₂N (CH₃) CH₂CH₂N (CH₃)₂, -NHC (O) CH (NH₂) CH₂CH₃, -NHC (O) CH₂N (C H₃) CH₂CH₂N (CH₃)₂, -NHC (O) CH (CH₃) NH (CH₃), - NHC (O) H, -NHC (O) CH₂ (azetidin- 1- yl), - NHC (O) (pyrrolidin- 2- yl), - NHC (O) CH (NH₂) CH₂OH, -NHC (O) (azetidin- 4- yl), - NHC (O) C (CH₃)₂NH (CH₃), - NH₂, -NHC (O) CH₂NH (CH₂CH₂CH₃), - NHC (O) CH₂CH₂NH₂- NHOH, or- NHC (O) (piperidin- 3- yl) .

[0204] In another (M) the compound is of Formula I or Ia and R^{3a}- N (R⁷) C (O)- C₁- C₆- alkylene- N (R^{7a}) (R^{7b}) ; and R⁷ is hydrogen or alkyl and R^{7a} and R^{7b} are independently hydrogen, alkyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl; and all other groups are as defined above. In another, R^{3a} is- NHC (O) CH₂NH (CH₃), - NHC (O) CH (CH₃) NH₂, -NHC (O) C (CH₃)₂NH₂, -NHC (O) CH₂N (CH₃)₂, -NHC (O) CH₂N (CH₃) CH₂CH₂N (CH₃)₂, -NHC (O) CH (NH₂) CH₂CH₃, -NHC (O) CH₂N (CH₃) CH₂CH₂N (CH₃)₂, or- NHC (O) CH (CH₃) NH (CH₃) .

[0205] Another described compound (N) is a compound of Formula I where each R³ is independently halo; cyano; alkyl; alkenyl; alkoxy; hydroxyamino; carboxy; alkylsulfonyl, aminoalkoxy; alkylaminoalkoxy; dialkylaminoalkoxy;- N (R⁷) C (O)- C₁- C₆- alkylene- N (R^{7a}) (R^{7b}) ; - C (O) NR⁸R^{8a}; -NR⁹C (O) R^{9a}; - C (O) N (R¹⁰)- C₁- C₆- alkylene. N (R^{10a}) R^{10b}; - NR¹¹C (O) NR^{11a}R^{11b} where R^{11a}; - C (O) R¹²; - NR¹³C (O) OR^{13a}; - C (O) N (R¹⁴) N (R^{14a}) (R^{14b}) ; - S (O)₂N (R¹⁵)- C₁- C₆- alkylene- N (R^{15a}) R^{15b}; - C (O) N (R¹⁶)- C₁- C₆- alkylene- C (O) OR^{16a}; heteroaryl optionally substituted with one or two aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl;- N (R¹⁷)- C (=N (R^{17b}) (R^{17a})) (NR^{17c}R^{17d}) ; - N (R¹⁸) C (O)- C₁- C₆- alkylene- N (R^{18b}) C (O) R^{18a}; - C (O) N (R¹⁹)- C₁- C₆- alkylene- C (O) R^{19a}; - N (R²²) C (O)- C₁- C₆- alkylene- N (R^{22b})- N (R^{22c}) (R^{22a}) ; - C₀-C₆- alkylene- N (R²³)- C₁- C₆- alkylene- N (R^{23b}) R^{23a}; or- NR²⁴C (O)- C₁- C₆- alkylene- OR^{24a}; where each of the alkylene in R³ is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, amino, alkylamino, and dialkylamino; and all other groups are as defined above.

[0206] In another described compound, each R³ is independently methyl, bromo, chloro, fluoro, -NHC (O) CH₂NH (CH₃), - NHC (O) CH₂NH (CH₂CH₃), - NHC (O) CH (CH₃) NH₂, -NHC (O) C (CH₃)₂NH₂, -NHC (O) CH₂N (CH₃)₂, -NHC (O) CH₂N (CH₃) CH₂CH₂N (CH₃)₂, -NHC (O) CH (NH₂) CH₂CH₃, -NHC (O) CH₂N (CH₃) CH₂CH₂N (CH₃)₂, -NHC (O) CH (CH₃) NH (CH₃), - NHC (O) CH₂NH₂, -NHC (O) H, -NHC (O) CH₂ (azetidin- 1- yl), - NHC (O) (pyrrolidin- 2- yl), - NHC (O) CH (NH₂) CH₂OH, -NHC (O) (azetidin- 4- yl), - NHC (O) C (CH₃)₂NH (CH₃), - NH₂, -NHC (O) CH₂NH (CH₂CH₂CH₃), - NHC (O) CH₂CH₂NH₂, -NHOH, -NHC (O) (piperidin- 3- yl), - NHC (O) CH₂ (4- methyl- 1, 4- diazepan- 1- yl), - NHC (O) CH (NH₂) (CH₂CH₃), - NHC (O) CH₂NH (CH₂CH (OH) (CH₃)), - NHC (O) CH₂NHCH₂CH₂F, -NHC (O) CH₂NH (OCH₂CH (CH₃)₂), - NHC (O) (1- aminocycloprop- 1- yl), - NHC (O) CH₂NH (CH₂cyclopropyl), - NHC (O) CH₂ (3- (dimethylamino)- azetidin- 1- yl), - NHC (O) (piperidin- 2- yl), - NHC (O) (morpholin- 4- yl), - NHC (O) CH₂ (pyrrolidin- 1- yl), - NHC (O) CH (NH₂) CH₂CH₂CH₂CH₂N (CH₃)₂, -NHC (O) CH₂N (CH₃) (CH₂CH₃), - NHC (O) CH₂ (imidazol- 5- yl), - NHC (O) (1- aminocyclopent- yl), - NHC (O) CH₂NH (CH₂CH (CH₃)₂), - NHC (O) CH₂N (CH₃) (CH₂CH₃), - NHC (O) (N- (imidazol- 4- ylmethyl)- azetidin- 3- yl), - NHC (O) (N- ethyl- azetidin- 3- yl), - NHCH₂N (CH₃) CH₂CH₂N (CH₃)₂,

-NHC (O) CH₂N (CH₃) (N- methyl- pyrrolidin- 3- yl), - NHC (O) CH₂N (CH₃) (CH₂CH₂N (CH₃)₂), - NHC (O) CH₂ (3- hydroxy- pyrrolidin- 1- yl), - NHC (O) (1- amino- cyclobut- 1- yl), - NHC (O) CH₂NH (CH₂)₃CH₃, -NHC (O) CH₂ (3- piperidin- 1- yl)azetidin- 1- yl), - NHC (O) NH₂, -NHC (O) (1- hydroxycyclopropyl), - NHC (O) CH₂NHN (CH₃)₂, -NHC (O) NH (CH₂)₂N (CH₃)₂, -NHC (O) CH₂ OH, -NHC (O) (pyridazin- 4- yl), - NHC (O) (N- methyl- piperidin- 4- yl), - NHC (O) CH₂NHCH (CH₃)₃, -NHC (O) CH₂ (3- dimethylamino- pyrrolidin- 1- yl), - NHC (O) CH₂NH (CH₂)₂N (CH₃)₂, -NHC (O) (1- cyclopropylmethyl- azetidin- 3- yl), - NHC (O) CH₂NH (CH₃)₃, -NHC (O) (imidazol- 2- yl), - NHC (O) (imidazol- 4- yl), - NHC (O) (1, 2- oxazol- 5- yl), - NHC (O) CH₂NHCH₂CF₃, -NHC (O) CH₂CH₂ (piperidin- 1- yl), - NHC (O) (3- oxo- cyclopent- 1- yl), - NHC (O) (2- hydroxy- pyridin- 6- yl), - NHC (O) CH₂NH (3- fluoro- 4- hydroxyphenyl), - NHC (O) (CH₂)₃N (CH₃)₂, -NHC (O) (1- (furan- 2- yl)methyl- azetidin- 3- yl), - NHC (O) (pyrimidin- 5- yl), - NHC (O) (pyrrol- 2- yl), - NHC (O) CH₂N (CH₃) CH (CH₃)₂, - NHC (O) CH₂N (CH₂CH₃)₂, -NHC (O) CH₂ (3- methyl- 1, 2- oxazol- 5- yl), - NHC (O) CH₂NHCH₂ (3- hydroxyphenyl), - NHC (O) (N- methyl- pyrrol- 2- yl), - NHC (O) (2- amino- tetrahydropyran- 2- yl), - NHC (O) CH₂ (4- methylamino- piperidin- 1- yl), - NHC (O) (piperidin- 1- yl), - NHC (O) (N- methyl- pyrrolidin- 2- yl), - NHC (O) (thien- 3- yl), - NHC (O) (N- (cyclopropylcarbonyl) azetidin- 3- yl), - NHC (O) CH₂ (4- methylpiperazin- 1- yl), - NHC (O) (N- benzylazetidin- 3- yl), - NHC (O) (2- chloro- pyridin- 3- yl), - NHC (O) CH₂ (pyridin- 4- yl), - NHC (O) CH₂N (CH₃) (CH₂CH=CH₂), - NHC (O) CH₂NH (benzyl), - NHC (O) CH₂OCH₃, -NHC (O) [1- (C (O) CH₂CH)- azetidin- 3- yl], - NHC (O) (pyridin- 3- yl), - NHC (O) CH₂NHCH₂CH₂OCH₃, -NHC (O) (1- [C (O) CH₃] piperidin- 4- yl), - NHC (O) CH₂ (2- methyl- pyrrolidin- 1- yl), - NHC (O) (furan- 3- yl), - NHC (O) CH₂N (CH₃)₂, -NHC (O) (2- chloro- pyridin- 5- yl), - NHC (O) (2- chlorophenyl), - NHC (O) CH₂ (pyridin- 2- yl), - NHC (O) CH₂ (3- dimethylamino- azetidin- 1- yl), - NHC (O) CH₂ (pyridin- 3- yl), - NHC (O) CH₂ (2- chlorophenyl), - NHC (O) CH₂N (CH₃) CH₂CH₂CH₂N (CH₃)₂, -NHC (O) CH₂N (CH₂CH₃) CH₂CH₂OH, -NHC (O) CH₂ (2- benzyl- pyrrolidin- 1- yl), - NHC (O) (furan- 2- yl), -NHC (O) (2- chloro- pyridin- 4- yl), - NHC (O) CH₂NHC (O) CH₃, -NHC (O) CH₂CH₂CH₃, -NHC (O) (4- chlorophenyl), - NHC (O) (4- methylphenyl), - NHC (O) CH₂NHC (O) O (CH₃)₃, -NHC (O) (benzo [d] [1, 3] dioxol- 5- yl), - NHC (O) CH₂NHOCH₂ (2- methoxyphenyl), - NHC (O) (pyridin- 4- yl), - NHC (O) CH₂ [4- (3, 4- dichlorophenyl)- piperazin- 1- yl], - NHC (O) CH₂CH₂ (pyridin- 3- yl), - NHC (O) (tetrahydrofuran- 3- yl), - NHC (O) CH₂NHCH₂ (2- methylphenyl), - NHC (O) CH (CH₃) CH₂CH₃, -NHC (O) CH₂ (3- fluorophenyl), - NHC (O) CH₂C (CH₃)₂phenyl, -NHC (O) (2- methyl- cycloprop- 1- yl), - NHC (O) (2- methyl- 4- methoxyphenyl), - NHC (O) (2- methylpyridin- 3- yl), - NHC (O) (4- methoxyphenyl), - NHC (O) CH₂ (4- ethylpiperazin- 1- yl), - NHC (O) (thien- 2- yl), - NHC (O) (3- fluoro- 2- methylphenyl), - NHC (O) (2- bromo- thien- 3- yl), - NHC (O) (4- fluorophenyl), - NHC (O) CH₂ (3- methylpiperidin- 1- yl), - NHC (O) CH (CH₃)₂, -NHC (O) (CH₂)₃CH₃, -NHC (O) CH₂OCH₂CH₃, -NHC (O) CH₂NH (2- fluorophenyl), - NHC (O) (3- dimethylaminophenyl), - NHC (O) CH₂ (4- methylpiperidin- 1- yl), - NHC (O) CH₂NH (2- *n*- propylphenyl), - NHC (O) phenyl, -NHC (O) (pyrazin- 2- yl), - NHC (O) (3- fluoro- 4- methoxyphenyl), - NHC (O) C (CH₃)₂CH₂CH₃, -NHC (O) CH₂O (4- fluorophenyl), - NHC (O) (1- methylcarbonyl- azetidin- 3- yl), - NHC (O) CH₂NH (4- methylphenyl), - NHC (O) CH₂NH (phenyl), - NHC (O) CH₂ (4- allyl- piperazin- 1- yl), - NHC (O) (2- methylphenyl), - NHC (O) CH₂CH₂OCH₃, -NHC (O) (3- methyl- furan- 2- yl), - NHC (O) C (CH₃)₃, -NHC (O) CH₂NHObenzyl, -NHC (O) CH₂NH (3- chlorophenyl), - NHC (O) cyclobutyl, -NHC (O) CH₂ (3- methoxyphenyl), - NHC (O) (1- methylcycloprop- 1- yl), - NHC (O) (3- fluorephenyl), - NHC (O) (4- dimethylaminophenyl), - NHC (O) (3, 4- dichlorophenyl), - NHC (O) CH₂NHCH₂ (2- methylthiophenyl), - NHC (O) CH₂ (2- fluorophenyl), - NHC (O) CH₂N (CH₂CH₃) CH (CH₃)₂, -NHC (O) (thiazol- 4- yl), - NHC (O) CH₂N (CH₃) benzyl, -NHC (O) CH₂NHCH₂ (thien- 2- yl), - NHC (O) CH₂NHCH₂ (pyridin- 2- yl), - NHC (O) (3- methoxyphenyl), - NHC (O) CH₂NHCH₂ (3- chloro- 4- methylphenyl), - NHC (O) CH (CH₃) CH₂CH₂CH₃, -NHC (O) CH₂ (4- chlorophenyl), - NHC (O) (3- fluoro- 4- methylphenyl), - NHC (O) CH₂O (2- methylphenyl), - NHC (O) CH₂ (cyclohexyl), - NHC (O) (2- phenyl- cycloprop- 1- yl), - NHC (O) (3- chlorophenyl), - NHC (O) CH₂ (2- methoxyphenyl), - NHC (O) CH₂CH₂ (3- methoxyphenyl), - NHC (O) CH₂NH (2- fluoro- 4- methylphenyl), - NHC (O) CH₂NHCH₂ (3- fluoro- phenyl), - NHC (O) CH₂ (4- methoxyphenyl), - NHC (O) benzyl, -NHC (O) (2, 4- dichlorophenyl), - NHC (O) (3- oxo- cyclohex- 1- yl), - NHC (O) CH₂NH (3- fluorophenyl), - NHC (O) CH₂ (3- chlorophenyl), - NHC (O) CH₂NHCH₂CH (CH₃) phenyl, -NHC (O) CH₂NHCH₂ (2, 4- dimethylphenyl), - NHC (O) CH₂ (2- methyl- piperidin- 1- yl), - NHC (O) CH₂NH (2- methoxyphenyl), - NHC (O) CH₂ (1, 2, 3, 4- tetrahydroisoquinolin- 2- yl), - NHC (O) CH₂CH₂CH=CH₂, -NHC (O) CH₂NH (2- methylphenyl), - NHC (O) CH₂ (4- oxo- piperidin- 1- yl), - NHC (O) (2- fluorophenyl), - NHC (O) CH₂NHCH (CH₃) phenyl, -NHC (O) (2- fluoro- 6- methoxyphenyl), - NHC (O) CH₂N- H (2- isopropylphenyl), - NHC (O) CH₂CH₂ (2- methoxyphenyl), - NHC (O) CH₂CH₂CH (CH₃)₂, -NHC (O) CH₂ (2- phenyl- morpholin- 4- yl), - NHC (O) CH₂CH₂ (4- methoxyphenyl), - NHC (O) CH₂N (allyl) cyclopentyl, -NHC (O) CH₂N (CH₃) CH₂CH₂OCH₃, -NHC (O) CH₂CH₂C (O) cyclopropyl, -NHC (O) CH₂NH (3- *tert*- butylphenyl), - NHC (O) CH₂N (*n*- propyl) (cyclopropylmethyl), - NHC (O) CH₂ (2- oxo- cyclopentyl), - NHC (O) CH₂NH (4- chlorophenyl), - NHC (O) CH₂ (4- piperidin- 1- yl)piperidin- 1- yl), - NHC (O) CH₂ (4- cyclopentylpiperazin- 1- yl), - NHC (O) CH₂ (2- methylphenyl), - NHC (O) CH₂NHCH₂ (3- fluoro- 6- methylphenyl), - NHC (O) CH₂C (CH₃)₃, -NHC (O) CH₂NH (2- chlorophenyl), - NHC (O) (3- fluoro- 6- methylphenyl), - NHC (O) (4- fluoro- 3- methylphenyl), - NHC (O) (2, 3- dichlorophenyl), - NHC (O) CH₂Ophenyl, -NHC (O) CH₂NH (2, 3- dimethylphenyl), - NHC (O) (2- fluoro- 5- methylphenyl), - NHC (O) CH₂NHOCH₂ (4- methylphenyl), - NHC (O) CH₂ (4- isopropylpiperazin- 1- yl), - NHC (O) CH₂ (4- fluorophenyl), - NHC (O) CH₂CH (CH₃)₂, -NHC (O) (2- methoxy- 4- methylphenyl), - NHC (O) CH₂ (4- *n*- propylpiperidin- 1- yl), - NHC (O) CH₂O (3- methylphenyl), - NHC (O) (tetrahydrofuran- 2- yl), - NHC (O) CH₂ (3- hydroxymethylpiperidin- 1- yl), - NHC (O) (1- *tert*- butoxycarbonylpiperidin- 2- yl), - NHC (O)

CH₂N (CH₃) CH₂ (pyridin- 3- yl), - NHC (O) CH₂N (CH₂CH₃) phenyl, -NHC (O) CH₂OCH₂CH₂OCH₃, -NHC (O) CH₂CH₂ (cyclopentyl), - NHC (O) (2, 5- dichlorophenyl), - NHC (O) CH₂ (4- methylcarbonylpiperazin- 1- yl), - NHC (O) (5- fluoro- 2- methoxyphenyl), - NHC (O) CH₂N (CH₂CH₃) cyclohexyl, -NHC (O) (5- methyl- 1, 2- oxazol- 3- yl), - NHC (O) (3- methylpyridin- 3- yl), - NHC (O) (2- methoxypyridin- 3- yl), - NHC (O) (3, 5- dichlorophenyl), - NHC (O) CH₂ (thiazolidin- 3- yl), - NHC (O) CH₂ (4- [C (O) H]- piperazin- 1- yl), - NHC (O) CH₂ (2- pyridin- 4- ylpiperidin- 1- yl), - NHC (O) (2- methoxyphenyl), - NHC (O) CH₂N (CH₃) CH₂CH (CH₃)₂, -NHC (O) CH₂ (4- [C (O) H]- homopiperazin- 1- yl), - NHC (O) (1- phenylcycloprop- 1- yl), - NHC (O) CH₂ (2, 6- dimethylmorpholin- 4- yl), NHC (O) CH₂ (2- phenylpyrrolidin- 1- yl), - NHC (O) CH₂ (morpholin- 4- yl), - C (O) NHCH (CH₃) CH₂N (CH₃)₂, -C (O) NHCH₂CH₂N (CH₃)₂, -C (O) NH (pyrrolidin- 3- yl), - C (O) NHCH₂CH₂ (pyrrolidin- 1- yl), - C (O) NHCH₂CH₂NH₂, -C (O) N (CH₃) CH₂CH₂N (CH₃)₂, -C (O) NHCH₂ (piperidin- 2- yl), - C (O) NH (1- methylazetidin- 3- yl), - C (O) NHCH₂CH₂ (piperidin- 1- yl), - C (O) NHCH₂CH₂N (CH₂CH₃)₂, -C (O) NH (1- methylpiperidin- 3- yl), - C (O) NH (piperidin- 3- yl), - C (O) NHCH₂ (1- methylpiperidin- 3- yl), - C (O) NHCH₂CH₂N (CH₂CH₂OH)₂, -C (O) NH (1- ethylpiperidin- 3- yl), - C (O) NH₂, -C (O) (3- aminopyrrolidin- 1- yl), - C (O) (3- methylaminopyrrolidin- 1- yl), - C (O) OH, -C (O) NHCH₂CH₂ (morpholin- 4- yl), - C (O) NHCH₂ (1- ethylpyrrolidin- 2- yl), - C (O) (4- amino- 3- oxo- pyrazolidin- 1- yl), - C (O) NHCH₃, -C (O) (3- aminocyclobut- 1- yl), - C (O) NHCH₂ (pyridin- 3- yl), - C (O) NHCH₂CH₂OH, -C (O) NH (3- oxo- pyrazolidin- 4- yl), - NHCH₂CH₂ (imidazol- 4- yl), - C (O) (3- dimethylaminopyrrolidin- 1- yl), - C (O) NHCH₂ (pyridin- 4- yl), - C (O) N (CH₃) (1- methyl- pyrrolidin- 3- yl), - C (O) (3- diethylaminopyrrolidin- 1- yl), - C (O) NH (pyrrol- 1- yl), - C (O) NHCH₂CH₂CH₂ (pyrrolidin- 1- yl), - C (O) N (CH₃) CH₂CH₂CN, -C (O) NHCH₂CH₂OCH₃, -C (O) N (CH₂CH₃) CH₂CH₂CN, -C (O) (3- aminopiperidin- 1- yl), - C (O) NHCH₂CH₂CH₂N (CH₃)₂, -C (O) NH (morpholin- 4- yl), - C (O) NHN (CH₃)₂, -C (O) NHCH₂CH₂CH₂ (imidazol- 1- yl), - C (O) NHCH₂CH₂CH₂N (CH₂CH₃)₂, -C (O) NHCH₂CH₂CN, -C (O) NHCH₂CH₂C (O) OCH₃, -C (O) NHCH₂CH₂SCH₃, -C (O) NHCH₂CH₂SCH₂CH₃, -C (O) N (CH₂CH₃) CH₂CH₂N (CH₃)₂, -C (O) NHCH₂CH₂CH₂ (2- oxo- pyrrolidin- 1- yl), - C (O) NHCH₂CH₂ (pyridin- 4- yl), - C (O) NHCH₂CH₂CH₂OCH₂CH₃, -C (O) NHCH₂CH₂CH₂ (morpholin- 4- yl), - C (O) NHCH₂CH₂CH₂OCH₃, -C (O) N (CH₃) CH₂CH₂CH₂N (CH₃)₂, -C (O) NHCH₂CH₂CH₂OCH₂CH₂CH₃, -C (O) NHCH₂CH₂C (O) OCH₂CH₃, -C (O) NHCH₂CH₂CH₂OCH (CH₃)₂, -C (O) NHC (CH₃)₂CH₂ (piperidin- 1- yl), - C (O) N (CH₃) CH₂CH₂CH₃, -C (O) NH (piperidin- 1- yl), - C (O) NHCH (CH₃) CH₂OCH₃, -C (O) NHC (CH₃)₂CH₂ (morpholin- 4- yl), - C (O) (2- dimethylaminomethylpiperidin- 1- yl), - C (O) NH (CH₂)₃O (CH₂)₃CH₃, -C (O) NHCH (CH₃) (CH₂)₃N (CH₂CH₃)₂, -C (O) NHC (CH₃)₂C (O) (piperidin- 1- yl), - C (O) (4- methylpiperazin- 1- yl), - C (O) (2- piperidin- 1- ylmethyl- piperidin- 1- yl), cyano, -NHCH₃, -CH (CH₃) NHCH₂CH₂N (CH₃)₂, -C (O) CH₃, -S (O)₂NHCH₂CH₂N (CH₃)₂, -S (O)₂NH (CH₂)₃N (CH₃)₂, 5- (N, N- dimethylaminomethyl)- 1, 3, 4- oxadiazol- 2- yl, -NHCH₂CH₂N (CH₃)₂, -N (CH₃)₂, -OCH₂CH₂N (CH₃)₂, -NHC [N (CH₃)₂] [=N (CH₃)₂], -OCHF₂, -CF₃, -S (O)₂CH₃, -OCF₃, -NHC (O) CH₂ (4- dimethylaminopiperidin- 1- yl), or methoxy.

[0207] In another (P), the Compound of Formula I is that where each R³ is independently halo, alkyl, hydroxyamino, -N (R⁷) C (O)- C₁- C₆- alkylene- N (R^{7a}) (R^{7b}), - C (O) NR⁸R^{8a}, -NR⁹C (O) R^{9a}, -C (O) N (R¹⁰)- C₁- C₆- alkylene- N (R^{10a}) R^{10b}, -NR¹¹C (O) NR^{11a}R^{11b}, -N (R²²) C (O)- C₁- C₆- alkylene- N (R^{22b})- N (R^{22c}) (R^{22a}), -NR¹³C (O) OR^{13a}, -N (R¹⁸) C (O)- C₁- C₆- alkylene- N (R^{18b}) C (O) R^{18a}, -NR²⁴C (O)- C₁- C₆- alkylene- OR^{24a}, or- N (R²) C (O)- C₁- C₆- alkylene- C (O) R^{20a}; where each of the alkylene in R³ is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, and amino; and all other groups are as defined above . In another, each R³ is independently methyl, chloro, -NHC (O) CH₂NH (CH₃), - NHC (O) CH (CH₃) NH₂, -NHC (O) C (CH₃)₂NH₂, -NHC (O) CH₂N (CH₃)₂, -NHC (O) CH₂N (CH₃) CH₂CH₂N (CH₃)₂, -NHC (O) CH (NH₂) CH₂CH₃, -NHC (O) CH₂N (CH₃) CH₂CH₂N (CH₃)₂, -NHC (O) CH (CH₃) NH (CH₃), - NHC (O) H, -NHC (O) CH₂ (azetidin- 1- yl), - NHC (O) (pyrrolidin- 2- yl), - NHC (O) CH (NH₂) CH₂OH, -NHC (O) (azetidin- 4- yl), - NHC (O) C (CH₃)₂NH (CH₃), - NH₂, -NHC (O) CH₂NH (CH₂CH₂CH₃), - NHC (O) CH₂CH₂NH₂, -NHOH, or- NHC (O) (piperidin- 3- yl) .

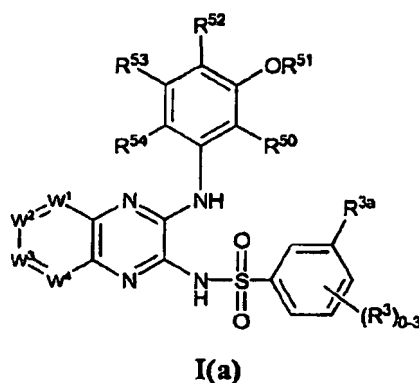
[0208] In another (Q), the Compound of Formula I is that where R³ is alkyl or- N (R⁷) C (O)- C₁- C₆- alkylene- N (R^{7a}) (R^{7b}) ; and R⁷ is hydrogen or alkyl and R^{7a} and R^{7b} are independently hydrogen, alkyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl; and all other groups are as defined above. In another, each R³ is independently methyl, -NHC (O) CH₂NH (CH₃), - NHC (O) CH (CH₃) NH₂, -NHC (O) C (CH₃)₂NH₂, -NHC (O)- CH₂N (CH₃)₂, -NHC (O) CH₂N (CH₃) CH₂CH₂N (CH₃)₂, -NHC (O) CH (NH₂) CH₂CH₃, -NHC (O) CH₂N (CH₃) CH₂CH₂N (CH₃)₂, or- NHC (O) CH (CH₃) NH (CH₃) .

[0209] In another (R), the Compound of Formula I is that where B is phenyl, R³ is not present or R³ is halo, alkyl, or alkoxy; R^{3a} is- C (O) NR⁸R^{8a}, -NR⁹C (O) R^{9a}, -N (R⁷) C (O)- C₁- C₆- alkylene- N (R^{7a}) (R^{7b}), or- C (O) N (R¹⁰)- C₁- C₆- alkylene- N (R^{10a}) R^{10b} where each of the alkylene in R^{3a} is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, and amino; and all other groups are as defined in the Summary of the Invention.

[0210] In another compound (R1) of compound R, the compound is that where R⁵⁰, R⁵², and R⁵³ are hydrogen and R⁵⁴ is halo or alkoxy; R⁵⁰, R⁵², and R⁵⁴ are hydrogen and R⁵³ is alkoxy; or R⁵⁰ and R⁵² are hydrogen and R⁵³ and R⁵⁴ together with the carbons to which they are attached form a 6-membered heteroaryl; and all other groups are as defined above . In another embodiment, R⁵⁰, R⁵², and R⁵³ are hydrogen and R⁵⁴ is halo or alkoxy; or R⁵⁰, R⁵², and R⁵⁴ are hydrogen and R⁵³ is alkoxy.

[0211] In another compound (R2) of compound R, the compound is that where R⁵¹ is methyl.

[0212] In another (S), the compound of Formula Ia:



is that where R^3 is not present or R^3 is alkyl and R^{3a} is- N (R^7) C (O)- C_1 - C_6 - alkylene- N (R^{7a}) (R^{7b}), - C (O) NR^8R^{8a} , - NR^9C (O) R^{9a} , or- C (O) N (R^{10})- C_1 - C_6 - alkylene- N (R^{10a}) R^{10b} ; where each of the alkylene in R^{3a} is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, and amino; and all other groups are as defined above. In another, R^3 is not present or is methyl. In another, R^3 is not present.

[0213] Another compound (S1) of compound S is that where R^7 is hydrogen or alkyl and R^{7a} , and R^{7b} are independently hydrogen, alkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl; R^8 is hydrogen or alkyl and R^{8a} is heterocycloalkyl or heterocycloalkylalkyl; R^9 is hydrogen or alkyl and R^{9a} is hydrogen, heterocycloalkyl, or heterocycloalkylalkyl; and R^{10} , R^{10a} , and R^{10b} are independently hydrogen, alkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl.

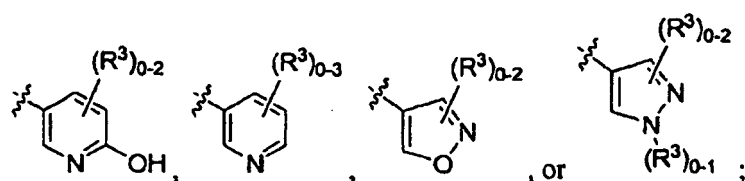
[0214] Another compound (S2) of compound S is that where R^{50} , R^{52} , and R^{53} are hydrogen and R^{54} is halo or alkoxy; or R^{50} , R^{52} , and R^{54} are hydrogen and R^{53} is alkoxy; or R^{50} and R^{52} are hydrogen and R^{53} and R^{54} together with the carbons to which they are attached form a 6-membered heteroaryl. In another, R^{50} , R^{52} , and R^{53} are hydrogen and R^{54} is halo or alkoxy; or R^{50} , R^{52} , and R^{54} are hydrogen and R^{53} is alkoxy.

[0215] In another compound (S3) of compound S, the compound is that where R^{51} is methyl.

[0216] In another (T), the Compound of Formula I is that where B is heteroaryl, one R^3 is halo, alkyl, or alkoxy and a second R^3 is- C (O) NR^8R^{8a} , - NR^9C (O) R^{9a} , -N (R^7) C (O)- C_1 - C_6 - alkylene- N (R^{7a}) (R^{7b}), or- C (O) N (R^{10})- C_1 - C_6 - alkylene- N (R^{10a}) R^{10b} where each of the alkylene in R^3 is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, and amino; and all other groups are as defined above.

[0217] In another compound (T1) of compound T, the compound is that where R^7 is hydrogen or alkyl and R^{7a} , and R^{7b} are independently hydrogen, alkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl; R^8 is hydrogen or alkyl and R^{8a} is heterocycloalkyl or heterocycloalkylalkyl; R^9 is hydrogen or alkyl and R^{9a} is hydrogen, heterocycloalkyl, or heterocycloalkylalkyl; R^{10} , R^{10a} , and R^{10b} are independently hydrogen, alkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl.

[0218] In another compound U, the compound of Formula I is that where B is



each R^3 (when R^3 is present) is independently halo, alkyl, alkoxy, aminoalkoxy, alkylaminoalkoxy, dialkylaminoalkoxy, alkylamino, dialkylamino, -C (O) NR^8R^{8a} , - NR^9C (O) R^{9a} , -N (R^7) C (O)- C_1 - C_6 - alkylene- N (R^{7a}) (R^{7b}), or- C (O) N (R^{10})- C_1 - C_6 - alkylene- N (R^{10a}) R^{10b} ; and all other groups are as defined above.

[0219] In another compound (U1) of compound U, the compound of Formula I is that where R^{50} , R^{52} , and R^{53} are hydrogen and R^{54} is halo or alkoxy; R^{50} , R^{52} , and R^{54} are hydrogen and R^{53} is alkoxy; or R^{50} and R^{52} are hydrogen and R^{53} and R^{54} together with the carbons to which they are attached form a 6-membered heteroaryl; and all other groups are as defined above. In another embodiment, R^{50} , R^{52} , and R^{53} are hydrogen and R^{54} is halo or alkoxy; or R^{50} , R^{52} , and R^{54} are hydrogen and R^{53} is alkoxy.

[0220] In another compound (U2) of compound U1, the compound of Formula I is that where R^{51} is methyl.

[0221] In another compound (U3) of compound U, the Compound of Formula I is that where R^7 is hydrogen or alkyl and R^{7a} , and R^{7b} are independently hydrogen, alkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl; R^8 is hydrogen or alkyl and R^{8a} is heterocycloalkyl or heterocycloalkylalkyl; R^9 is hydrogen or alkyl and R^{9a} is hydrogen,

heterocycloalkyl, or heterocycloalkylalkyl; R¹⁰, R^{10a}, and R^{10b} are independently hydrogen, alkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl

[0222] In another compound (V) the Compound of Formula I is that where W¹, W², W³, and W⁴ are- C (H) =; or W² and W³ are- C (H) = and one of W¹ and W⁴ is- N= and the other is- C (H) =;

R⁵⁰ is hydrogen;

R⁵¹ is hydrogen or alkyl;

R⁵² is hydrogen;

R⁵³ is hydrogen, alkoxy, nitro, amino, or- N (R⁵⁵) C (O)- C₁- C₆- alkylene- N (R^{55a}) R^{55b}; and R⁵⁴ is hydrogen, alkyl, alkoxy, or halo; or R⁵³ and R⁵⁴ together with the carbons to which they are attached form a 6- membered heteroaryl;

B is phenyl substituted with R^{3a} and optionally further substituted with one R³; or

B is heteroaryl optionally substituted with one or two R³;

R^{3a} is cyano; hydroxyamino; carboxy; alkylsulfonyl, aminoalkoxy; alkylaminoalkoxy; dialkylaminoalkoxy; -N (R⁷) C (O)- C₁- C₆- alkylene- N (R^{7a}) (R^{7b}) ; - C (O) NR⁸R^{8a}; - NR⁹C (O) R^{9a}; - C (O) N (R¹⁰) - C₁- C₆- alkylene- N (R^{10a}) R^{10b}; - NR¹¹C (O) NR^{11a}R^{11b} where R^{11a}; - C (O) R¹²; - NR¹³C (O) OR^{13a}; - C (O) N (R¹⁴) N (R^{14a}) (R^{14b}) ; - S (O)₂N (R¹⁵) - C₁- C₆- alkylene- N (R^{15a}) R^{15b}; - C (O) N (R¹⁶) - C₁- C₆- alkylene- C (O) OR^{16a}; heteroaryl optionally substituted with one or two aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl; - N (R¹⁷) - C (=N (R^{17b}) (R^{17a})) (NR^{17c}R^{17d}) ; - N (R¹⁸) C (O)- C₁- C₆- alkylene- N (R^{18b}) C (O) R^{18a}; - C (O) N (R¹⁹) - C₁- C₆- alkylene- C (O) R^{19a}; - N (R²²) C (O)- C₁- C₆- alkylene- N (R^{22b}) - N (R^{22c}) (R^{22a}) ; - C₀- C₆- alkylene- N (R²³) - C₁- C₆- alkylene- N (R^{23b}) R^{23a}; or- NR²⁴C (O)- C₁- C₆- alkylene- OR^{24a}; where each of the alkylene in R^{3a} is independently optionally further substituted with 1, 2, 3, 4, or 5 groups

selected from halo, hydroxy, and amino;

each R³ (when R³ is present) is independently halo; cyano; alkyl; alkenyl; alkoxy; hydroxyamino; carboxy; alkylsulfonyl, aminoalkoxy; alkylaminoalkoxy; dialkylaminoalkoxy; -N (R⁷) C (O)- C₁- C₆- alkylene- N (R^{7a}) (R^{7b}) ; - C (O) NR⁸R^{8a}; - NR⁹C (O) R^{9a}; - C (O) N (R¹⁰) - C₁- C₆- alkylene- N (R^{10a}) R^{10b}; - NR¹¹C (O) NR^{11a}R^{11b} where R^{11a}; - C (O) R¹²; - NR¹³C (O) OR^{13a}; - C (O) N (R¹⁴) N (R^{14a}) (R^{14b}) ; - S (O)₂N (R¹⁵) - C₁- C₆- alkylene- N (R^{15a}) R^{15b}; - C (O) N (R¹⁶) - C₁- C₆- alkylene- C (O) OR^{16a}; heteroaryl optionally substituted with one or two aminoalkyl, alkylaminoalkyl, or dialkylaminoalkyl; - N (R¹⁷) - C (=N (R^{17b}) (R^{17a})) (NR^{17c}R^{17d}) ; - N (R¹⁸) C (O)- C₁- C₆- alkylene- N (R^{18b}) C (O) R^{18a}; - C (O) N (R¹⁹) - C₁- C₆- alkylene- C (O) R^{19a}; - N (R²²) C (O)- C₁- C₆- alkylene- N (R^{22b}) - N (R^{22c}) (R^{22a}) ; - C₀- C₆- alkylene- N (R²³) - C₁- C₆- alkylene- N (R^{23b}) R^{23a}; or- NR²⁴C (O)- C₁- C₆- alkylene- OR^{24a}; where each of the alkylene in R³ is independently optionally further substituted with 1, 2, 3, 4, or 5 groups selected from halo, hydroxy, and amino;

provided that when R⁵⁰ and R⁵² are hydrogen, R⁵¹ is hydrogen or methyl, R⁵³ is hydrogen or methoxy, and R⁵⁴ is hydrogen or methoxy, then B is not 2, 3- dihydro- 1, 4- benzodioxinyl, thien- 2- yl, or thien- 2- yl substituted with one R³ where R³ is halo.

[0223] Another compound (W) is a Compound of Formula I where R⁵⁰, R⁵³, and R⁵⁴ are independently hydrogen, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, hydroxy, alkoxy, alkenyloxy, haloalkoxy, nitro, amino, alkylamino, dialkylamino, -N (R⁵⁵) C (O)- C₁- C₆- alkylene- N (R^{55a}) R^{55b}, alkylcarbonyl, alkenylcarbonyl, carboxy, alkoxy carbonyl, cyano, alkylthio, -S (O)₂NR⁵⁵R^{55a}, or alkylcarbonylamino and where R⁵⁵ and R^{55b} are independently hydrogen, alkyl, or alkenyl and R^{55a} is hydrogen, alkyl, alkenyl, hydroxy, or alkoxy; or R⁵³ and R⁵⁴ together with the carbons to which they are attached form a 5- or 6- membered heteroaryl or 5- or 6- membered heterocycloalkyl.

[0224] Another compound (X) is a Compound of Formula I where R⁵³ and R⁵⁴ together with the carbons to which they are attached form a 5- or 6- membered heteroaryl or 5- or 6- membered heterocycloalkyl.

Representative Compounds

[0225] Representative compounds of Formula I and/or II are depicted below. Compounds of Table 1 are named according to systematic application of the nomenclature rules agreed upon by the International Union of Pure and Applied Chemistry (IUPAC), International Union of Biochemistry and Molecular Biology (IUBMB), and the Chemical Abstracts Service (CAS). Names in Table 1 were generated using ACD/Labs naming software 8.00 release, product version 8.08 with the exception of Compound 374 which was named using ChemDraw v. 9.0.1.

Table 1

Representative PI3K-alpha Inhibitors

[0226] The Compounds in Table 1 can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. Salt, solvate, hydrate, and isomer combinations of the Compounds of Claim 1 can be used to practice the invention. In particular, the invention can be practiced with one or two pharmaceutically acceptable salts of a Compound of Claim 1 which salt (s) are formed with one or two acids independently selected from hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid, phosphoric acid, acetic acid, trifluoroacetic acid, propionic acid, hexanoic acid,

cyclopentanepropionic acid, glycolic acid, pyruvic acid, lactic acid, oxalic acid, maleic acid, malonic acid, succinic acid, fumaric acid, tartaric acid, citric acid, benzoic acid, cinnamic acid, 3- (4- hydroxybenzoyl) benzoic acid, mandelic acid, methanesulfonic acid, ethanesulfonic acid, 1, 2- ethanedisulfonic acid, 2- hydroxyethanesulfonic acid, benzenesulfonic acid, 4- chlorobenzenesulfonic acid, 2- naphthalenesulfonic acid, 4- toluenesulfonic acid, camphorsulfonic acid, gluco-
 5 heptonic acid, 4, 4'- methylenebis- (3- hydroxy- 2- ene- 1- carboxylic acid), 3- phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid, p- toluenesulfonic acid, and salicylic acid. In particular, the invention can be practiced with one or two pharmaceutically acceptable salts of a Compound of Claim 1 which salt (s) are formed with one or two bases independently selected from sodium, potassium, lithium, ammonium, calcium, magnesium, iron, zinc, copper, manga-
 10 nese, aluminum, isopropylamine, trimethylamine, diethylamine, triethylamine, tripropylamine, ethanolamine, 2- dimethylaminoethanol, 2- diethylaminoethanol, dicyclohexylamine, lysine, arginine, histidine, caffeine, procaine, hydrabamine, choline, betaine, ethylenediamine, glucosamine, methylglucamine, theobromine, purines, piperazine, piperidine, *N*-ethyl- piperidine, tromethamine, and *N*- methylglucamine. Any individual compound (and any optional salt, optional solvate, and optional hydrate thereof) in Table 1 can be used in combination with any of the above embodiments.

15 **[0227]** Except compound 357, all the compounds of Table 1 are to be considered as reference examples.

20

25

30

35

40

45

50

55

Cpd. No.	Structure	Name
1		N-(4-(((3-((4-(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)acetamide
2		4-bromo-N-[3-(phenylamino)quinoxalin-2-yl]benzene sulfonamide
3		4-bromo-N-[3-((2-methylphenyl)amino)quinoxalin-2-yl]benzene sulfonamide
4		4-bromo-N-(3-((4-(methoxy)phenyl)amino)quinoxalin-2-yl)benzene sulfonamide
5		4-chloro-N-[3-((4-chlorophenyl)amino)-6-(methoxy)quinoxalin-2-yl]benzenesulfonamide
6		N-(4-(((3-((4-chlorophenyl)sulfonyl)amino)-7-(methoxy)quinoxalin-2-yl)amino)phenyl)acetamide
7		4-chloro-N-[6-(methoxy)-3-((2-oxo-2,3-dihydro-1H-benzimidazol-5-yl)amino)quinoxalin-2-yl]benzenesulfonamide

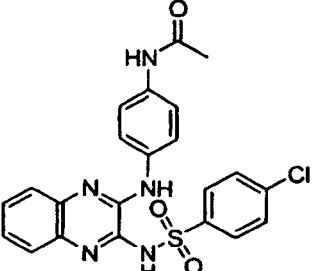
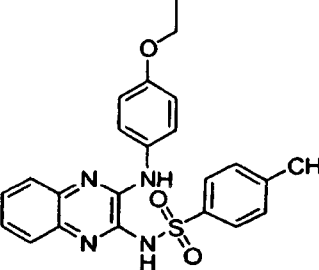
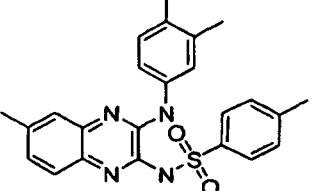
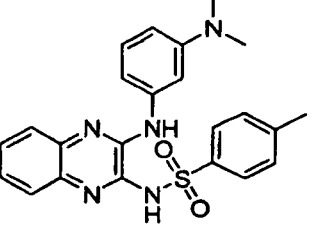
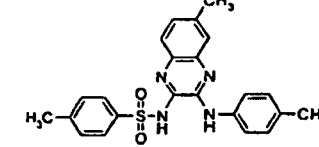
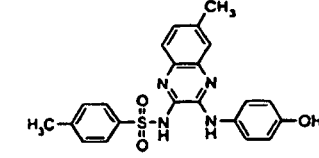
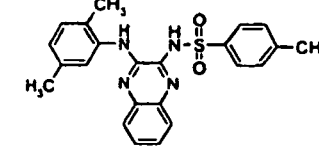
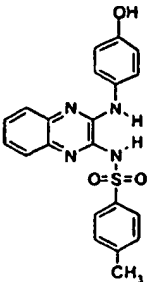
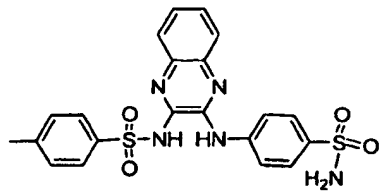
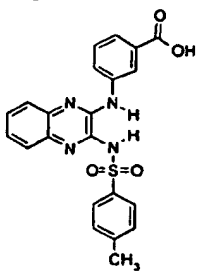
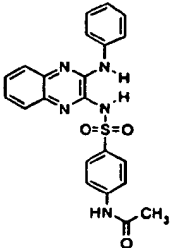
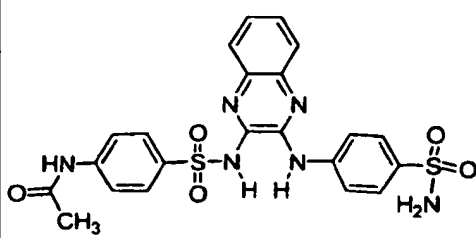
Cpd. No.	Structure	Name
8		<i>N</i> -{4-[(3-[(4-chlorophenyl)sulfonyl]amino)quinoxalin-2-yl]amino}phenyl}acetamide
9		<i>N</i> -(3-[[4-(ethoxy)phenyl]amino]quinoxalin-2-yl)-4-methylbenzene sulfonamide
10		<i>N</i> -{3-[(3,4-dimethylphenyl)amino]-6-methylquinoxalin-2-yl}-4-methylbenzene sulfonamide
11		<i>N</i> -(3-[[3-(dimethylamino)phenyl]amino]quinoxalin-2-yl)-4-methylbenzene sulfonamide
12		4-methyl- <i>N</i> -{6-methyl-3-[(4-methylphenyl)amino]quinoxalin-2-yl}benzene sulfonamide
13		<i>N</i> -{3-[(4-hydroxyphenyl)amino]-6-methylquinoxalin-2-yl}-4-methylbenzene sulfonamide
14		<i>N</i> -{3-[(2,5-dimethylphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
15		4-chloro- <i>N</i> -[3-(naphthalen-2-ylamino)quinoxalin-2-yl]benzenesulfonamide
16		<i>N</i> -[3-[(3-aminophenyl)amino]quinoxalin-2-yl]-4-chlorobenzenesulfonamide
17		<i>N</i> -[3-[[4-(aminosulfonyl)phenyl]amino]quinoxalin-2-yl]-3-nitrobenzenesulfonamide
18		4-chloro- <i>N</i> -[3-[(4-chlorophenyl)amino]quinoxalin-2-yl]benzenesulfonamide
19		4-chloro- <i>N</i> -[3-[(4-methylphenyl)amino]quinoxalin-2-yl]benzenesulfonamide
20		4-chloro- <i>N</i> -[3-[(2-methylphenyl)amino]quinoxalin-2-yl]benzenesulfonamide
21		methyl 4-[[3-[[4-(4-chlorophenyl)sulfonyl]amino]quinoxalin-2-yl]amino]benzoate
22		methyl 2-chloro-5-[[3-[[4-(4-methylphenyl)sulfonyl]amino]quinoxalin-2-yl]amino]benzoate

Cpd. No.	Structure	Name
23		<i>N</i> -{4-[(7-methyl-3-[[[4-methylphenyl)sulfonyl]amino]quinoxalin-2-yl)amino]phenyl}acetamide
24		4-methyl- <i>N</i> -(6-methyl-3-{[2-(methoxy)phenyl]amino} quinoxalin-2-yl)benzenesulfonamide
25		<i>N</i> -{3-[(phenylmethyl)amino]quinoxalin-2-yl} benzenesulfonamide
26		4-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl} amino)benzoic acid
27		3-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl} amino)benzenesulfonamide
28		<i>N</i> -{3-[(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1 <i>H</i> -pyrazol-4-yl)amino]quinoxalin-2-yl} benzenesulfonamide
29		<i>N</i> -{3-[(4-hydroxyphenyl)amino]quinoxalin-2-yl} benzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
30		<i>N</i> -{3-[(4-hydroxyphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
31		<i>N</i> -(3-{[4-(aminosulfonyl)phenyl]amino}quinoxalin-2-yl)-4-methylbenzenesulfonamide
32		3-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
33		<i>N</i> -[4-({[3-(phenylamino)quinoxalin-2-yl]amino}sulfonyl)phenyl]acetamide
34		<i>N</i> -(4-{[3-{[4-(aminosulfonyl)phenyl]amino}quinoxalin-2-yl]amino}sulfonyl)phenyl)acetamide

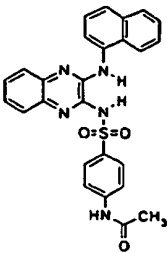
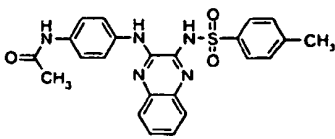
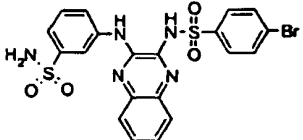
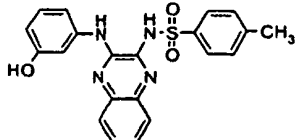
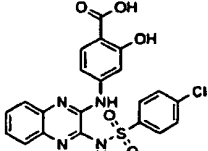
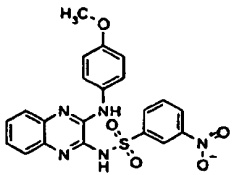
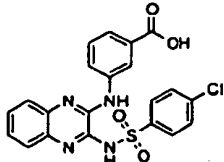
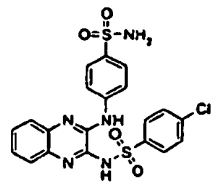
Cpd. No.	Structure	Name
35		<i>N</i> -[4-({[3-(naphthalen-1-ylamino)quinoxalin-2-yl]amino}sulfonyl)phenyl]acetamide
36		<i>N</i> -{4-[(3-[(4-methylphenyl)sulfonyl]amino)quinoxalin-2-yl]amino}phenyl}acetamide
37		<i>N</i> -(3-[(3-(aminosulfonyl)phenyl)amino]quinoxalin-2-yl)-4-bromobenzenesulfonamide
38		<i>N</i> -{3-[(3-hydroxyphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
39		4-[(3-[(4-chlorophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]-2-hydroxybenzoic acid
40		<i>N</i> -(3-[(4-(methoxy)phenyl)amino]quinoxalin-2-yl)-3-nitrobenzenesulfonamide
41		3-[(3-[(4-chlorophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]benzoic acid
42		<i>N</i> -(3-[(4-(aminosulfonyl)phenyl)amino]quinoxalin-2-yl)-4-chlorobenzenesulfonamide

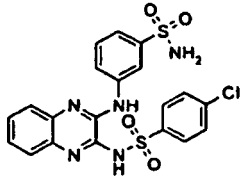
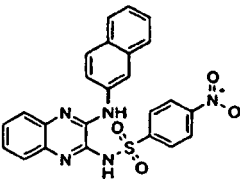
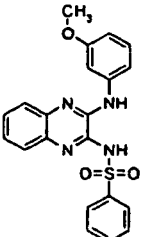
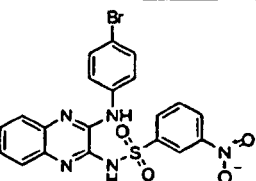
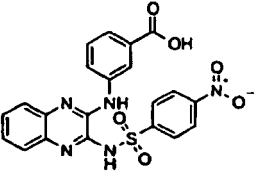
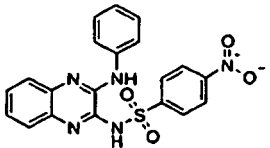
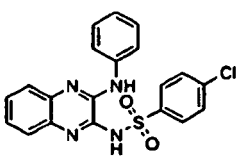
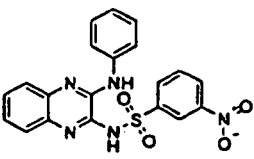
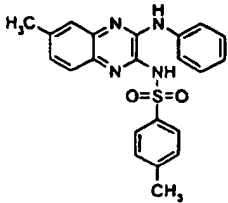
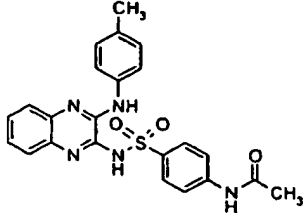
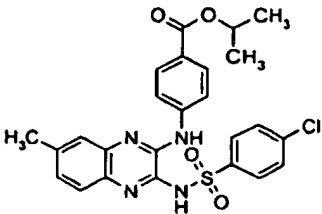
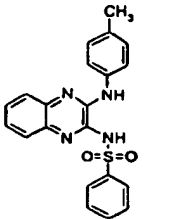
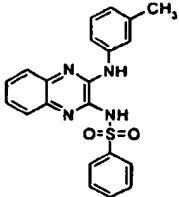
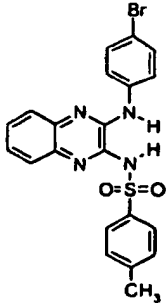
Table 1		
Cpd. No.	Structure	Name
43		<i>N</i> -(3-{[3-(aminosulfonyl)phenyl]amino}quinoxalin-2-yl)-4-chlorobenzenesulfonamide
44		<i>N</i> -[3-(naphthalen-2-ylamino)quinoxalin-2-yl]-4-nitrobenzenesulfonamide
45		<i>N</i> -(3-{[3-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
46		<i>N</i> -{3-[(4-bromophenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
47		3-[(3-[(4-nitrophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]benzoic acid
48		4-nitro- <i>N</i> -[3-(phenylamino)quinoxalin-2-yl]benzenesulfonamide
49		4-chloro- <i>N</i> -[3-(phenylamino)quinoxalin-2-yl]benzenesulfonamide
50		3-nitro- <i>N</i> -[3-(phenylamino)quinoxalin-2-yl]benzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
51		4-[(3-[[[4-nitrophenyl)sulfonyl]amino]quinoxalin-2-yl]amino]benzoic acid
52		<i>N</i> -[3-(naphthalen-2-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
53		4-methyl- <i>N</i> -(3-[[3-(methoxy)phenyl]amino]quinoxalin-2-yl)benzenesulfonamide
54		<i>N</i> -(3-[[3-chloro-4-(methoxy)phenyl]amino]quinoxalin-2-yl)benzenesulfonamide
55		<i>N</i> -{3-[[3-chloro-4-fluorophenyl]amino]quinoxalin-2-yl}benzenesulfonamide
56		methyl 2-chloro-5-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)benzoate
57		4-chloro- <i>N</i> -{3-[(3-hydroxyphenyl)amino]quinoxalin-2-yl}benzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
58		4-methyl-N-[6-methyl-3-(phenylamino)quinoxalin-2-yl]benzenesulfonamide
59		N-{4-[(3-[(4-methylphenyl)amino]quinoxalin-2-yl)amino]sulfonyl}phenyl}acetamide
60		1-methylethyl 4-[(3-[(4-chlorophenyl)sulfonyl]amino)-7-methylquinoxalin-2-yl]amino]benzoate
61		N-{3-[(4-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
62		N-{3-[(3-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
63		N-{3-[(4-bromophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide

Cpd. No.	Structure	Name
64		4-methyl- <i>N</i> -{3-[(3-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
65		4-methyl- <i>N</i> -{3-(naphthalen-1-ylamino)quinoxalin-2-yl}benzenesulfonamide
66		<i>N</i> -{4-[(3-[(4-chlorophenyl)amino]quinoxalin-2-yl)amino]sulfonyl}phenyl}acetamide
67		<i>N</i> -(4-[[3-[(3-(aminosulfonyl)phenyl)amino]quinoxalin-2-yl)amino]sulfonyl}phenyl)acetamide
68		4-methyl- <i>N</i> -{3-[(phenylmethyl)amino]quinoxalin-2-yl}benzenesulfonamide
69		4-[(3-[(4-bromophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]-2-hydroxybenzoic acid

Table 1		
Cpd. No.	Structure	Name
70		4-bromo- <i>N</i> -{3-[(4-methylphenyl)amino]quinoxalin-2-yl} benzenesulfonamide
71		4-bromo- <i>N</i> -{3-[(3-methylphenyl)amino]quinoxalin-2-yl} benzenesulfonamide
72		<i>N</i> -{4-[(3-[(2-hydroxyethyl)amino]quinoxalin-2-yl)amino)sulfonyl]phenyl}acetamide
73		4-bromo- <i>N</i> -[3-(naphthalen-1-ylamino)quinoxalin-2-yl]benzenesulfonamide
74		4-[(3-[(4-chlorophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]benzoic acid
75		3-[(3-[(3-nitrophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]benzoic acid
76		<i>N</i> -{3-[(2-methylphenyl)amino]quinoxalin-2-yl} benzenesulfonamide
77		4-[(3-[(phenylsulfonyl)amino]quinoxalin-2-yl)amino]benzenesulfonamide

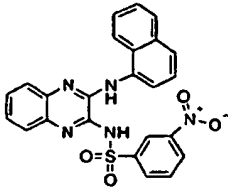
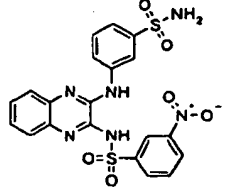
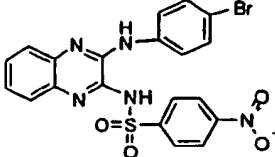
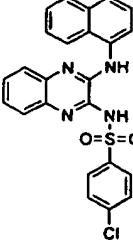
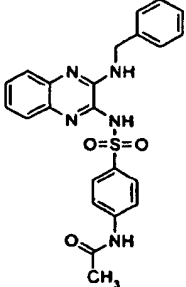
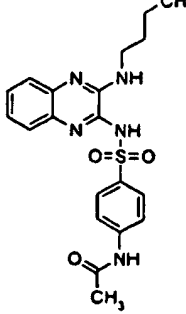
Cpd. No.	Structure	Name
78		<i>N</i> -[3-(naphthalen-1-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
79		<i>N</i> -(3-[[3-(aminosulfonyl)phenyl]amino]quinoxalin-2-yl)-3-nitrobenzenesulfonamide
80		<i>N</i> -{3-[(4-bromophenyl)amino]quinoxalin-2-yl}-4-nitrobenzenesulfonamide
81		4-chloro- <i>N</i> -[3-(naphthalen-1-ylamino)quinoxalin-2-yl]benzenesulfonamide
82		<i>N</i> -{4-[[3-[(phenylmethyl)amino]quinoxalin-2-yl]amino]sulfonyl}phenyl]acetamide
83		<i>N</i> -[4-[[3-(butylamino)quinoxalin-2-yl]amino]sulfonyl]phenyl]acetamide

Table 1		
Cpd. No.	Structure	Name
84		<i>N</i> -[3-(butylamino)quinoxalin-2-yl]-4-methylbenzenesulfonamide
85		<i>N</i> -[3-(cyclohexylamino)quinoxalin-2-yl]benzenesulfonamide
86		1-(phenylsulfonyl)-3-[4-(pyrrolidin-1-ylsulfonyl)phenyl]-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxaline
87		1-(phenylsulfonyl)-3-[4-(piperidin-1-ylsulfonyl)phenyl]-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxaline
88		2,5-dichloro- <i>N</i> -[3-(3,4-dihydroquinolin-1(2 <i>H</i>)-yl)quinoxalin-2-yl]benzenesulfonamide
89		ethyl 2-[(3-[(4-methylphenyl)sulfonyl]amino)quinoxalin-2-yl]amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate

Table 1		
Cpd. No.	Structure	Name
90		2,5-dichloro- <i>N</i> -{3-[(2-morpholin-4-yl)phenyl]amino}quinoxalin-2-yl} benzenesulfonamide
91		<i>N</i> -{4-[(3-[(3-methylphenyl)amino]quinoxalin-2-yl)amino)sulfonyl]phenyl} acetamide
92		4-chloro- <i>N</i> -{3-[(3-chloro-4-piperidin-1-yl)phenyl]amino]-6-methylquinoxalin-2-yl} benzenesulfonamide
93		3-nitro- <i>N</i> -[3-(quinolin-6-ylamino)quinoxalin-2-yl]benzenesulfonamide
94		butyl <i>N</i> -[[4-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl)amino}phenyl]carbonyl]glycinate
95		4-nitro- <i>N</i> -(3-{3-(trifluoromethyl)phenyl}amino}quinoxalin-2-yl)benzenesulfonamide

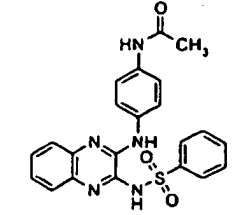
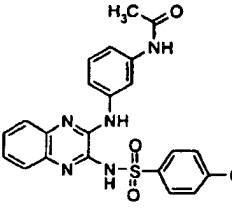
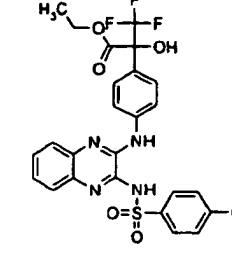
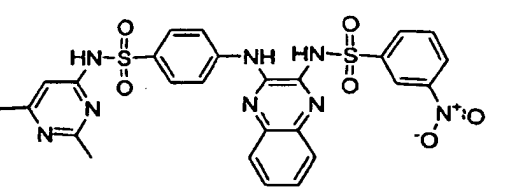
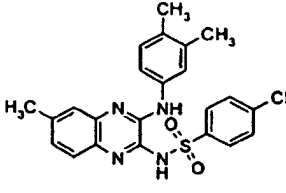
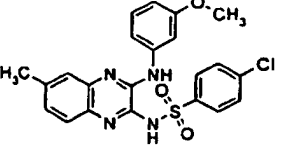
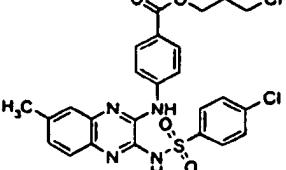
Cpd. No.	Structure	Name
96		<i>N</i> -[4-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)phenyl]acetamide
97		<i>N</i> -{3-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl}acetamide
98		ethyl 3,3,3-trifluoro-2-hydroxy-2-{4-[(3-{[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl}propanoate
99		<i>N</i> -{3-[(4-{[(2,6-dimethylpyrimidin-4-yl)amino]sulfonyl}phenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
100		4-chloro- <i>N</i> -{3-[(3,4-dimethylphenyl)amino]-6-methylquinoxalin-2-yl}benzenesulfonamide
101		4-chloro- <i>N</i> -(6-methyl-3-{[3-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
102		butyl 4-[(3-{[(4-chlorophenyl)sulfonyl]amino}-7-methylquinoxalin-2-yl)amino]benzoate

Table 1		
Cpd. No.	Structure	Name
103		4-chloro- <i>N</i> -{3-[(3-chloro-4-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
104		1-methylethyl 4-({3-[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoate
105		<i>N</i> -{3-[(2,5-dimethylphenyl)amino]-6-nitroquinoxalin-2-yl}-4-methylbenzenesulfonamide
106		<i>N</i> -[3-(cyclohexylamino)-6-nitroquinoxalin-2-yl]-4-methylbenzenesulfonamide
107		<i>N</i> -{3-[(2,4-dimethylphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
108		<i>N</i> -(3-({4-(ethoxy)phenyl}amino)-6-methylquinoxalin-2-yl)-4-methylbenzenesulfonamide
109		3-({3-[(4-[hydroxy(oxido)amino]phenyl)sulfonyl]amino}quinoxalin-2-yl)amino)benzoic acid

Cpd. No.	Structure	Name
110		<i>N</i> -{[4-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)phenyl]carbonyl}glycine
111		<i>N</i> -{3-[(3-[(4-chlorophenyl)sulfonyl]amino)-7-methylquinoxalin-2-yl]amino}phenyl}acetamide
112		4-chloro- <i>N</i> -{3-[(3,5-dimethyl-1 <i>H</i> -pyrazol-4-yl)amino]-6-methylquinoxalin-2-yl}benzenesulfonamide
113		4-bromo- <i>N</i> -{3-[(4'-nitrobiphenyl-3-yl)amino]quinoxalin-2-yl}benzenesulfonamide
114		4-bromo- <i>N</i> -{3-[(2-chlorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
115		<i>N</i> -{3-[(4-butylphenyl)amino]-6-methylquinoxalin-2-yl}-4-chlorobenzenesulfonamide
116		<i>N</i> -{4-[(3-[(4-chlorophenyl)sulfonyl]amino)-7-methylquinoxalin-2-yl]amino}phenyl}acetamide

Table 1		
Cpd. No.	Structure	Name
117		4-chloro- <i>N</i> -{6-methyl-3-[(2-oxo-2,3-dihydro-1 <i>H</i> -benzimidazol-5-yl)amino]quinoxalin-2-yl} benzenesulfonamide
118		propyl 4-[(3-[(4-chlorophenyl)sulfonyl]amino)-7-methylquinoxalin-2-yl]amino]benzoate
119		4-chloro- <i>N</i> -{3-[(4-fluorophenyl)amino]quinoxalin-2-yl} benzenesulfonamide
120		<i>N</i> -[4-[(3-(naphthalen-2-ylamino)quinoxalin-2-yl)amino]sulfonyl]phenyl]acetamide
121		4-bromo- <i>N</i> -(3-[(4-(phenylamino)phenyl)amino]quinoxalin-2-yl) benzenesulfonamide
122		2-hydroxy-4-[(3-[(phenylsulfonyl)amino]quinoxalin-2-yl)amino]benzoic acid
123		<i>N</i> -(3-[(3-(aminosulfonyl)phenyl)amino]quinoxalin-2-yl)-4-methylbenzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
124		4-[(3-[[3-nitrophenyl]sulfonyl]amino)quinoxalin-2-yl]amino]benzoic acid
125		<i>N</i> -(3-[[3-(butyloxy)phenyl]amino]quinoxalin-2-yl)-4-methylbenzenesulfonamide
126		<i>N</i> -{3-[[4-fluorophenyl]amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
127		4-[[3-[[4-(acetylamino)phenyl]sulfonyl]amino]quinoxalin-2-yl]amino]-2-hydroxybenzoic acid
128		<i>N</i> -[3-(naphthalen-1-ylamino)quinoxalin-2-yl]-4-nitrobenzenesulfonamide
129		4-[(3-[[4-bromophenyl]sulfonyl]amino)quinoxalin-2-yl]amino]benzoic acid
130		<i>N</i> -{4-[[3-[[3-(3-hydroxyphenyl)amino]quinoxalin-2-yl]amino]sulfonyl]phenyl}acetamide

Table 1		
Cpd. No.	Structure	Name
131		3-[(3-[(4-bromophenyl)sulfonyl]amino)quinoxalin-2-yl]amino]benzoic acid
132		4-bromo-N-(3-[(3-(butyloxy)phenyl]amino)quinoxalin-2-yl]benzenesulfonamide
133		4-bromo-N-(3-[(3-(trifluoromethyl)phenyl]amino)quinoxalin-2-yl]benzenesulfonamide
134		4-methyl-N-(3-[(4'-nitrobiphenyl-3-yl]amino)quinoxalin-2-yl]benzenesulfonamide
135		4-chloro-N-(3-[(3-fluorophenyl]amino)quinoxalin-2-yl]benzenesulfonamide
136		N-(3-[(2-chlorophenyl]amino)quinoxalin-2-yl]benzenesulfonamide
137		4-bromo-N-(3-(quinolin-5-ylamino)quinoxalin-2-yl]benzenesulfonamide

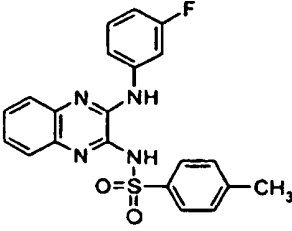
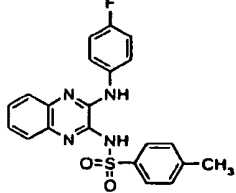
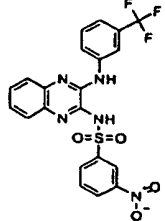
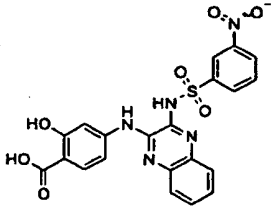
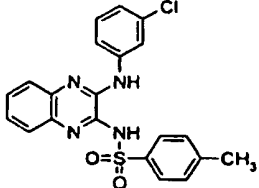
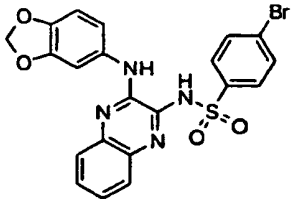
Cpd. No.	Structure	Name
138		<i>N</i> -{3-[(3-fluorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
139		<i>N</i> -{3-[(4-fluorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
140		3-nitro- <i>N</i> -{3-[(3-(trifluoromethyl)phenyl)amino]quinoxalin-2-yl)benzenesulfonamide
141		2-hydroxy-4-[(3-[(3-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
142		<i>N</i> -{3-[(3-chlorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
143		<i>N</i> -[3-(1,3-benzodioxol-5-ylamino)quinoxalin-2-yl]-4-bromobenzenesulfonamide

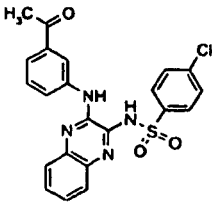
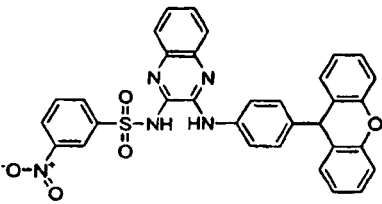
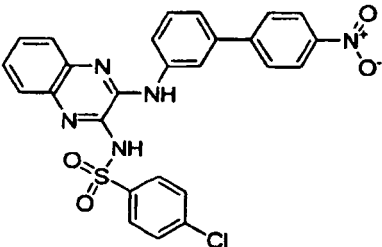
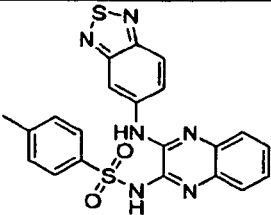
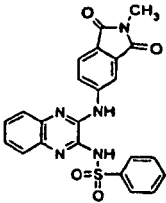
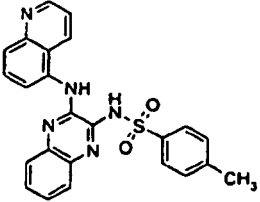
Table 1		
Cpd. No.	Structure	Name
144		<i>N</i> -{3-[(3-acetylphenyl)amino]quinoxalin-2-yl}-4-chlorobenzenesulfonamide
145		3-nitro- <i>N</i> -(3-{[4-(9 <i>H</i> -xanthen-9-yl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
146		4-chloro- <i>N</i> -{3-[(4'-nitrobiphenyl-3-yl)amino]quinoxalin-2-yl}benzenesulfonamide
147		<i>N</i> -[3-(2,1,3-benzothiadiazol-5-ylamino)quinoxalin-2-yl]-4-tolylsulfonamide
148		<i>N</i> -{3-[(2-methyl-1,3-dioxo-2,3-dihydro-1 <i>H</i> -isoindol-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide
149		4-methyl- <i>N</i> -[3-(quinolin-5-ylamino)quinoxalin-2-yl]benzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
150		4-methyl- <i>N</i> -{3-[(1-oxo-1,3-dihydro-2-benzofuran-5-yl)amino]quinoxalin-2-yl} benzenesulfonamide
151		4-chloro- <i>N</i> -{3-[(2-chlorophenyl)amino]quinoxalin-2-yl} benzenesulfonamide
152		2-hydroxy-5-[(3-[(4-methylphenyl)sulfonyl]amino)quinoxalin-2-yl]amino]benzoic acid
153		<i>N</i> -(3-[(3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl)amino]quinoxalin-2-yl) benzenesulfonamide
154		<i>N</i> -[3-[(2-[(trifluoromethyl)thio]phenyl)amino]quinoxalin-2-yl] benzenesulfonamide
155		<i>N</i> -{4-[(3-[(4-hydroxyphenyl)amino]quinoxalin-2-yl)amino]sulfonyl}phenyl} acetamide
156		<i>N</i> -[3-(1,3-benzodioxol-5-ylamino)quinoxalin-2-yl]-4-methylbenzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
157		<i>N</i> -(3-({[2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
158		<i>N</i> -{3-[(2,4-dichlorophenyl)amino]quinoxalin-2-yl} benzenesulfonamide
159		<i>N</i> -[4-({[3-(2,3-dihydro-1,4-benzodioxin-6-yl)amino]quinoxalin-2-yl}amino)sulfonyl]phenyl]acetamide
160		4-chloro- <i>N</i> -{3-[(3,4-dimethylphenyl)amino]quinoxalin-2-yl} benzenesulfonamide
161		<i>N</i> -(3-({[2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
162		4-bromo- <i>N</i> -{3-[(3,4-dimethylphenyl)amino]quinoxalin-2-yl} benzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
163		5-({3-({4-(acetylamino)phenyl}sulfonyl)amino}quinoxalin-2-yl)amino}-2-hydroxybenzoic acid
164		<i>N</i> -(3-({2,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)-4-chlorobenzenesulfonamide
165		<i>N</i> -(3-({2,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)-4-methylbenzenesulfonamide
166		<i>N</i> -(3-({2,4-dichlorophenyl}amino}quinoxalin-2-yl)-4-methylbenzenesulfonamide
167		4-bromo- <i>N</i> -(3-({3-fluorophenyl}amino}quinoxalin-2-yl)benzenesulfonamide
168		4-({3-({4-(acetylamino)phenyl}sulfonyl)amino}quinoxalin-2-yl)amino}benzoic acid

Table 1		
Cpd. No.	Structure	Name
169		<i>N</i> -{3-[(2-fluorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
170		<i>N</i> -[3-(2,3-dihydro-1,4-benzodioxin-6-ylamino)quinoxalin-2-yl]-4-methylbenzenesulfonamide
171		<i>N</i> -{3-[(3,4-dimethylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
172		4-methyl- <i>N</i> -(3-{[3-(trifluoromethyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
173		5-[(3-{[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-2-hydroxybenzoic acid
174		3-nitro- <i>N</i> -{3-[(1-oxo-1,3-dihydro-2-benzofuran-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide

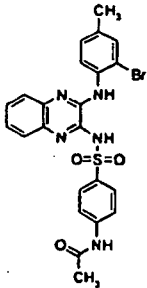
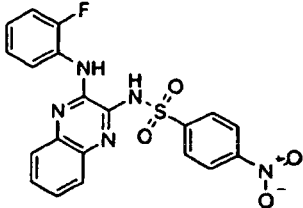
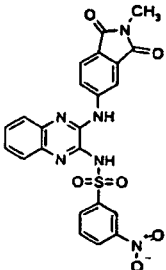
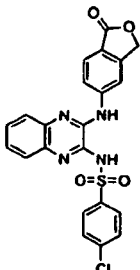
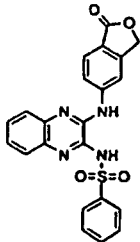
Table 1		
Cpd. No.	Structure	Name
175		<i>N</i> -{4-[(3-[(2-bromo-4-methylphenyl)amino]quinoxalin-2-yl)amino)sulfonyl]phenyl}acetamide
176		<i>N</i> -{3-[(2-fluorophenyl)amino]quinoxalin-2-yl}-4-nitrobenzenesulfonamide
177		<i>N</i> -{3-[(2-methyl-1,3-dioxo-2,3-dihydro-1H-isoindol-5-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
178		4-chloro- <i>N</i> -{3-[(1-oxo-1,3-dihydro-2-benzofuran-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide
179		<i>N</i> -{3-[(1-oxo-1,3-dihydro-2-benzofuran-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
180		<i>N</i> -{3-[(2-fluorophenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
181		<i>N</i> -[2-(butyloxy)-2-hydroxyethyl]-4-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)benzamide
182		3-nitro- <i>N</i> -(3-{[4-(phenylamino)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
183		4-bromo- <i>N</i> -{3-[(4-fluorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
184		4-methyl- <i>N</i> -[3-({2-[(trifluoromethyl)thio]phenyl}amino)quinoxalin-2-yl]benzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
185		<i>N</i> -[4-({3-[2-(methoxy)phenyl]-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxalin-1-yl)sulfonyl}phenyl)acetamide
186		4-(3-{{4-(acetylamino)phenyl}sulfonyl}-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxalin-1-yl)benzoic acid
187		1-naphthalen-2-yl-3-[(3-nitrophenyl)sulfonyl]-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxaline
188		<i>N</i> -[4-({3-[4-(methoxy)phenyl]-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxalin-1-yl)sulfonyl}phenyl)acetamide
189		1-(3-methylphenyl)-3-[(4-methylphenyl)sulfonyl]-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxaline
190		<i>N</i> -(4-{{3-[4-(4-methylphenyl)-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxalin-1-yl)sulfonyl}phenyl)acetamide

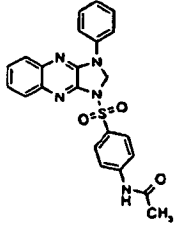
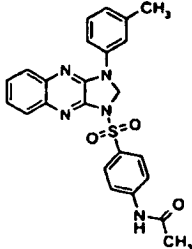
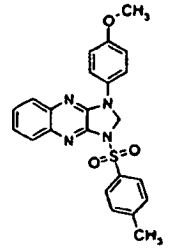
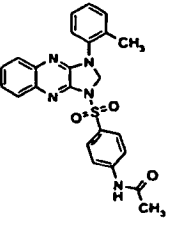
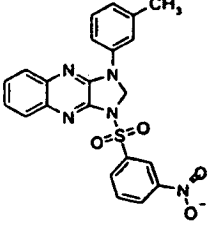
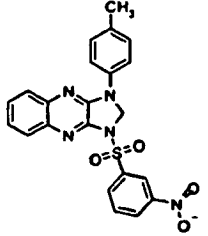
Table 1		
Cpd. No.	Structure	Name
191		<i>N</i> -{4-[(3-phenyl-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxalin-1-yl)sulfonyl]phenyl}acetamide
192		<i>N</i> -(4-{[3-(3-methylphenyl)-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxalin-1-yl]sulfonyl}phenyl)acetamide
193		1-[4-(methoxy)phenyl]-3-[(4-methylphenyl)sulfonyl]-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxaline
194		<i>N</i> -(4-{[3-(2-methylphenyl)-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxalin-1-yl]sulfonyl}phenyl)acetamide
195		1-(3-methylphenyl)-3-[(3-nitrophenyl)sulfonyl]-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxaline
196		1-(4-methylphenyl)-3-[(3-nitrophenyl)sulfonyl]-2,3-dihydro-1 <i>H</i> -imidazo[4,5- <i>b</i>]quinoxaline

Table 1		
Cpd. No.	Structure	Name
197		<i>N</i> -{3-[(4-methylphenyl)amino]quinoxalin-2-yl}-3-(1 <i>H</i> -tetrazol-1-yl)benzenesulfonamide
198		<i>N</i> -(3-{[2-(ethyloxy)phenyl]amino}quinoxalin-2-yl)-4-methylbenzenesulfonamide
199		<i>N</i> -{4-[[3-[(4-ethylphenyl)amino]quinoxalin-2-yl]amino]sulfonyl}phenyl}acetamide
200		4-bromo- <i>N</i> -(3-{[3-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
201		<i>N</i> -(4-[[3-{[4-(ethyloxy)phenyl]amino}quinoxalin-2-yl]amino]sulfonyl}phenyl)acetamide
202		<i>N</i> -{4-[[3-[(2-ethylphenyl)amino]quinoxalin-2-yl]amino]sulfonyl}phenyl}acetamide

Table 1		
Cpd. No.	Structure	Name
203		<i>N</i> -(4-((3-((2-(ethyloxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)acetamide
204		<i>N</i> -{3-[(4-nitrophenyl)amino]quinoxalin-2-yl} benzenesulfonamide
205		4-(ethyloxy)- <i>N</i> -(3-[(4-(methoxy)phenyl)amino]quinoxalin-2-yl) benzenesulfonamide
206		methyl <i>N</i> -acetyl- <i>N</i> -[4-((3-[(phenylsulfonyl)amino]quinoxalin-2-yl)amino)phenyl]-beta-alaninate
207		methyl <i>N</i> -acetyl- <i>N</i> -{4-[(3-[(4-chlorophenyl)sulfonyl]amino]quinoxalin-2-yl)amino]phenyl}-beta-alaninate
208		<i>N</i> -{3-[(3-chloro-5-methylphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide

Cpd. No.	Structure	Name
209		<i>N</i> -{3-[(3-acetylphenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
210		4-{[3-({[4-(acetylamino)phenyl]sulfonyl}amino)quinoxalin-2-yl]amino}- <i>N</i> -[4-(methoxy)phenyl]benzamide
211		2-hydroxy-5-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)benzoic acid
212		<i>N</i> -[3-(2,3-dihydro-1,4-benzodioxin-6-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
213		<i>N</i> -[4-(methoxy)phenyl]-4-[(3-({[4-nitrophenyl]sulfonyl}amino)quinoxalin-2-yl)amino]benzamide
214		4-chloro- <i>N</i> -{3-[(2-oxo-2,3-dihydro-1H-benzimidazol-5-yl)amino]quinoxalin-2-yl}benzenesulfonamide

Cpd. No.	Structure	Name
215		4-methyl- <i>N</i> -{3-[methyl(phenylmethyl)amino]quinoxalin-2-yl} benzenesulfonamide
216		<i>N</i> -[3-(3,4-dihydroisoquinolin-2(1 <i>H</i>)-yl)quinoxalin-2-yl]-2-methylbenzenesulfonamide
217		<i>N</i> -[4-({[3-(2,1,3-benzothiadiazol-5-ylamino)quinoxalin-2-yl]amino}sulfonyl)phenyl]acetamide
218		4-bromo- <i>N</i> -{3-[(4-phenylquinolin-8-yl)amino]quinoxalin-2-yl} benzenesulfonamide
219		4-methyl- <i>N</i> -{3-[(4-phenylquinolin-8-yl)amino]quinoxalin-2-yl} benzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
220		1-[(4-chlorophenyl)sulfonyl]-3-[4-(pyrrolidin-1-ylsulfonyl)phenyl]-2,3-dihydro-1H-imidazo[4,5-b]quinoxaline
221		1-(4-morpholin-4-ylphenyl)-3-(phenylsulfonyl)-2,3-dihydro-1H-imidazo[4,5-b]quinoxaline
222		methyl 4,5-dimethyl-2-({3-[(phenylsulfonyl)amino]quinoxalin-2-yl}amino)thiophene-3-carboxylate
223		ethyl 6-methyl-2-[(3-{{(2-methylphenyl)sulfonyl}amino}quinoxalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
224		ethyl 2-{{3-[(4-(acetylamino)phenyl)sulfonyl]amino}quinoxalin-2-yl}amino]-6-phenyl-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
225		ethyl 6-methyl-2-[(3-{{(4-methylphenyl)sulfonyl}amino}quinoxalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate

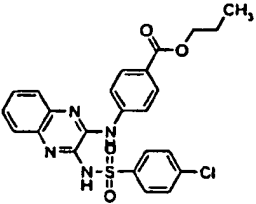
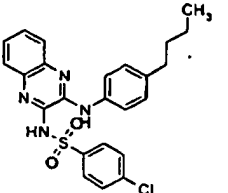
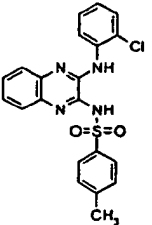
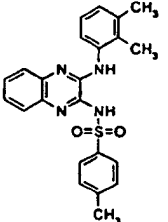
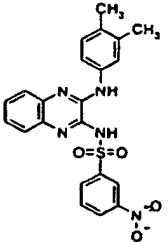
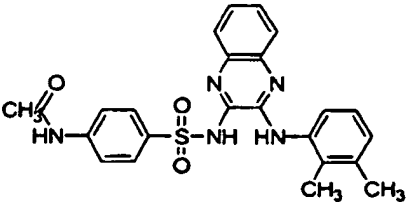
Cpd. No.	Structure	Name
226		propyl 4-[(3-[[[(4-chlorophenyl)sulfonyl]amino]quinoxalin-2-yl)amino]benzoate
227		<i>N</i> -{3-[(4-butylphenyl)amino]quinoxalin-2-yl}-4-chlorobenzenesulfonamide
228		<i>N</i> -{3-[(2-chlorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
229		<i>N</i> -{3-[(2,3-dimethylphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
230		<i>N</i> -{3-[(3,4-dimethylphenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
231		<i>N</i> -{4-[(3-[(2,3-dimethylphenyl)amino]quinoxalin-2-yl)amino]sulfonyl}phenyl}acetamide

Table 1		
Cpd. No.	Structure	Name
232		4-chloro- <i>N</i> -{3-[(2,3-dimethylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
233		3-nitro- <i>N</i> -(3-{[3,4,5-tris(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
234		4-chloro- <i>N</i> -{3-[(2,4-dichlorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
235		<i>N</i> -{3-[(2,3-dimethylphenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
236		<i>N</i> -{4-[(3-[(3,4-dimethylphenyl)amino]quinoxalin-2-yl)amino)sulfonyl]phenyl}acetamide
237		ethyl 2-[(3-[(4-chlorophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-5,6-dihydro-4 <i>H</i> -cyclopenta[b]thiophene-3-carboxylate

Cpd. No.	Structure	Name
238		4-chloro- <i>N</i> -(3-{{4-chloro-3-(morpholin-4-yl)sulfonyl}phenyl}amino)quinoxalin-2-yl)benzenesulfonamide
239		ethyl 2-[(3-{{(2-methylphenyl)sulfonyl}amino}quinoxalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
240		4-bromo- <i>N</i> -{3-[(2,4-dichlorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
241		ethyl 5-ethyl-2-[(3-{{(3-nitrophenyl)sulfonyl}amino}quinoxalin-2-yl)amino]thiophene-3-carboxylate
242		<i>N</i> -(3-{{3-(morpholin-4-yl)sulfonyl}phenyl}amino)quinoxalin-2-yl)benzenesulfonamide
243		ethyl 2-[(3-{{(4-bromophenyl)sulfonyl}amino}quinoxalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
244		4-methyl- <i>N</i> -(3-{{3-(piperidin-1-yl)sulfonyl}phenyl}amino)quinoxalin-2-yl)benzenesulfonamide

Cpd. No.	Structure	Name
245		4-chloro- <i>N</i> -(3-({[4-(morpholin-4-ylsulfonyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
246		4-chloro- <i>N</i> -(3-({[3-(morpholin-4-ylsulfonyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
247		4-methyl- <i>N</i> -[3-(quinolin-6-ylamino)quinoxalin-2-yl]benzenesulfonamide
248		<i>N</i> -(3-({[3-(piperidin-1-ylsulfonyl)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
249		<i>N</i> -(3-({[4-(phenylamino)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
250		<i>N</i> -(3-({[2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-bromobenzenesulfonamide
251		ethyl 2-[(3-({[3-nitrophenyl]sulfonyl]amino}quinoxalin-2-yl)amino]-5,6-dihydro-4 <i>H</i> -cyclopenta[b]thiophene-3-carboxylate

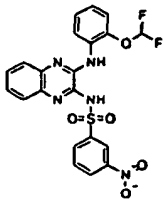
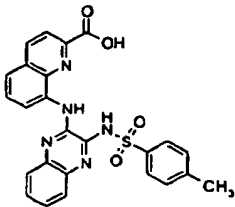
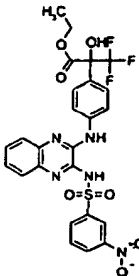
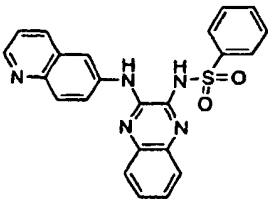
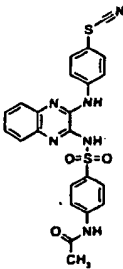
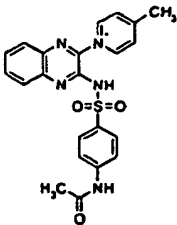
Cpd. No.	Structure	Name
252		<i>N</i> -{3-[(4'-nitrophenyl)-4-yl]amino}quinoxalin-2-yl} benzenesulfonamide
253		ethyl 2-[(3-[(3-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
254		<i>N</i> -(3-[[4-chloro-3-(morpholin-4-yl)sulfonyl]phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
255		ethyl 5-ethyl-2-[(3-[(phenylsulfonyl)amino]quinoxalin-2-yl)amino]thiophene-3-carboxylate
256		<i>N</i> -[4-[(3-(quinolin-6-ylamino)quinoxalin-2-yl)amino]sulfonyl]phenyl]acetamide
257		ethyl 2-[(3-[(2-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]-5,6-dihydro-4H-cyclopenta[b]thiophene-3-carboxylate
258		3,4-dichloro- <i>N</i> -[3-(naphthalen-1-ylamino)quinoxalin-2-yl]benzenesulfonamide

Cpd. No.	Structure	Name
259		ethyl 2-({3-({[4-(acetamino)-3,5-dibromophenyl]sulfonyl}amino)quinoxalin-2-yl}amino)-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
260		ethyl 2-({3-({[2-chloro-5-nitrophenyl]sulfonyl}amino)quinoxalin-2-yl}amino)-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
261		N-{3-({[3-fluorophenyl]amino)quinoxalin-2-yl}benzenesulfonamide
262		N-(3-({[4-(morpholin-4-ylsulfonyl)phenyl]amino)quinoxalin-2-yl}benzenesulfonamide
263		ethyl 2-({3-({[4-(acetamino)phenyl]sulfonyl}amino)quinoxalin-2-yl}amino)-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
264		ethyl 2-({3-({[4-chlorophenyl]sulfonyl}amino)quinoxalin-2-yl}amino)-5-ethylthiophene-3-carboxylate
265		N,N-diethyl-4-({3-({[4-methylphenyl]sulfonyl}amino)quinoxalin-2-yl}amino)benzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
266		ethyl 2-({3-({[4-(acetylamino)phenyl]sulfonyl}amino)quinoxalin-2-yl}amino)-5-ethylthiophene-3-carboxylate
267		ethyl 2-({3-({[4-chlorophenyl]sulfonyl}amino)quinoxalin-2-yl}amino)-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
268		ethyl 2-({3-({[phenylsulfonyl]amino)quinoxalin-2-yl}amino)-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate
269		<i>N</i> -[4-(methoxy)phenyl]-4-({3-({[3-nitrophenyl]sulfonyl}amino)quinoxalin-2-yl}amino)benzamide
270		<i>N</i> -[3-({4-({[4-aminophenyl]oxy)phenyl}amino)quinoxalin-2-yl}-4-chlorobenzenesulfonamide
271		<i>N</i> -[4-({3-({4-({[4-aminophenyl]oxy)phenyl}amino)quinoxalin-2-yl}amino)sulfonyl]phenyl]acetamide
272		(2E)-3-({3-({[4-methylphenyl]sulfonyl}amino)quinoxalin-2-yl}amino)phenyl]prop-2-enoic acid

Table 1		
Cpd. No.	Structure	Name
273		<i>N</i> -{3-[(9-ethyl-9 <i>H</i> -carbazol-3-yl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
274		<i>N</i> -[3-({4-[(4-aminophenyl)oxy]phenyl}amino)quinoxalin-2-yl]benzenesulfonamide
275		4-bromo- <i>N</i> -{3-[(9-ethyl-9 <i>H</i> -carbazol-3-yl)amino]quinoxalin-2-yl}benzenesulfonamide
276		<i>N</i> -{3-[(9-ethyl-9 <i>H</i> -carbazol-3-yl)amino]quinoxalin-2-yl}benzenesulfonamide
277		<i>N</i> -{3-[(2-iodophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
278		<i>N</i> -{3-[(1-phenylethyl)amino]quinoxalin-2-yl}benzenesulfonamide
279		4-bromo- <i>N</i> -{3-[(4-bromophenyl)amino]quinoxalin-2-yl}benzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
280		4-bromo- <i>N</i> -{3-[(4-chlorophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
281		4-bromo- <i>N</i> -[3-(naphthalen-2-ylamino)quinoxalin-2-yl]benzenesulfonamide
282		<i>N</i> -{3-[(2,3-dimethylphenyl)amino]-6-methylquinoxalin-2-yl}-4-methylbenzenesulfonamide
283		4-chloro- <i>N</i> -{3-[(2-iodophenyl)amino]quinoxalin-2-yl}benzenesulfonamide
284		<i>N</i> -(3-{[4-(octyloxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
285		<i>N</i> -[3-(2,1,3-benzothiadiazol-5-ylamino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
286		<i>N</i> -{3-[(2-bromo-4-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
287		<i>N</i> -[3-{[4-[(3-aminophenyl)sulfonyl]phenyl]amino}quinoxalin-2-yl]-4-chlorobenzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
288		<i>N</i> -[3-({2-[(difluoromethyl)oxy]phenyl}amino)quinoxalin-2-yl]-3-nitrobenzenesulfonamide
289		8-[(3-({[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]quinoline-2-carboxylic acid
290		ethyl 3,3,3-trifluoro-2-hydroxy-2-{4-[(3-({[(3-nitrophenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl}propanoate
291		<i>N</i> -[3-(quinolin-6-ylamino)quinoxalin-2-yl]benzenesulfonamide
292		4-[(3-({[(4-(acetylamino)phenyl)sulfonyl]amino}quinoxalin-2-yl)amino]phenyl)thiocyanate
293		1-[3-({[(4-(acetylamino)phenyl)sulfonyl]amino}quinoxalin-2-yl)-4-methylpyridinium

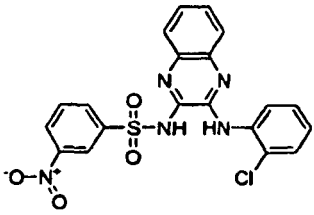
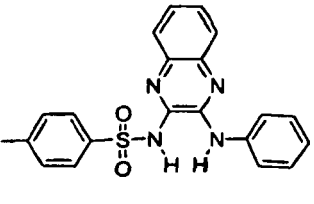
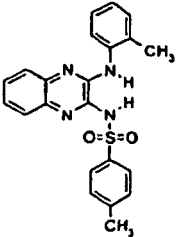
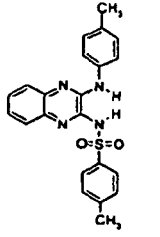
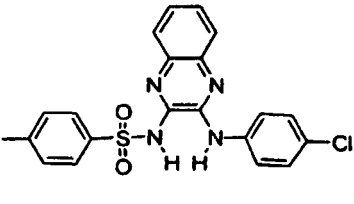
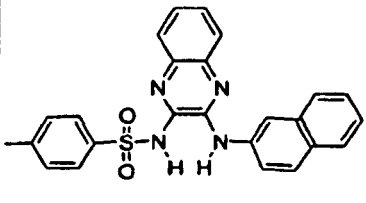
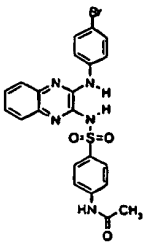
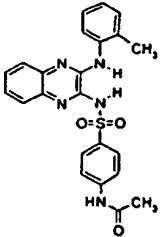
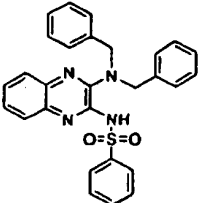
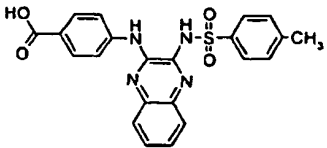
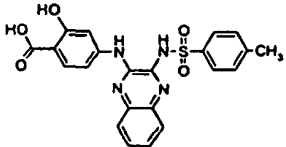
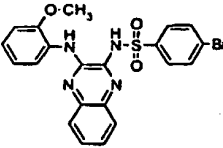
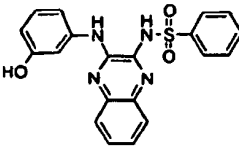
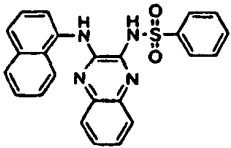
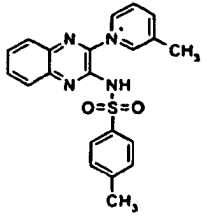
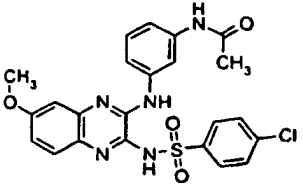
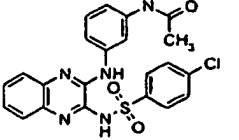
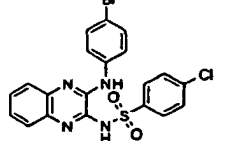
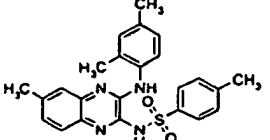
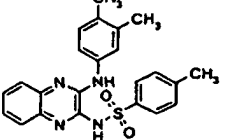
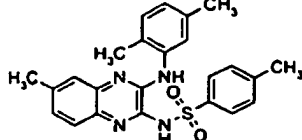
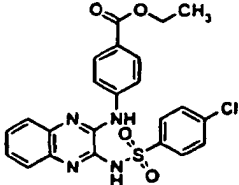
Cpd. No.	Structure	Name
294		<i>N</i> -{3-[(2-chlorophenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
295		4-methyl- <i>N</i> -[3-(phenylamino)quinoxalin-2-yl]benzenesulfonamide
296		4-methyl- <i>N</i> -{3-[(2-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
297		4-methyl- <i>N</i> -{3-[(4-methylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
298		<i>N</i> -{3-[(4-chlorophenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
299		4-methyl- <i>N</i> -[3-(naphthalen-2-ylamino)quinoxalin-2-yl]benzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
300		<i>N</i> -{4-[(3-[(4-bromophenyl)amino]quinoxalin-2-yl)amino]sulfonyl}phenyl}acetamide
301		<i>N</i> -{4-[(3-[(2-methylphenyl)amino]quinoxalin-2-yl)amino]sulfonyl}phenyl}acetamide
302		<i>N</i> -{3-[bis(phenylmethyl)amino]quinoxalin-2-yl}benzenesulfonamide
303		4-[(3-[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
304		2-hydroxy-4-[(3-[(4-methylphenyl)sulfonyl]amino}quinoxalin-2-yl)amino]benzoic acid
305		4-bromo- <i>N</i> -(3-{[2-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
306		<i>N</i> -{3-[(3-hydroxyphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
307		<i>N</i> -[3-(naphthalen-1-ylamino)quinoxalin-2-yl]benzenesulfonamide

Cpd. No.	Structure	Name
308		3-methyl-1-(3-(((4-methylphenyl)sulfonyl)amino)quinoxalin-2-yl)pyridinium
309		<i>N</i> -(3-({3-(((4-chlorophenyl)sulfonyl)amino)-7-(methoxy)quinoxalin-2-yl}amino)phenyl)acetamide
310		<i>N</i> -{3-[(3-(((4-chlorophenyl)sulfonyl)amino)quinoxalin-2-yl)amino]phenyl}acetamide
311		<i>N</i> -{3-[(4-bromophenyl)amino]quinoxalin-2-yl}-4-chlorobenzenesulfonamide
312		<i>N</i> -{3-[(2,4-dimethylphenyl)amino]-6-methylquinoxalin-2-yl}-4-methylbenzenesulfonamide
313		<i>N</i> -{3-[(3,4-dimethylphenyl)amino]quinoxalin-2-yl}-4-methylbenzenesulfonamide
314		<i>N</i> -{3-[(2,5-dimethylphenyl)amino]-6-methylquinoxalin-2-yl}-4-methylbenzenesulfonamide
315		ethyl 4-[(3-(((4-chlorophenyl)sulfonyl)amino)quinoxalin-2-yl)amino]benzoate

Cpd. No.	Structure	Name
316		4-chloro- <i>N</i> -{3-[(4-ethylphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
317		4-chloro- <i>N</i> -(6-methyl-3-{[4-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
318		4-chloro- <i>N</i> -{3-[(4-chlorophenyl)amino]-6-methylquinoxalin-2-yl}benzenesulfonamide
319		<i>N</i> -(3-{[4-chloro-2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
320		<i>N</i> -(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
321		<i>N</i> -(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-methylbenzenesulfonamide
322		<i>N</i> -(3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
323		<i>N</i> -(3-([2-methyl-5-(methoxy)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide
324		<i>N</i> -[3-(2-Chloro-5-methoxy-phenylamino)-quinoxalin-2-yl]-benzenesulfonamide
325		3-amino- <i>N</i> -(3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide
326		<i>N</i> -(3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)-4-chlorobenzenesulfonamide
327		<i>N</i> -(3-([(3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino]sulfonyl)phenyl)acetamide
328		<i>N</i> -(3-([4-chloro-3-(methoxy)phenyl]amino)quinoxalin-2-yl)benzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
329		<i>N</i> -(3-{{4-fluoro-3-(methoxy)phenyl}amino}quinoxalin-2-yl)benzenesulfonamide
330		3-amino- <i>N</i> -(3-{{2,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)benzenesulfonamide
331		<i>N</i> -(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)-4-bromobenzenesulfonamide
332		<i>N</i> -(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
333		3-amino- <i>N</i> -(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)benzenesulfonamide
334		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide
335		<i>N</i> -(3-{{2,5-bis(methoxy)phenyl}amino}-7-methylquinoxalin-2-yl)benzenesulfonamide
336		<i>N</i> -(3-{{2,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)-4-(methoxy)benzenesulfonamide

Cpd. No.	Structure	Name
337		<i>N</i> -(3-({[2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-bromobenzenesulfonamide
338		<i>N</i> -(3-({[2,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-fluorobenzenesulfonamide
339		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-2-fluorobenzenesulfonamide
340		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-(methoxy)benzenesulfonamide
341		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-bromobenzenesulfonamide
342		<i>N</i> -(3-({[3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-1-methylpiperidine-4-carboxamide
343		<i>N</i> -(3-({[3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-3-piperidin-1-ylpropanamide

Cpd. No.	Structure	Name
344		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-4-(dimethylamino)butanamide
345		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-3-(hydroxyamino)benzenesulfonamide
346		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-morpholin-4-ylacetamide
347		<i>N</i> -(3-({(3-({2-chloro-5-(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}-4-methylphenyl)- <i>N</i> -2-methylglycinamide
348		<i>N</i> -(3-({(3-({2-chloro-5-(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}-4-methylphenyl)- <i>L</i> -alaninamide
349		<i>N</i> -(3-({(3-({2-chloro-5-(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}-4-methylphenyl)-2-methylalaninamide

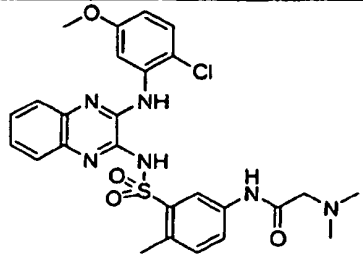
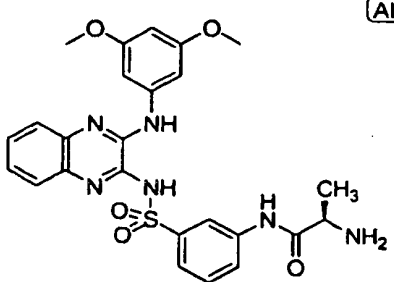
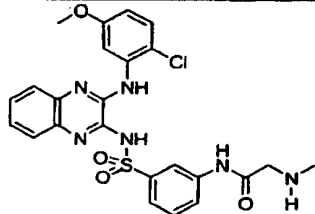
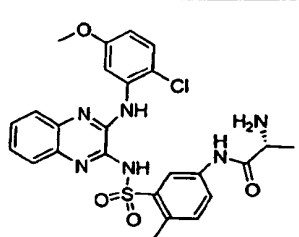
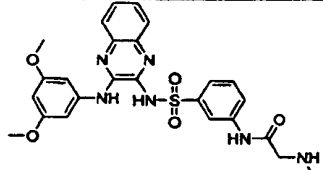
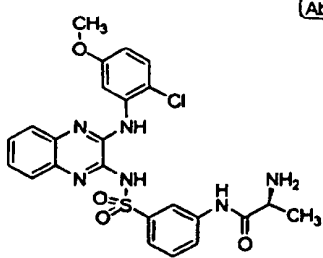
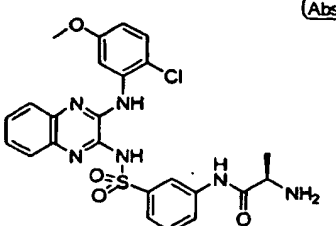
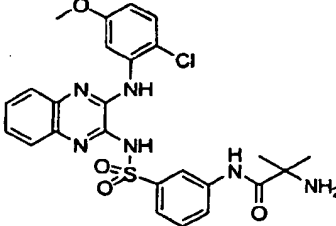
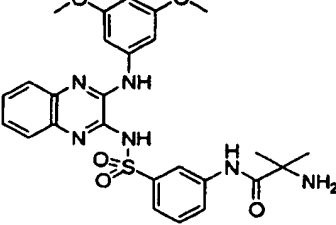
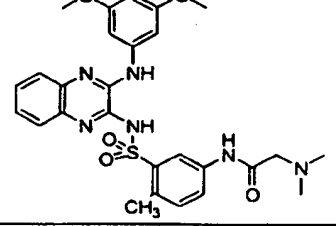
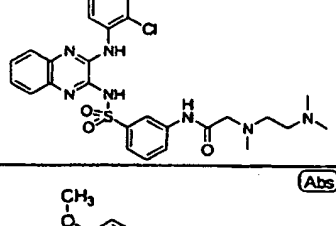
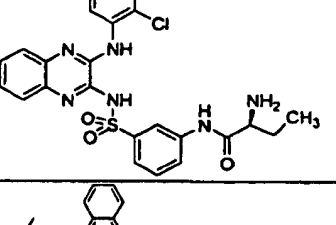
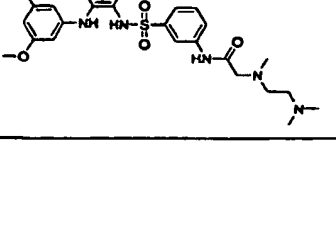
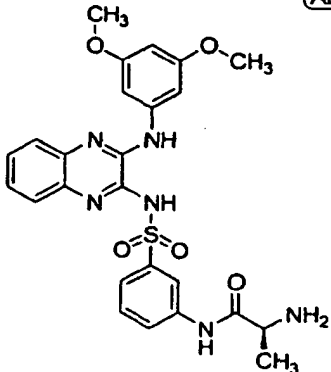
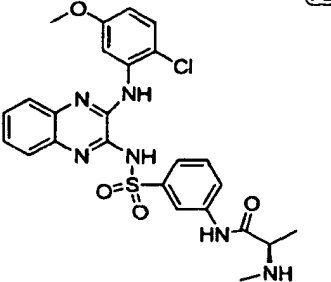
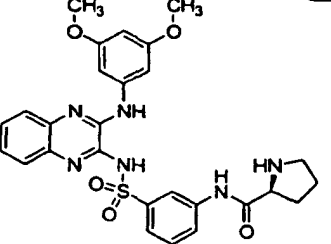
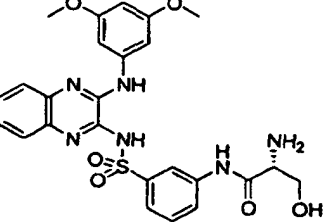
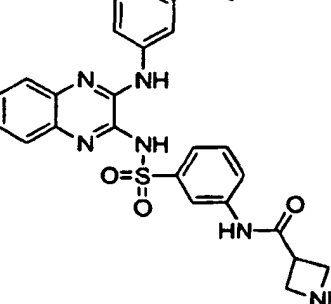
Table 1		
Cpd. No.	Structure	Name
350		<i>N</i> -(3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-4-methylphenyl)- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide
351		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>D</i> -alaninamide
352		<i>N</i> -(3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-methylglycinamide
353		<i>N</i> -(3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-4-methylphenyl)- <i>D</i> -alaninamide
354		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-methylglycinamide
355		<i>N</i> -(3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>L</i> -alaninamide

Table 1		
Cpd. No.	Structure	Name
356		<i>N</i> -(3-(((3-([2-chloro-5-(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-D-alaninamide
357		<i>N</i> -(3-(((3-([2-chloro-5-(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-methylalaninamide
358		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-methylalaninamide
359		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)-4-methylphenyl)- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide
360		<i>N</i> -(3-(((3-([2-chloro-5-(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-[2-(dimethylamino)ethyl]- <i>N</i> -2-methylglycinamide
361		(2 <i>S</i>)-2-amino- <i>N</i> -(3-(((3-([2-chloro-5-(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)butanamide
362		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-[2-(dimethylamino)ethyl]- <i>N</i> -2-methylglycinamide

Cpd. No.	Structure	Name
363		<i>N</i> -(3-(((3-([2-chloro-5-(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide
364		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-methyl-L-alaninamide
365		<i>N</i> -(3-(((3-([2-chloro-5-(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)glycinamide
366		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)glycinamide
367		<i>N</i> -(2-chloro-5-(((3-([2-chloro-5-(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-methylglycinamide
368		2-(dimethylamino)- <i>N</i> -(3-(<i>N</i> -(3-(2-(dimethylamino)acetamido)-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide
369		<i>N</i> -(3-(((3-([2-acetyl-5-(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide

Table 1		
Cpd. No.	Structure	Name
370		<i>N</i> -(3-({[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-(formylamino)benzenesulfonamide
371		<i>N</i> -(3-({[3-({[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-ethylglycinamide
372		<i>N</i> -(5-({[3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}-2-methylphenyl)glycinamide
373		2-azetidin-1-yl- <i>N</i> -(3-({[3-({[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)acetamide
374		<i>N</i> -(3-({[3-({[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)-L-prolinamide
375		<i>N</i> -(3-({[3-({[2-bromo-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)- <i>N</i> -2-methylglycinamide
376		<i>N</i> -2, <i>N</i> -2-dimethyl- <i>N</i> -(3-({[3-({[6-(methoxy)quinolin-8-yl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)glycinamide

Cpd. No.	Structure	Name
377		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-L-alaninamide
378		<i>N</i> -(3-(((3-((2-chloro-5-(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-methyl-D-alaninamide
379		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-L-prolinamide
380		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-D-serinamide
381		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)azetidine-3-carboxamide

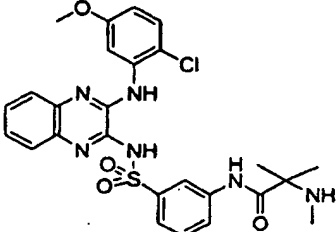
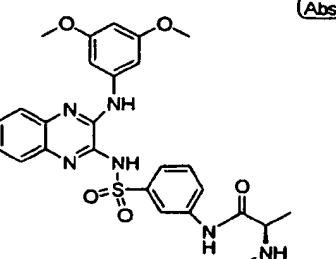
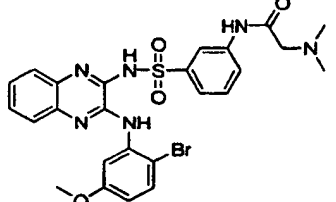
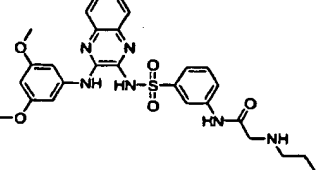
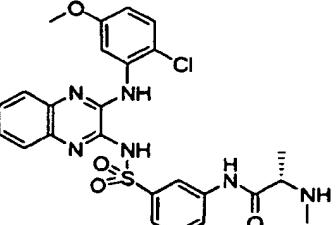
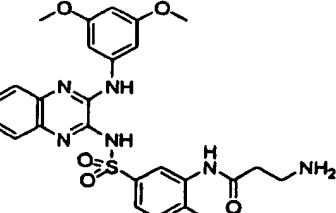
Cpd. No.	Structure	Name
382		<i>N</i> -(3-(((3-([2-chloro-5-(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2,2-dimethylalaninamide
383		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-methyl-D-alaninamide
384		<i>N</i> -(3-(((3-([2-bromo-5-(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide
385		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-propylglycinamide
386		<i>N</i> -(3-(((3-([2-chloro-5-(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-methyl-L-alaninamide
387		<i>N</i> -(5-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)-2-methylphenyl)-beta-alaninamide

Table 1		
Cpd. No.	Structure	Name
388		<i>N</i> -(3-(((3-([2-chloro-5-(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)piperidine-3-carboxamide
389		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(4-methyl-1,4-diazepan-1-yl)acetamide
390		(2 <i>S</i>)-2-amino- <i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)butanamide
391		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-(2-hydroxypropyl)glycinamide
392		<i>N</i> -(3-(((3-([2-chloro-5-(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-(2-fluoroethyl)glycinamide
393		3-amino- <i>N</i> -(2-([3,5-bis(methoxy)phenyl]amino)pyrido[2,3-b]pyrazin-3-yl)benzenesulfonamide

Cpd. No.	Structure	Name
394		<i>N</i> -(3-({(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-[(2-methylpropyl)oxy]glycinamide
395		1-amino- <i>N</i> -(3-({(3-({[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino)sulfonyl}phenyl)cyclopropanecarboxamide
396		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-(formylamino)benzenesulfonamide
397		<i>N</i> -(3-({(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-(cyclopropylmethyl)glycinamide
398		<i>N</i> -(3-({(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>D</i> -prolinamide
399		<i>N</i> -(3-({(3-({[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-[3-(dimethylamino)azetidin-1-yl]acetamide
400		<i>N</i> -(3-({(3-({[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>D</i> -prolinamide

Table 1		
Cpd. No.	Structure	Name
401		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)piperidine-2-carboxamide
402		<i>N</i> -(3-(((3-((2-chloro-5-(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)morpholine-4-carboxamide
403		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-pyrrolidin-1-ylacetamide
404		<i>N</i> -(3-(((3-((2-chloro-5-(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -6, <i>N</i> -6-dimethyl-L-lysineamide
405		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-ethyl- <i>N</i> -2-methylglycinamide
406		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(1 <i>H</i> -imidazol-4-yl)acetamide
407		1-amino- <i>N</i> -(3-(((3-((2-chloro-5-(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)cyclopentanecarboxamide

Table 1		
Cpd. No.	Structure	Name
408		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-(2-methylpropyl)glycinamide
409		<i>N</i> -(3-(((3-([2-chloro-5-(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-ethyl- <i>N</i> -2-methylglycinamide
410		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-1-(1 <i>H</i> -imidazol-4-ylmethyl)azetidine-3-carboxamide
411		<i>N</i> -(5-(((3-([2-chloro-5-(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}-2-methylphenyl)- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide
412		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-1-ethylazetidine-3-carboxamide
413		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-methyl- <i>N</i> -2-(1-methylpyrrolidin-3-yl)glycinamide
414		<i>N</i> -(3-(((2-([3,5-bis(methoxy)phenyl]amino)pyrido[2,3- <i>b</i>]pyrazin-3-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-[2-(dimethylamino)ethyl]- <i>N</i> -2-methylglycinamide

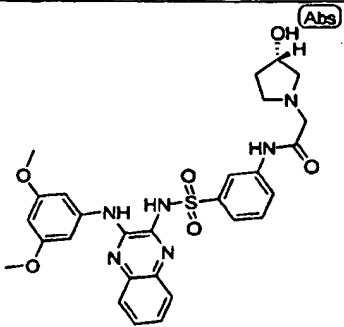
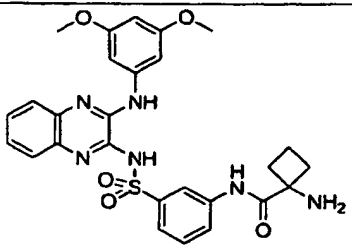
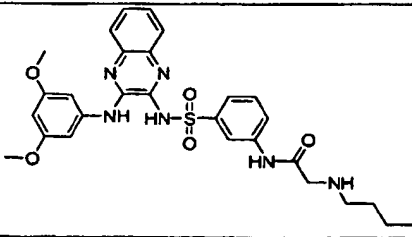
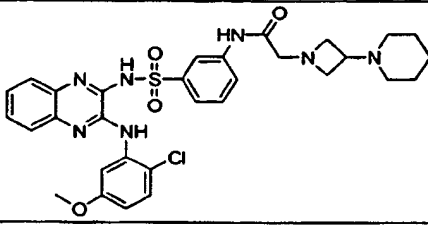
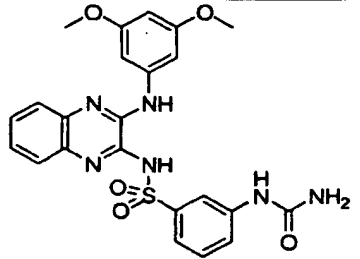
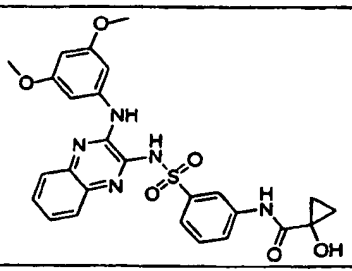
Table 1		
Cpd. No.	Structure	Name
415		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-((3 <i>S</i>)-3-hydroxypyrrolidin-1-yl)acetamide
416		1-amino- <i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)cyclobutanecarboxamide
417		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-butylglycinamide
418		<i>N</i> -(3-(((3-((2-chloro-5-(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(3-piperidin-1-ylazetidin-1-yl)acetamide
419		3-((aminocarbonyl)amino)- <i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)benzenesulfonamide
420		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-1-hydroxycyclopropanecarboxamide

Table I		
Cpd. No.	Structure	Name
421		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(2,2-dimethylhydrazino)acetamide
422		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)-3-({(2-(dimethylamino)ethyl)amino)carbonyl}amino)benzenesulfonamide
423		<i>N</i> -(3-({(3-({3-fluoro-5-(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-methylglycinamide
424		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-hydroxyacetamide
425		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)pyridazine-4-carboxamide
426		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-(1-methylethyl)glycinamide
427		1-amino- <i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)cyclopentanecarboxamide

Table 1		
Cpd. No.	Structure	Name
428		1-amino- <i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)cyclopropanecarboxamide
429		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-[3-(dimethylamino)pyrrolidin-1-yl]acetamide
430		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-[2-(dimethylamino)ethyl]glycinamide
431		2-(dimethylamino)ethyl(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)carbamate
432		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-1-(cyclopropylmethyl)azetidine-3-carboxamide
433		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-(1,1-dimethylethyl)glycinamide

Table 1		
Cpd. No.	Structure	Name
434		<i>N</i> -2-methyl- <i>N</i> -(3-((3-((3-(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)glycinamide
435		<i>N</i> -(3-((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-1 <i>H</i> -imidazole-2-carboxamide
436		<i>N</i> -(3-((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)isoxazole-5-carboxamide
437		<i>N</i> -(3-((3-((2-chloro-5-(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-(2,2,2-trifluoroethyl)glycinamide
438		3-amino- <i>N</i> -(3-((2-methyl-5-(methoxy)phenyl)amino)quinoxalin-2-yl)benzenesulfonamide
439		<i>N</i> -(3-((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-3-oxocyclopentanecarboxamide
440		<i>N</i> -(3-((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-6-hydroxypyridine-2-carboxamide
441		<i>N</i> -(3-((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-(3-fluoro-4-hydroxyphenyl)glycinamide

Table 1		
Cpd. No.	Structure	Name
442		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-1-(furan-2-yl)methyl)azetidine-3-carboxamide
443		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)pyrimidine-5-carboxamide
444		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-1 <i>H</i> -pyrrole-2-carboxamide
445		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-methyl- <i>N</i> -2-(1-methylethyl)glycinamide
446		<i>N</i> -(3-(((3-({3-fluoro-5-(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide
447		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-1 <i>H</i> -imidazole-4-carboxamide
448		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2, <i>N</i> -2-diethylglycinamide

Table 1		
Cpd. No.	Structure	Name
449		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(3-methylisoxazol-5-yl)acetamide
450		<i>N</i> -2, <i>N</i> -2-dimethyl- <i>N</i> -(3-(((3-([2-methyl-5-(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)glycinamide
451		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-((3-hydroxyphenyl)methyl)glycinamide
452		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-1-methyl-1 <i>H</i> -pyrrole-2-carboxamide
453		4-amino- <i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)tetrahydro-2 <i>H</i> -pyran-4-carboxamide
454		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-[4-(methylamino)piperidin-1-yl]acetamide
455		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-piperidin-1-ylacetamide

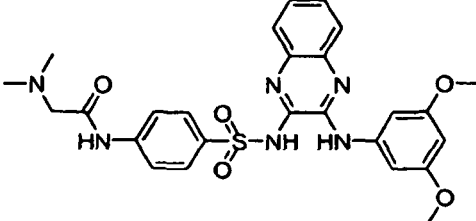
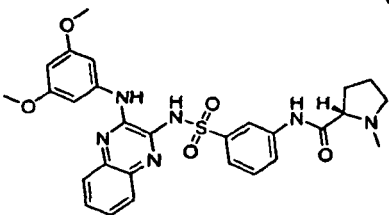
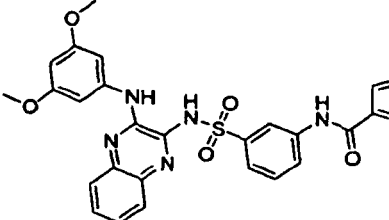
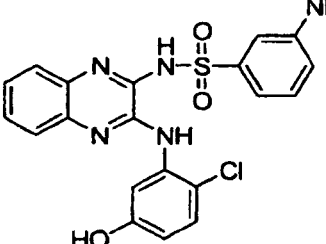
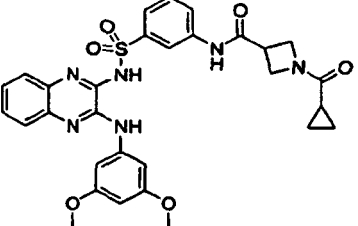
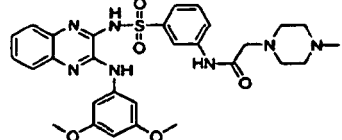
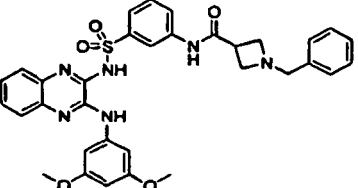
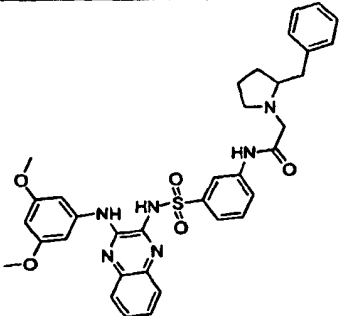
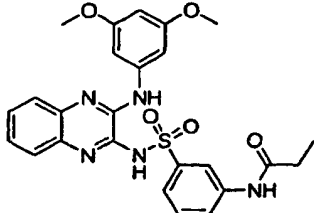
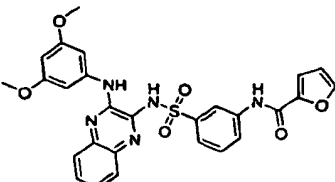
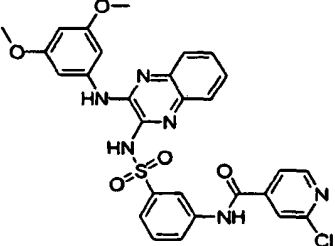
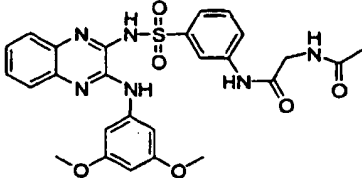
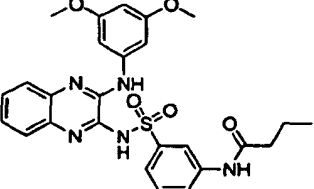
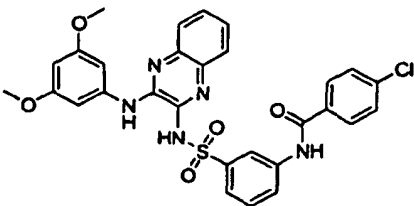
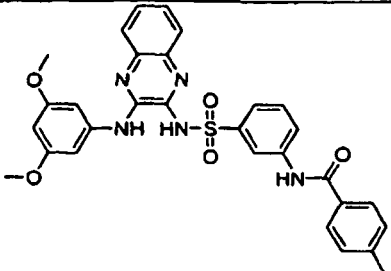
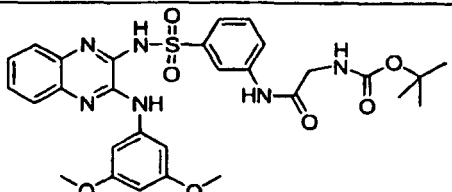
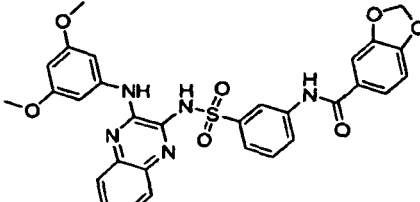
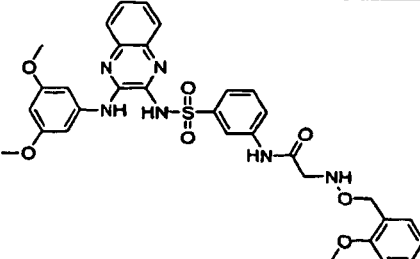
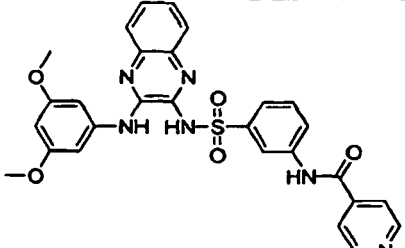
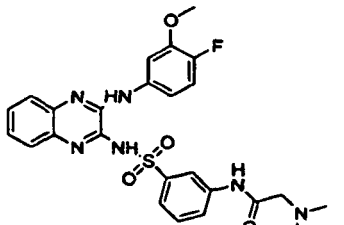
Cpd. No.	Structure	Name
456		N-(4-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-N-2,N-2-dimethylglycinamide
457		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-1-methyl-L-prolinamide
458		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)thiophene-3-carboxamide
459		3-amino-N-{3-[(2-chloro-5-hydroxyphenyl)amino]quinoxalin-2-yl}benzenesulfonamide
460		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-1-(cyclopropylcarbonyl)azetidine-3-carboxamide
461		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(4-methylpiperazin-1-yl)acetamide
462		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-1-(phenylmethyl)azetidine-3-carboxamide

Table 1		
Cpd. No.	Structure	Name
463		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-chloropyridine-3-carboxamide
464		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-pyridin-4-ylacetamide
465		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-methyl- <i>N</i> -2-prop-2-en-1-ylglycinamide
466		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-(phenylmethyl)glycinamide
467		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(methoxy)acetamide
468		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-1-propanoylazetidine-3-carboxamide
469		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)pyridine-3-carboxamide

Table I		
Cpd. No.	Structure	Name
470		<i>N</i> -(3-(((3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-[2-(methoxy)ethyl]glycinamide
471		1-acetyl- <i>N</i> -(3-(((3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino)sulfonyl)phenyl)piperidine-4-carboxamide
472		<i>N</i> -(3-(((3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(2-methylpyrrolidin-1-yl)acetamide
473		<i>N</i> -(3-(((3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino)sulfonyl)phenyl)furan-3-carboxamide
474		<i>N</i> -2, <i>N</i> -2-dimethyl- <i>N</i> -(3-(((3-({[3-(methoxy)phenyl]amino}quinoxalin-2-yl)amino)sulfonyl)phenyl)glycinamide
475		<i>N</i> -(3-(((3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino)sulfonyl)phenyl)-6-chloropyridine-3-carboxamide

Table 1		
Cpd. No.	Structure	Name
476		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-chlorobenzamide
477		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-pyridin-2-ylacetamide
478		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-[3-(dimethylamino)azetidin-1-yl]acetamide
479		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-pyridin-3-ylacetamide
480		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(2-chlorophenyl)acetamide
481		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-[3-(dimethylamino)propyl]- <i>N</i> -2-methylglycinamide
482		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-ethyl- <i>N</i> -2-(2-hydroxyethyl)glycinamide

Cpd. No.	Structure	Name
483		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-[(2-(phenylmethyl)pyrrolidin-1-yl)acetamide]
484		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)propanamide
485		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)furan-2-carboxamide
486		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-chloropyridine-4-carboxamide
487		N-2-acetyl-N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)glycinamide
488		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)butanamide
489		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-4-chlorobenzamide

Cpd. No.	Structure	Name
490		N-(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-4-methylbenzamide
491		1,1-dimethylethyl 2-({(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)amino)-2-oxoethyl}carbamate
492		N-(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-1,3-benzodioxole-5-carboxamide
493		N-(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-N-2-({[2-(methoxy)phenyl]methyl}oxy)glycinamide
494		N-(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)pyridine-4-carboxamide
495		N-(3-({(3-({[4-fluoro-3-(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-N-2,N-2-dimethylglycinamide

Cpd. No.	Structure	Name
496		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-[4-(3,4-dichlorophenyl)piperazin-1-yl]acetamide
497		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3-pyridin-3-ylpropanamide
498		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)tetrahydrofuran-3-carboxamide
499		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-N-2-((2-methylphenyl)methyl)glycinamide
500		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-methylbutanamide
501		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(3-fluorophenyl)acetamide

Cpd. No.	Structure	Name
502		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-(1-methyl-1-phenylethyl)glycinamide
503		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-methylcyclopropanecarboxamide
504		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-methyl-4-(methoxy)benzamide
505		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-methylpyridine-3-carboxamide
506		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-4-(methoxy)benzamide
507		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(4-ethylpiperazin-1-yl)acetamide

Table 1		
Cpd. No.	Structure	Name
508		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)thiophene-2-carboxamide
509		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3-fluoro-2-methylbenzamide
510		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-bromothiophene-3-carboxamide
511		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-4-fluorobenzamide
512		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(3-methylpiperidin-1-yl)acetamide
513		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-methylpropanamide
514		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)pentanamide

Table 1		
Cpd. No.	Structure	Name
515		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(ethyloxy)acetamide
516		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-(2-fluorophenyl)glycinamide
517		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3-(dimethylamino)benzamide
518		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(4-methylpiperidin-1-yl)acetamide
519		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-(2-propylphenyl)glycinamide
520		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)benzamide
521		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)pyrazine-2-carboxamide

Cpd. No.	Structure	Name
522		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-3-fluoro-4-(methoxy)benzamide
523		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2,2-dimethylbutanamide
524		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-((4-fluorophenyl)oxy)acetamide
525		1-acetyl- <i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)azetidine-3-carboxamide
526		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-(4-methylphenyl)glycinamide
527		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-phenylglycinamide
528		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(4-prop-2-en-1-yl)piperazin-1-yl)acetamide

Cpd. No.	Structure	Name
529		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-methylbenzamide
530		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-3-(methoxy)propanamide
531		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-3-methylfuran-2-carboxamide
532		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2,2-dimethylpropanamide
533		<i>N</i> -(3-(((3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-[(phenylmethyl)oxy]glycinamide
534		<i>N</i> -(3-(((3-((2-chloro-5-hydroxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2, <i>N</i> -2-dimethylglycinamide

Cpd. No.	Structure	Name
535		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-(3-chlorophenyl)glycinamide
536		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)cyclobutanecarboxamide
537		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(3-(methoxy)phenyl)acetamide
538		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-1-methylcyclopropanecarboxamide
539		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3-fluorobenzamide
540		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-4-(dimethylamino)benzamide
541		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3,4-dichlorobenzamide

Table 1		
Cpd. No.	Structure	Name
542		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-{2-(methylthio)phenyl}methylglycinamide
543		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(2-fluorophenyl)acetamide
544		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-ethyl- <i>N</i> -2-(1-methylethyl)glycinamide
545		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-1,3-thiazole-4-carboxamide
546		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-methyl- <i>N</i> -2-(phenylmethyl)glycinamide

Cpd. No.	Structure	Name
547		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -(2-(thienylmethyl)glycinamide
548		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -(2-(pyridin-2-ylmethyl)glycinamide
549		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3-(methoxy)benzamide
550		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -(2-((3-chloro-4-methylphenyl)methyl)glycinamide
551		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-methylpentanamide

Table 1		
Cpd. No.	Structure	Name
552		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(4-chlorophenyl)acetamide
553		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3-fluoro-4-methylbenzamide
554		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-((2-methylphenyl)oxy)acetamide
555		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-cyclohexylacetamide
556		(1R,2R)- <i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-phenylcyclopropanecarboxamide
557		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3-chlorobenzamide

Cpd. No.	Structure	Name
558		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-[2-(methoxy)phenyl]acetamide
559		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-3-[3-(methoxy)phenyl]propanamide
560		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -(2-(2-fluoro-4-methylphenyl)glycinamide
561		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)- <i>N</i> -2-[(3-fluorophenyl)methyl]glycinamide
562		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-[4-(methoxy)phenyl]acetamide
563		<i>N</i> -(3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}phenyl)-2-phenylacetamide

Cpd. No.	Structure	Name
564		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2,4-dichlorobenzamide
565		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3-oxocyclohexanecarboxamide
566		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-(3-fluorophenyl)glycinamide
567		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(3-chlorophenyl)acetamide
568		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-(2-phenylpropyl)glycinamide
569		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-[(2,4-dimethylphenyl)methyl]glycinamide

Cpd. No.	Structure	Name
570		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(2-methylpiperidin-1-yl)acetamide
571		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-[2-(methoxy)phenyl]glycinamide
572		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(3,4-dihydroisoquinolin-2(1 <i>H</i>)-yl)acetamide
573		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)pent-4-enamide
574		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-(2-methylphenyl)glycinamide
575		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(4-oxopiperidin-1-yl)acetamide

Cpd. No.	Structure	Name
576		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-fluorobenzamide
577		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-(1-phenylethyl)glycinamide
578		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-fluoro-6-(methoxy)benzamide
579		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-[2-(1-methylethyl)phenyl]glycinamide
580		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3-[2-(methoxy)phenyl]propanamide
581		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-4-methylpentanamide

Table 1		
Cpd. No.	Structure	Name
582		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(2-phenylmorpholin-4-yl)acetamide
583		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3-[4-(methoxy)phenyl]propanamide
584		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-cyclopentyl- <i>N</i> -2-prop-2-en-1-ylglycinamide
585		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-methyl- <i>N</i> -2-[2-(methoxy)ethyl]glycinamide
586		<i>N</i> -(3-(((3-([3,5-bis(methoxy)phenyl]amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-4-cyclopropyl-4-oxobutanamide

Cpd. No.	Structure	Name
587		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-[3-(1,1-dimethylethyl)phenyl]glycinamide
588		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-(cyclopropylmethyl)- <i>N</i> -2-propylglycinamide
589		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(2-oxocyclopentyl)acetamide
590		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-(4-chlorophenyl)glycinamide
591		2-(1,4'-bipiperidin-1'-yl)- <i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)acetamide
592		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(4-cyclopentylpiperazin-1-yl)acetamide

Cpd. No.	Structure	Name
593		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(2-methylphenyl)acetamide
594		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> -2-((5-fluoro-2-methylphenyl)methyl)glycinamide
595		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-3,3-dimethylbutanamide
596		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)- <i>N</i> ² -(2-chlorophenyl)glycinamide
597		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-5-fluoro-2-methylbenzamide
598		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-4-fluoro-3-methylbenzamide

Cpd. No.	Structure	Name
599		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2,3-dichlorobenzamide
600		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(phenyloxy)acetamide
601		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-(2,3-dimethylphenyl)glycinamide
602		3-amino- <i>N</i> -(3-((3,5-bis(methoxy)phenyl)amino)pyrido[2,3-b]pyrazin-2-yl)benzenesulfonamide
603		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-fluoro-5-methylbenzamide
604		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-(((4-methylphenyl)methyl)oxy)glycinamide

Cpd. No.	Structure	Name
605		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-[4-(1-methylethyl)piperazin-1-yl]acetamide
606		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(4-fluorophenyl)acetamide
607		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-3-methylbutanamide
608		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-4-methyl-2-(methoxy)benzamide
609		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-(4-propylpiperidin-1-yl)acetamide
610		<i>N</i> -(3-({(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}phenyl)-2-[(3-methylphenyl)oxy]acetamide

Cpd. No.	Structure	Name
611		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)tetrahydrofuran-2-carboxamide
612		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-{3-(hydroxymethyl)piperidin-1-yl}acetamide
613		1,1-dimethylethyl-2-(((3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)amino)carbonyl)pyridine-1-carboxylate
614		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-methyl- <i>N</i> -2-(pyridin-3-ylmethyl)glycinamide
615		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-ethyl- <i>N</i> -2-phenylglycinamide
616		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-((2-methoxyethyl)oxy)acetamide

Cpd. No.	Structure	Name
617		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3-cyclopentylpropanamide
618		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2,5-dichlorobenzamide
619		2-(4-acetylpiperazin-1-yl)- <i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)acetamide
620		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-5-fluoro-2-(methoxy)benzamide
621		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-cyclohexyl- <i>N</i> -2-ethylglycinamide
622		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-5-methylisoxazole-3-carboxamide
623		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3-methylpyridine-2-carboxamide

Cpd. No.	Structure	Name
624		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(methoxy)pyridine-3-carboxamide
625		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-3,5-dichlorobenzamide
626		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(1,3-thiazolidin-3-yl)acetamide
627		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(4-formylpiperazin-1-yl)acetamide
628		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(2-pyridin-4-ylpiperidin-1-yl)acetamide
629		N-(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(methoxy)benzamide

Cpd. No.	Structure	Name
630		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)- <i>N</i> -2-methyl- <i>N</i> -2-(2-methylpropyl)glycinamide
631		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(4-formyl-1,4-diazepan-1-yl)acetamide
632		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-1-phenylcyclopropanecarboxamide
633		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(2,6-dimethylmorpholin-4-yl)acetamide
634		<i>N</i> -(3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)-2-(2-phenylpyrrolidin-1-yl)acetamide
635		3-(((3-((2-chloro-5-(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)- <i>N</i> -[2-(dimethylamino)-1-methylethyl]benzamide

Table 1		
Cpd. No.	Structure	Name
636		3-{{(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)amino)sulfonyl}-N-[2-(dimethylamino)ethyl]benzamide
637		5-{{(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)amino)sulfonyl}-N-[2-(dimethylamino)ethyl]-2-fluorobenzamide
638		3-{{(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)amino)sulfonyl}-N-pyrrolidin-3-ylbenzamide
639		3-{{(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)amino)sulfonyl}-N-[2-(dimethylamino)ethyl]benzamide
640		3-{{(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)amino)sulfonyl}-N-(2-pyrrolidin-1-ylethyl)benzamide
641		N-(2-aminoethyl)-3-{{(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)amino)sulfonyl}benzamide

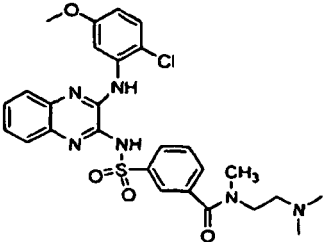
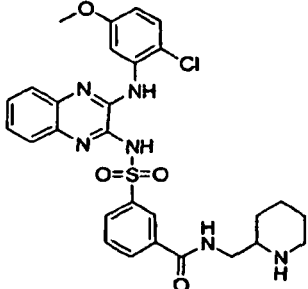
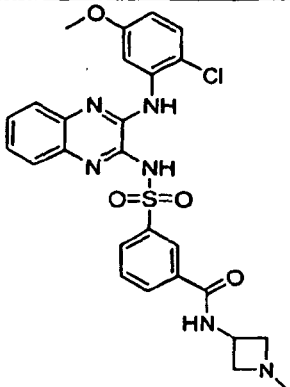
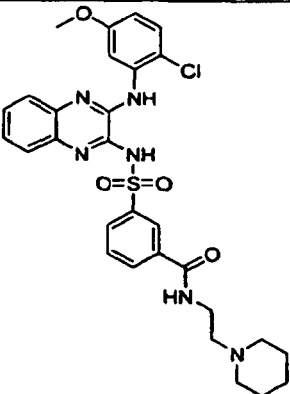
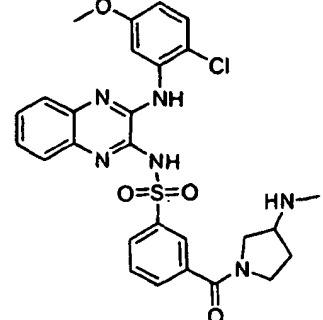
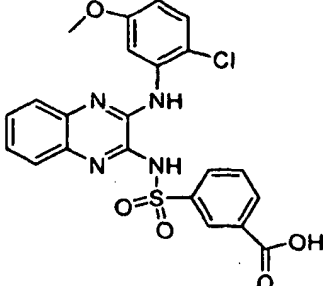
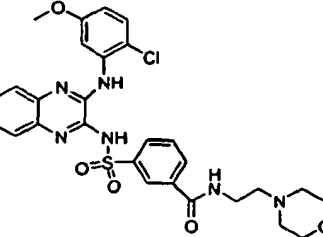
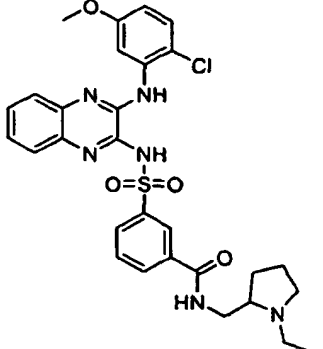
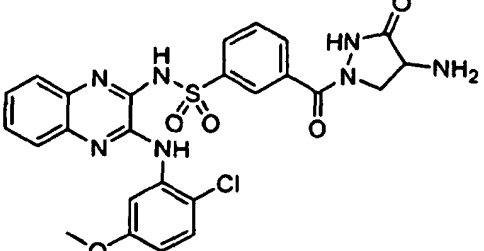
Table I		
Cpd. No.	Structure	Name
642		3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-[2-(dimethylamino)ethyl]-N-methylbenzamide
643		3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(piperidin-2-ylmethyl)benzamide
644		3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(1-methylazetidin-3-yl)benzamide
645		3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(2-piperidin-1-ylethyl)benzamide

Table 1		
Cpd. No.	Structure	Name
646		3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(2-(diethylamino)ethyl)benzamide
647		3-(((3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(2-(dimethylamino)ethyl)-N-methylbenzamide
648		3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(1-methylpiperidin-3-yl)benzamide
649		3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-piperidin-3-ylbenzamide
650		3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-((1-methylpiperidin-2-yl)methyl)benzamide

Cpd. No.	Structure	Name
651		N-{2-[bis(2-hydroxyethyl)amino]ethyl}-3-[[3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl]amino]sulfonyl}benzamide
652		3-[[3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl]amino]sulfonyl}-N-(1-ethylpiperidin-3-yl)benzamide
653		3-[[3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl]amino]sulfonyl}benzamide
654		3-[(3-aminopyrrolidin-1-yl)carbonyl]-N-(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)benzenesulfonamide
655		5-[[3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl]amino]sulfonyl}-N-[2-(dimethylamino)ethyl]-2-(methoxy)benzamide

Cpd. No.	Structure	Name
656		<i>N</i> -(3-{{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-{{[3-(methylamino)pyrrolidin-1-yl]carbonyl}benzenesulfonamide
657		3-{{[(3-{{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl]amino}sulfonyl}benzoic acid
658		3-{{[(3-{{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl]amino}sulfonyl]- <i>N</i> -(2-morpholin-4-ylethyl)benzamide
659		3-{{[(3-{{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl]amino}sulfonyl]- <i>N</i> -[(1-ethylpyrrolidin-2-yl)methyl]benzamide
660		3-[(4-amino-3-oxopyrazolidin-1-yl)carbonyl]- <i>N</i> -(3-{{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl}benzenesulfonamide

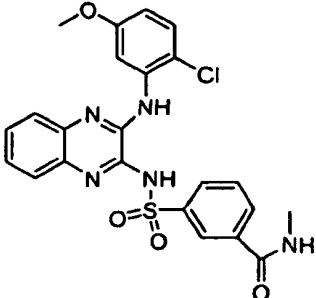
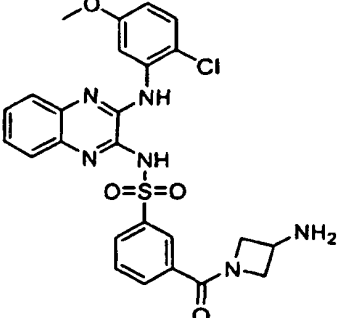
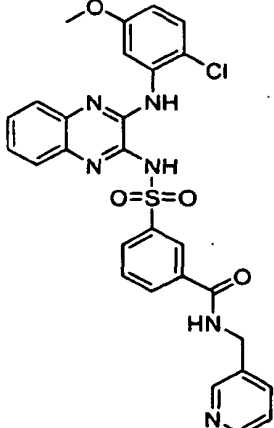
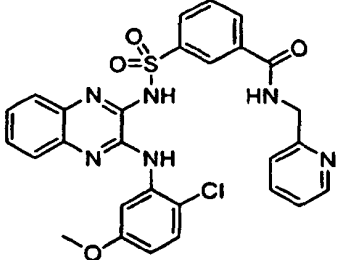
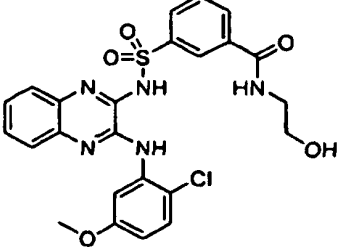
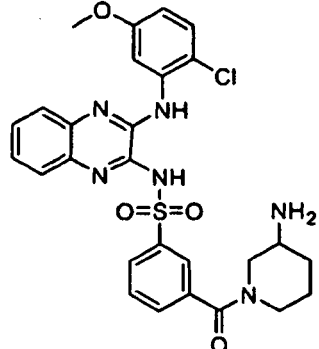
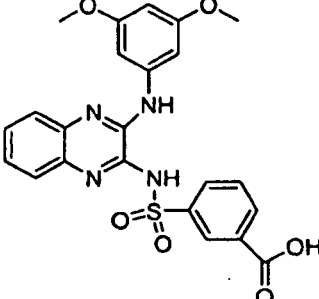
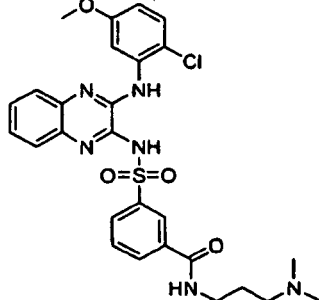
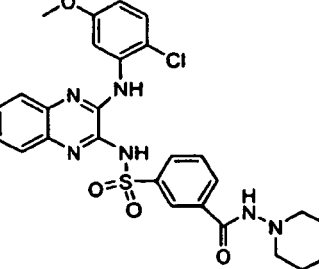
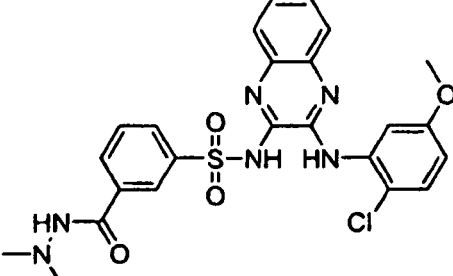
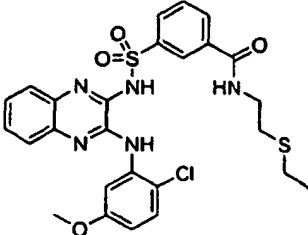
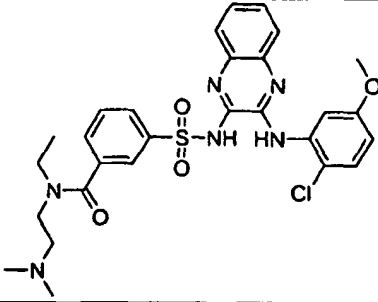
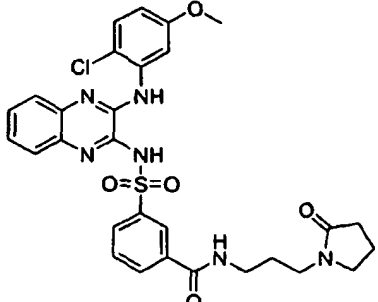
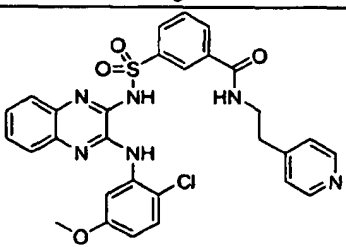
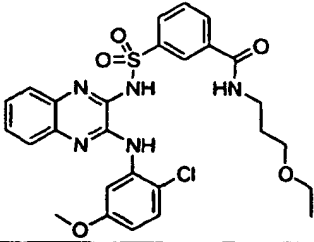
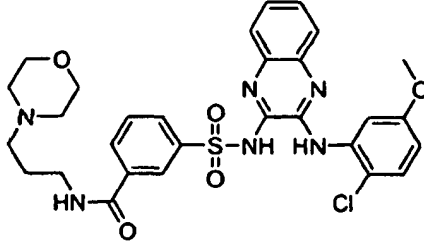
Cpd. No.	Structure	Name
661		3-(((3-((2-chloro-5-(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-methylbenzamide
662		3-((3-aminoazetidin-1-yl)carbonyl)-N-(3-((2-chloro-5-(methoxy)phenyl)amino)quinoxalin-2-yl)benzenesulfonamide
663		3-(((3-((2-chloro-5-(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(pyridin-3-ylmethyl)benzamide
664		3-(((3-((2-chloro-5-(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(pyridin-2-ylmethyl)benzamide
665		3-(((3-((2-chloro-5-(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(2-hydroxyethyl)benzamide

Table 1		
Cpd. No.	Structure	Name
666		3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(3-oxopyrazolidin-4-yl)benzamide
667		3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-[2-(1H-imidazol-4-yl)ethyl]benzamide
668		N-(3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)-3-(((3-(dimethylamino)pyrrolidin-1-yl)carbonyl)benzenesulfonamide
669		3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(pyridin-4-ylmethyl)benzamide
670		3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-methyl-N-(1-methylpyrrolidin-3-yl)benzamide
671		N-(3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)-3-(((3-(diethylamino)pyrrolidin-1-yl)carbonyl)benzenesulfonamide

Cpd. No.	Structure	Name
672		3-{{(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}- <i>N</i> -(1 <i>H</i> -pyrrol-1-yl)benzamide
673		3-{{(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}- <i>N</i> -(3-pyrrolidin-1-ylpropyl)benzamide
674		3-{{(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}- <i>N</i> -(2-cyanoethyl)- <i>N</i> -methylbenzamide
675		3-{{(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}- <i>N</i> -[2-(methoxy)ethyl]benzamide
676		3-{{(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}- <i>N</i> -(2-cyanoethyl)- <i>N</i> -ethylbenzamide

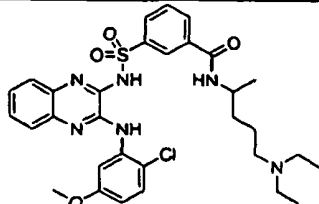
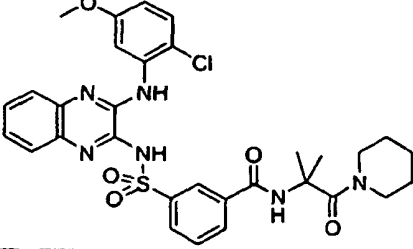
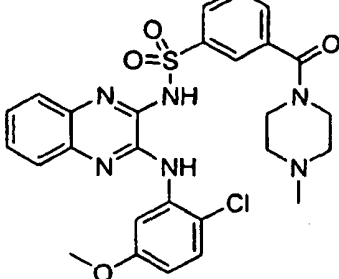
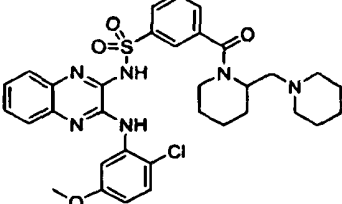
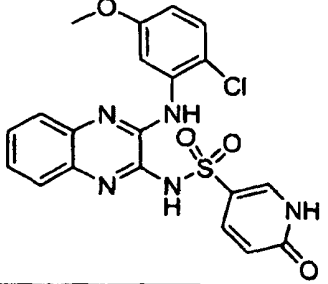
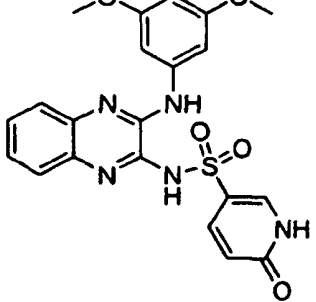
Cpd. No.	Structure	Name
677		3-[(3-aminopiperidin-1-yl)carbonyl]-N-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
678		3-[[[3-{[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl]amino]sulfonyl]benzoic acid
679		3-[[[3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl]amino]sulfonyl]-N-[3-(dimethylamino)propyl]benzamide
680		3-[[[3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl]amino]sulfonyl]-N-morpholin-4-ylbenzamide
681		N-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-[(2,2-dimethylhydrazino)carbonyl]benzenesulfonamide

Cpd. No.	Structure	Name
682		3-(((3-((2-chloro-5-(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(3-(1H-imidazol-1-yl)propyl)benzamide
683		3-(((3-((2-chloro-5-(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(3-(diethylamino)propyl)benzamide
684		3-(((3-((2-chloro-5-(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(2-cyanoethyl)benzamide
685		methyl N-((3-(((3-((2-chloro-5-(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)carbonyl)-beta-alaninate
686		3-(((3-((2-chloro-5-(methoxy)phenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(2-(methylthio)ethyl)benzamide

Cpd. No.	Structure	Name
687		3-{{(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}-N-[2-(ethylthio)ethyl]benzamide
688		3-{{(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}-N-[2-(dimethylamino)ethyl]-N-ethylbenzamide
689		3-{{(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}-N-[3-(2-oxopyrrolidin-1-yl)propyl]benzamide
690		3-{{(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}-N-(3-(2-pyridin-4-ylethyl)benzamide
691		3-{{(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}-N-[3-(ethyloxy)propyl]benzamide
692		3-{{(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)amino}sulfonyl}-N-(3-morpholin-4-ylpropyl)benzamide

Cpd. No.	Structure	Name
693		3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(3-methoxypropyl)benzamide
694		3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(3-(dimethylamino)propyl)-N-methylbenzamide
695		3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(3-(propyloxy)propyl)benzamide
696		ethyl N-((3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)phenyl)carbonyl)-beta-alaninate
697		3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(3-((1-methylethyl)oxy)propyl)benzamide
698		3-(((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-N-(1,1-dimethyl-2-piperidin-1-ylethyl)benzamide

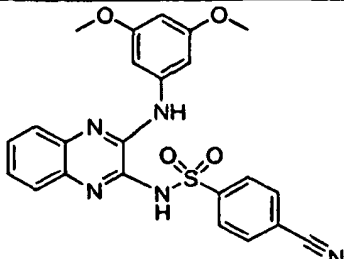
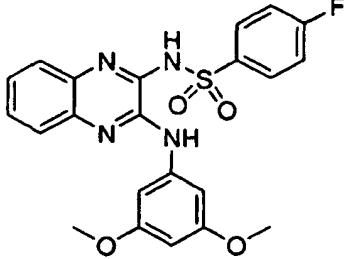
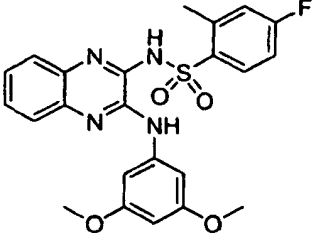
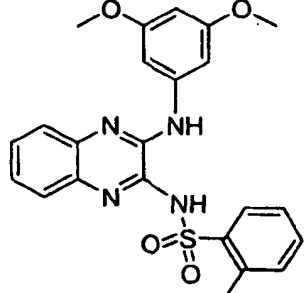
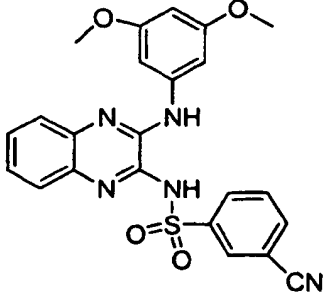
Cpd. No.	Structure	Name
699		3-({(3-({2-chloro-5-(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}-N-methyl-N-propylbenzamide
700		3-({(3-({2-chloro-5-(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}-N-piperidin-1-ylbenzamide
701		3-({(3-({2-chloro-5-(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}-N-[1-methyl-2-(methoxy)ethyl]benzamide
702		3-({(3-({2-chloro-5-(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}-N-(1,1-dimethyl-2-morpholin-4-ylethyl)benzamide
703		N-(3-({2-chloro-5-(methoxy)phenyl}amino)quinoxalin-2-yl)-3-({2-((dimethylamino)methyl)piperidin-1-yl}carbonyl)benzenesulfonamide
704		N-[3-(butyloxy)propyl]-3-({(3-({2-chloro-5-(methoxy)phenyl}amino)quinoxalin-2-yl)amino)sulfonyl}benzamide

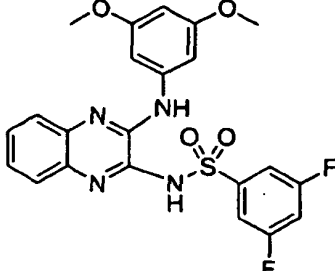
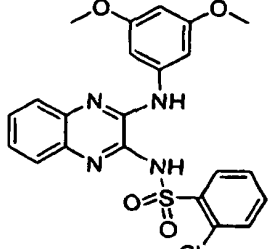
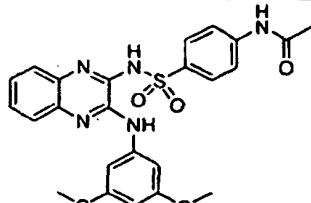
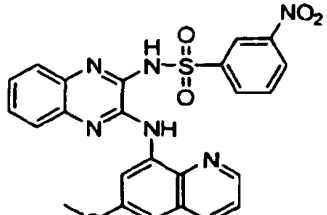
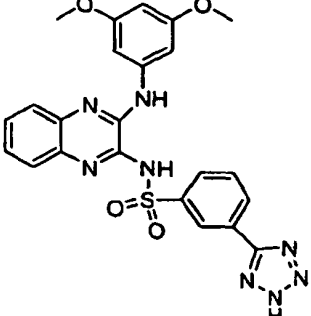
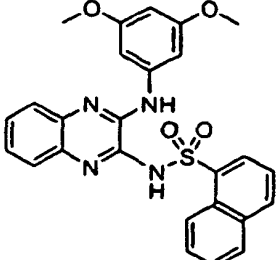
Table 1		
Cpd. No.	Structure	Name
705		3-{{(3-{{(2-chloro-5-(methoxy)phenyl)amino}quinoxalin-2-yl)amino)sulfonyl}-N-[4-(diethylamino)-1-methylbutyl]benzamide
706		3-{{(3-{{(2-chloro-5-(methoxy)phenyl)amino}quinoxalin-2-yl)amino)sulfonyl}-N-(1,1-dimethyl-2-oxo-2-piperidin-1-ylethyl)benzamide
707		N-(3-{{(2-chloro-5-(methoxy)phenyl)amino}quinoxalin-2-yl)-3-{{(4-methylpiperazin-1-yl)carbonyl]benzenesulfonamide
708		N-(3-{{(2-chloro-5-(methoxy)phenyl)amino}quinoxalin-2-yl)-3-{{(2-(piperidin-1-ylmethyl)piperidin-1-yl)carbonyl]benzenesulfonamide
709		N-(3-{{(2-chloro-5-(methoxy)phenyl)amino}quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide
710		N-(3-{{(3,5-bis(methoxy)phenyl)amino}quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide

Cpd. No.	Structure	Name
711		3-amino- <i>N</i> -(3-({6-(methoxy)quinolin-8-yl}amino)quinoxalin-2-yl)benzenesulfonamide
712		<i>N</i> -(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)thiophene-2-sulfonamide
713		<i>N</i> -(3-({2-chloro-5-(methoxy)phenyl}amino)quinoxalin-2-yl)-3-cyanobenzenesulfonamide
714		<i>N</i> -(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)-3-(methylamino)benzenesulfonamide
715		<i>N</i> -(2-({3,5-bis(methoxy)phenyl}amino)pyrido[2,3-b]pyrazin-3-yl)-3-nitrobenzenesulfonamide
716		<i>N</i> -(3-({2-chloro-5-(methoxy)phenyl}amino)quinoxalin-2-yl)-3-(1-({2-(dimethylamino)ethyl}amino)ethyl)benzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
717		3-amino- <i>N</i> -(3-({[3-(methoxy)-5-nitrophenyl]amino}quinoxalin-2-yl)benzenesulfonamide
718		3-acetyl- <i>N</i> -(3-({[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
719		3-amino- <i>N</i> -(3-({[3-fluoro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide
720		<i>N</i> -(3-({[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)- <i>N'</i> -[2-(dimethylamino)ethyl]benzene-1,3-disulfonamide
721		<i>N</i> -(3-({[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)- <i>N'</i> -[3-(dimethylamino)propyl]benzene-1,3-disulfonamide
722		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-6-chloropyridine-3-sulfonamide

Table 1		
Cpd. No.	Structure	Name
723		<i>N</i> -(3-{{2-chloro-5-(methoxy)phenyl}amino}quinoxalin-2-yl)-3-{5-[(dimethylamino)methyl]-1,3,4-oxadiazol-2-yl}benzenesulfonamide
724		<i>N</i> -(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)-6-{2-(dimethylamino)ethyl}amino}pyridine-3-sulfonamide
725		3-amino- <i>N</i> -(3-{{3-amino-5-(methoxy)phenyl}amino}quinoxalin-2-yl)benzenesulfonamide
726		<i>N</i> -(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)-3-(dimethylamino)benzenesulfonamide
727		<i>N</i> -(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)-6-{2-(dimethylamino)ethyl}oxy}pyridine-3-sulfonamide
728		<i>N</i> -(3-{{3,5-bis(methoxy)phenyl}amino}quinoxalin-2-yl)-6-(dimethylamino)pyridine-3-sulfonamide

Table 1		
Cpd. No.	Structure	Name
729		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-cyanobenzenesulfonamide
730		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-fluorobenzenesulfonamide
731		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-fluoro-2-methylbenzenesulfonamide
732		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-2-methylbenzenesulfonamide
733		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-cyanobenzenesulfonamide

Cpd. No.	Structure	Name
734		N-(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3,5-difluorobenzenesulfonamide
735		N-(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-2-chlorobenzenesulfonamide
736		N-(4-({[3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino]sulfonyl}phenyl)acetamide
737		N-(3-({[6-(methoxy)quinolin-8-yl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
738		N-(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-(2H-tetrazol-5-yl)benzenesulfonamide
739		N-(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)naphthalene-1-sulfonamide

Cpd. No.	Structure	Name
740		N-(((3-((3-((2-chloro-5-methoxyphenyl)amino)quinoxalin-2-yl)amino)sulfonyl)-4-methylphenyl)amino)(dimethylamino)methylidene)-N-methylmethanaminium
741		N-(3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)-3-fluorobenzenesulfonamide
742		N-(3-((2-bromo-5-methoxyphenyl)amino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide
743		N-(3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)-4-((difluoromethyl)oxy)benzenesulfonamide
744		N-(3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)-2-(trifluoromethyl)benzenesulfonamide
745		N-(3-((3,5-bis(methoxy)phenyl)amino)quinoxalin-2-yl)-3-chloro-4-fluorobenzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
746		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-(trifluoromethyl)benzenesulfonamide
747		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-(methylsulfonyl)benzenesulfonamide
748		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-2,5-dichlorothiophene-3-sulfonamide
749		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3,5-dichlorobenzenesulfonamide
750		<i>N</i> -(3-({[2-methyl-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
751		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-((trifluoromethyl)oxy)benzenesulfonamide

Cpd. No.	Structure	Name
752		N-(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)amino)sulfonylphenyl)-2-[4-(dimethylamino)piperidin-1-yl]acetamide
753		N-(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-5-chloro-2-(methoxy)benzenesulfonamide
754		N-(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-(trifluoromethyl)benzenesulfonamide
755		N-(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-2,5-bis(methoxy)benzenesulfonamide
756		N-(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3,5-dimethylisoxazole-4-sulfonamide
757		N-(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-5-bromo-2-(methoxy)benzenesulfonamide

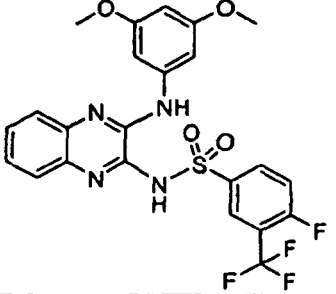
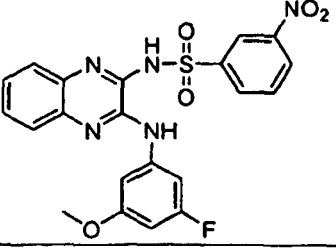
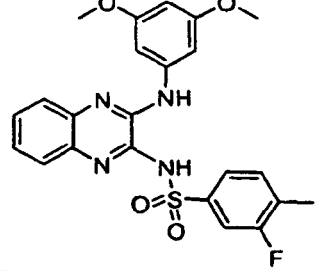
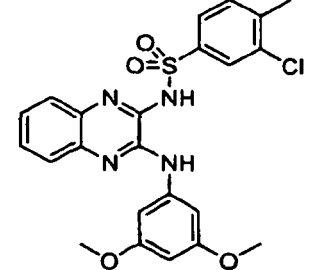
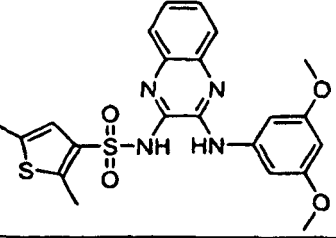
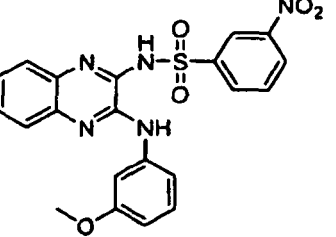
Cpd. No.	Structure	Name
758		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-4-fluoro-3-(trifluoromethyl)benzenesulfonamide
759		<i>N</i> -(3-({[3-fluoro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide
760		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-fluoro-4-methylbenzenesulfonamide
761		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-3-chloro-4-methylbenzenesulfonamide
762		<i>N</i> -(3-({[3,5-bis(methoxy)phenyl]amino}quinoxalin-2-yl)-2,5-dimethylthiophene-3-sulfonamide
763		<i>N</i> -(3-({[3-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide

Table 1		
Cpd. No.	Structure	Name
764		<i>N</i> -{3-[(2-chloro-5-hydroxyphenyl)amino]quinoxalin-2-yl}-3-nitrobenzenesulfonamide
765		<i>N</i> -(3-[[3-[[3,5-bis(methoxy)phenyl]amino]quinoxalin-2-yl]amino]sulfonyl)phenyl)-4-methyl-3-(methoxy)benzamide
766		<i>N</i> -(3-[[3,5-bis(methoxy)phenyl]amino]quinoxalin-2-yl)-1-phenylmethanesulfonamide
767		<i>N</i> -(3-[[3-(methoxy)-5-nitrophenyl]amino]quinoxalin-2-yl)-3-nitrobenzenesulfonamide
768		<i>N</i> -(3-[[3,5-bis(methoxy)phenyl]amino]quinoxalin-2-yl)-1-(3-chlorophenyl)methanesulfonamide
769		<i>N</i> -(3-[[3,5-bis(methoxy)phenyl]amino]quinoxalin-2-yl)-4,5-dichlorothiophene-2-sulfonamide

Table 1		
Cpd. No.	Structure	Name
770		<i>N</i> -(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)-5-chloro-1,3-dimethyl-1 <i>H</i> -pyrazole-4-sulfonamide
771		<i>N</i> -(3-({3,5-bis(methoxy)phenyl}amino)quinoxalin-2-yl)-3,5-bis(trifluoromethyl)benzenesulfonamide

Table 2a.

Representative AKT Inhibitors

[0228] The Compounds in Table 2a can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 2a can be used.

Cmpd No.	Name
1	3-(azetidin-3-ylidenemethyl)-4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
2	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(3-fluoropyridin-4-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
3	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(3-chloropyridin-4-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
4	2-({5-chloro-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}oxy)- <i>N</i> , <i>N</i> -dimethylethanamine
5	2-({5-chloro-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}oxy)- <i>N</i> , <i>N</i> -diethylethanamine
6	4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
7	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-piperazin-1-yl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
8	<i>N</i> -(3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl}prop-2-yn-1-yl)acetamide
9	<i>N,N</i> -diethyl-2-({3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]phenyl}oxy)ethanamine
10	3-{3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methylphenyl}- <i>N,N</i> -diethylpropan-1-amine
11	3-bromo-4-{4-[5-chloro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine

(continued)

Cmpd No.	Name
5	12 3-bromo-4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	13 2-({3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methylphenyl}oxy)- <i>N</i> , <i>N</i> -diethylethanamine
10	14 4-[4-(5-chloro-2-methyl-3-{[2-(1-methylpiperidin-4-yl)ethyl]oxy}phenyl)piperazin-1-yl]-3-ethyl- 1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	15 5-chloro-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl- <i>N</i> -(2-pyrrolidin-1- ylethyl)aniline
15	16 4-(4-{5-chloro-2-methyl-3-[(2-morpholin-4-ylethyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	17 4-(4-{5-chloro-2-methyl-3-[(2-piperidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>] pyrimidine
20	18 3-bromo-4-[4-{5-chloro-2-methyl-3-(3-morpholin-4-ylpropyl)phenyl}piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>] pyrimidine
	19 3-bromo-4-(4-{5-chloro-2-methyl-3-[3-(4-methylpiperazin-1-yl)propyl]phenyl}piperazin-1-yl)- 1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
25	20 3-bromo-4-(4-{5-chloro-2-methyl-3-[(2-piperidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	21 3-bromo-4-(4-{5-chloro-2-methyl-3-[(2-morpholin-4-ylethyl)oxy]phenyl}piperazin-1-yl)-1 <i>H</i> -pyrazolo [3,4- <i>d</i>]pyrimidine
30	22 4-{4-[5-chloro-2-methyl-3-(3-morpholin-4-ylpropyl)phenyl]piperazin-1-yl}-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>] pyrimidine
	23 <i>N'</i> -{5-chloro-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}- <i>N</i> , <i>N</i> -diethylethane-1,2-diamine
35	24 4-{4-[5-chloro-2-methyl-3-(3-piperidin-1-ylpropyl)phenyl]piperazin-1-yl}-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>] pyrimidine
	25 4-[4-(5-chloro-3-{[2-(4-ethylpiperazin-1-yl)ethyl]oxy}-2-methylphenyl)piperazin-1-yl]-3-ethyl- 1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
40	26 4-(4-{5-chloro-2-methyl-3-[(3-morpholin-4-ylpropyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1 <i>H</i> -pyrazolo [3,4- <i>d</i>]pyrimidine
	27 3-bromo-4-[4-{5-chloro-2-methyl-3-(3-piperidin-1-ylpropyl)phenyl}piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>] pyrimidine
45	28 <i>N'</i> -{3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methylphenyl}- <i>N</i> , <i>N</i> -diethylethane-1,2-diamine
	29 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methyl- <i>N</i> -(2-pyrrolidin-1- ylethyl)aniline
50	30 4-[4-(5-chloro-2-methyl-3-{[2-(4-methylpiperazin-1-yl)ethyl]oxy}phenyl)piperazin-1-yl]-3-ethyl- 1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	31 4-[4-(5-chloro-2-methyl-3-{[(1-methylpiperidin-4-yl)methyl]oxy}phenyl)piperazin-1-yl]-3-ethyl- 1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
55	32 <i>N,N</i> -diethyl-2-({3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}oxy) ethanamine
	33 2-[(5-chloro-3-[4-[1-(1,1-dimethylethyl)-3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl]piperazin- 1-yl]-2-methylphenyl)oxy]- <i>N,N</i> -diethylethanamine

(continued)

Cmpd No.	Name
5	34 2-[(5-chloro-2-methyl-3-{4-[3-(trifluoromethyl)- 1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl]piperazin-1-yl}phenyl)oxy]- <i>N,N</i> -diethylethanamine
	35 4-(4-{5-chloro-2-methyl-3-[(3-pyrrolidin-1-ylpropyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
10	36 4-[4-(5-chloro-2-methyl-3-{3-(4-methylpiperazin-1-yl)propyl}oxy)phenyl]piperazin-1-yl]-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	37 3-bromo-4-(4-{5-chloro-2-methyl-3-[(3-piperidin-1-ylpropyl)oxy]phenyl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
15	38 3-bromo-4-(4-{5-chloro-2-methyl-3-[(3-morpholin-4-ylpropyl)oxy]phenyl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	39 4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
20	40 4-(4-{5-chloro-2-methyl-3-[(3-morpholin-4-ylpropyl)oxy]phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	41 4-(4-{5-chloro-2-methyl-3-[(2-morpholin-4-ylethyl)oxy]phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
25	42 4-(4-{5-chloro-2-methyl-3-[(3-piperidin-1-ylpropyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	43 4-[4-(5-chloro-3-{[3-(4-ethylpiperazin-1-yl)propyl]oxy}-2-methylphenyl)piperazin-1-yl]-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
30	44 5-chloro-2-methyl-3-[4-(1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
	45 5-chloro-2-methyl-3-[4-(3-methyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
35	46 <i>N'</i> -(5-chloro-2-methyl-3-{4-[3-(trifluoromethyl)- 1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl]piperazin-1-yl}phenyl)- <i>N,N</i> -dimethylethane-1,2-diamine
	47 3-({5-chloro-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}oxy)- <i>N,N</i> -diethylpropan-1-amine
40	48 <i>N'</i> -(5-chloro-2-methyl-3-{4-[3-(trifluoromethyl)- 1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl]piperazin-1-yl}phenyl)- <i>N,N</i> -diethylethane-1,2-diamine
	49 5-chloro-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)-3-{4-[3-(trifluoromethyl)- 1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl]piperazin-1-yl}aniline
45	50 3-bromo-4-(4-{4-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	51 4-(4-{4-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	52 3-methyl-4-(4-{4-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
50	53 4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	54 4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-methyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
55	55 4-(4-{5-chloro-2-methyl-3-[(2-piperidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine

(continued)

Cmpd No.	Name
56	3-[(5-chloro-2-methyl-3-{4-[3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl]piperazin-1-yl}phenyl)oxy]- <i>N,N</i> -diethylpropan-1-amine
57	5-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
58	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-fluoro-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
59	4-{4-[5-chloro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
60	3-bromo-4-{4-[5-fluoro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
61	4-{4-[5-chloro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
62	4-(4-{5-chloro-2-methyl-3-[3-(4-methylpiperazin-1-yl)propyl]phenyl}piperazin-1-yl)-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
63	3-bromo-4-(4-pyridin-2-ylpiperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
64	3-bromo-4-[4-(2,4-dimethylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
65	3-bromo-4-[4-[3-(methyloxy)phenyl]piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
66	3-bromo-4-[4-[2-(methyloxy)phenyl]piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
67	3-bromo-4-[4-[4-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
68	4-(4-{5-chloro-2-methyl-3-[(3-pyrrolidin-1-ylpropyl)oxy]phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
69	4-(4-{5-chloro-2-methyl-3-[(3-piperidin-1-ylpropyl)oxy]phenyl}piperazin-1-yl)-3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
70	4-[4-(5-chloro-2-methyl-3-{[3-(4-methylpiperazin-1-yl)propyl]oxy}phenyl)piperazin-1-yl]-3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
71	4-[4-(5-chloro-3-{[3-(4-ethylpiperazin-1-yl)propyl]oxy}-2-methylphenyl)piperazin-1-yl]-3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
72	3-bromo-4-[4-(5-chloro-2-methyl-3-{[2-(4-methylpiperazin-1-yl)ethyl]oxy}phenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
73	4-[4-(5-chloro-2-methyl-3-{[2-(4-methylpiperazin-1-yl)ethyl]oxy}phenyl)piperazin-1-yl]-3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
74	3-bromo-4-[4-(5-chloro-3-{[2-(4-ethylpiperazin-1-yl)ethyl]oxy}-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
75	3-bromo-4-[4-(3,4-dichlorophenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
76	3-bromo-4-[4-(3,4-difluorophenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
77	3-bromo-4-[4-(2,4-dichlorophenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
78	3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-fluoro-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
79	5-fluoro-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)-3-[4-[3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl]piperazin-1-yl]aniline
80	4-{4-[3,5-bis(methyloxy)phenyl]piperazin-1-yl}-3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
81	4-[4-(5-chloro-3-{[2-(4-ethylpiperazin-1-yl)ethyl]oxy}-2-methylphenyl)piperazin-1-yl]-3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine

(continued)

Cmpd No.	Name
5	82 <i>N</i> -{5-chloro-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}- <i>N,N,N'</i> -trimethylethane-1,2-diamine
	83 3-({3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methylphenyl}oxy)- <i>N,N</i> -diethylpropan-1-amine
10	84 3-promo-4-[4-(5-chloro-2-methyl-3-[(3-pyrrolidin-1-ylpropyl)oxy]phenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	85 3-bromo-4-[4-(5-chloro-2-methyl-3-[(3-(4-methylpiperazin-1-yl)propyl)oxy]phenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
15	86 3-bromo-4-[4-(5-chloro-3-[(3-(4-ethylpiperazin-1-yl)propyl)oxy]-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	87 3-(5-chloro-2-methyl-3-[4-(3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]phenyl)- <i>N,N</i> -diethylpropan-1-amine
20	88 3-bromo-4-[4-(5-chloro-2-methyl-3-[(1-methylpiperidin-4-yl)methyl]oxy]phenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	89 3-bromo-4-[4-(5-chloro-2-methyl-3-[(2-(1-methylpiperidin-4-yl)ethyl]oxy]phenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
25	90 4-[4-(5-chloro-2-methyl-3-[(1-methylpiperidin-4-yl)methyl]oxy]phenyl)piperazin-1-yl]-3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	91 4-[4-(5-chloro-2-methyl-3-[(2-(1-methylpiperidin-4-yl)ethyl]oxy]phenyl)piperazin-1-yl]-3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
30	92 4-(4-{5-chloro-2-methyl-3-[3-(4-methylpiperazin-1-yl)propyl]phenyl)piperazin-1-yl)-3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	93 3-bromo-4-[4-(3-chloro-4-fluorophenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	94 1-{4-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]phenyl}ethanone
35	95 3-bromo-4-[4-(2,5-dichlorophenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	96 3-bromo-4-[4-(3,4-dimethylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	97 3-bromo-4-[4-(4-nitrophenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	98 3-ethyl-4-(4-phenylpiperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
40	99 3-ethyl-4-{4-[3-(methyloxy)phenyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	100 4-{4-[5-chloro-2-methyl-3-(3-piperidin-1-ylpropyl)phenyl]piperazin-1-yl}-3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	101 4-[4-(3,6-dimethylpyrazin-2-yl)piperazin-1-yl]-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
45	102 1-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]isoquinoline
	103 3-bromo-4-[4-(2,6-dimethylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	104 3-bromo-4-[4-[4-(ethyloxy)phenyl]piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
50	105 3-bromo-4-[4-(2-ethylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	106 4-{4-[2,4-bis(methyloxy)phenyl]piperazin-1-yl}-3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	107 3-bromo-4-(4-pyrazin-2-ylpiperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	108 3-bromo-4-(4-pyrimidin-2-ylpiperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
55	109 4-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-(trifluoromethyl)quinoline
	110 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]pyrazine-2-carbonitrile

(continued)

Cmpd No.	Name
5	111 4-[4-(4,6-dimethylpyrimidin-2-yl)piperazin-1-yl]-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	112 ethyl 4-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-(trifluoromethyl)pyrimidine-5-carboxylate
	113 4-{4-[3-chloro-5-(methyloxy)phenyl]piperazin-1-yl}-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
10	114 4-[4-(3-bromo-2-chloro-5-fluorophenyl)piperazin-1-yl]-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	115 2-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]pyridine-3-carboxamide
	116 3-ethyl-4-{4-[4-(trifluoromethyl)pyridin-2-yl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
15	117 3-bromo-4-{4-[4-(trifluoromethyl)pyridin-2-yl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	118 3-bromo-4-{4-[4-(trifluoromethyl)pyrimidin-2-yl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	119 2-({3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]pyrazin-2-yl}oxy)- <i>N</i> , <i>N</i> -dimethylethanamine
20	120 4-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methylquinoline
	121 3-bromo-4-[4-(2-nitrophenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	122 2-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]benzonitrile
	123 4-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]benzonitrile
25	124 3-bromo-4-{4-[4-(trifluoromethyl)phenyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	125 3-bromo-4-(4-{4-[(phenylmethyl)oxy]phenyl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	126 4-{4-[5-chloro-2-methyl-3-(methyloxy)phenyl]piperazin-1-yl}-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
30	127 2-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]pyridine-3-carbonitrile
	128 3-bromo-4-[4-(3,5-dichlorophenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	129 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-chloro-5-fluoro- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
35	130 2-chloro-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-fluoro- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
	131 3-bromo-4-[4-(2,5-difluorophenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	132 4-[4-(2,5-difluorophenyl)piperazin-1-yl]-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
40	133 3-bromo-4-{4-[3-(methyloxy)pyrazin-2-yl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	134 3-bromo-4-[4-(3-chlorophenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	135 3-bromo-4-[4-[3-(trifluoromethyl)pyridin-2-yl]piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
45	136 3-bromo-4-{4-[3-chloro-5-(trifluoromethyl)pyridin-2-yl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	137 4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-(1-methylethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
50	138 5-chloro-2-methyl-3-{4-[3-(1-methylethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl]piperazin-1-yl}- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
55	139 2-({3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]phenyl}oxy)- <i>N</i> -ethylacetamide
	140 2-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N,N</i> -diethylpyrimidin-4-amine
	141 3-bromo-4-[4-(3-[(3-methylphenyl)methyl]oxy)phenyl]piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	142 3-bromo-4-(4-{3-[(2-piperidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	143 3-bromo-4-[4-(4-furan-2-ylpyrimidin-2-yl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine

(continued)

Cmpd No.	Name
5	144 6-{2-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]pyrimidin-4-yl}-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	145 3-ethyl-4-{4-[2-methyl-3-(methyloxy)phenyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
10	146 <i>N'</i> -{5-chloro-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}- <i>N</i> -methyl- <i>N</i> -(1-methylethyl)ethane-1,2-diamine
	147 <i>N'</i> -{5-chloro-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}- <i>N</i> -ethyl- <i>N</i> -methylethane-1,2-diamine
15	148 <i>N'</i> -{3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methylphenyl}- <i>N</i> , <i>N</i> -dimethylethane-1,2-diamine
	149 3-({6-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-chloro-5-methylpyrimidin-4-yl}oxy)- <i>N,N</i> -diethylpropan-1-amine
	150 3-bromo-4-[4-(2,3-dichlorophenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
20	151 3-bromo-4-{4-[2-(trifluoromethyl)phenyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	152 3-bromo-4-(4-phenylpiperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	153 3-bromo-4-[4-(4-fluorophenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	154 3-bromo-4-[4-(4-chlorophenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
25	155 3-bromo-4-{4-[3-(trifluoromethyl)phenyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	156 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-6-amine
	157 3-bromo-4-[4-(4-bromophenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
30	158 3-bromo-4-[3-methyl-4-(3-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	159 4-[4-(3-bromo-5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-6-amine
	160 4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-cyclopropyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
35	161 5-chloro-3-[4-(3-cyclopropyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
	162 5-chloro-2-methyl-3-[4-[3-(2-methylpropyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl]piperazin-1-yl]- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
40	163 4-(4-{5-chloro-2-methyl-3-[(2-pyrrolidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-(2-methylpropyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	164 3-bromo-4-[(3 <i>S</i>)-4-(5-chloro-2-methylphenyl)-3-methylpiperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	165 5-bromo-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methylaniline
45	166 2-({3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]phenyl}oxy)- <i>N</i> -cyclopropylacetamide
	167 3-bromo-4-(4-{3-[(2-piperidin-1-ylethyl)oxy]pyrazin-2-yl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	168 4-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-6,7-bis(methyloxy)quinazoline
50	169 2-({3-chloro-5-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]phenyl}oxy)- <i>N</i> , <i>N</i> -diethylethanamine
	170 4-{4-[2-chloro-5-(trifluoromethyl)phenyl]piperazin-1-yl}-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
55	171 3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(2-methylpropyl)oxy]- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
	172 3-({4-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-6-chloro-5-methylpyrimidin-2-yl}oxy)- <i>N,N</i> -diethylpropan-1-amine

(continued)

Cmpd No.	Name
5	173 3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(phenylmethyl) oxy]- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
	174 3-bromo-4-[(3 <i>R</i>)-4-(5-chloro-2-methylphenyl)-3-methylpiperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
10	175 3-[(2 <i>S</i>)-4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)-2-methylpiperazin-1-yl]-4-methyl- <i>N</i> -phenylbenzamide
	176 3-[(2 <i>S</i>)-4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)-2-methylpiperazin-1-yl]-4-methyl- <i>N</i> -(phenylmethyl)benzamide
	177 methyl 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methylbenzoate
15	178 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methylbenzoic acid
	179 (2 <i>E</i>)-3-(4-{4-[5-chloro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl)prop-2-enoic acid
20	180 3-(4-{4-[5-chloro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl)prop-2-yn-1-ol
	181 4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)-1-(5-chloro-2-methylphenyl)piperazin-2-one
	182 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(2-methylpropyl)oxy]- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
25	183 <i>N'</i> -{3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(2-methylpropyl)oxy]phenyl}- <i>N,N</i> -diethylethane-1,2-diamine
	184 methyl 3-bromo-5-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methylbenzoate
	185 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl- <i>N</i> -phenylbenzamide
30	186 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N</i> ,4-dimethylbenzamide
	187 2-({3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]phenyl)oxy)- <i>N,N</i> -diethylethanamine
	188 methyl 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzoate
35	189 3-bromo-5-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl- <i>N</i> -phenylbenzamide
	190 3-bromo-5-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl- <i>N</i> -phenylbenzamide
40	191 <i>N'</i> -{3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-chloro-2-methylphenyl}- <i>N</i> -methyl- <i>N</i> -(1-methylethyl)ethane-1,2-diamine
	192 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl- <i>N</i> -phenyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
45	193 <i>N'</i> -{3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(2-methylpropyl)oxy]phenyl}- <i>N,N</i> -dimethylethane-1,2-diamine
	194 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N,N</i> ,4-trimethylbenzamide
	195 3-[4-(3-chloro-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl- <i>N</i> -(2-methylpropyl)benzamide
50	196 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N,N</i> ,4-trimethyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
	197 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)-2-oxopiperazin-1-yl]-4-methyl- <i>N</i> -phenylbenzamide
55	198 3-[(2 <i>R</i>)-4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)-2-(hydroxymethyl)piperazin-1-yl]-4-methyl- <i>N</i> -phenylbenzamide

(continued)

Cmpd No.	Name
199	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(pyrrolidin-1-ylcarbonyl)- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
200	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N</i> ,4-dimethyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
201	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N</i> -(4-chlorophenyl)-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
202	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N</i> -(2-chlorophenyl)-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
203	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-[(cyclopropylmethyl)oxy]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
204	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(3-methylbutyl)oxy]- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
205	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-[(2-ethylbutyl)oxy]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
206	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-(butyloxy)-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
207	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl- <i>N</i> -(1-methylethyl)-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
208	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N</i> ,4-dimethyl- <i>N</i> -(1-methylethyl)-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
209	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-[(cyclobutylmethyl)oxy]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
210	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-(ethyloxy)-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
211	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N</i> -[2-(dimethylamino)ethyl]-4-methylbenzamide
212	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N</i> -(1,1-dimethylethyl)-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
213	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl- <i>N</i> -pyridin-3-yl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
214	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-[(2-fluoro-2-methylpropyl)oxy]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
215	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-[(cyclohexylmethyl)oxy]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
216	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-[(cyclopentylmethyl)oxy]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
217	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N</i> -ethyl-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
218	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[(1-methylethyl)oxy]- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
219	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-[(2,2-dimethylpropyl)oxy]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
220	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)-5-[(tetrahydrofuran-2-ylmethyl)oxy]aniline

(continued)

Cmpd No.	Name
221	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-[[2-(methoxy)ethyl]oxy]- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
222	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(propyloxy)- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
223	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-[[2-(dimethylamino)ethyl]amino]-4-methyl- <i>N</i> -phenylbenzamide
224	<i>N'</i> -{3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-[(2-fluoro-2-methylpropyl)oxy]-2-methylphenyl}- <i>N,N</i> -dimethylethane-1,2-diamine
225	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-[[2-(dimethylamino)ethyl]amino]-4-methyl- <i>N</i> -(1-methylethyl)benzamide
226	1-{3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}pentan-1-one
227	<i>N'</i> -(3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-[[2,3-difluoro-2-(fluoromethyl)propyl]oxy]-2-methylphenyl)- <i>N,N</i> -dimethylethane-1,2-diamine
228	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-[[2,3-difluoro-2-(fluoromethyl)propyl]oxy]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
229	5-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)biphenyl-3-amine
230	1-(3-{5-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methylbiphenyl-3-yl}propyl)pyridinium
231	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)-5-(1,3-thiazol-2-yl)aniline
232	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzoic acid
233	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(phenylethynyl)- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
234	{3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}(phenyl)methanone
235	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-ethynyl-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
236	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-(3,3-dimethylbut-1-yn-1-yl)-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
237	3-bromo-4-{4-[5-[[2,3-difluoro-2-(fluoromethyl)propyl]oxy]-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
238	3-bromo-4-{4-[2-methyl-5-[(2-methylpropyl)oxy]-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
239	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(3-phenyl-1,2,4-oxadiazol-5-yl)- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
240	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(3-methyl-1,2,4-oxadiazol-5-yl)- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
241	1-{3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}propan-1-one
242	3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-(3,3-dimethylbutyl)-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline

(continued)

Cmpd No.	Name
5	243 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-ethyl-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
	244 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)-5-[2-(trimethylsilyl)ethyl]aniline
10	245 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(2-phenylethyl)- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
	246 1-{3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl} butan-1-one
15	247 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N</i> ,4-dimethyl- <i>N</i> -(methyloxy)- 5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
	248 3-bromo-4-[4-(3-bromo-5-[[2,3-difluoro-2-(fluoromethyl)propyl]oxy]-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
20	249 4-[4-(3-bromo-5-[[2,3-difluoro-2-(fluoromethyl)propyl]oxy]-2-methylphenyl)piperazin-1-yl]-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	250 1-{3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}ethanone
25	251 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-[(difluoromethyl)oxy]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
	252 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-[[[(difluoromethyl)oxy]methyl]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
30	253 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(methyloxy)- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
	254 5-[[2,3-difluoro-2-(fluoromethyl)propyl]oxy]-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
35	255 2-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-3,5,6-trifluoro- <i>N</i> -(3-methylbutyl)pyridin-4-amine
	256 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N</i> -[(cyclopropylmethyl)oxy]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]benzamide
40	257 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(5-methyl-1,2,4-oxadiazol-3-yl)- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
	258 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-(ethylsulfonyl)- 2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
45	259 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl-5-(methylsulfonyl)- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
	260 1-{3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}pentan-1-one
50	261 3-bromo-4-[4-(5-[[2,3-difluoro-2-(fluoromethyl)propyl]oxy]-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	262 6-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-3,5-difluoro- <i>N</i> ~4~-(3-methylbutyl)- <i>N</i> ~2~-(2-pyrrolidin-1-ylethyl)pyridine-2,4-diamine
55	263 3-bromo-5-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
	264 3-bromo-4-[4-(3',4',6-trifluoro-4-methylbiphenyl-3-yl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine

(continued)

Cmpd No.	Name
5	265 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-chloro- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
	266 {3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}methanol
10	267 3-bromo-4-(4-{4-methyl-2'-[(2-pyrrolidin-1-ylethyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	268 3-[4-(3-bromo-1 <i>H</i> -[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-[[2,2-difluorocyclopropyl)methyl]oxy]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
15	269 5-bromo-3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
	270 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-[(ethyloxy) methyl]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
20	271 3-[4-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-1-methyl-6-(trifluoromethyl)-1 <i>H</i> -benzimidazol-2-yl]propan-1-ol
	272 1-{3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}-4,4,4-trifluorobutan-1-one
25	273 {3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}(cyclopropyl)methanone
	274 3-({3'-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4'-methylbiphenyl-2-yl}oxy)- <i>N,N</i> -dimethylpropan-1-amine
30	275 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-(1,1-difluorobutyl)-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline
	276 3-bromo-4-(4-{4-methyl-2'-[(3-morpholin-4-ylpropyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
35	277 3-bromo-4-(4-{4-methyl-2'-[(2-morpholin-4-ylethyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1 <i>H</i> pyrazolo[3,4- <i>d</i>]pyrimidine
	278 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)-5-[(2,2,2-trifluoroethyl)oxy]methyl]aniline
40	279 1-[2-({3'-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4'-methylbiphenyl-2-yl}oxy)ethyl]pyrrolidine-2,5-dione
	280 3-bromo-4-(4-{3'-fluoro-4-methyl-2'-[(2-pyrrolidin-1-ylethyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
45	281 1-{3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}butan-1-one
	282 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)-5-[(3,3,3-trifluoropropyl)oxy]aniline
50	283 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)-5-[(2,2,2-trifluoroethyl)oxy]aniline
	284 1-{3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-4-methyl-5-[(2-pyrrolidin-1-ylethyl)amino]phenyl}butan-1-ol
55	285 3-bromo-4-(4-{4-chloro-2'-[(2-pyrrolidin-1-ylethyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	286 3-[4-(4-{5-[[2,3-difluoro-2-(fluoromethyl)propyl]oxy]-2-methyl-3-[(2-pyrrolidin-1-ylethyl)amino]phenyl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl]prop-2-yn-1-ol

(continued)

Cmpd No.	Name
5	287 3-bromo-4-(4-{4-chloro-4'-fluoro-2'-[(2-pyrrolidin-1-ylethyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	288 3-bromo-4-(4-{4-methyl-3'-[(2-pyrrolidin-1-ylethyl)oxy]biphenyl-3-yl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
10	289 (2 <i>E</i>)-3-[4-(4-{5-[[2,3-difluoro-2-(fluoromethyl)propyl]oxy}-2-methyl-3-[(2-pyrrolidin-1-ylethyl)amino]phenyl]piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl]prop-2-enoic acid
	290 3-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methyl- <i>N</i> -(2-pyrrolidin-1-ylethyl)-5-[4,4,4-trifluoro-1,1-bis(methyloxy)butyl]aniline
15	291 6-(4-phenylpiperazin-1-yl)-9 <i>H</i> -purine
	292 6-[4-(3-chlorophenyl)piperazin-1-yl]-9 <i>H</i> -purine
	293 4-(4-phenylpiperazin-1-yl)-7 <i>H</i> -pyrrolo[2,3- <i>d</i>]pyrimidine
	294 4-[4-(3-chlorophenyl)piperazin-1-yl]-7 <i>H</i> -pyrrolo[2,3- <i>d</i>]pyrimidine
20	295 4-(4-phenylpiperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	296 4-[4-(3-chlorophenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	297 6-[4-(2-chlorophenyl)piperazin-1-yl]-9 <i>H</i> -purine
	298 6-[4-(2-fluorophenyl)piperazin-1-yl]-9 <i>H</i> -purine
25	299 4-[4-(2-methylphenyl)piperazin-1-yl]-7 <i>H</i> -pyrrolo[2,3- <i>d</i>]pyrimidine
	300 4-{4-[2-(methyloxy)phenyl]piperazin-1-yl}-7 <i>H</i> -pyrrolo[2,3- <i>d</i>]pyrimidine
	301 4-{4-[3-(methyloxy)phenyl]piperazin-1-yl}-7 <i>H</i> -pyrrolo[2,3- <i>d</i>]pyrimidine
30	302 4-{4-[4-(methyloxy)phenyl]piperazin-1-yl}-7 <i>H</i> -pyrrolo[2,3- <i>d</i>]pyrimidine
	303 4-{4-[3-(trifluoromethyl)phenyl]piperazin-1-yl}-7 <i>H</i> -pyrrolo[2,3- <i>d</i>]pyrimidine
	304 6-{4-[4-(methyloxy)phenyl]piperazin-1-yl}-9 <i>H</i> -purine
	305 6-{4-[2-(methyloxy)phenyl]piperazin-1-yl}-9 <i>H</i> -purine
35	306 6-[4-(4-chlorophenyl)piperazin-1-yl]-9 <i>H</i> -purine
	307 6-[4-(4-fluorophenyl)piperazin-1-yl]-9 <i>H</i> -purine
	308 4-[4-(4-chlorophenyl)piperazin-1-yl]-7 <i>H</i> -pyrrolo[2,3- <i>d</i>]pyrimidine
40	309 4-[4-(2-chlorophenyl)piperazin-1-yl]-7 <i>H</i> -pyrrolo[2,3- <i>d</i>]pyrimidine
	310 4-[4-(4-fluorophenyl)piperazin-1-yl]-7 <i>H</i> -pyrrolo[2,3- <i>d</i>]pyrimidine
	311 4-[4-(2-fluorophenyl)piperazin-1-yl]-7 <i>H</i> -pyrrolo[2,3- <i>d</i>]pyrimidine
45	312 6-{4-[3-(trifluoromethyl)phenyl]piperazin-1-yl}-9 <i>H</i> -purine
	313 6-[4-(2-methylphenyl)piperazin-1-yl]-9 <i>H</i> -purine
	314 4-{4-[3-(trifluoromethyl)phenyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	315 4-[4-(2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
50	316 4-[4-(3-chlorophenyl)piperazin-1-yl]-3-methyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	317 3-methyl-4-[4-(2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	318 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
55	319 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-methyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	320 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-methyl-6-phenyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	321 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine

(continued)

Cmpd No.	Name
5	322 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-6-methyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	323 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-6-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	324 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-6-(1-methylethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	325 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-phenyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
10	326 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-({[2-(methyloxy)ethyl]oxy}methyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	327 3-bromo-4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	328 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-propyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
15	329 4-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl}phenol
	330 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]- <i>N</i> -phenyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-amine
	331 4-[4-(3-chlorophenyl)piperazin-1-yl]-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
20	332 4-{4-[5-chloro-2-(methyloxy)phenyl]piperazin-1-yl}-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	333 3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl}phenol
	334 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-{3-[(phenylmethyl)oxy]phenyl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
25	335 3-(1,3-benzodioxol-5-yl)-4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	336 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(2-thienyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	337 3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl}aniline
30	338 3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl}benzoic acid
	339 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(4-methylphenyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	340 <i>N</i> -(4-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl}phenyl)acetamide
35	341 4-[4-(3-chlorophenyl)-1,4-diazepan-1-yl]-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	342 4-[5-(3-chlorophenyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	343 4-(4-{3-chloro-4-[(2-morpholin-4-ylethyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
40	344 methyl 1-(3-chlorophenyl)-4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazine-2-carboxylate
	345 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(3-methylbut-2-en-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	346 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(trifluoromethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
45	347 methyl 4-(3-chlorophenyl)-1-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazine-2-carboxylate
	348 4-(4-{3-chloro-4-[(2-piperidin-1-ylethyl)oxy]phenyl}piperazin-1-yl)-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	349 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(1-methylethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
50	350 1-(3-chlorophenyl)-4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazine-2-carboxylic acid
	351 1-(3-chlorophenyl)-4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)- <i>N</i> -methylpiperazine-2-carboxamide
	352 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(phenylmethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	353 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(2-methylpropyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
55	354 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[4-(methyloxy)phenyl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	355 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(4-fluorophenyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine

(continued)

Cmpd No.	Name
5	356 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[4-(phenyloxy)phenyl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	357 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[4-[(piperidin-4-ylmethyl)oxy]phenyl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
10	358 1-(3-chlorophenyl)- <i>N</i> -[2-(dimethylamino)ethyl]-4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazine-2-carboxamide
	359 4-[4-(5-chloro-2-methyl-3-morpholin-4-ylphenyl)piperazin-1-yl]-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	360 4-(3-chlorophenyl)-1-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)- <i>N</i> -methylpiperazine-2-carboxamide
	361 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[2-(methyloxy)phenyl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
15	362 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-pyridin-4-yl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	363 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[3-(methyloxy)phenyl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	364 4-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl}benzonitrile
20	365 [5-chloro-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)phenyl]methanol
	366 methyl 5-chloro-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)benzoate
	367 (2 <i>E</i>)-3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl}prop-2-enoic acid
25	368 3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl}propanoic acid
	369 3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl}propan-1-ol
	370 methyl (2 <i>E</i>)-3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl}prop-2-enoate
30	371 4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[4-[(2-morpholin-4-ylethyl)oxy]phenyl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	372 5-chloro- <i>N</i> -[2-(dimethylamino)ethyl]-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)benzamide
35	373 4-(4-{5-chloro-2-(methyloxy)-3-[(4-methylpiperazin-1-yl)carbonyl]phenyl})piperazin-1-yl)-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	374 2-(dimethylamino)ethyl 5-chloro-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)benzoate
40	375 1-[5-chloro-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)phenyl]- <i>N,N</i> -dimethylmethanamine
	376 <i>N'</i> -{[5-chloro-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)phenyl]methyl}- <i>N,N</i> -dimethylethane-1,2-diamine
45	377 [1-(3-chlorophenyl)-4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-2-yl]methanol
	378 3-[(4-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl}phenyl)oxy]- <i>N,N</i> -dimethylpropan-1-amine
	379 2-chloro-4-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-methylphenol
50	380 1-(3-chlorophenyl)-4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)- <i>N</i> -(1-methylpiperidin-4-yl)piperazine-2-carboxamide
	381 1-(3-chlorophenyl)-4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)- <i>N</i> -(2-morpholin-4-ylethyl)piperazine-2-carboxamide
55	382 2- {[5-chloro-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-(methyloxy)phenyl]oxy}- <i>N,N</i> -dimethylethanamine

(continued)

Cmpd No.	Name
383	3-{5-chloro-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}- <i>N</i> , <i>N</i> -dimethylprop-2-yn-1-amine
384	<i>N</i> '-{5-chloro-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}- <i>N,N</i> - dimethylethane-1,2-diamine
385	1,1-dimethylethyl (2 <i>E</i>)-3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin- 3-yl}prop-2-enoate
386	3-({2-chloro-4-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-methylphenyl}oxy)- <i>N</i> , <i>N</i> -dimethylpropan-1-amine
387	2-({2-chloro-4-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-5-methylphenyl}oxy)- <i>N</i> , <i>N</i> -dimethylethanamine
388	4-{4-[5-chloro-2-methyl-4-(methyloxy)phenyl]piperazin-1-yl}-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
389	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(4-methylpiperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
390	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl}- <i>N,N</i> -diethylprop-2- yn-1-amine
391	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl}prop-2-yn-1-ol
392	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(piperidin-4-ylmethyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
393	phenylmethyl (3 <i>aR</i> ,6 <i>aS</i>)-5-({4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>] pyrimidin-3-yl)methylidene}hexahydrocyclopenta[<i>c</i>]pyrrole-2(1 <i>H</i>)-carboxylate
394	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[(<i>E</i>)-(3 <i>aR</i> ,6 <i>aS</i>)-hexahydrocyclopenta[<i>c</i>]pyrrol-5 (1 <i>H</i>)-ylidenemethyl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
395	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(3-pyrrolidin-1-ylprop-1-yn-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>] pyrimidine
396	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-[3-(4-methylpiperazin-1-yl)prop-1-yn-1-yl]- 1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
397	3-{4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-3-yl}- <i>N,N</i> -diethylpropan- 1-amine
398	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(3-pyrrolidin-1-ylpropyl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
399	4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-3-(1,2,3,6-tetrahydropyridin-4-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>] pyrimidine
400	3-{5-chloro-3-[4-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-methylphenyl}- <i>N,N</i> - diethylpropan-1-amine
401	4-{4-[5-chloro-2-methyl-3-(3-pyrrolidin-1-ylpropyl)phenyl]piperazin-1-yl}-3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>] pyrimidine

Table 2b.

Additional Representative AKT Inhibitors

[0229] The Compounds in Table 2b can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 2b can be used.

Entry	Name
1	[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methanol
2	2-[[[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]oxy]- <i>N</i> , <i>N</i> -dimethylethanamine

(continued)

	Entry	Name
5	3	3-[[[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]oxy]- <i>N,N</i> -dimethylpropan-1-amine
	4	3-bromo-4-{4-[(4-bromophenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	5	{4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)-1-[(4-chlorophenyl)methyl]piperazin-2-yl}methanol
10	6	<i>N</i> '-[[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]- <i>N,N</i> -diethylethane-1,2-diamine
	7	3-bromo-4-(4-{[4-(1,1-dimethylethyl)phenyl]methyl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	8	4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)-1-[(4-chlorophenyl)methyl]piperazin-2-one
15	9	2-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]-2-(4-chlorophenyl)- <i>N</i> -[2-(dimethylamino)ethyl]acetamide
	10	<i>N</i> -[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]- <i>N</i> -(4-chlorophenyl)- <i>N,N'</i> -diethylpropane-1,3-diamine
20	11	3-bromo-4-(4-{[4-(trifluoromethyl)phenyl]methyl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	12	<i>N</i> -[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]- <i>N</i> -(4-chlorophenyl)- <i>N'</i> -[2-(dimethylamino)ethyl]urea
25	13	<i>N</i> -[[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]- <i>N'</i> -[2-(dimethylamino)ethyl]urea
	14	2-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)-2-oxopiperazin-1-yl]-2-(4-chlorophenyl)- <i>N</i> -[2-(dimethylamino)ethyl]acetamide
30	15	2-(dimethylamino)ethyl[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl) carbamate
	16	3-bromo-4-{4-[(4-chloro-3-fluorophenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	17	3-bromo-4-{4-[(4-chloro-2-fluorophenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
35	18	<i>N</i> -[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]- <i>N</i> -(4-chlorophenyl)- <i>N'</i> , <i>N</i> -diethylethane-1,2-diamine
	19	3-bromo-4-{4-[(4-chlorophenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	20	[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-fluorophenyl)methanone
40	21	<i>N</i> -[[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]- <i>N'</i> , <i>N</i> -diethyl- <i>N</i> -methylethane-1,2-diamine
	22	[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-fluorophenyl)methanol
	23	3-bromo-4-(4-{[2-fluoro-4-(trifluoromethyl)phenyl]methyl}piperazin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
45	24	<i>N</i> -[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]- <i>N</i> -(4-chlorophenyl)- <i>N</i> ~3~, <i>N</i> ~3~-diethyl-beta-alaninamide
	25	2-[[[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-fluorophenyl)methyl]oxy]- <i>N</i> , <i>N</i> -dimethylethanamine
50	26	<i>N</i> -[[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]- <i>N</i> ~3~, <i>N</i> ~3~-diethyl-beta-alaninamide
	27	3-bromo-4-{4-[(3,4-dichlorophenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
55	28	<i>N</i> -[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]- <i>N</i> -(4-chlorophenyl)- <i>N'</i> -(2-(dimethylamino)ethyl)ethanediamide
	29	<i>N</i> -[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]- <i>N</i> -(4-chlorophenyl)-2-(diethylamino)ethanesulfonamide

(continued)

Entry	Name
5	30 4-[4-(biphenyl-4-ylmethyl)piperazin-1-yl]-3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	31 3-bromo-4-[(3 <i>S</i>)-4-[(4-chlorophenyl)methyl]-3-methylpiperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	32 3-bromo-4-{4-[(4-(methyloxy)phenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	33 4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)- <i>N</i> -[3-(trifluoromethyl)phenyl]piperazine-1-carboxamide
10	34 3-bromo-4-{4-[(4-fluorophenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	35 <i>N</i> -[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]- <i>N</i> -(4-chlorophenyl)pent-4-enamide
	36 3-bromo-4-[4-(2,3-dihydro-1,4-benzodioxin-6-ylmethyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
15	37 4-[4-(1,3-benzodioxol-5-ylmethyl)piperazin-1-yl]-3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	38 [1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methanone
	39 3-bromo-4-{4-[(4-(phenyloxy)phenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	40 3-bromo-4-{4-[(3,4-dichlorophenyl)methyl]piperidin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
20	41 4-[[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]methyl]- <i>N,N</i> -dimethylaniline
	42 methyl 4-[[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]methyl]benzoate
	43 3-bromo-4-{4-[(2 <i>E</i>)-3-phenylprop-2-enoyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
25	44 1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)-4-[(4-chlorophenyl)methyl]- <i>N</i> -[3-(diethylamino)propyl]piperidine-4-carboxamide
	45 3-bromo-4-{4-[(2-bromophenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	46 3-bromo-4-{4-[(2-chlorophenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
30	47 3-bromo-4-{4-[(2,4-dichlorophenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	48 3-bromo-4-{4-[(2-chloro-4-fluorophenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	49 1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)-4-(4-chlorophenyl)- <i>N</i> -[3-(diethylamino)propyl]piperidine-4-carboxamide
35	50 3-bromo-4-[4-(phenylmethyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	51 2-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N</i> -pyridin-2-ylacetamide
	52 3-bromo-4-[4-(1 <i>H</i> -imidazol-2-ylmethyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
40	53 3-bromo-4-{4-[(3-(phenyloxy)phenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	54 3-bromo-4-{4-[(3-methylphenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	55 3-[[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]methyl]benzonitrile
	56 3-bromo-4-{4-[(2-chloro-6-fluorophenyl)methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
45	57 3-bromo-4-[4-(1-phenylethyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	58 3-bromo-4-[4-(pyridin-4-ylmethyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	59 1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)- <i>N</i> -(4-chlorophenyl)piperidin-4-amine
50	60 3-bromo-4-[4-(pyridin-3-ylmethyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	61 3-bromo-4-{4-[[2,3,4-tris(methyloxy)phenyl]methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	62 3-bromo-4-[4-[(3-[(phenylmethyl)oxy]phenyl)methyl]piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	63 3-bromo-4-[4-(naphthalen-1-ylmethyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
55	64 3-bromo-4-{4-[[5-(4-chlorophenyl)furan-2-yl]methyl]piperazin-1-yl}-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	65 3-bromo-4-[4-[(4-[(4-fluorophenyl)oxy]-3-nitrophenyl)methyl]piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine

(continued)

Entry	Name
5	66 3-bromo-4-[4-(furan-2-ylcarbonyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	67 3-bromo-4-[4-(1 <i>H</i> -indol-6-ylcarbonyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	68 3-bromo-4-[4-[2-(2-thienyl)ethyl]piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	69 3-bromo-4-[4-(3-pyrrolidin-1-ylpropyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
10	70 3-bromo-4-[4-(cyclohexylmethyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	71 3-bromo-4-[4-[(10-chloroanthracen-9-yl)methyl]piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	72 3-bromo-4-[4-(1-methylpropyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
15	73 4-[4-{[4,6-bis(methyloxy)pyrimidin-2-yl]methyl}piperazin-1-yl]-3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	74 3-bromo-4-[4-[2-(methyloxy)ethyl]piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	75 3-bromo-4-[4-(2-morpholin-4-yl-2-oxoethyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	76 3-bromo-4-[4-[3-(methyloxy)propyl]piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
20	77 4-[4-{[4,6-bis(methyloxy)pyrimidin-2-yl](phenyl)methyl}piperazin-1-yl]-3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	78 3-bromo-4-[4-(6,7,8,9-tetrahydro-5 <i>H</i> -benzocyclohepten-5-yl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	79 3-bromo-4-[4-({4-[(phenylmethyl)oxy]phenyl}methyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
25	80 3-bromo-4-[4-({3-chloro-4-[(phenylmethyl)oxy]phenyl}methyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	81 4-[[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]methyl]- <i>N</i> -(3-morpholin-4-ylpropyl)benzamide
30	82 4-[[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]methyl]- <i>N</i> -[3-(methyloxy)propyl]benzamide
	83 2-[[{4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)-1-[(4-chlorophenyl)methyl]piperazin-2-yl}methyl]oxy]- <i>N,N</i> -dimethylethanamine
35	84 3-bromo-4-[4-({4-[(4-chlorophenyl)oxy]-3-nitrophenyl}methyl)piperazin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
	85 2-[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl]- <i>N,N</i> -dimethylacetamide
	86 2-[[{(R)-[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]oxy]- <i>N,N</i> -dimethylethanamine
40	87 <i>N</i> -(4-bromo-3-fluorophenyl)- <i>N</i> -[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]- <i>N'</i> -[2-(dimethylamino)ethyl]urea
	88 2-[[{(R)-(4-chlorophenyl)[1-(3-ethyl-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]methyl]oxy]- <i>N,N</i> -dimethylethanamine
45	89 2-[[{(S)-[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]oxy]- <i>N,N</i> -dimethylethanamine
	90 3-bromo-4-[4-((R)-(4-chlorophenyl)[(2-pyrrolidin-1-ylethyl)oxy]methyl)piperidin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
50	91 1-[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]-1-(4-chlorophenyl)-4-(dimethylamino)butan-1-ol
	92 2-[[{(R)-[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chloro-3-fluorophenyl)methyl]oxy]- <i>N,N</i> -dimethylethanamine
55	93 3-bromo-4-[4-((R)-(4-chlorophenyl)[(2-piperidin-1-ylethyl)oxy]methyl)piperidin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine

(continued)

Entry	Name
94	4-[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]-4-(4-chlorophenyl)- <i>N,N</i> -dimethylbutan-1-amine
95	3-bromo-4-(4-((<i>R</i>)-(4-chlorophenyl)[(2-morpholin-4-ylethyl)oxy]methyl)piperidin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
96	1-[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]-1-(4-fluorophenyl)- <i>N</i> -(furan-2-ylmethyl)- <i>N</i> -methylmethanamine
97	1-[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]-1-(4-fluorophenyl)- <i>N</i> -methyl- <i>N</i> -(pyridin-2-ylmethyl)methanamine
98	4-[[[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-fluorophenyl)methyl](methyl)amino]methyl)- <i>N,N</i> -dimethylaniline
99	[4-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperazin-1-yl](1 <i>H</i> -indol-6-yl)methanol
100	3-bromo-4-(4-((<i>R</i>)-(4-chloro-3-fluorophenyl)[(2-pyrrolidin-1-ylethyl)oxy]methyl)piperidin-1-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
101	3-bromo-4-[4-[(4-chlorophenyl)oxy]piperidin-1-yl]-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidine
102	2-[[(<i>R</i>)-[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl](4-chlorophenyl)methyl]oxy]- <i>N,N</i> -diethylethanamine
103	2-[[1-(3-bromo-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl)piperidin-4-yl]oxy]-5-chloro- <i>N</i> -(2-pyrrolidin-1-ylethyl)aniline

Table 3a.**Representative c-MET and/or Flt-3 Inhibitors**

[0230] The Compounds in Table 3a can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 3a can be used.

Cmpd No.	Name
1	<i>N</i> -(4-fluorophenyl)- <i>N'</i> -[3-fluoro-4-(7 <i>H</i> -pyrrolo[2,3- <i>d</i>]pyrimidin-4-yloxy)phenyl]propanediamide
2	<i>N</i> -(4-fluorophenyl)- <i>N'</i> -[3-fluoro-4-(7 <i>H</i> -pyrrolo[2,3- <i>d</i>]pyrimidin-4-yloxy)phenyl]cyclopropane-1,1-dicarboxamide
3	<i>N</i> -{[3-fluoro-4-(7 <i>H</i> -pyrrolo[2,3- <i>d</i>]pyrimidin-4-yloxy)phenyl]amino}carbonothioyl)-2-phenylacetamide
4	<i>N</i> -(4-fluorophenyl)- <i>N'</i> -(4-{[1-(tetrahydro-2 <i>H</i> -pyran-2-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl]oxy}phenyl)cyclopropane-1,1-dicarboxamide
5	2-phenyl- <i>N</i> -{[4-{[1-(tetrahydro-2 <i>H</i> -pyran-2-yl)-1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yl]oxy}phenyl]amino}carbonothioyl}acetamide
6	<i>N</i> -(4-fluorophenyl)- <i>N'</i> -[4-(1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yloxy)phenyl]cyclopropane-1,1-dicarboxamide
7	2-phenyl- <i>N</i> -{[4-(1 <i>H</i> -pyrazolo[3,4- <i>d</i>]pyrimidin-4-yloxy)phenyl]amino}carbonothioyl}acetamide
8	<i>N</i> -(4-fluorophenyl)- <i>N'</i> -(4-{[9-(tetrahydro-2 <i>H</i> -pyran-2-yl)-9 <i>H</i> -purin-6-yl]oxy}phenyl)cyclopropane-1,1-dicarboxamide
9	2-phenyl- <i>N</i> -{[4-{[9-(tetrahydro-2 <i>H</i> -pyran-2-yl)-9 <i>H</i> -purin-6-yl]oxy}phenyl]amino}carbonothioyl}acetamide
10	<i>N</i> -(4-fluorophenyl)- <i>N'</i> -[4-(9 <i>H</i> -purin-6-yloxy)phenyl]cyclopropane-1,1-dicarboxamide
11	2-phenyl- <i>N</i> -{[4-(9 <i>H</i> -purin-6-yloxy)phenyl]amino}carbonothioyl}acetamide

(continued)

Cmpd No.	Name
12	<i>N</i> -{3-fluoro-4-[(6-[(2-morpholin-4-ylethyl)amino]carbonyl)-7 <i>H</i> -pyrrolo[2,3- <i>d</i>]pyrimidin-4-yl)oxy]phenyl}- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide

Table 3b.

Additional Representative c-MET, c-KIT, and/or Flt-3 Inhibitors

[0231] The Compounds in Table 3b can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 3b can be used.

Entry	Name
1	<i>N</i> -[{3-fluoro-4-[(6-(methyloxy)-7-[(3 <i>aR</i> ,6 <i>aS</i>)-octahydrocyclopenta[<i>c</i>]pyrrol-5-ylmethyl)oxy]quinazolin-4-yl)oxy]phenyl}amino]carbonothioyl]-2-phenylacetamide
2	<i>N</i> -[{3-fluoro-4-[(7-[(3 <i>aR</i> ,6 <i>aS</i>)-2-methyloctahydrocyclopenta[<i>c</i>]pyrrol-5-yl)methyl]oxy]-6-(methyloxy)quinazolin-4-yl]oxy}phenyl}amino]carbonothioyl]-2-phenylacetamide
3	<i>N</i> -[(4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluorophenyl)(methyl)amino]carbonothioyl]-2-phenylacetamide
4	1-(4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluorophenyl)imidazolidin-2-one
5	1-(4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluorophenyl)-3-(phenylmethyl)imidazolidin-2-one
6	1-(4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluorophenyl)-3-(phenylacetyl)imidazolidin-2-one
7	ethyl [(4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluorophenyl)amino](oxo)acetate
8	<i>N</i> -[(4-[(6,7-bis(methyloxy)quinazolin-4-yl)amino]-3-fluorophenyl)amino]carbonothioyl]-2-phenylacetamide
9	<i>N'</i> -(4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluorophenyl)- <i>N</i> -methyl- <i>N</i> -(2-phenylethyl)sulfamide
10	<i>N</i> -(4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluorophenyl)-3-(phenylmethyl)-1,2,4-oxadiazol-5-amine
11	1-(4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluorophenyl)piperidin-2-one
12	<i>N</i> -(4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluorophenyl)- <i>N'</i> -(phenylmethyl)ethanediamide
13	<i>N</i> -(4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluorophenyl)-4-phenyl-1,3-thiazol-2-amine
14	<i>N</i> -(4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluorophenyl)- <i>N'</i> -(2-phenylethyl)ethanediamide
15	<i>N</i> -(4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluorophenyl)-1-phenylmethanesulfonamide
16	<i>N</i> -(4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluorophenyl)-2-phenylethanesulfonamide
17	4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluoro- <i>N</i> -(phenylmethyl)benzenesulfonamide
18	4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluoro- <i>N</i> -methyl- <i>N</i> -(phenylmethyl)benzenesulfonamide
19	4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluoro- <i>N</i> -(2-phenylethyl)benzenesulfonamide
20	4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluoro- <i>N</i> -methyl- <i>N</i> -(2-phenylethyl)benzenesulfonamide
21	4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluoro- <i>N</i> -(3-phenylpropyl)benzenesulfonamide
22	1-(4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluorophenyl)pyrrolidin-2-one
23	4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]phenyl(phenylmethyl)carbamate
24	4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]phenyl(2-phenylethyl)carbamate
25	4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluoro- <i>N</i> -methyl- <i>N</i> -(3-phenylpropyl)benzenesulfonamide
26	<i>N</i> -(4-[(6,7-bis(methyloxy)quinolin-4-yl)oxy]-3-fluorophenyl)- <i>N'</i> -phenylethanediamide

(continued)

Entry	Name
5	27 <i>N</i> -{[(3-fluoro-4-[[7-[[[(2-methyloctahydrocyclopenta[c]pyrrol-5-yl)methyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]phenyl)amino]carbonothioyl]-2-phenylacetamide
	28 <i>N</i> -[(<i>Z</i>)-[(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)amino](imino)methyl]-2-phenylacetamide
	29 4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluoro- <i>N</i> -[2-(phenyloxy)ethyl]benzenesulfonamide
10	30 <i>N,N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-bis-(3-phenylpropane-1-sulfonamide)
	31 <i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-3-phenylpropane-1-sulfonamide
	32 <i>N</i> 2-[(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)sulfonyl]- <i>N</i> 1-phenylglycinamide
	33 <i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]pyridin-3-yl)-2-phenylacetamide
15	34 <i>N</i> -{[(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]pyridin-3-yl)amino]carbonothioyl]-2-phenylacetamide
	35 6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-1,3-benzothiazol-2-amine
	36 6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-fluoro-1,3-benzothiazol-2-amine
20	37 <i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-fluoro-1,3-benzothiazol-2-yl)-2-phenylacetamide
	38 <i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(2-morpholin-4-ylethyl)ethanediamide
	39 benzyl- {[(4-(6,7-dimethoxy-quinolin-4-yloxy)-3-fluoro-phenylcarbamoyl)-methyl]-carbamic acid tert-butyl ester
25	40 <i>N</i> 1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> 2-(phenylmethyl)glycinamide
	41 <i>N</i> 2-acetyl- <i>N</i> 1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N</i> 2-(phenylmethyl)glycinamide
	42 <i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-1,3-benzothiazol-2-yl)-2-phenylacetamide
30	43 benzyl-[[6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-ylcarbamoyl]-methyl]-carbamic acid tert-butyl ester
	44 <i>N</i> 1-(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]pyridin-3-yl)- <i>N</i> 2-(phenylmethyl)glycinamide
	45 <i>N</i> 2-acetyl- <i>N</i> 1-(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]pyridin-3-yl)- <i>N</i> 2-(phenylmethyl)glycinamide
	46 <i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]pyridin-3-yl)-3-phenylpropanamide
35	47 <i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]pyridin-3-yl)-4-phenylbutanamide
	48 <i>N</i> 1-(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]pyridin-3-yl)- <i>N</i> 2-methyl- <i>N</i> 2-(phenylmethyl)glycinamide
	49 <i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(2-[4-(methyloxy)phenyl]ethyl)ethanediamide
40	50 <i>N</i> 1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N</i> 2-methyl- <i>N</i> 2-(phenylmethyl)glycinamide
	51 4-[(2-amino-1,3-benzothiazol-6-yl)oxy]-6,7-bis(methyloxy)-1-(2-oxo-2-phenylethyl)quinolinium
	52 <i>N</i> -{[(4-[[6,7-bis(methyloxy)quinolin-4-yl]amino]phenyl)amino]carbonothioyl]-2-phenylacetamide
45	53 <i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-fluoro-1,3-benzothiazol-2-yl)-3-phenylpropanamide
	54 <i>N</i> -{[(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-chloropyridin-3-yl)amino]carbonothioyl]-2-phenylacetamide
	55 <i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(2,3-dihydro-1 <i>H</i> -inden-1-yl)ethanediamide
50	56 <i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(2,3-dihydro-1 <i>H</i> -inden-2-yl)ethanediamide
	57 <i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(1,2,3,4-tetrahydronaphthalen-1-yl)ethanediamide
	58 <i>N'</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(2-phenylethyl)- <i>N</i> -(phenylmethyl)sulfamide
55	59 <i>N</i> 1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> 2-(trifluoroacetyl)glycinamide
	60 <i>N</i> -{[(4-(6,7-dimethoxy-quinolin-4-yloxy)-3-fluoro-phenylcarbamoyl)-methyl]-benzamide

(continued)

Entry	Name
5 61	<i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]pyridin-3-yl)- <i>N'</i> -(4-fluorophenyl)propanediamide
62	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -[(2 <i>S</i>)-1,2,3,4-tetrahydronaphthalen-2-yl]ethanediamide
63	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -[2-(4-methylphenyl)ethyl]ethanediamide
10 64	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(2-phenylpropyl)ethanediamide
65	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -[2-(4-chlorophenyl)ethyl]ethanediamide
66	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N,N'</i> -bis(phenylmethyl)sulfamide
67	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N,N'</i> -bis(2-phenylethyl)sulfamide
15 68	ethyl [(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-chloropyridin-3-yl)amino](oxo)acetate
69	<i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-chloropyridin-3-yl)- <i>N'</i> -(2-phenylethyl)ethanediamide
70	<i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-chloropyridin-3-yl)- <i>N'</i> -(4-fluorophenyl)propanediamide
20 71	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(1,2,3,4-tetrahydronaphthalen-2-yl)ethanediamide
72	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -[2-(1-methylpyrrolidin-2-yl)ethyl]ethanediamide
25 73	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -[2-(phenyloxy)ethyl]ethanediamide
74	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -[2-hydroxy-1-(phenylmethyl)ethyl]urea
75	1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-3-[(4-methylphenyl)sulfonyl]-4-(phenylmethyl)imidazolidin-2-one
30 76	<i>N'</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N</i> -methyl- <i>N</i> -(2-phenylethyl)ethanediamide
77	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -[[3-(trifluoromethyl)phenyl]methyl]ethanediamide
35 78	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -{2-[3-(trifluoromethyl)phenyl]ethyl}ethanediamide
79	<i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-chloropyridin-3-yl)-3-oxo-4-phenylbutanamide
80	<i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-chloropyridin-3-yl)-2-[3-(trifluoromethyl)phenyl]acetamide
40 81	6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-fluoro- <i>N</i> -[2-(phenyloxy)ethyl]-1,3-benzothiazol-2-amine
82	6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-fluoro- <i>N</i> -(2-piperidin-1-ylethyl)-1,3-benzothiazol-2-amine
83	6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-fluoro- <i>N</i> -methyl- <i>N</i> -(2-phenylethyl)-1,3-benzothiazol-2-amine
84	6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-fluoro- <i>N</i> -(2-pyrrolidin-1-ylethyl)-1,3-benzothiazol-2-amine
45 85	6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-fluoro- <i>N</i> -[[3-(trifluoromethyl)phenyl]methyl]-1,3-benzothiazol-2-amine
86	6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-fluoro- <i>N</i> -{2-[3-(trifluoromethyl)phenyl]ethyl}-1,3-benzothiazol-2-amine
50 87	<i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-chloropyridin-3-yl)- <i>N'</i> -[3-(trifluoromethyl)phenyl]propanediamide
88	<i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-fluoro-1,3-benzothiazol-2-yl)-2-[3-(trifluoromethyl)phenyl]acetamide
55 89	<i>N</i> 1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N</i> 2-[[3-(trifluoromethyl)phenyl]methyl]glycinamide
90	<i>N</i> 1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N</i> 2-(2-phenylethyl)glycinamide

(continued)

Entry	Name
5 91	<i>N</i> 1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N</i> 2-{2-[3-(trifluoromethyl)phenyl]ethyl} glycineamide
92	benzyl-[[5-chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-ylcarbamoyl]-methyl]-carbamic acid tert-butyl ester
10 93	<i>N</i> 1-(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-chloropyridin-3-yl)- <i>N</i> 2-(phenylmethyl)glycineamide
94	<i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-fluoro-1,3-benzothiazol-2-yl)-2-[3,5-bis(trifluoromethyl)phenyl]acetamide
15 95	<i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-fluoro-1,3-benzothiazol-2-yl)-2-[2-chloro-5-(trifluoromethyl)phenyl]acetamide
96	<i>N</i> -(3-fluoro-4-[(6-(methyloxy)-7-[[[(1-methylpiperidin-4-yl)methyl]oxy]quinolin-4-yl]oxy]phenyl)- <i>N'</i> -(2-phenylethyl)ethanediamide
20 97	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(1,2,3,4-tetrahydroisoquinolin-1-ylmethyl)ethanediamide
98	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -[(2-methyl-1,2,3,4-tetrahydroisoquinolin-1-yl)methyl]ethanediamide
99	<i>N</i> 1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N</i> 2-methyl- <i>N</i> 2-{[3-(trifluoromethyl)phenyl]methyl}glycineamide
25 100	<i>N</i> 1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N</i> 2-methyl- <i>N</i> 2-{2-[3-(trifluoromethyl)phenyl]ethyl}glycineamide
101	<i>N</i> 1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N</i> 2-methyl- <i>N</i> 2-(2-phenylethyl)glycineamide
30 102	1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-4-(phenylmethyl)imidazolidin-2-one
103	<i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]pyridazin-3-yl)- <i>N'</i> -(4-fluorophenyl)propanediamide
104	<i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-chloropyridin-3-yl)- <i>N'</i> -(2-chlorophenyl)propanediamide
105	<i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-chloropyridin-3-yl)- <i>N'</i> -(3-chlorophenyl)propanediamide
35 106	<i>N</i> 1-(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-chloropyridin-3-yl)- <i>N</i> 2-methyl- <i>N</i> 2-(phenylmethyl)glycineamide
107	<i>N</i> -(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-chloropyridin-3-yl)- <i>N'</i> -(4-chlorophenyl)propanediamide
40 108	(2 <i>E</i>)- <i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]phenyl)-2-[(methyloxy)imino]propanamide
109	(2 <i>E</i>)- <i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]phenyl)-2-[(ethyloxy)imino]propanamide
110	(2 <i>E</i>)- <i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]phenyl)-2-[[[(phenylmethyl)oxy]imino]propanamide
111	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]phenyl)-1-(phenylmethyl)prolinamide
45 112	1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]phenyl)-3-[(4-methylphenyl)sulfonyl]-4-(phenylmethyl)imidazolidin-2-one
113	1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]phenyl)-4-(phenylmethyl)imidazolidin-2-one
114	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]phenyl)-4-(phenylmethyl)-4,5-dihydro-1,3-oxazol-2-amine
50 115	6,7-bis(methyloxy)-4-({4-[4-(phenylmethyl)piperazin-1-yl]phenyl}oxy)quinoline
116	1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]phenyl)-4-(phenylmethyl)piperazin-2-one
117	<i>N</i> 1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]phenyl)- <i>N</i> 2-(phenylmethyl)alaninamide
55 118	<i>N</i> 1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]phenyl)- <i>N</i> 2-methyl- <i>N</i> 2-(phenylmethyl)alaninamide
119	<i>N</i> 1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]phenyl)- <i>N</i> 2-(phenylmethyl)leucinamide
120	<i>N</i> 1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]phenyl)- <i>N</i> 2-methyl- <i>N</i> 2-(phenylmethyl)leucinamide

(continued)

Entry	Name
121	<i>N</i> 1-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]phenyl)- <i>N</i> 2-(phenylmethyl)valinamide
122	4-(6,7-dimethoxy-quinolin-4-ylamino)- <i>N</i> -(3-phenyl-propyl)-benzamide
123	4-benzyl-1-[4-(6,7-dimethoxy-quinolin-4-yloxy)-phenyl]-tetrahydro-pyrimidin-2-one
124	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -phenethyl-oxalamide
125	2-(Benzyl-methyl-amino)- <i>N</i> -[4-(6,7-dimethoxy-quinolin-4-yloxy)-phenyl]-3-methyl-butylamide (note: Alphabetic order of prefixes ignored while selecting parent chain)
126	<i>N</i> -[4-(6,7-Dimethoxy-quinolin-4-yloxy)-phenyl]-2-phenoxyimino-propionamide
127	2-Benzoyloxyimino- <i>N</i> -[4-(6,7-dimethoxy-quinolin-4-yloxy)-phenyl]-2-phenyl-acetamide
128	4-[4-(4-Benzyl-piperidin-1-yl)-phenoxy]-6,7-dimethoxy-quinoline
129	<i>N</i> -[4-(6,7-Dimethoxy-quinolin-4-yloxy)-3-fluoro-phenyl]- <i>N'</i> -(2-isopropyl-1,2,3,4-tetrahydro-isoquinolin-1-ylmethyl)-oxalamide
130	<i>N</i> -[4-(6,7-Dimethoxy-quinolin-4-yloxy)-3-fluoro-phenyl]- <i>N'</i> -(2-ethyl-1,2,3,4-tetrahydro-isoquinolin-1-ylmethyl)-oxalamide
131	4-(4-{3-Chloro-5-[2-(4-fluoro-phenylcarbamoyl)-acetylamino]-pyridin-2-yloxy}-6-methoxy-quinolin-7-yloxymethyl)-piperidine-1-carboxylic acid tert-butyl ester
132	<i>N</i> -{5-Chloro-6-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-pyridin-3-yl}- <i>N'</i> -(4-fluoro-phenyl)-malonamide
133	<i>N</i> -{5-Chloro-6-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-pyridin-3-yl}- <i>N'</i> -(4-fluoro-phenyl)-malonamide
134	<i>N</i> -{4-[7-(3-Diethylamino-propoxy)-6-methoxy-quinolin-4-yloxy]-3-fluorophenyl}- <i>N'</i> -phenethyl-oxalamide
135	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(3-morpholin-4-yl-propoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -phenethyl-oxalamide
136	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(3-piperidin-1-yl-propoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -phenethyl-oxalamide
137	<i>N</i> -{4-[7-(2-Diethylamino-ethoxy)-6-methoxy-quinolin-4-yloxy]-3-fluoro-phenyl}- <i>N'</i> -phenethyl-oxalamide
138	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -methyl- <i>N'</i> -phenethyl-oxalamide
139	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(2-methyl-octahydro-cyclopenta[c]pyrrol-5-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -phenethyl-oxalamide
140	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(2-methyl-octahydro-cyclopenta[c]pyrrol-5-ylmethoxy)-quinazolin-4-yloxy]-phenyl}- <i>N'</i> -phenethyl-oxalamide
141	2-(3,4-Dihydro-1 <i>H</i> -isoquinolin-2-yl)- <i>N</i> -{3-fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-2-oxo-acetamide
142	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-2-oxo-2-(3-phenyl-pyrrolidin-1-yl)-acetamide
143	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-2-oxo-2-(2-phenyl-morpholin-4-yl)-acetamide
144	<i>N</i> -(2-Dimethylamino-2-phenyl-ethyl)- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
145	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2-oxo-2-phenyl-ethyl)-oxalamide
146	<i>N</i> -[5-Chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-yl]-2,2-difluoro- <i>N'</i> -(4-fluoro-phenyl)-malonamide
147	<i>N</i> -Benzyl- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide

(continued)

Entry	Name
148	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -[2-(2-fluoro-phenyl)-ethyl]-oxalamide
149	<i>N</i> -[2-(3-Chloro-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
150	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -[2-(2-methoxy-phenyl)-ethyl]-oxalamide
151	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2-pyridin-3-yl-ethyl)-oxalamide
152	<i>N</i> -Benzyl- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
153	<i>N</i> -[2-(2,5-Dimethoxy-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
154	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -[2-(2-trifluoromethyl-phenyl)-ethyl]-oxalamide
155	<i>N</i> -[2-(2-Ethoxy-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
156	<i>N</i> -[2-(2,4-Dimethyl-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
157	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(1 <i>S</i> -phenyl-2- <i>p</i> -tolyl-ethyl)-oxalamide
158	<i>N</i> -[2-(4-Chloro-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
159	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamic acid
160	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -[2-(3-fluoro-phenyl)-ethyl]-oxalamide
161	<i>N</i> -[2-(2-Chloro-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
162	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -[2-(3-methoxy-phenyl)-ethyl]-oxalamide
163	<i>N</i> -(1,2-Diphenyl-ethyl)- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
164	<i>N</i> -[2-(2,4-Dichloro-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
165	<i>N</i> -[2-(3,4-Dimethoxy-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
166	<i>N</i> -[2-(4-Ethyl-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
167	<i>N</i> -[2-(4-Ethoxy-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
168	<i>N</i> -[2-(4-Ethoxy-3-methoxy-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
169	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -[2-(4-phenoxy-phenyl)-ethyl]-oxalamide
170	<i>N</i> -[2-(3-Ethoxy-4-methoxy-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide

(continued)

Entry	Name
5 171	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2-pyridin-2-yl-ethyl)-oxalamide
172	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -[2-pyridin-4-yl-ethyl]-oxalamide
10 173	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -[2-(4-fluoro-phenyl)-ethyl]-oxalamide
174	<i>N</i> -[2-(2-Bromo-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
15 175	<i>N</i> -[2-(2-Chloro-6-fluoro-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
176	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2 <i>R</i> -phenyl-propyl)-oxalamide
177	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -indan-1-yl-oxalamide
20 178	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -isobutyl-oxalamide
179	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(3-methyl-butyl)-oxalamide
25 180	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2 <i>R</i> -phenyl-propyl)-oxalamide
181	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2-phenyl-propyl)-oxalamide
30 182	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -indan-2-yl-oxalamide
183	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(1 <i>R</i> -phenyl-ethyl)-oxalamide
35 184	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(1 <i>S</i> -phenyl-ethyl)-oxalamide
185	<i>N</i> -[2-(3-Bromo-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
40 186	<i>N</i> -[2-(2,6-Dichloro-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
187	<i>N</i> -[2-(2,4-Dichloro-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
45 188	<i>N</i> -(2-Benzo[1,3]dioxol-5-yl-ethyl)- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
189	<i>N</i> -[2-(3-Bromo-4-methoxy-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
50 190	<i>N</i> -[2-(3,5-Dimethoxy-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
191	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2- <i>o</i> -tolyl-ethyl)-oxalamide
55 192	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2- <i>m</i> -tolyl-ethyl)-oxalamide

(continued)

Entry	Name
193	<i>N</i> -[2-(3-Ethoxy-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
194	<i>N</i> -[2-(3,4-Dimethyl-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
195	<i>N</i> -[2-(2,5-Dimethyl-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
196	<i>N</i> -[2-(3-Chloro-4-propoxy-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
197	<i>N</i> -[2-(4-Butoxy-3-chloro-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
198	<i>N</i> -[2-(4-tert-Butyl-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
199	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -[2-(4-sulfamoyl-phenyl)-ethyl]-oxalamide
200	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -[2-(4-hydroxy-3-methoxy-phenyl)-ethyl]-oxalamide
201	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -[2-(3-hydroxy-4-methoxy-phenyl)-ethyl]-oxalamide
202	<i>N</i> -(2,4-Dichloro-benzyl)- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
203	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(4-fluoro-2-trifluoromethyl-benzyl)-oxalamide
204	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(1-p-tolyl-ethyl)-oxalamide
205	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(3-fluoro-4-trifluoromethyl-benzyl)-oxalamide
206	<i>N</i> -(3-Chloro-4-fluoro-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
207	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -[1-(3-methoxy-phenyl)-ethyl]-oxalamide
208	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(1-naphthalen-2-yl-ethyl)-oxalamide
209	<i>N</i> -(4-Chloro-3-trifluoromethyl-benzyl)- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
210	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(1-p-tolyl-ethyl)-oxalamide
211	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(6-trifluoromethyl-pyridin-3-ylmethyl)-oxalamide
212	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2-methyl-benzyl)-oxalamide
213	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(3-methyl-benzyl)-oxalamide
214	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(4-fluoro-3-trifluoromethyl-benzyl)-oxalamide

(continued)

Entry	Name
215	<i>N</i> -(3,5-Dichloro-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
216	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(1 <i>R</i> ,2,3,4-tetrahydro-naphthalen-1-yl)-oxalamide
217	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(1 <i>S</i> ,2,3,4-tetrahydro-naphthalen-1-yl)-oxalamide
218	<i>N</i> -Cyclopentyl- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy-phenyl]-oxalamide
219	<i>N</i> -(1-(4-Bromo-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
220	<i>N</i> -(2-Fluoro-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
221	<i>N</i> -(2-(3,4-Dichloro-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
222	<i>N</i> -(4-Fluoro-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
223	<i>N</i> -(2,3-Difluoro-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
224	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-phenoxy-ethyl)-oxalamide
225	<i>N</i> -(2,2-Diphenyl-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
226	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-(4-methoxy-phenyl)-ethyl)-oxalamide
227	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-phenyl-propyl)-oxalamide
228	<i>N</i> -(2-(4-Bromo-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
229	<i>N</i> -(4-[7-(1-Ethyl-piperidin-4-ylmethoxy)-6-methoxy-quinolin-4-yloxy]-3-fluoro-phenyl)-2-oxo-2-(2-phenyl-morpholin-4-yl)-acetamide
230	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(3-fluoro-5-trifluoromethyl-benzyl)-oxalamide
231	<i>N</i> -(3,5-Difluoro-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
232	<i>N</i> -(2-Chloro-5-trifluoromethyl-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
233	<i>N</i> -(4-(6,7-Dimethoxy-quinolin-4-yloxy)-3-fluoro-phenyl)- <i>N'</i> -(2-dimethylamino-2-phenyl-ethyl)-oxalamide
234	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(4-methoxy-benzyl)-oxalamide
235	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(4-trifluoromethyl-benzyl)-oxalamide
236	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(3-methoxy-benzyl)-oxalamide
237	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(3-trifluoromethyl-benzyl)-oxalamide

(continued)

Entry	Name
238	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(3-trifluoromethoxy-benzyl)-oxalamide
239	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-methoxy-benzyl)-oxalamide
240	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-trifluoromethyl-benzyl)-oxalamide
241	<i>N</i> -(3-Chloro-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
242	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-trifluoromethoxy-benzyl)-oxalamide
243	<i>N</i> -(2-Chloro-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
244	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(4-trifluoromethoxy-benzyl)-oxalamide
245	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(4-methoxy-benzyl)-oxalamide
246	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(4-trifluoromethyl-benzyl)-oxalamide
247	<i>N</i> -(4-[7-(Azetidin-3-ylmethoxy)-6-methoxy-quinolin-4-yloxy]-3-fluorophenyl)- <i>N'</i> -phenethyl-oxalamide
248	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(1-methyl-azetidin-3-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -phenethyl-oxalamide
249	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-hydroxy-2-phenyl-ethyl)-oxalamide
250	<i>N</i> -(5-Chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-yl)- <i>N'</i> -(2,4-difluoro-phenyl)-malonamide
251	<i>N</i> -(5-Chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-yl)- <i>N'</i> -(4-fluoro-phenyl)- <i>N'</i> -methyl-malonamide
252	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(1 <i>R</i> -phenyl-propyl)-oxalamide
253	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(1 <i>R</i> -phenyl-propyl)-oxalamide
254	<i>N</i> -(3,4-Difluoro-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
255	<i>N</i> -(2,6-Difluoro-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
256	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -[2-(4-fluoro-phenyl)-ethyl]-oxalamide
257	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -phenyl-oxalamide
258	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(3-fluoro-phenyl)-oxalamide
259	<i>N</i> -(4-Chloro-3-fluoro-phenyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
260	<i>N</i> -(3,4-Dimethoxy-phenyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide

(continued)

Entry	Name
5 261	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(3-methyl-butyl)-oxalamide
262	<i>N</i> -(3,3-Dimethyl-butyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
10 263	<i>N</i> -(5-Chloro-6-[6-methoxy-7-(3-piperidin-1-yl-propoxy)-quinolin-4-yloxy]-pyridin-3-yl)- <i>N'</i> -(4-fluoro-phenyl)-malonamide
264	<i>N</i> -(5-Chloro-6-[6-methoxy-7-(3-morpholin-4-yl-propoxy)-quinolin-4-yloxy]-pyridin-3-yl)- <i>N</i> -(4-fluoro-phenyl)-malonamide
15 265	<i>N</i> -(5-Chloro-6-[7-(3-diethylamino-propoxy)-6-methoxy-quinolin-4-yloxy]-pyridin-3-yl)- <i>N'</i> -(4-fluoro-phenyl)-malonamide
266	<i>N</i> -(4-Chloro-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
20 267	<i>N</i> -(3,5-Dimethoxy-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
268	<i>N</i> -(4-Butyl-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
25 269	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2- <i>p</i> -tolyl-ethyl)-oxalamide
270	<i>N</i> -(3,5-Bis-trifluoromethyl-benzyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
30 271	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -pyrazin-2-ylmethyl-oxalamide
272	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -pyridin-2-ylmethyl-oxalamide
273	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinazolin-4-yloxy]-phenyl)- <i>N'</i> -phenethyl-oxalamide
35 274	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(1-methyl-piperidin-4-ylmethoxy)-quinazolin-4-yloxy]-phenyl)- <i>N'</i> -phenethyl-oxalamide
275	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-fluoro-3-trifluoromethyl-benzyl)-oxalamide
40 276	<i>N</i> -(2-(2-Bromo-6-methoxy-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
277	<i>N</i> -(2-(3,4-Dimethoxy-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -methyl-oxalamide
45 278	<i>N</i> -(2-(5-Bromo-2-methoxy-phenyl)-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
279	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -(2-fluoro-5-trifluoromethyl-benzyl)-oxalamide
50 280	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)- <i>N'</i> -[1-(4-fluoro-phenyl)-ethyl]-oxalamide
281	<i>N</i> -(1 <i>S</i> -Benzyl-2-oxo-2-pyrrolidin-1-yl-ethyl)- <i>N'</i> -(3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl)-oxalamide
55 282	<i>N</i> -(3-Fluoro-4-[6-methoxy-7-(octahydro-cyclopenta[c]pyrrol-5-ylmethoxy)-quinazolin-4-yloxy]-phenyl)- <i>N'</i> -phenethyl-oxalamide

(continued)

Entry	Name
283	<i>N</i> -[2-(4-Amino-phenyl)-ethyl]- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
284	2-(4-Benzyl-piperidin-1-yl)- <i>N</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-2-oxo-acetamide
285	<i>N</i> -[4-(6,7-Dimethoxy-quinolin-4-yloxy)-phenyl]- <i>N'</i> -(4-fluoro-phenyl)-malonamide
286	<i>N</i> -[5-Chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-yl]- <i>N'</i> -(3-fluoro-phenyl)-malonamide
287	<i>N</i> -[5-Chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-yl]- <i>N'</i> -phenyl-malonamide
288	<i>N</i> -[5-Chloro-6-(6,7-dimethoxy-quinolin-4-yloxy)-pyridin-3-yl]- <i>N'</i> -(4-fluoro-phenyl)-2,2-dimethyl-malonamide
289	<i>N</i> -Ethyl- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
290	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -isopropyl-oxalamide
291	<i>N</i> -Butyl- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
292	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2-methoxy-ethyl)-oxalamide
293	<i>N</i> -Cyclopropylmethyl- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-oxalamide
294	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N'</i> -(2-morpholin-4-yl-ethyl)-oxalamide
295	<i>N</i> -{3-Fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}-2-oxo-2-pyrrolidin-1-yl-acetamide
296	<i>N</i> -Ethyl- <i>N'</i> -{3-fluoro-4-[6-methoxy-7-(piperidin-4-ylmethoxy)-quinolin-4-yloxy]-phenyl}- <i>N</i> -methyl-oxalamide

Table 3c.

Additional Representative c-MET, c-KIT, and/or Flt-3 Inhibitors

[0232] The Compounds in Table 3c can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 3c can be used.

Entry	Name
1	<i>N</i> -(6-([6,7-bis(methyloxy)quinolin-4-yl]oxy)-5-chloropyridin-3-yl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
2	<i>N</i> -(6-([6,7-bis(methyloxy)quinolin-4-yl]oxy)-5-chloropyridin-3-yl)- <i>N'</i> -(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
3	<i>N</i> -(6-([6,7-bis(methyloxy)quinolin-4-yl]oxy)-5-chloropyridin-3-yl)- <i>N'</i> -(phenylmethyl)cyclopropane-1,1-dicarboxamide
4	<i>N</i> -(6-([6,7-bis(methyloxy)quinolin-4-yl]oxy)-5-chloropyridin-3-yl)- <i>N'</i> -phenylcyclopropane-1,1-dicarboxamide
5	<i>N</i> -[3-fluoro-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
6	<i>N</i> -[3-fluoro-4-({6-(methyloxy)-7-[(3-piperidin-1-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
7	<i>N</i> -[3-fluoro-4-({6-(methyloxy)-7-[(3-piperidin-1-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)cyclobutane-1,1-dicarboxamide

(continued)

Entry	Name
5	8 N-(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-chloropyridin-3-yl)-N'-(2-phenylethyl)cyclopropane-1,1-dicarboxamide
	9 N-(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-2-methylpyridin-3-yl)-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
10	10 N-{4-[(7-chloroquinolin-4-yl)oxy]-3-fluorophenyl}-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
	11 N-{4-[(7-chloroquinolin-4-yl)oxy]phenyl}-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
	12 N-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]phenyl)-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
	13 N-(4-[[6,7-bis(methyloxy)quinazolin-4-yl]oxy]phenyl)-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
15	14 N-(4-[[6,7-bis(methyloxy)quinazolin-4-yl]oxy]-3-fluorophenyl)-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
	15 N-[3-fluoro-4-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinazolin-4-yl}oxy)phenyl]-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
20	16 N-{5-chloro-6-[(6-(methyloxy)-7-[(1-methylpiperidin-4-yl)methyl]oxy]quinolin-4-yl}oxy]pyridin-3-yl}-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
	17 N-[5-chloro-6-[(6-(methyloxy)-7-[(piperidin-4-yl)methyl]oxy]quinolin-4-yl}oxy]pyridin-3-yl]-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
25	18 N-[5-chloro-6-({6-(methyloxy)-7-[(phenylmethyl)oxy]quinolin-4-yl}oxy)pyridin-3-yl]-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
	19 N-(4-[[7-[[2-(diethylamino)ethyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
30	20 N-(4-[[7-[[2-(diethylamino)ethyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-N'-(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
	21 N-{3-fluoro-4-[(6-(methyloxy)-7-[(1-methylpiperidin-4-yl)methyl]oxy]quinazolin-4-yl}oxy]phenyl}-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
35	22 N-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-2-methylphenyl)-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
	23 N-(4-fluorophenyl)-N'-[2-methyl-6-({6-(methyloxy)-7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)pyridin-3-yl]cyclopropane-1,1-dicarboxamide
40	24 N-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
	25 N-(6-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-5-chloro-2-methylpyridin-3-yl)-N-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
45	26 N-[3-fluoro-4-({7-(methyloxy)-6-[(3-morpholin-4-ylpropyl)oxy]quinazolin-4-yl}oxy)phenyl]-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
	27 N-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-3,5-difluorophenyl)-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
50	28 N-(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy]-2,5-difluorophenyl)-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
	29 N-[3-fluoro-4-({7-(methyloxy)-6-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
55	30 N-{3-fluoro-4-[(6-(methyloxy)-7-(2-methyloctahydrocyclopenta[c]pyrrol-5-ylmethoxy)quinazolin-4-yl]oxy]phenyl}-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
	31 N-{3-fluoro-4-[(7-(methyloxy)-6-[(1-methylpiperidin-4-yl)methyl]oxy]quinazolin-4-yl}oxy]phenyl}-N'-(4-fluorophenyl)cyclopropane-1,1-dicarboxamide

(continued)

Entry	Name
5 32	<i>N</i> -[5-fluoro-2-methyl-4-({6-(methyloxy)- 7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
33	<i>N</i> -(4-{{6,7-bis(methyloxy)quinolin-4-yl}oxy}-2,3,5-trifluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
10 34	<i>N</i> -(4-{{6,7-bis(methyloxy)quinolin-4-yl}oxy}-5-fluoro-2-methylphenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
35	<i>N</i> -(4-{{6,7-bis(methyloxy)quinolin-4-yl}oxy}-2-chloro-5-methylphenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
15 36	<i>N</i> -(3-fluor-4-{{6-hydroxy-7-(methyloxy)quinolin-4-yl}oxy}phenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
37	<i>N</i> -(4-fluorophenyl)- <i>N'</i> -[2-methyl-4-({6-(methyloxy)- 7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]cyclopropane-1,1-dicarboxamide
20 38	<i>N</i> -[3-fluoro-4-(6-(methyloxy)- 7-[(3-piperazin-1-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
39	<i>N</i> -{3-fluoro-4-[(6-(methyloxy)- 7-[[3-(4-methylpiperazin-1-yl)propyl]oxy]quinolin-4-yl}oxy]phenyl}- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
25 40	<i>N</i> -{3-fluoro-4-[(6-(methyloxy)- 7-[[1-methylpiperidin-4-yl)methyl]oxy]quinolin-4-yl}oxy]phenyl}- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
41	<i>N</i> -(4-fluorophenyl)- <i>N'</i> -[4-({6-(methyloxy)- 7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]cyclopropane-1,1-dicarboxamide
30 42	<i>N</i> -(4-[[7-{{3-(diethylamino)propyl}oxy}-6-(methyloxy)quinolin-4-yl}oxy]-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
43	<i>N</i> -(4-{{6,7-bis(methyloxy)quinolin-4-yl}oxy}-2-chloro-5-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
35 44	<i>N</i> -(4-{{6,7-bis(methyloxy)- 2-(methylthio)quinolin-4-yl}oxy}-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
45	<i>N</i> -(4-fluorophenyl)- <i>N'</i> -(4-{{2-methyl-6,7-bis(methyloxy)quinazolin-4-yl}oxy}phenyl)cyclopropane-1,1-dicarboxamide
40 46	<i>N</i> -(4-{{2-amino-6,7-bis(methyloxy)quinolin-4-yl}oxy}-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
47	<i>N</i> -(3-fluoro-4-{{2-(methylamino)- 6,7-bis(methyloxy)quinolin-4-yl}oxy}phenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
45 48	(1 <i>S</i> ,2 <i>R</i>)- <i>N</i> -(3-fluoro-4-({6-(methyloxy)- 7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl)- <i>N'</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
49	(1 <i>R</i> ,2 <i>R</i>)- <i>N</i> -[3-fluoro-4-({6-(methyloxy)- 7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl}oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
50 50	<i>N</i> -(4-{{6-{{3-(diethylamino)propyl}oxy}-7-(methyloxy)quinolin-4-yl}oxy}-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
51	<i>N</i> -(4-{{6-{{2-(diethylamino)ethyl}oxy}-7-(methyloxy)quinolin-4-yl}oxy}-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
52	1,1-dimethylethyl 4-(3-[[4-[(2-fluoro-4-[[1-[(4-fluorophenyl)amino]carbonyl]cyclopropyl)carbonyl]amino}phenyl]oxy]-6-(methyloxy)quinolin-7-yl]oxy)propyl)piperazine-1-carboxylate
55 53	(1 <i>R</i> ,2 <i>R</i>)- <i>N</i> -[3-fluoro-4-({6-(methyloxy)- 7-[(3-morpholin-4-ylpropyl)oxy]quinazolin-4-yl}oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide

(continued)

Entry	Name
54	(1R,2R)- <i>N</i> -(4-([7-([2-(diethylamino)ethyl]oxy)-6-(methyloxy)quinazolin-4-yl]oxy)-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
55	<i>N</i> -(4-([7-([3-(diethylamino)propyl]oxy)-6-(methyloxy)quinazolin-4-yl]oxy)-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
56	<i>N</i> -(4-([7-([3-(4-acetylpiperazin-1-yl)propyl]oxy)-6-(methyloxy)quinolin-4-yl]oxy)-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
57	1,1-dimethylethyl 4-(3-([4-([2-fluoro-4-(((1R,2R)-1-[(4-fluorophenyl)amino]carbonyl)-2-methylcyclopropyl)carbonyl]amino}phenyl)oxy)-6-(methyloxy)quinolin-7-yl]oxy)propyl)piperazine-1-carboxylate
58	<i>N</i> -(4-([6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)- <i>N'</i> -(4-fluorophenyl)-1-(phenylmethyl)azetidine-3,3-dicarboxamide
59	<i>N</i> -(4-([6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)- <i>N</i> -(4-fluorophenyl)azetidine-3,3-dicarboxamide
60	(1R,2S)- <i>N</i> -[3-fluoro-4-([6-(methyloxy)-7-([3-(4-methylpiperazin-1-yl)propyl]oxy)quinolin-4-yl]oxy]phenyl]- <i>N'</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
61	(1R,2R)- <i>N</i> -[3-fluoro-4-([6-(methyloxy)-7-([3-(4-methylpiperazin-1-yl)propyl]oxy)quinolin-4-yl]oxy]phenyl]- <i>N'</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
62	(1R,2R)- <i>N</i> -[3-fluoro-4-([6-(methyloxy)-7-([3-piperazin-1-ylpropyl]oxy)quinolin-4-yl]oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
63	<i>N</i> -(3-fluoro-4-([7-([3-([4-(1-methylethyl)piperazin-1-yl]propyl]oxy)-6-(methyloxy)quinolin-4-yl]oxy}phenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
64	<i>N</i> -(4-([7-([3-(diethylamino)propyl]oxy)-6-(methyloxy)quinazolin-4-yl]oxy)-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclopropane-1,1-dicarboxamide
65	(1R,2R)- <i>N</i> -(4-([7-([3-(diethylamino)propyl]oxy)-6-(methyloxy)quinolin-4-yl]oxy)-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
66	(1R,2R)- <i>N</i> -(4-([7-([2-(diethylamino)ethyl]oxy)-6-(methyloxy)quinolin-4-yl]oxy)-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
67	(1R,2S)- <i>N</i> -(4-([7-([3-(diethylamino)propyl]oxy)-6-(methyloxy)quinolin-4-yl]oxy)-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
68	(1R,2S)- <i>N</i> -(4-([7-([2-(diethylamino)ethyl]oxy)-6-(methyloxy)quinolin-4-yl]oxy)-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
69	<i>N</i> -(4-([7-([2-(diethylamino)ethyl]oxy)-6-(methyloxy)quinazolin-4-yl]oxy)-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
70	(1R,2S)- <i>N</i> -[3-fluoro-4-([6-(methyloxy)-7-([3-piperazin-1-ylpropyl]oxy)quinolin-4-yl]oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
71	(1R,2R,3S)- <i>N</i> -[3-fluoro-4-([6-(methyloxy)-7-([3-morpholin-4-ylpropyl]oxy)quinolin-4-yl]oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
72	(1R,2R,3S)- <i>N</i> -[3-fluoro-4-([6-(methyloxy)-7-([3-(4-methylpiperazin-1-yl)propyl]oxy)quinolin-4-yl]oxy]phenyl]- <i>N'</i> -(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
73	(1R,2R,3S)- <i>N</i> -[3-fluoro-4-([6-(methyloxy)-7-([3-morpholin-4-ylpropyl]oxy)quinazolin-4-yl]oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
74	(1R,2R,3S)- <i>N</i> -[3-fluoro-4-([6-(methyloxy)-7-([3-(4-methylpiperazin-1-yl)propyl]oxy)quinazolin-4-yl]oxy]phenyl]- <i>N'</i> -(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
75	<i>N</i> -[3-fluoro-4-([6-(methyloxy)-7-([3-morpholin-4-ylpropyl]oxy)quinazolin-4-yl]oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)cyclobutane-1,1-dicarboxamide

(continued)

Entry	Name
5	76 (2R,3R)- <i>N</i> -[3-fluoro-4-((6-(methyloxy)- 7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl)oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
	77 (2R,3R)- <i>N</i> -(4-[[7-[[3-(diethylamino)propyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
10	78 <i>N</i> -(4-[[7-[[3-(diethylamino)propyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-dicarboxamide
	79 <i>N</i> -[3-fluoro-4-((6-(methyloxy)- 7-[(3-morpholin-4-ylpropyl)oxy]quinazolin-4-yl)oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-dicarboxamide
15	80 (1R,2R,3S)- <i>N</i> -(4-[[7-[[3-(diethylamino)propyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
	81 <i>N</i> -(4-[[7-[[2-(diethylamino)ethyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-dicarboxamide
20	82 (1R,2R,3S)- <i>N</i> -(4-[[7-[[2-(diethylamino)ethyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
	83 <i>N</i> -[3-fluoro-4-((6-(methyloxy)- 7-[(3-morpholin-4-ylpropyl)oxy]quinolin-4-yl)oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-dicarboxamide
25	84 <i>N</i> -(4-[[7-[[2-(diethylamino)ethyl]oxy]-6-(methyloxy)quinazolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-dicarboxamide
	85 <i>N</i> -(4-[[7-[[3-(diethylamino)propyl]oxy]-6-(methyloxy)quinazolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)-2,2-dimethylcyclopropane-1,1-dicarboxamide
30	86 <i>N</i> -(4-[[7-[[3-(diethylamino)propyl]oxy]-6-(methyloxy)quinazolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
	87 <i>N</i> -{3-fluoro-4-[(6-(methyloxy)- 7-[[3-(4-methylpiperazin-1-yl)propyl]oxy]quinazolin-4-yl)oxy]phenyl}- <i>N'</i> -(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
35	88 <i>N</i> -[3-fluoro-4-((6-(methyloxy)- 7-[(3-piperazin-1-ylpropyl)oxy]quinazolin-4-yl)oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
	89 (2R,3R)- <i>N</i> -[3-fluoro-4-((6-(methyloxy)- 7-[(3-morpholin-4-ylpropyl)oxy]quinazolin-4-yl)oxy)phenyl]- <i>N'</i> -(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
40	90 <i>N</i> -(4-[[7-[[3-(diethylamino)propyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
	91 <i>N</i> -{3-fluoro-4-[(6-(methyloxy)- 7-[[3-(4-methylpiperazin-1-yl)propyl]oxy]quinolin-4-yl)oxy]phenyl}- <i>N'</i> -(4-fluorophenyl)cyclobutane-1,1-dicarboxamide
45	92 (1R,2R)- <i>N</i> -(4-[[7-[[3-(diethylamino)propyl]oxy]-6-(methyloxy)quinazolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
	93 (1R,2R)- <i>N</i> -{3-fluoro-4-[(6-(methyloxy)- 7-[[3-(4-methylpiperazin-1-yl)propyl]oxy]quinazolin-4-yl)oxy]phenyl}- <i>N'</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
50	94 (2R,3R)- <i>N</i> -(4-[[7-[[2-(diethylamino)ethyl]oxy]-6-(methyloxy)quinazolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
	95 (2R,3R)- <i>N</i> -(4-[[7-[[3-(diethylamino)propyl]oxy]-6-(methyloxy)quinazolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide
55	96 (1R,2R)- <i>N</i> -(3-fluoro-4-((6-(methyloxy)- 7-[(3-piperazin-1-ylpropyl)oxy]quinazolin-4-yl)oxy)phenyl)- <i>N</i> -(4-fluorophenyl)-2-methylcyclopropane-1,1-dicarboxamide
	97 (2R,3R)- <i>N</i> -(4-[[7-[[2-(diethylamino)ethyl]oxy]-6-(methyloxy)quinolin-4-yl]oxy]-3-fluorophenyl)- <i>N'</i> -(4-fluorophenyl)-2,3-dimethylcyclopropane-1,1-dicarboxamide

(continued)

Entry	Name
98	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)- <i>N'</i> -[(4-fluorophenyl)methyl]cyclopropane-1,1-dicarboxamide
99	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)- <i>N'</i> -(2-morpholin-4-ylethyl)cyclopropane-1,1-dicarboxamide
100	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)- <i>N'</i> -[2-(piperidin-1-ylmethyl)phenyl]cyclopropane-1,1-dicarboxamide
101	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)- <i>N'</i> -[2-(pyrrolidin-1-ylmethyl)phenyl]cyclopropane-1,1-dicarboxamide
102	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)- <i>N'</i> -[3-(morpholin-4-ylmethyl)phenyl]cyclopropane-1,1-dicarboxamide
103	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)- <i>N'</i> -[2-(morpholin-4-ylmethyl)phenyl]cyclopropane-1,1-dicarboxamide
104	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)- <i>N'</i> -phenylcyclopropane-1,1-dicarboxamide
105	<i>N</i> -[3-(aminomethyl)phenyl]- <i>N'</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)cyclopropane-1,1-dicarboxamide
106	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)- <i>N'</i> -[3-(piperidin-1-ylmethyl)phenyl]cyclopropane-1,1-dicarboxamide
107	<i>N</i> -(4-[[6,7-bis(methyloxy)quinolin-4-yl]oxy}phenyl)- <i>N'</i> -[3-(pyrrolidin-1-ylmethyl)phenyl]cyclopropane-1,1-dicarboxamide

Table 4.

Representative EGFR, ErbB2, and/or VEGFR Inhibitors

[0233] The Compounds in Table 4 can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 4 can be used. In particular, one or two pharmaceutically acceptable salts of a Compound of Table 4 can be used. These salt (s) are formed with one or two acids independently selected from hydrochloric acid, hydrobromic acid, sulfuric acid, nitric acid, phosphoric acid, acetic acid, trifluoroacetic acid, propionic acid, hexanoic acid, cyclopentanepropionic acid, glycolic acid, pyruvic acid, lactic acid, oxalic acid, maleic acid, malonic acid, succinic acid, fumaric acid, tartaric acid, citric acid, benzoic acid, cinnamic acid, 3- (4- hydroxybenzoyl) benzoic acid, mandelic acid, methanesulfonic acid, ethanesulfonic acid, 1, 2- ethanedisulfonic acid, 2- hydroxyethanesulfonic acid, benzenesulfonic acid, 4- chlorobenzenesulfonic acid, 2- naphthalenesulfonic acid, 4- toluenesulfonic acid, camphorsulfonic acid, glucoheptonic acid, 4, 4'- methylenebis- (3- hydroxy- 2- ene- 1- carboxylic acid), 3- phenylpropionic acid, trimethylacetic acid, tertiary butylacetic acid, lauryl sulfuric acid, gluconic acid, glutamic acid, hydroxynaphthoic acid, salicylic acid, stearic acid, muconic acid, p- toluenesulfonic acid, and salicylic acid.

Entry	Name
1	<i>N</i> -(3,4-dichloro-2-fluorophenyl)-7-(((3a <i>R</i> ,5 <i>r</i> ,6a <i>S</i>)-2-(1-methylethyl)octahydrocyclopenta[c]pyrrol-5-yl)methyl)oxy)-6-(methyloxy)quinazolin-4-amine
2	<i>N</i> -(4-bromo-3-chloro-2-fluorophenyl)-7-(((3a <i>R</i> ,5 <i>r</i> ,6a <i>S</i>)-2-(1-methylethyl)octahydrocyclopenta[c]pyrrol-5-yl)methyl)oxy)-6-(methyloxy)quinazolin-4-amine
3	7-(((3a <i>R</i> ,5 <i>r</i> ,6a <i>S</i>)-2-acetyloctahydrocyclopenta[c]pyrrol-5-yl)methyl)oxy)- <i>N</i> -(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)quinazolin-4-amine
4	<i>N</i> -(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)- 7-(((3a <i>R</i> ,5 <i>r</i> ,6a <i>S</i>)-octahydrocyclopenta[c]pyrrol-5-ylmethyl)oxy)quinazolin-4-amine

(continued)

Entry	Name
5	5 ethyl (3aR,6aS)-5-({[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)hexahydrocyclopenta[c]pyrrole-2(1H)-carboxylate
	6 N-(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)- 7-({[(3aR,5r,6aS)-2-(methylsulfonyl)octahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)quinazolin-4-amine
10	7 N-(3,4-dichloro-2-fluorophenyl)-7-({[(3aR,5r,6aS)-2-ethyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
	8 N-(3,4-dichloro-2-fluorophenyl)-6-(methyloxy)- 7-({[(3aR,5r,6aS)-2-(2-methylpropyl)octahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)quinazolin-4-amine
15	9 N-(3,4-dichloro-2-fluorophenyl)-7-({[(3aR,5s,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
	10 N-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3aR,5s,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
20	11 N-(3-chloro-2,4-difluorophenyl)-7-({[(3aR,5s,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
	12 N-(4,5-dichloro-2-fluorophenyl)-7-({[(3aR,5s,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
25	13 N-(4-bromo-5-chloro-2-fluorophenyl)-7-({[(3aR,5s,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
	14 N-(4-bromo-2,3-dichlorophenyl)-7-({[(3aR,5s,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
30	15 N-(3,4-dichlorophenyl)-7-({[(3aR,5s,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
	16 N-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3aR,5r,6aS)-2-ethyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
35	17 N-(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)- 7-({[(3aR,5r,6aS)-2-(2-methylpropyl)octahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)quinazolin-4-amine
	18 N-(4-bromo-2,3-dichlorophenyl)-7-({[(3R,9aS)-hexahydro-1H-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy)-6-(methyloxy)quinazolin-4-amine
40	19 N-(4,5-dichloro-2-fluorophenyl)-7-({[(3R,9aS)-hexahydro-1H-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy)-6-(methyloxy)quinazolin-4-amine
	20 N-(4-bromo-5-chloro-2-fluorophenyl)-7-({[(3R,9aS)-hexahydro-1H-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy)-6-(methyloxy)quinazolin-4-amine
45	21 N-(3-chloro-2,4-difluorophenyl)-7-({[(3R,9aS)-hexahydro-1H-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy)-6-(methyloxy)quinazolin-4-amine
	22 N-(3,4-dichloro-2-fluorophenyl)-7-({[(3S,9aS)-hexahydro-1H-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy)-6-(methyloxy)quinazolin-4-amine
50	23 N-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3S,9aS)-hexahydro-1H-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy)-6-(methyloxy)quinazolin-4-amine
	24 N-(3-chloro-2,4-difluorophenyl)-7-({[(3S,9aS)-hexahydro-1H-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy)-6-(methyloxy)quinazolin-4-amine
55	25 N-(3,4-dichlorophenyl)-7-[(hexahydro- 1H-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl)oxy]-6-(methyloxy)quinazolin-4-amine
	26 N-(4,5-dichloro-2-fluorophenyl)-7-({[(3S,9aS)-hexahydro-1H-[1,4]oxazino[3,4-c][1,4]oxazin-3-ylmethyl]oxy)-6-(methyloxy)quinazolin-4-amine

(continued)

Entry	Name
5	27 <i>N</i> -(4-bromo-2,3-dichlorophenyl)-7-(((3 <i>S</i> ,9 <i>aS</i>)-hexahydro-1 <i>H</i> -[1,4]oxazino[3,4- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
	28 <i>N</i> -(4-bromo-5-chloro-2-fluorophenyl)-7-(((3 <i>S</i> ,9 <i>aS</i>)-hexahydro-1 <i>H</i> -[1,4]oxazino[3,4- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
10	29 <i>N</i> -(3,4-dichloro-2-fluorophenyl)-7-(((3 <i>R</i> ,9 <i>aS</i>)-hexahydro-1 <i>H</i> -[1,4]oxazino[3,4- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
	30 <i>N</i> -(4-bromo-3-chloro-2-fluorophenyl)-7-(((3 <i>R</i> ,9 <i>aS</i>)-hexahydro-1 <i>H</i> -[1,4]oxazino[3,4- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
15	31 <i>N</i> -(3,4-dichlorophenyl)-7-(((3 <i>R</i> ,8 <i>aR</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
	32 <i>N</i> -(4-bromo-5-chloro-2-fluorophenyl)-7-(((3 <i>S</i> ,8 <i>aS</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
20	33 <i>N</i> -(3,4-dichlorophenyl)-7-(((3 <i>S</i> ,8 <i>aR</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
	34 <i>N</i> -(3,4-dichlorophenyl)-7-(((3 <i>S</i> ,8 <i>aS</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
25	35 <i>N</i> -(3,4-dichlorophenyl)-7-(((3 <i>R</i> ,8 <i>aS</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
	36 <i>N</i> -(3,4-dichloro-2-fluorophenyl)-7-(((3 <i>S</i> ,8 <i>aS</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
30	37 <i>N</i> -(4-bromo-3-chloro-2-fluorophenyl)-7-(((3 <i>S</i> ,8 <i>aS</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
	38 <i>N</i> -(3-chloro-2,4-difluorophenyl)-7-(((3 <i>S</i> ,8 <i>aS</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
35	39 <i>N</i> -(4-bromo-2,3-dichlorophenyl)-7-(((3 <i>S</i> ,8 <i>aS</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
	40 <i>N</i> -(4,5-dichloro-2-fluorophenyl)-7-(((3 <i>S</i> ,8 <i>aS</i>)-hexahydro-1 <i>H</i> -pyrrolo[2,1- <i>c</i>][1,4]oxazin-3-ylmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
40	41 1,4:3,6-dianhydro-5-([4-[(4-bromo-5-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl)-5-deoxy-2- <i>O</i> -methyl- <i>D</i> -xylo-hexitol
	42 1,4:3,6-dianhydro-5-deoxy-5-([4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl)-2- <i>O</i> -methyl- <i>D</i> -glucitol
45	43 1,4:3,6-dianhydro-5-deoxy-5-([4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl)-2- <i>O</i> -methyl- <i>D</i> -xylo-hexitol
	44 1,4:3,6-dianhydro-5-([4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl)-5-deoxy-2- <i>O</i> -methyl- <i>D</i> -xylo-hexitol
50	45 1,4:3,6-dianhydro-5-([4-[(3-chloro-2,4-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl)-5-deoxy-2- <i>O</i> -methyl- <i>D</i> -xylo-hexitol
	46 1,4:3,6-dianhydro-5-([4-[(4-bromo-2,3-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl)-5-deoxy-2- <i>O</i> -methyl- <i>D</i> -glucitol
55	47 1,4:3,6-dianhydro-2-deoxy-2-([4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl)-5- <i>O</i> -methyl- <i>D</i> -threo-hexitol
	48 1,4:3,6-dianhydro-5-deoxy-5-([4-[(4,5-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl)-2- <i>O</i> -methyl- <i>D</i> -glucitol

(continued)

Entry	Name
5	49 (3S,9aS)-3-([4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl)hexahydro-2H-pyrido[1,2-a]pyrazin-1(6H)-one
	50 (3S,9aR)-3-([4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl)hexahydro-2H-pyrido[1,2-a]pyrazin-1(6H)-one
10	51 (3S,8aS)-3-([4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxymethyl)hexahydropyrrolo[1,2-a]pyrazin-1(2H)-one
	52 (3S,8aR)-3-([4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl)hexahydropyrrolo[1,2-a]pyrazin-1(2H)-one
15	53 (3S,8aS)-3-([4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl)hexahydropyrrolo[1,2-a]pyrazin-1(2H)-one
	54 (3S,8aS)-3-([4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl)-2-methylhexahydropyrrolo[1,2-a]pyrazin-1(2H)-one
20	55 N-(3,4-dichlorophenyl)-7-([2-[(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)amino]ethyl]oxy)-6-(methyloxy)quinazolin-4-amine
	56 N-(3,4-dichlorophenyl)-6-(methyloxy)-7-[(8aR)-tetrahydro-1H-[1,3]thiazolo[4,3-c][1,4]oxazin-6-ylmethyl]oxy)quinazolin-4-amine
25	57 N-(3,4-dichlorophenyl)-7-[2-(8-methyl-8-azabicyclo[3,2,1]oct-3-yl)ethyl]oxy]-6-(methyloxy)quinazolin-4-amine
	58 N-(3,4-dichlorophenyl)-7-[(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)methyl]oxy]-6-(methyloxy)quinazolin-4-amine
30	59 N-(3,4-dichlorophenyl)-7-[(3aR,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]oxy]-6-(methyloxy)quinazolin-4-amine
	60 N-(3,4-dichlorophenyl)-7-[(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)oxy]-6-(methyloxy)quinazolin-4-amine
	61 1,4:3,6-dianhydro-2-O-[4-[(4-bromo-5-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-identol
35	62 1,4:3,6-dianhydro-2-O-[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-identol
	63 1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-identol
40	64 1,4:3,6-dianhydro-2-O-methyl-5-O-[6-(methyloxy)-4-[(2,3,4-trichlorophenyl)amino]quinazolin-7-yl]-L-identol
	65 1,4:3,6-dianhydro-5-O-[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-O-methyl-D-xylohexitol
45	66 1,4:3,6-dianhydro-2-O-[4-[(4-bromo-2,3-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-identol
	67 1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)-quinazolin-7-yl]-L-sorbose ethylene glycol acetal
50	68 1,4:3,6-dianhydro-2-O-[4-[(3-chloro-2,4-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-identol
	69 1,4:3,6-dianhydro-2-O-[4-[(4,5-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-identol
55	70 1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-(difluoromethyl)-L-identol
	71 1,4:3,6-dianhydro-2-O-[4-[(3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-identol

(continued)

Entry	Name
72	1,4:3,6-dianhydro-2-O-[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
73	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
74	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-ethyl-L-iditol
75	1,4:3,6-dianhydro-2-O-[4-[(3-bromo-2-methylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
76	1,4:3,6-dianhydro-2-O-[4-[(3-chloro-2-methylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-iditol
77	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-deoxy-D-xylo-hexitol
78	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-D-glucitol
79	methyl 3,6-anhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-O-methyl-alpha-L-idofuranoside
80	3,6-anhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-1,2-O-(1-methylethylidene)-beta-L-xylo-hexofuranose
81	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-deoxy-5-methylidene-D-xylo-hexitol
82	methyl 3,6-anhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-O-methyl-beta-L-idofuranoside
83	<i>N</i> -(3,4-dichloro-2-fluorophenyl)-6-(methyloxy)-7-[(octahydro-2 <i>H</i> -quinolizin-3-ylmethyl)oxy]quinazolin-4-amine
84	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[6-(methyloxy)-4-[(2,3,4-trifluorophenyl)amino]quinazolin-7-yl]-D-iditol
85	1,4:3,6-dianhydro-5-O-[4-[(2-chloro-4-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
86	1,4:3,6-dianhydro-5-O-[4-[(2-bromo-4-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
87	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(2,6-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
88	1,4:3,6-dianhydro-5-O-[4-[(3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
89	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-[(4-fluoro-3-(trifluoromethyl)phenyl)amino]-6-(methyloxy)quinazolin-7-yl]-D-iditol
90	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(2,4-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
91	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(2,5-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
92	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(2,3-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
93	1,4:3,6-dianhydro-5-O-[4-[(5-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol

(continued)

Entry	Name
5 94	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(3,5-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
95	1,4:3,6-dianhydro-5-O-[4-[(3-chloro-4-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
10 96	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-2-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
97	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
15 98	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-5-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
99	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-{6-(methyloxy)-4-[(2,4,5-trifluorophenyl)amino]quinazolin-7-yl}-D-iditol
20 100	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-{6-(methyloxy)-4-[(2,4,6-trifluorophenyl)amino]quinazolin-7-yl}-D-iditol
101	1,4:3,6-dianhydro-5-O-[4-[(4-chlorophenyl)oxy]-3,5-difluorophenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
25 102	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
103	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-2,3-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
30 104	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chloro-5-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
105	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(4,5-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
35 106	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-{6-(methyloxy)-4-[(2,3,4-trichlorophenyl)amino]quinazolin-7-yl}-D-iditol
107	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-{6-(methyloxy)-4-[(3,4,5-trichlorophenyl)amino]quinazolin-7-yl}-D-iditol
40 108	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
109	1,4:3,6-dianhydro-5-O-[4-[(4-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
45 110	1,4:3,6-dianhydro-5-O-[4-[(3-chloro-2-methylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
111	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(3,4-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
112	1,4:3,6-dianhydro-5-O-[4-[(2-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
50 113	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-[(2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-D-iditol
114	1,4:3,6-dianhydro-5-O-[4-[(3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
115	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-[(4-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-D-iditol
116	1,4:3,6-dianhydro-5-O-[4-[(4-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
55 117	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(2,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol

(continued)

Entry	Name
118	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(2,5-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
119	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
120	1,4:3,6-dianhydro-5-O-[4-[(2-bromo-4,6-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
121	1,4:3,6-dianhydro-5-O-[4-[[4-chloro-3-(trifluoromethyl)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
122	1,4:3,6-dianhydro-5-O-[4-[[2-chloro-5-(trifluoromethyl)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
123	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-[[2-fluoro-3-(trifluoromethyl)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-D-iditol
124	1,4:3,6-dianhydro-5-O-[4-[[2-bromo-5-(trifluoromethyl)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
125	1,4:3,6-dianhydro-5-O-[4-[[2-bromo-4-(trifluoromethyl)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
126	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-[[4-fluoro-2-(trifluoromethyl)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-D-iditol
127	1,4:3,6-dianhydro-5-O-[4-[[3-bromo-5-(trifluoromethyl)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
128	1,4:3,6-dianhydro-5-O-[4-[(2-bromophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
129	1,4:3,6-dianhydro-5-O-[4-[(3-bromophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
130	1,4:3,6-dianhydro-5-O-[4-[(4-bromophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
131	1,4:3,6-dianhydro-5-O-[4-[(3-bromo-4-methylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
132	1,4:3,6-dianhydro-5-O-[4-[(5-chloro-2-methylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
133	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[(3,5-dimethylphenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-D-iditol
134	1,4:3,6-dianhydro-5-O-[4-[[2,5-bis(methyloxy)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
135	1,4:3,6-dianhydro-5-O-[4-[[5-chloro-2,4-bis(methyloxy)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
136	1,4:3,6-dianhydro-5-O-[4-[[4-chloro-2,5-bis(methyloxy)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
137	1,4:3,6-dianhydro-5-O-[4-[(3-chloro-2,4-difluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-fluoro-D-iditol
138	<i>N</i> -(3,4-dichlorophenyl)-7-[[{5-[(dimethylamino) methyl]-1,2,4-oxadiazol-3-yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine
139	<i>N</i> -(3,4-dichlorophenyl)-7-[[{3-[(dimethylamino) methyl]-1,2,4-oxadiazol-5-yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine
140	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[[{3-[(4-methylpiperazin-1-yl)methyl]-1,2,4-oxadiazol-5-yl}methyl)oxy]quinazolin-4-amine

(continued)

Entry	Name
141	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([5-piperidin-4-yl-1,2,4-oxadiazol-3-yl)methyl]oxy}quinazolin-4-amine
142	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([5-(1-methylpiperidin-4-yl)-1,2,4-oxadiazol-3-yl]methyl)oxy}quinazolin-4-amine
143	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([3-(morpholin-4-ylmethyl)-1,2,4-oxadiazol-5-yl]methyl)oxy}quinazolin-4-amine
144	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(morpholin-2-ylmethyl)oxy]quinazolin-4-amine
145	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([5-piperidin-2-yl-1,2,4-oxadiazol-3-yl)methyl]oxy}quinazolin-4-amine
146	<i>N</i> -(3,4-dichlorophenyl)-7-([2-[(dimethylamino)methyl]-1,3-thiazol-4-yl]methyl)oxy}-6-(methyloxy)quinazolin-4-amine
147	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([4-(phenylmethyl)morpholin-2-yl]methyl)oxy}quinazolin-4-amine
148	1,1-dimethylethyl 2-([4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl)morpholine-4-carboxylate
149	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([2-(morpholin-4-ylmethyl)-1,3-thiazol-4-yl]methyl)oxy}quinazolin-4-amine
150	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([2-[(4-methylpiperazin-1-yl)methyl]-1,3-thiazol-4-yl]methyl)oxy}quinazolin-4-amine
151	<i>N</i> -(3,4-dichlorophenyl)-7-([4-methylmorpholin-2-yl]methyl)oxy}-6-(methyloxy)quinazolin-4-amine
152	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(1,4-oxazepan-2-ylmethyl)oxy]quinazolin-4-amine
153	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([5-piperidin-3-yl-1,2,4-oxadiazol-3-yl)methyl]oxy}quinazolin-4-amine
154	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([5-(1-methylpiperidin-2-yl)-1,2,4-oxadiazol-3-yl]methyl)oxy}quinazolin-4-amine
155	<i>N</i> -(3,4-dichlorophenyl)-7-([4-methyl-1,4-oxazepan-2-yl]methyl)oxy}-6-(methyloxy)quinazolin-4-amine
156	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([5-(1-methylpiperidin-3-yl)-1,2,4-oxadiazol-3-yl]methyl)oxy}quinazolin-4-amine
157	<i>N</i> -(3,4-dichlorophenyl)-7-([5-(1,1-dimethylethyl)-1,2,4-oxadiazol-3-yl]methyl)oxy}-6-(methyloxy)quinazolin-4-amine
158	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([2-phenyl-1,3-thiazol-4-yl]methyl)oxy}quinazolin-4-amine
159	7-[(2,1,3-benzothiadiazol-4-ylmethyl)oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
160	<i>N</i> -(3,4-dichlorophenyl)-7-([5-methylisoxazol-3-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
161	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([5-methyl-4-phenylisoxazol-3-yl)methyl]oxy}quinazolin-4-amine
162	7-[(1,3-benzothiazol-2-ylmethyl)oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
163	7-[(2,1,3-benzoxadiazol-5-ylmethyl)oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
164	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([2-(2-thienyl)-1,3-thiazol-4-yl]methyl)oxy}quinazolin-4-amine
165	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(1-phenyl-1 <i>H</i> -pyrazol-4-yl)methyl]oxy}quinazolin-4-amine
166	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([5-[3-(trifluoromethyl)phenyl]-1,2,4-oxadiazol-3-yl]methyl)oxy}quinazolin-4-amine
167	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([5-[4-(trifluoromethyl)phenyl]-1,2,4-oxadiazol-3-yl]methyl)oxy}quinazolin-4-amine

(continued)

Entry	Name
5	168 7-({[3-(4-chlorophenyl)-1,2,4-oxadiazol-5-yl]methyl}oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
	169 7-({[6-bromo-2-(methyloxy)naphthalen-1-yl]methyl}oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
10	170 <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-[(1,3-thiazol-4-ylmethyl)oxy]quinazolin-4-amine
	171 7-({[6-chloropyridin-3-yl]methyl}oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
	172 <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-[(pyridin-4-ylmethyl)oxy]quinazolin-4-amine
	173 <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-({[2-methyl-1,3-thiazol-4-yl]methyl}oxy)quinazolin-4-amine
15	174 7-({[6-chloro-4 <i>H</i> -1,3-benzodioxin-8-yl]methyl}oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
	175 7-({[5-chloro-1-methyl-3-phenyl-1 <i>H</i> -pyrazol-4-yl]methyl}oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
20	176 <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-({[1-methyl-3-(trifluoromethyl)- 1 <i>H</i> -thieno[2,3- <i>c</i>]pyrazol-5-yl]methyl}oxy)quinazolin-4-amine
	177 <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-({[3-phenylisoxazol-5-yl]methyl}oxy)quinazolin-4-amine
	178 <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-({[2,4,6-trimethylphenyl]methyl}oxy)quinazolin-4-amine
25	179 <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-[(pyridin-3-ylmethyl)oxy]quinazolin-4-amine
	180 <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-({[3-[4-(methyloxy)phenyl]isoxazol-5-yl]methyl}oxy)quinazolin-4-amine
30	181 <i>N</i> -(3,4-dichlorophenyl)-7-({[5-[(2,4-dichlorophenyl)oxy]-1-methyl-3-(trifluoromethyl)- 1 <i>H</i> -pyrazol-4-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
	182 7-[(cyclopropylmethyl)oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
	183 <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-[(tetrahydrofuran- 2-ylmethyl)oxy]quinazolin-4-amine
35	184 7-(cyclopentyloxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
	185 7-[(2-cyclohexylethyl)oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
	186 7-[(cyclohexylmethyl)oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
	187 7-[(cyclobutylmethyl)oxy]- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
40	188 <i>N</i> -(3,4-dichlorophenyl)-7-({[2-(1,3-dioxolan-2-yl)ethyl]oxy}-6-(methyloxy)quinazolin-4-amine
	189 <i>N</i> -(3,4-dichlorophenyl)-7-({[2-(1,3-dioxan-2-yl)ethyl]oxy}-6-(methyloxy)quinazolin-4-amine
	190 <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-[(2-morpholin-4-ylethyl)oxy]quinazolin-4-amine
45	191 <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-[(2-pyrrolidin-1-ylethyl)oxy]quinazolin-4-amine
	192 <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-[(2-piperidin-1-ylethyl)oxy]quinazolin-4-amine
	193 2-(2-[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)ethyl)-1 <i>H</i> -isoindole-1,3(2 <i>H</i>)-dione
	194 methyl 6-O-[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-alpha-D-glucopyranoside
50	195 <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-[(2-morpholin-4-yl-2-oxoethyl)oxy]quinazolin-4-amine
	196 1,1-dimethylethyl 2-[3-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-1,2,4-oxadiazol-5-yl]piperidine-1-carboxylate
55	197 1,1-dimethylethyl 4-[3-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-1,2,4-oxadiazol-5-yl]piperidine-1-carboxylate
	198 <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-({[4-(4-pyrrolidin-1-ylphenyl)-1,3-thiazol-2-yl]methyl}oxy)quinazolin-4-amine

(continued)

Entry	Name
199	<i>N</i> -(3,4-dichlorophenyl)-7-[(4-[4-(diethylamino)phenyl]-1,3-thiazol-2-yl)methyl]oxy]-6-(methyloxy)quinazolin-4-amine
200	5-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl]-1,3-thiazol-4-yl]-2-hydroxybenzamide
201	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(4-pyridin-3-yl-1,3-thiazol-2-yl)methyl]oxy}quinazolin-4-amine
202	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(4-pyridin-2-yl-1,3-thiazol-2-yl)methyl]oxy}quinazolin-4-amine
203	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(4-pyridin-4-yl-1,3-thiazol-2-yl)methyl]oxy}quinazolin-4-amine
204	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(2-morpholin-4-yl-1,3-thiazol-4-yl)methyl]oxy}quinazolin-4-amine
205	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(3-morpholin-4-yl-1,2,4-oxadiazol-5-yl)methyl]oxy}quinazolin-4-amine
206	<i>N</i> -(3,4-dichlorophenyl)-7-[(3-(dimethylamino)-1,2,4-oxadiazol-5-yl)methyl]oxy]-6-(methyloxy)quinazolin-4-amine
207	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(4-[4-methylpiperazin-1-yl)methyl]-1,3-thiazol-2-yl)methyl]oxy}quinazolin-4-amine
208	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(4,5,6,7-tetrahydro[1,3]thiazolo[5,4-c]pyridin-2-yl)methyl]oxy}quinazolin-4-amine
209	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(4-(morpholin-4-ylmethyl)-1,3-thiazol-2-yl)methyl]oxy}quinazolin-4-amine
210	<i>N</i> -(3,4-dichlorophenyl)-7-[(4-[4-methyl-1,4-diazepan-1-yl)methyl]-1,3-thiazol-2-yl)methyl]oxy]-6-(methyloxy)quinazolin-4-amine
211	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(5-[(phenylmethyl)oxy]methyl)-1,2,4-oxadiazol-3-yl)methyl]oxy}quinazolin-4-amine
212	<i>N</i> -(3,4-dichlorophenyl)-7-[(4-ethylmorpholin-2-yl)methyl]oxy]-6-(methyloxy)quinazolin-4-amine
213	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(2-piperidin-4-yl-1,3-thiazol-4-yl)methyl]oxy}quinazolin-4-amine
214	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(2-(1-methylpiperidin-4-yl)-1,3-thiazol-4-yl)methyl]oxy}quinazolin-4-amine
215	1,1-dimethylethyl 4-[5-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl]-1,2,4-oxadiazol-3-yl]piperazine-1-carboxylate
217	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(3-piperazin-1-yl-1,2,4-oxadiazol-5-yl)methyl]oxy}quinazolin-4-amine
218	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(3-(4-methylpiperazin-1-yl)-1,2,4-oxadiazol-5-yl)methyl]oxy}quinazolin-4-amine
219	<i>N</i> -(3,4-dichlorophenyl)-7-[(5-(1-ethylpiperidin-2-yl)-1,2,4-oxadiazol-3-yl)methyl]oxy]-6-(methyloxy)quinazolin-4-amine
220	<i>N</i> -(3,4-dichlorophenyl)-7-[(3-(4-ethylpiperazin-1-yl)-1,2,4-oxadiazol-5-yl)methyl]oxy]-6-(methyloxy)quinazolin-4-amine
221	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(5-[4-(methyloxy)phenyl]-1,2,4-oxadiazol-3-yl)methyl]oxy}quinazolin-4-amine
222	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-[(2-[4-(trifluoromethyl)phenyl]-1,3-thiazol-4-yl)methyl]oxy}quinazolin-4-amine
223	7-({[2-(4-chlorophenyl)-1,3-thiazol-4-yl]methyl}oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine

(continued)

Entry	Name
224	<i>N</i> -(3,4-dichlorophenyl)-7-({[5-(3,5-dimethylisoxazol-4-yl)-1,2,4-oxadiazol-3-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
225	7-({[5-(5-chloro-1-benzothien-3-yl)methyl]oxy}- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
226	<i>N</i> -(3,4-dichlorophenyl)-7-({[3-[4-(1,1-dimethylethyl)phenyl]-1,2,4-oxadiazol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
227	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[5-[2-(methyloxy)phenyl]-1,2,4-oxadiazol-3-yl]methyl}oxy)quinazolin-4-amine
228	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[5-(4-methylphenyl)-1,3,4-oxadiazol-2-yl]methyl}oxy)quinazolin-4-amine
229	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[1-(phenylmethyl)-1 <i>H</i> -imidazol-2-yl]methyl}oxy)quinazolin-4-amine
230	<i>N</i> -(3,4-dichlorophenyl)-7-({[3-(2,6-dichlorophenyl)-5-methylisoxazol-4-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
231	<i>N</i> -(3,4-dichlorophenyl)-7-({[6-fluoro-4 <i>H</i> -1,3-benzodioxin-8-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
232	7-({[3,5-dibromophenyl]methyl}oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
233	<i>N</i> -(3,4-dichlorophenyl)-7-({[2,6-difluorophenyl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
234	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[3-[(pyridin-2-ylsulfonyl)methyl]-1,2,4-oxadiazol-5-yl]methyl}oxy)quinazolin-4-amine
235	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[5-phenyl-1,2,4-oxadiazol-3-yl]methyl}oxy)quinazolin-4-amine
236	7-({[4-chloro-2-(trifluoromethyl)quinolin-6-yl]methyl}oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
237	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-(1-methylpyrrolidin-2-yl)ethyl]oxy}quinazolin-4-amine
238	<i>N</i> -(3,4-dichlorophenyl)-7-({[5-(1-ethylpiperidin-4-yl)-1,2,4-oxadiazol-3-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
239	<i>N</i> -(3,4-dichlorophenyl)-7-({[5-(1-ethylpiperidin-3-yl)-1,2,4-oxadiazol-3-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
240	<i>N</i> -(3,4-dichlorophenyl)-7-({[2-(dimethylamino)-1,3-thiazol-4-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
241	<i>N</i> -(3,4-dichlorophenyl)-7-({[4-ethyl-1,4-oxazepan-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
242	<i>N</i> -(3,4-dichlorophenyl)-7-({[2-(1-ethylpiperidin-4-yl)-1,3-thiazol-4-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
243	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[3-[(2 <i>S</i>)-pyrrolidin-2-yl]-1,2,4-oxadiazol-5-yl]methyl}oxy)quinazolin-4-amine
244	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-[(2 <i>S</i>)-pyrrolidin-2-yl]-1,3-thiazol-4-yl]methyl}oxy)quinazolin-4-amine
245	[4-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-1,3-thiazol-2-yl]methyl benzoate
246	[4-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-1,3-thiazol-2-yl]methanol
247	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[5-methyl-4,5,6,7-tetrahydro[1,3]thiazolo[5,4- <i>c</i>]pyridin-2-yl]methyl}oxy)quinazolin-4-amine
248	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-[(4 <i>S</i>)-1,3-thiazolidin-4-yl]-1,3-thiazol-4-yl]methyl}oxy)quinazolin-4-amine

(continued)

Entry	Name
249	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)-7-([2-(2-piperidin-2-yl-1,3-thiazol-4-yl)methyl]oxy)quinazolin-4-amine
250	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)-7-([2-(1-methylpiperidin-2-yl)-1,3-thiazol-4-yl)methyl]oxy)quinazolin-4-amine
251	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)-7-([2-(2-piperidin-3-yl)-1,3-thiazol-4-yl)methyl]oxy)quinazolin-4-amine
252	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)-7-([2-(1-methylpiperidin-3-yl)-1,3-thiazol-4-yl)methyl]oxy)quinazolin-4-amine
253	<i>N</i> -(3,4-dichlorophenyl)-7-([2-(1-ethylpiperidin-2-yl)-1,3-thiazol-4-yl)methyl]oxy)-6-(methoxy)quinazolin-4-amine
254	<i>N</i> -(3,4-dichlorophenyl)-7-([2-(1-ethylpiperidin-3-yl)-1,3-thiazol-4-yl)methyl]oxy)-6-(methoxy)quinazolin-4-amine
255	<i>N</i> -(3,4-dichlorophenyl)-7-([3-[(2 <i>S</i>)-1-ethylpyrrolidin-2-yl]-1,2,4-oxadiazol-5-yl)methyl]oxy)-6-(methoxy)quinazolin-4-amine
256	<i>N</i> -(3,4-dichlorophenyl)-7-([3-[(2 <i>S</i>)-1-ethylpyrrolidin-2-yl]-1,3-thiazol-4-yl)methyl]oxy)-6-(methoxy)quinazolin-4-amine
257	<i>N</i> -(3,4-dichlorophenyl)-7-([5-ethyl-4,5,6,7-tetrahydro[1,3]thiazolo[5,4- <i>c</i>]pyridin-2-yl)methyl]oxy)-6-(methoxy)quinazolin-4-amine
258	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)-7-([4-propyl-1,4-oxazepan-2-yl)methyl]oxy)quinazolin-4-amine
259	7-([4-(cyclopropylmethyl)-1,4-oxazepan-2-yl)methyl]oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)quinazolin-4-amine
260	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)-7-([4-[2-(methoxy)ethyl]-1,4-oxazepan-2-yl)methyl]oxy)quinazolin-4-amine
261	<i>N</i> -(3,4-dichlorophenyl)-7-([4-(1-methylethyl)-1,4-oxazepan-2-yl)methyl]oxy)-6-(methoxy)quinazolin-4-amine
262	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)-7-([2-(piperazin-1-yl)-1,3-thiazol-4-yl)methyl]oxy)quinazolin-4-amine
263	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)-7-([5-pyrrolidin-2-yl]-1,2,4-oxadiazol-3-yl)methyl]oxy)quinazolin-4-amine
264	<i>N</i> -(3,4-dichlorophenyl)-7-([5-(1-ethylpyrrolidin-2-yl)-1,2,4-oxadiazol-3-yl)methyl]oxy)-6-(methoxy)quinazolin-4-amine
265	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)-7-([3-[(2 <i>S</i>)-1-methylpyrrolidin-2-yl]-1,2,4-oxadiazol-5-yl)methyl]oxy)quinazolin-4-amine
266	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)-7-([2-[(2 <i>S</i>)-1-methylpyrrolidin-2-yl]-1,3-thiazol-4-yl)methyl]oxy)quinazolin-4-amine
267	<i>N</i> -(3,4-dichlorophenyl)-7-([2-(4-ethylpiperazin-1-yl)-1,3-thiazol-4-yl)methyl]oxy)-6-(methoxy)quinazolin-4-amine
268	<i>N</i> -(3,4-dichlorophenyl)-7-([1,4-dimethylpiperazin-2-yl)methyl]oxy)-6-(methoxy)quinazolin-4-amine
269	7-([4-(cyclopentylmorpholin-2-yl)methyl]oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)quinazolin-4-amine
270	<i>N</i> -(3,4-dichlorophenyl)-7-([4-(1-methylethyl)morpholin-2-yl)methyl]oxy)-6-(methoxy)quinazolin-4-amine
271	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)-7-([4-(3-phenylpropyl)morpholin-2-yl)methyl]oxy)quinazolin-4-amine
272	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)-7-([4-[2-(methoxy)ethyl]morpholin-2-yl)methyl]oxy)quinazolin-4-amine
273	ethyl 2-[2-([4-[(3,4-dichlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]oxy)methyl]morpholin-4-yl]propanoate

(continued)

Entry	Name
274	<i>N</i> -(3,4-dichlorophenyl)-7-({(4-hex-5-en-1-ylmorpholin-2-yl)methyl}oxy)-6-(methyloxy)quinazolin-4-amine
275	2-({2-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]ethyl}oxy)ethanol
276	methyl 3-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]propanoate
277	6-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]hexanenitrile
278	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(tetrahydro-2 <i>H</i> -pyran-2-ylmethyl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine
279	4-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]butanenitrile
280	<i>N</i> -(3,4-dichlorophenyl)-7-({[4-[(4-fluorophenyl)methyl]morpholin-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
281	methyl 5-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]pentanoate
282	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(oct-7-en-1-ylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
283	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(propylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
284	6-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]hexan-1-ol
285	7-({[4-(acetylmorpholin-2-yl)methyl]oxy}- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
286	7-({[4-(cyclopropylmethyl)morpholin-2-yl]methyl}oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
287	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(prop-2-yn-1-ylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
288	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(pyridin-4-ylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
289	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(pyridin-2-ylmethyl)morpholin-2-yl]methyl}oxy)quinazolin-4-amine
290	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(pent-2-yn-1-ylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
291	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-(4-methylpiperazin-1-yl)-1,3-thiazol-4-yl]methyl}oxy)quinazolin-4-amine
292	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-({[5-(1-methylpyrrolidin-2-yl)-1,2,4-oxadiazol-3-yl]methyl}oxy)quinazolin-4-amine
293	<i>N</i> -(3-chloro-4-fluorophenyl)-7-({[4-(methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
294	7-({[4-(butyl-1,4-oxazepan-2-yl)methyl]oxy}- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
295	(3,4-dichlorophenyl)[7-(methyloxy)-6-({[4-(2-methylpropyl)-1,4-oxazepan-2-yl]methyl}oxy)quinazolin-4-amine
296	7-({[4-(acetyl-1-ethylpiperazin-2-yl)methyl]oxy}- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
297	(3,4-dichlorophenyl)[6-(methyloxy)-7-({[4-(pentyl-1,4-oxazepan-2-yl)methyl]oxy}quinazolin-4-amine
298	(3,4-dichlorophenyl)[6-(methyloxy)-7-({[4-(tetrahydro-2 <i>H</i> -pyran-2-ylmethyl)-1,4-oxazepan-2-yl]methyl}oxy)quinazolin-4-amine
299	(3,4-dichlorophenyl)[6-(methyloxy)-7-({[4-(3-thienylmethyl)-1,4-oxazepan-2-yl]methyl}oxy)quinazolin-4-amine
300	<i>N</i> -[4-chloro-2,5-bis(methyloxy)phenyl]-7-({[4-(methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine

(continued)

Entry	Name
5 301	<i>N</i> -(3-bromo-2-methylphenyl)-7-[[4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
302	7-[[4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)- <i>N</i> -(3,4,5-trichlorophenyl)quinazolin-4-amine
303	<i>N</i> -(3-chloro-2-methylphenyl)-7-[[4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
10 304	<i>N</i> -(3,4-dichlorophenyl)-7-[[4-ethanimidoyl-1,4-oxazepan-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
305	<i>N</i> -(4-bromo-2-fluorophenyl)-7-[[4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
306	<i>N</i> -(5-chloro-2-fluorophenyl)-7-[[4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
15 307	<i>N</i> -(4-chloro-2-fluorophenyl)-7-[[4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
308	<i>N</i> -(2,4-dichlorophenyl)-7-[[4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
309	<i>N</i> -(2,4-dibromophenyl)-7-[[4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
20 310	7-[[4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)- <i>N</i> -(2,3,4-trichlorophenyl)quinazolin-4-amine
311	<i>N</i> -(3,4-dichlorophenyl)-7-[[1-ethyl-4-methylpiperazin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
312	<i>N</i> '-cyano-2-([4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl)morpholine-4-carboximidamide
25 313	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-([2-(pyrrolidin-1-ylmethyl)-1,3-thiazol-4-yl)methyl]oxy)quinazolin-4-amine
314	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-([4-(tetrahydro-2 <i>H</i> -pyran-4-yl)morpholin-2-yl)methyl]oxy)quinazolin-4-amine
315	<i>N</i> -(3,4-dichlorophenyl)-7-([4-(2-ethylbutyl)morpholin-2-yl)methyl]oxy)-6-(methyloxy)quinazolin-4-amine
30 316	7-([4-(cyclohexylmethyl)morpholin-2-yl)methyl]oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
317	2-[2-([4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl)morpholin-4-yl]ethanol
318	7-[[4-but-2-yn-1-ylmorpholin-2-yl)methyl]oxy}- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
35 319	7-[[4-cyclobutylmorpholin-2-yl)methyl]oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
320	<i>N</i> -(3,4-dichlorophenyl)-7-([4-[2-(1,3-dioxolan-2-yl)ethyl]morpholin-2-yl)methyl]oxy)-6-(methyloxy)quinazolin-4-amine
40 321	7-([4-(2-cyclohexylethyl)morpholin-2-yl)methyl]oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
322	<i>N</i> -(3,4-dichlorophenyl)-7-([4-[2-(1,3-dioxan-2-yl)ethyl]morpholin-2-yl)methyl]oxy)-6-(methyloxy)quinazolin-4-amine
45 323	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-[[4-(pent-4-en-1-ylmorpholin-2-yl)methyl]oxy]quinazolin-4-amine
324	<i>N</i> -(3,4-dichlorophenyl)-7-([4-[(2 <i>R</i>)-2-methylbutyl]morpholin-2-yl)methyl]oxy)-6-(methyloxy)quinazolin-4-amine
325	<i>N</i> -(3,4-dichlorophenyl)-7-([4-(4-fluorobutyl)morpholin-2-yl)methyl]oxy)-6-(methyloxy)quinazolin-4-amine
50 326	3-[2-([4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl)morpholin-4-yl]butan-2-one
327	1-[2-([4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy)methyl)morpholin-4-yl]butan-2-one
328	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-[[4-(pentylmorpholin-2-yl)methyl]oxy]quinazolin-4-amine
329	<i>N</i> -(3,4-dichlorophenyl)-7-[[4-(hexylmorpholin-2-yl)methyl]oxy]-6-(methyloxy)quinazolin-4-amine
55 330	<i>N</i> -(3,4-dichlorophenyl)-7-[[4-(heptylmorpholin-2-yl)methyl]oxy]-6-(methyloxy)quinazolin-4-amine
331	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)- 7-[[4-(octylmorpholin-2-yl)methyl]oxy]quinazolin-4-amine

(continued)

Entry	Name
5 332	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)- 7-([4-(2-phenylethyl)morpholin-2-yl]methyl)oxy)quinazolin-4-amine
333	7-([4-(4-butylmorpholin-2-yl)methyl]oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)quinazolin-4-amine
334	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)- 7-([4-(prop-2-en-1-ylmorpholin-2-yl)methyl]oxy)quinazolin-4-amine
10 335	2-[2-([4-[(3,4-dichlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]oxy)methyl]morpholin-4-yl]-1-phenylethanone
336	<i>N</i> -(3,4-dichlorophenyl)-7-([4-(2-fluoroethyl)morpholin-2-yl]methyl)oxy)-6-(methoxy)quinazolin-4-amine
15 337	<i>N</i> -(3,4-dichlorophenyl)-7-([4-(3-methylbut-2-en-1-yl)morpholin-2-yl]methyl)oxy)-6-(methoxy)quinazolin-4-amine
338	7-([4-[(2 <i>E</i>)-3-bromoprop-2-en-1-yl]morpholin-2-yl]methyl)oxy)- <i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)quinazolin-4-amine
339	2-[2-([4-[(3,4-dichlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]oxy)methyl]morpholin-4-yl]acetamide
20 340	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)- 7-([4-[3-(tetrahydro-2 <i>H</i> -pyran-2-yloxy)propyl]-1,4-oxazepan-2-yl]methyl)oxy)quinazolin-4-amine
341	<i>N</i> -(3,4-dichlorophenyl)-7-([4-(3-methylbutyl)-1,4-oxazepan-2-yl]methyl)oxy)-6-(methoxy)quinazolin-4-amine
25 342	7-([4-(cyclohexylmethyl)-1,4-oxazepan-2-yl]methyl)oxy)-4-[(3,4-dichlorophenyl)methyl]-6-(methoxy)quinazoline
343	7-([4-(2-cyclohexylethyl)-1,4-oxazepan-2-yl]methyl)oxy)-4-[(3,4-dichlorophenyl)methyl]-6-(methoxy)quinazoline
30 345	<i>N</i> -(3,4-dichlorophenyl)-7-([4-(2-ethylbutyl)-1,4-oxazepan-2-yl]methyl)oxy)-6-(methoxy)quinazolin-4-amine
346	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)- 7-([4-(methylsulfonyl)-1,4-oxazepan-2-yl]methyl)oxy)quinazolin-4-amine
35 347	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)- 7-([4-(1-methylpiperidin-4-yl)morpholin-2-yl]methyl)oxy)quinazolin-4-amine
348	<i>N</i> -(3-chloro-2-fluorophenyl)-7-([4-(4-methylmorpholin-2-yl)methyl]oxy)-6-(methoxy)quinazolin-4-amine
40 349	<i>N</i> -cyano-2-([4-[(3,4-dichlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]oxy)methyl)-1,4-oxazepan-4-carboximidamide
350	<i>N</i> -(3-bromo-4-methylphenyl)-7-([4-(4-methylmorpholin-2-yl)methyl]oxy)-6-(methoxy)quinazolin-4-amine
351	<i>N</i> -(3,4-dichlorophenyl)-7-([4-(1,4-diethylpiperazin-2-yl)methyl]oxy)-6-(methoxy)quinazolin-4-amine
45 352	4-([4-[(4-bromo-2-fluorophenyl)amino]-6-(methoxy)quinazolin-7-yl]oxy)methyl)- <i>N'</i> -cyanopiperidine-1-carboximidamide
353	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)- 7-([4-(methylsulfonyl)morpholin-2-yl]methyl)oxy)quinazolin-4-amine
50 354	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)- 7-([4-[(phenylmethyl) sulfonyl]morpholin-2-yl]methyl)oxy]quinazolin-4-amine
355	<i>N</i> -(3,4-dichlorophenyl)-7-([4-[(4-fluorophenyl)sulfonyl]morpholin-2-yl]methyl)oxy)-6-(methoxy)quinazolin-4-amine
356	<i>N</i> -(3,4-dichlorophenyl)-7-([4-(ethylsulfonyl)morpholin-2-yl]methyl)oxy)-6-(methoxy)quinazolin-4-amine
55 357	<i>N</i> -(3,4-dichlorophenyl)-6-(methoxy)- 7-([4-(phenylsulfonyl)morpholin-2-yl]methyl)oxy)quinazolin-4-amine

(continued)

Entry	Name
358	7-([4-[(3-chloropropyl)sulfonyl]morpholin-2-yl]methyl)oxy]-N-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
359	7-([4-(butylsulfonyl)morpholin-2-yl]methyl)oxy]-N-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
360	N-(3,4-dichlorophenyl)-6-(methyloxy)- 7-([4-[(4-methylphenyl)sulfonyl]morpholin-2-yl]methyl)oxy]quinazolin-4-amine
361	N-(3,4-dichlorophenyl)-7-([4-[(3,5-dimethylisoxazol-4-yl)carbonyl]morpholin-2-yl]methyl)oxy]-6-(methyloxy)quinazolin-4-amine
362	N-(3,4-dichlorophenyl)-6-(methyloxy)- 7-([4-[(3-(methyloxy)phenyl)acetyl]morpholin-2-yl]methyl)oxy]quinazolin-4-amine
363	N-(3,4-dichlorophenyl)-6-(methyloxy)- 7-([4-(2-methylpentanoyl)morpholin-2-yl]methyl)oxy]quinazolin-4-amine
364	7-([4-[(4-butylphenyl)carbonyl]morpholin-2-yl]methyl)oxy]-N-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
365	7-([4-[(4-chlorophenyl)acetyl]morpholin-2-yl]methyl)oxy]-N-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
366	N-(3,4-dichlorophenyl)-6-(methyloxy)- 7-([4-(2-propylpentanoyl)morpholin-2-yl]methyl)oxy]quinazolin-4-amine
367	N-(3,4-dichlorophenyl)-6-(methyloxy)- 7-([4-(4-methylpentanoyl)morpholin-2-yl]methyl)oxy]quinazolin-4-amine
368	N-(3,4-dichlorophenyl)-7-([4-[(2,5-difluorophenyl)carbonyl]morpholin-2-yl]methyl)oxy]-6-(methyloxy)quinazolin-4-amine
369	7-([4-(cyclopentylcarbonyl)morpholin-2-yl]methyl)oxy]-N-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
370	N-(3,4-dichlorophenyl)-6-(methyloxy)- 7-([4-(2-phenylbutanoyl)morpholin-2-yl]methyl)oxy]quinazolin-4-amine
371	N-(3,4-dichlorophenyl)-6-(methyloxy)- 7-([4-[(2,3,6-trifluorophenyl)carbonyl]morpholin-2-yl]methyl)oxy]quinazolin-4-amine
372	N-(3,4-dichlorophenyl)-7-([4-(furan-3-ylcarbonyl)morpholin-2-yl]methyl)oxy]-6-(methyloxy)quinazolin-4-amine
373	N-(3,4-dichlorophenyl)-6-(methyloxy)- 7-([4-(propanoyl)morpholin-2-yl]methyl)oxy]quinazolin-4-amine
374	N-(3,4-dichlorophenyl)-7-([4-(hexanoyl)morpholin-2-yl]methyl)oxy]-6-(methyloxy)quinazolin-4-amine
375	N-(3,4-dichlorophenyl)-7-([4-(2-ethylhexanoyl)morpholin-2-yl]methyl)oxy]-6-(methyloxy)quinazolin-4-amine
376	N-(3,4-dichlorophenyl)-6-(methyloxy)- 7-([4-(3-phenylpropanoyl)morpholin-2-yl]methyl)oxy]quinazolin-4-amine
377	N-(3,4-dichlorophenyl)-7-([4-(2,2-dimethylpropanoyl)morpholin-2-yl]methyl)oxy]-6-(methyloxy)quinazolin-4-amine
378	N-(3,4-dichlorophenyl)-6-(methyloxy)- 7-([4-(naphthalen-1-ylcarbonyl)morpholin-2-yl]methyl)oxy]quinazolin-4-amine
379	7-([4-[(2-chloropyridin-3-yl)carbonyl]morpholin-2-yl]methyl)oxy]-N-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
380	7-([4-[(6-chloropyridin-3-yl)carbonyl]morpholin-2-yl]methyl)oxy]-N-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine

(continued)

Entry	Name
5	381 7-({[4-(1,3-benzodioxol-5-ylcarbonyl)morpholin-2-yl]methyl}oxy)-N-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
	382 N-(3,4-dichlorophenyl)-6-[(1-methylethyl)oxy]-7-[(morpholin-2-ylmethyl)oxy]quinazolin-4-amine
	383 N-(3,4-dichlorophenyl)-6-{[2-(methyloxy)ethyl]oxy}-7-[(morpholin-2-ylmethyl)oxy]quinazolin-4-amine
10	384 N-(3,4-dichlorophenyl)-6-(ethyloxy)-7-[(morpholin-2-ylmethyl)oxy]quinazolin-4-amine
	385 N-(3,4-dichlorophenyl)-6-(ethyloxy)-7-[(4-methylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
	386 N-(4-bromo-2-methylphenyl)-7-[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
	387 N-(4-chloro-3-methylphenyl)-7-[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
15	388 N'-cyano-2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-N-methylmorpholine-4-carboximidamide
	389 N-(4-bromo-3-chlorophenyl)-7-[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
20	390 N-(3,4-dichlorophenyl)-6-[(1-methylethyl)oxy]-7-[(4-methylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
	391 N-(3,4-dichlorophenyl)-7-[(4-methylmorpholin-2-yl)methyl]oxy}-6-{[2-(methyloxy)ethyl]oxy}quinazolin-4-amine
	392 N-(4-bromo-2-chlorophenyl)-7-[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
25	393 7-[(4-acetyl-1,4-oxazepan-2-yl)methyl]oxy}-N-(3,4-dichlorophenyl)-6-(methyloxy)quinazolin-4-amine
	394 4-[(3,4-dichlorophenyl)amino]-7-[(4-methylmorpholin-2-yl)methyl]oxy}quinazolin-6-ol
	395 N-(3-bromo-4-chlorophenyl)-7-[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
30	396 3-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]-3-oxopropanoic acid
	397 methyl 4-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl]-4-oxobutanoate
	398 N-(3,4-dichlorophenyl)-7-[(4-methylmorpholin-3-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
35	399 N-(3-bromo-2-chlorophenyl)-7-[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
	400 N-cyano-2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-N-[2-(methyloxy)ethyl]morpholine-4-carboximidamide
40	401 N-cyano-2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-N-ethylmorpholine-4-carboximidamide
	402 [(1E)-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl](piperidin-1-yl)methylidene]cyanamide
45	403 [(1E)-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl](pyrrolidin-1-yl)methylidene]cyanamide
	404 [(1E)-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)morpholin-4-yl](4-methylpiperazin-1-yl)methylidene]cyanamide
50	405 N-(3,4-dichlorophenyl)-7-[(6-ethyl-4,6-dimethylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
	406 N-(4-bromo-3-methylphenyl)-7-[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
	407 N-(3,4-dichlorophenyl)-7-[(6,6-dimethylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
55	408 N-(3,4-dichlorophenyl)-6-(methyloxy)-7-[(4,6,6-trimethylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
	409 N-(3,4-dichlorophenyl)-7-[[2-(5,5-dimethylmorpholin-2-yl)ethyl]oxy]-6-(methyloxy)quinazolin-4-amine
	410 N-(3,4-dichlorophenyl)-6-(methyloxy)-7-[[2-(4,5,5-trimethylmorpholin-2-yl)ethyl]oxy}quinazolin-4-amine

(continued)

Entry	Name
5 411	1,1-dimethylethyl 2-(2-([4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}ethyl)-5,5-dimethylmorpholine-4-carboxylate
412	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([4,5,5-trimethylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
413	<i>N</i> -(4-bromo-2,3-dichlorophenyl)-7-([4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
10 414	<i>N</i> -(4,5-dichloro-2-fluorophenyl)-7-([4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
415	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([2-(4,6,6-trimethylmorpholin-2-yl)ethyl]oxy}quinazolin-4-amine
15 416	<i>N</i> -(4-bromo-2,3-difluorophenyl)-7-([4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
417	<i>N</i> -(4-bromo-2,5-difluorophenyl)-7-([4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
20 418	<i>N</i> -(4-bromo-3,5-difluorophenyl)-7-([4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
419	<i>N</i> -(3,4-dichloro-2-methylphenyl)-7-([4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
25 420	<i>N</i> -(3,4-dichlorophenyl)-7-([[(2 <i>R</i> ,5 <i>S</i> ,6 <i>S</i>)-5,6-dimethylmorpholin-2-yl]methyl]oxy}-6-(methyloxy)quinazolin-4-amine
421	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([[(2 <i>R</i> ,5 <i>S</i> ,6 <i>S</i>)-4,5,6-trimethylmorpholin-2-yl]methyl]oxy}quinazolin-4-amine
30 422	<i>N</i> -(3,4-dichlorophenyl)-6-(methyloxy)-7-([[(2 <i>S</i> ,5 <i>S</i> ,6 <i>S</i>)-4,5,6-trimethylmorpholin-2-yl]methyl]oxy}quinazolin-4-amine
423	<i>N</i> -(4-bromo-3-chloro-2-methylphenyl)-7-([4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
35 424	<i>N</i> -(4-bromo-5-chloro-2-fluorophenyl)-7-([4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
425	<i>N</i> -(4-bromo-3-chloro-2-fluorophenyl)-7-([4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
426	<i>N</i> -(3,4-dichloro-2-fluorophenyl)-7-([4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
40 427	<i>N</i> -(3-chloro-2,4-difluorophenyl)-7-([4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
428	<i>N</i> -(2,3-dichloro-4-methylphenyl)-7-([4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)quinazolin-4-amine
45 429	6-([4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-3,3,4-trimethylmorpholin-2-one
430	<i>N</i> -(4-bromo-2,3-dichlorophenyl)-6-(methyloxy)-7-([4,5,5-trimethylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
50 431	<i>N</i> -(4-bromo-5-chloro-2-fluorophenyl)-6-(methyloxy)-7-([4,5,5-trimethylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
432	<i>N</i> -(4,5-dichloro-2-fluorophenyl)-6-(methyloxy)-7-([4,5,5-trimethylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
433	<i>N</i> -(3,4-dichloro-2-fluorophenyl)-6-(methyloxy)-7-([4,5,5-trimethylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
55 434	<i>N</i> -(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)-7-([4,5,5-trimethylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine

(continued)

Entry	Name
5 435	<i>N</i> -(3-chloro-2,4-difluorophenyl)-6-(methoxy)- 7-[[(4,5,5-trimethylmorpholin-2-yl)methyl]oxy}quinazolin-4-amine
436	(6 <i>S</i>)-6-({[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methoxy)quinazolin-7-yl]oxy}methyl)-4-methylpiperazin-2-one
10 437	(6 <i>S</i>)-6-({[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methoxy)quinazolin-7-yl]oxy}methyl)-4-methylpiperazin-2-one
438	(6 <i>S</i>)-6-({[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methoxy)quinazolin-7-yl]oxy}methyl)-1,4-dimethylpiperazin-2-one
15 439	(6 <i>S</i>)-6-({[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methoxy)quinazolin-7-yl]oxy}methyl)-1,4-dimethylpiperazin-2-one
440	<i>N</i> -(4-bromo-3-chlorophenyl)-7-[[(3 <i>a'</i> <i>S</i> ,4 <i>R</i> ,6' <i>S</i> ,6 <i>a'</i> <i>R</i>)-2,2-dimethyltetrahydrospiro[1,3-dioxolane-4,3'-furo[3,2- <i>b</i>]furan]-6'-yl]oxy}-6-(methoxy)quinazolin-4-amine
20 441	1,4:3,6-dianhydro-2- <i>O</i> -[4-[(4-bromo-3-chlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]-5- <i>O</i> -methyl-5- <i>C</i> -[(methoxy) methyl]- <i>L</i> -glucitol
442	1,4:3,6-dianhydro-2- <i>O</i> -[4-[(4-bromo-3-chlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]-5- <i>O</i> -(methylsulfonyl)- <i>L</i> -glucitol
443	1,4:3,6-dianhydro-2- <i>O</i> -[4-[(4-bromo-3-chlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]- <i>L</i> -glucitol
25 444	1,4:3,6-dianhydro-2- <i>O</i> -[4-[(4-bromo-3-chlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]-5- <i>S</i> -methyl-5-thio- <i>D</i> -iditol
445	1,4:3,6-dianhydro-5- <i>O</i> -[4-[(4-bromo-3-chlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]-2-deoxy-2-morpholin-4-yl- <i>D</i> -iditol
30 446	1,4:3,6-dianhydro-5- <i>O</i> -[4-[(4-bromo-3-chlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]-2-deoxy-2-(4-methylpiperazin-1-yl)- <i>D</i> -iditol
447	1,4:3,6-dianhydro-5- <i>O</i> -[4-[(4-bromo-3-chlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]-2-deoxy-2-pyrrolidin-1-yl- <i>D</i> -iditol
35 448	2- <i>O</i> -acetyl-1,4:3,6-dianhydro-5- <i>O</i> -[4-[(4-bromo-3-chlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]- <i>D</i> -iditol
449	1,4:3,6-dianhydro-2- <i>O</i> -[4-[(4-bromo-3-chlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]- <i>D</i> -iditol
40 450	1,4:3,6-dianhydro-5- <i>O</i> -[4-[(4-bromo-3-chlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]-2-deoxy-2-(methylsulfonyl)- <i>D</i> -iditol
451	2-amino-1,4:3,6-dianhydro-5- <i>O</i> -[4-[(4-bromo-3-chlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]-2-deoxy- <i>D</i> -iditol
45 452	1,4:3,6-dianhydro-5- <i>O</i> -[4-[(4-bromo-3-chlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]-2-deoxy-2-(dimethylamino)- <i>D</i> -iditol
453	1,4:3,6-dianhydro-5- <i>O</i> -[4-[(4-bromo-3-chlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]-2-deoxy-2-(diethylamino)- <i>D</i> -iditol
50 454	1,4:3,6-dianhydro-5- <i>O</i> -[4-[(4-bromo-3-chlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]-2-deoxy-2-piperidin-1-yl- <i>D</i> -iditol
455	2-(acetyl-amino)- 1,4:3,6-dianhydro-5- <i>O</i> -[4-[(4-bromo-3-chlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]-2-deoxy- <i>D</i> -iditol
55 456	1,4:3,6-dianhydro-2- <i>O</i> -[4-[(4-bromo-3-chlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]-5- <i>O</i> -methyl-5- <i>C</i> -(trifluoromethyl)- <i>L</i> -glucitol
457	1,4:3,6-dianhydro-5- <i>O</i> -[4-[(4-bromo-3-chlorophenyl)amino]-6-(methoxy)quinazolin-7-yl]-2-deoxy-2-[(methylsulfonyl) amino]- <i>D</i> -iditol

(continued)

Entry	Name
5	458 <i>N</i> -(4-bromo-3-chlorophenyl)-6-(methyloxy)- 7-[(1-methylpyrrolidin-3-yl)oxy]quinazolin-4-amine
	459 <i>N</i> -(4-bromo-3-chlorophenyl)-6-(methyloxy)- 7-[(3 <i>R</i>)-tetrahydrofuran-3-yloxy]quinazolin-4-amine
	460 <i>N</i> -(4-bromo-3-chlorophenyl)-6-(methyloxy)- 7-[[{(3 <i>S</i> ,4 <i>R</i>)-4-(methyloxy)tetrahydrofuran-3-yl]oxy}quinazolin-4-amine
10	461 1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-(6-(methyloxy)- 4-[[4-(4-methylpiperazin-1-yl)phenyl]amino} quinazolin-7-yl)-D-iditol
	462 1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-[[{3-fluoro-4-(4-methylpiperazin-1-yl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-D-iditol
15	463 1,4:3,6-dianhydro-2-deoxy-5-O-[4-[[2,3-dichloro-4-(4-methylpiperazin-1-yl)phenyl]amino]-6-(methyloxy) quinazolin-7-yl]-2-fluoro-D-iditol
	464 1,4:3,6-dianhydro-2-deoxy-5-O-[4-[[3,4-dichloro-2-(4-methylpiperazin-1-yl)phenyl]amino]-6-(methyloxy) quinazolin-7-yl]-2-fluoro-D-iditol
20	465 1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-C-(trifluoromethyl)- D-glucitol
	466 (3,4-dichlorophenyl)[6-(methyloxy)- 7-({[4-(tetrahydrofuran-2-yl)methyl]-1,4-oxazepan-2-yl]methyl}oxy) quinazolin -4-amine
25	467 <i>N</i> -(3,4-dichloro-2-fluorophenyl)-7-({[(3 <i>aR</i> ,5 <i>r</i> ,6 <i>aS</i>)-2-methyloctahydrocyclopenta-[c]pyrrol-5-yl]methyl} oxy)-6-(methyloxy)quinazolin-4-amine
	468 <i>N</i> -(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3 <i>aR</i> ,5 <i>r</i> ,6 <i>aS</i>)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl] methyl}oxy)-6-(methyloxy)quinazolin-4-amine
30	469 <i>N</i> -(3-chloro-2,4-difluorophenyl)-7-({[(3 <i>aR</i> ,5 <i>r</i> ,6 <i>aS</i>)-2-methyloctahydrocyclopenta-[c]pyrrol-5-yl]methyl} oxy)-6-(methyloxy)quinazolin-4-amine
	470 <i>N</i> -(4,5-dichloro-2-fluorophenyl)-7-({[(3 <i>aR</i> ,5 <i>r</i> ,6 <i>aS</i>)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl} oxy)-6-(methyloxy)quinazolin-4-amine
35	471 <i>N</i> -(4-bromo-5-chloro-2-fluorophenyl)-7-({[(3 <i>aR</i> ,5 <i>r</i> ,6 <i>aS</i>)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl] methyl}oxy)-6-(methyloxy)quinazolin-4-amine
	472 <i>N</i> -(4-bromo-2,3-dichlorophenyl)-7-({[(3 <i>aR</i> ,5 <i>r</i> ,6 <i>aS</i>)-2-methyloctahydrocyclo-penta[c]pyrrol-5-yl]methyl} oxy)-6-(methyloxy)quinazolin-4-amine
40	473 <i>N</i> -(3,4-dichlorophenyl)-7-({[(3 <i>aR</i> ,5 <i>r</i> ,6 <i>aS</i>)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)- 6-(methyloxy)quinazolin-4-amine
	474 <i>N</i> -(3,4-dichlorophenyl)-7-[(2-({[(3- <i>endo</i>)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]amino}ethyl)oxy)- 6-(methyloxy)quinazolin-4-amine
45	475 <i>N</i> -(3,4-dichlorophenyl)-7-({[(3- <i>endo</i>)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]ethyl}oxy)-6-(methyloxy) quinazolin-4-amine
	476 <i>N</i> -(3,4-dichlorophenyl)-7-({[(3- <i>endo</i>)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]methyl}oxy)-6-(methyloxy) quinazolin-4-amine
50	477 <i>N</i> -(3,4-dichlorophenyl)-7-({[(3- <i>exo</i>)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]oxy}-6-(methyloxy)quinazolin-4- amine
	478 1,4:3,6-Dianhydro-5-O-[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-O-methyl-D- glucitol
55	479 1,4:3,6-dianhydro-5-O-[4-[(3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quin-azolin-7-yl]-2-deoxy-2- fluoro-L-iditol
	480 1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5- O-(methylsulfonyl)- D-glucitol

(continued)

Entry	Name
481	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-D-glucitol
482	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-S-methyl-5-thio-L-iditol
483	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-morpholin-4-yl-L-iditol
484	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-(4-methylpiperazin-1-yl)-L-iditol
485	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-pyrrolidin-1-yl-L-iditol
486	2-O-acetyl-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-L-iditol
487	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-L-iditol
488	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-(methylsulfonyl)- L-iditol
489	2-amino-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-L-iditol
490	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-(dimethylamino)- L-iditol
491	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-(diethylamino)- L-iditol
492	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-piperidin-1-yl-L-iditol
493	2-(acetylamino)- 1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-L-iditol
494	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-5-C-(trifluoromethyl)- D-glucitol
495	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-[(methylsulfonyl) amino]-L-iditol
496	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-(6-(methyloxy)- 4-[[4-(4-methylpiperazin-1-yl)phenyl]amino} quinazolin-7-yl)-L-iditol
497	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-[[3-fluoro-4-(4-methylpiperazin-1-yl)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-L-iditol
498	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[[2,3-dichloro-4-(4-methylpiperazin-1-yl)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-L-iditol
499	1,4:3,6-dianhydro-2-deoxy-5-O-[4-[[3,4-dichloro-2-(4-methylpiperazin-1-yl)phenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-fluoro-L-iditol
500	1,4:3,6-Dianhydro-5-O-[4-[[3,4-dichlorophenyl]amino]-6-(methyloxy)quinazolin-7-yl]-2-O-methyl-D-glucitol
501	1,4:3,6-Dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-5-O-methyl-L-glucitol

Table 5a.

Representative IGF-1R Inhibitor

5 **[0234]** The Compounds in Table 5a can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 5a can be used.

Entry	Structure	Entry	Structure
1		7	
2		8	
3		9	
4		10	
5		11	
6			

Entry	Structure
12	
13	
14	
15	
16	
17	

Entry	Structure
18	
19	
20	
21	
22	
23	

Entry	Structure
24	
25	
26	
27	
28	
29	
30	

Entry	Structure
31	
32	
33	
34	
35	
36	
37	

Entry	Structure
38	
39	
40	
41	
42	
43	
44	
45	

Entry	Structure
46	
47	
48	
49	
50	
51	
52	
53	

Entry	Structure
54	
55	
56	
57	
58	
59	

Entry	Structure
60	
61	
62	
63	
64	
65	
66	

Entry	Structure
67	
68	
69	
70	
71	
72	
73	
74	

Entry	Structure
75	
76	
77	
78	
79	
80	
81	

Entry	Structure
82	
83	
84	
85	
86	
87	
88	

Entry	Structure
89	
90	
91	
92	
93	
94	
95	

Entry	Structure
96	
97	
98	
99	
100	
101	
102	

Entry	Structure
103	
104	
105	
106	
107	
108	
109	
110	

Entry	Structure
111	
112	
113	
114	
115	
116	
117	

Entry	Structure
118	
119	
120	
121	
122	
123	
124	

Entry	Structure
125	
126	
127	
128	
129	
130	
131	

Entry	Structure
132	
133	
134	
135	
136	
137	
138	

Entry	Structure
139	
140	
141	
142	
143	
144	
145	

Entry	Structure
146	
147	
148	
149	
150	
151	
152	

Entry	Structure
153	
154	
155	
156	
157	
158	
159	
160	

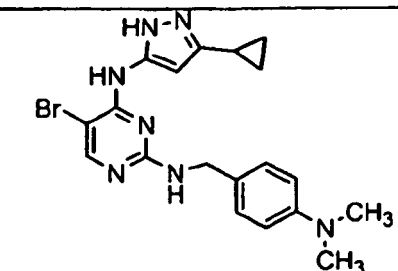
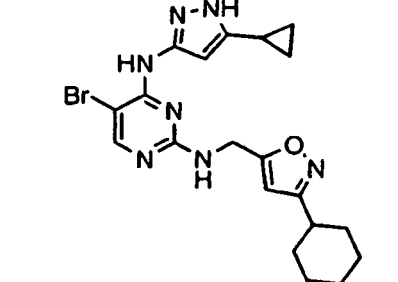
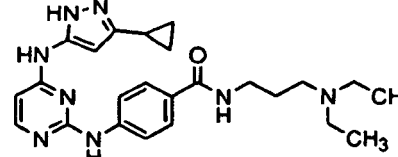
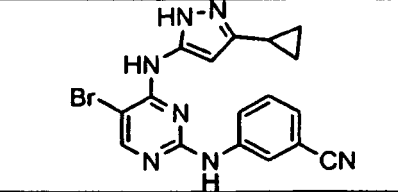
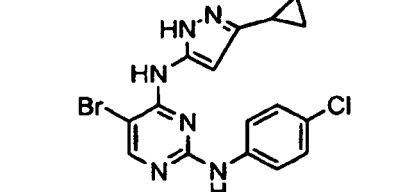
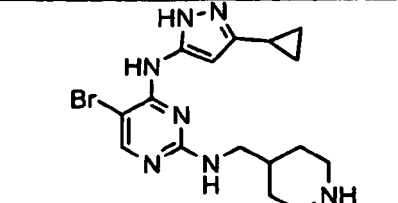
Entry	Structure
161	
162	
163	
164	
165	
166	
167	

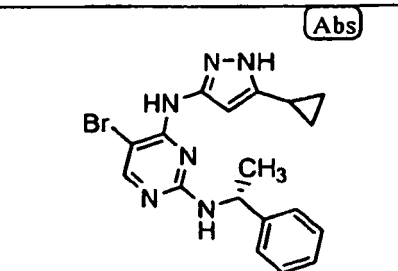
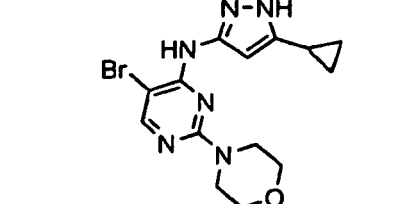
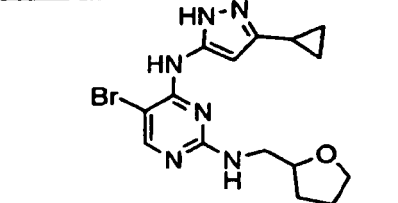
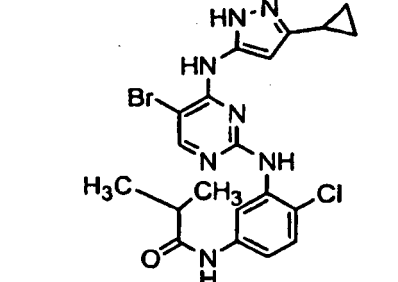
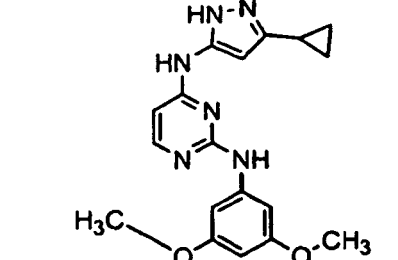
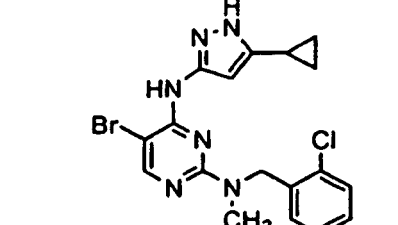
Entry	Structure
168	
169	
170	
171	
172	
173	
174	

Entry	Structure
175	
176	
177	
178	
179	
180	

Entry	Structure
181	
182	
183	
184	
185	
186	

Entry	Structure
187	
188	
189	
190	
191	
192	

Entry	Structure
193	
194	
195	
196	
197	
198	

Entry	Structure
199	
200	
201	
202	
203	
204	

Entry	Structure
205	
206	
207	
208	
209	
210	
211	

Entry	Structure
212	
213	
214	
215	
216	
217	

Entry	Structure
218	
219	
220	
221	
222	
223	
224	

Entry	Structure
225	
226	
227	
228	
229	
230	
231	

Entry	Structure
232	
233	
234	
235	
236	

Entry	Structure
237	
238	
239	
240	
241	
242	

Entry	Structure
243	
244	
245	
246	
247	
248	

Entry	Structure
249	
250	
251	
252	
253	
254	

Entry	Structure
255	
256	
257	
258	
259	
260	

Entry	Structure
261	
262	
263	
264	
265	
266	

Entry	Structure
267	
268	
269	
270	
271	
272	

Entry	Structure
273	
274	
275	
276	
277	

Entry	Structure
278	
279	
280	
281	
282	
283	

Entry	Structure
284	
285	
286	
287	
288	
289	
290	

Entry	Structure
291	
292	
293	
294	
295	
296	
297	

Entry	Structure
298	
299	
300	
301	
302	

Entry	Structure
303	
304	
305	
306	
307	

Entry	Structure
308	
309	
310	
311	
312	
313	
314	

Entry	Structure
315	
316	
317	
318	
319	
320	

Entry	Structure
321	
322	
323	
324	
325	
326	

Entry	Structure
327	
328	
329	
330	
331	
332	

Entry	Structure
333	
334	
335	
336	
337	

Entry	Structure
338	
339	
340	
341	
342	

Entry	Structure
343	
344	
345	
346	

Entry	Structure
347	
348	
349	
350	
351	

[illegible]

Entry	Structure
497	
498	
499	
500	
501	
502	

Entry	Structure
503	
504	
505	
506	
507	
508	
509	

Entry	Structure
510	
511	
512	
513	
514	
515	
516	

Entry	Structure
517	
518	
519	
520	
521	
522	

Entry	Structure
523	
524	
525	
526	
527	
528	

Entry	Structure
529	
530	
531	
532	
533	

Entry	Structure
534	
535	
536	
537	
538	
539	

Entry	Structure
540	
541	
542	
543	
544	
545	

Entry	Structure
546	
547	
548	
549	
550	
551	
552	
553	
554	

Entry	Structure
555	
556	
557	
558	
559	
560	
561	

Entry	Structure
562	
563	
564	
565	
566	
567	
568	

Entry	Structure
569	
570	
571	
572	

Table 5b.

Additional Representative IGF1R Inhibitors

[0235] The Compounds in Table 5b can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 5b can be used.

Entry	Structure	Name
573		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ⁶ -[3-(diethylamino)propyl]- <i>N</i> ² -{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4,6-triamine
574		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ⁶ -[2-(diethylamino)ethyl]- <i>N</i> ² -{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4,6-triamine

(continued)

Entry	Structure	Name
575		<i>N</i> ² -[[3-(1-methylethyl)isoxazol-5-yl]methyl]- <i>N</i> ⁴ -[5-(1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]-6-[(3 <i>S</i>)-3-methylpiperazin-1-yl]pyrimidine-2,4-diamine
576		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-{[2-(dimethylamino)ethyl]oxy}- <i>N</i> ² -[[3-(1-methylethyl)isoxazol-5-yl]methyl]pyrimidine-2,4-diamine
577		<i>N</i> ⁴ -[3-(1-methylethyl)-1 <i>H</i> -pyrazol-5-yl]-6-[(1-methylpyrrolidin-3-yl)oxy]- <i>N</i> ² -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
578		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)- <i>N</i> ² -[[3-(1-methylethyl)isoxazol-5-yl]methyl]-6-[(1-methylpyrrolidin-3-yl)oxy]pyrimidine-2,4-diamine
579		<i>N</i> ² -[[3-(1-methylethyl)isoxazol-5-yl]methyl]- <i>N</i> ⁴ -[3-(1-methylethyl)-1 <i>H</i> -pyrazol-5-yl]-6-[(1-methylpyrrolidin-3-yl)oxy]pyrimidine-2,4-diamine
580		<i>N</i> ⁴ -[2-(diethylamino)ethyl]- <i>N</i> ² -[[3-(1-methylethyl)isoxazol-5-yl]methyl]- <i>N</i> ⁶ -[5-(1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]pyrimidine-2,4,6-triamine

(continued)

Entry	Structure	Name
581		<i>N</i> ² -[[3-(1-methylethyl)isoxazol-5-yl]methyl]- <i>N</i> ⁴ -[5-(1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]pyrimidine-2,4-diamine
582		<i>N</i> ⁴ -[5-(1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]-6-[(1-methylpiperidin-3-yl)oxy]- <i>N</i> ² -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
583		<i>N</i> ² -[[3-(1-methylethyl)isoxazol-5-yl]methyl]- <i>N</i> ⁴ -[5-(1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]-6-[(1-methylpiperidin-3-yl)oxy]pyrimidine-2,4-diamine
584		<i>N</i> -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-methyl-2-[(3-phenylisoxazol-5-yl)methyl]oxy]pyrimidin-4-amine
585		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-methyl- <i>N</i> ² -[(4-phenyl-1 <i>H</i> -imidazol-2-yl)methyl]pyrimidine-2,4-diamine
586		6-[[2-(dimethylamino)ethyl]oxy]- <i>N</i> ² -[[3-(1-methylethyl)isoxazol-5-yl]methyl]- <i>N</i> ⁴ -[5-(1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]pyrimidine-2,4-diamine

(continued)

Entry	Structure	Name
587		<i>N</i> ² -[[3-(1-methylethyl)isoxazol-5-yl]methyl]- <i>N</i> ⁴ -[5-(1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]-6-[(2-morpholin-4-ylethyl)oxy]pyrimidine-2,4-diamine
588		<i>N</i> ⁴ -[5-(1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]-6-[(2-morpholin-4-ylethyl)oxy]- <i>N</i> ² -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
589		<i>N</i> ⁴ -[3-(1-methylethyl)-1 <i>H</i> -pyrazol-5-yl]- <i>N</i> ² -[(3-phenylisoxazol-5-yl)methyl]-6-[(2-piperidin-1-ylethyl)oxy]pyrimidine-2,4-diamine
590		<i>N</i> ⁴ -[3-(diethylamino)propyl]- <i>N</i> ² -[[3-(1-methylethyl)isoxazol-5-yl]methyl]- <i>N</i> ⁶ -[5-(1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]pyrimidine-2,4,6-triamine
591	 Chiral	<i>N</i> ⁴ -[5-(1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]-6-[(3 <i>S</i>)-3-methylpiperazin-1-yl]- <i>N</i> ² -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine

(continued)

Entry	Structure	Name
592		<i>N</i> ⁴ -[2-(diethylamino)ethyl]- <i>N</i> ⁶ -[5-(1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]- <i>N</i> ² -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4,6-triamine
593		<i>N</i> ⁴ -[5-(1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]-6-[(1-methylpiperidin-4-yl)oxy]- <i>N</i> ² -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
594		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ² -[[3-(1-methylethyl)isoxazol-5-yl]methyl]-6-[(2-morpholin-4-ylethyl)oxy]pyrimidine-2,4-diamine
595		<i>N</i> ² -[[3-(1-methylethyl)isoxazol-5-yl]methyl]- <i>N</i> ⁴ -[3-(1-methylethyl)-1 <i>H</i> -pyrazol-5-yl]-6-[(2-piperidin-1-ylethyl)oxy]pyrimidine-2,4-diamine
596		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-[3-(diethylamino)propyl]- <i>N</i> ² -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
597		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)- <i>N</i> ² -[[3-(1-methylethyl)isoxazol-5-yl]methyl]-6-[(2-piperidin-1-ylethyl)oxy]pyrimidine-2,4-diamine

(continued)

Entry	Structure	Name
598		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ² -{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-[(1-methylpiperidin-3-yl)oxy]pyrimidine-2,4-diamine
599		<i>N</i> ² -{[3-(1-methylethyl)isoxazol-5-yl]methyl}- <i>N</i> ⁴ -[3-(1-methylethyl)-1 <i>H</i> -pyrazol-5-yl]-6-[(1-methylpiperidin-4-yl)oxy]pyrimidine-2,4-diamine
600		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-methyl- <i>N</i> ² -[(3-methylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
601		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)- <i>N</i> ² -[(3-methylisoxazol-5-yl)methyl]-6-morpholin-4-ylpyrimidine-2,4-diamine
602		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)- <i>N</i> ² -[(3-methylisoxazol-5-yl)methyl]-6-(4-methylpiperazin-1-yl)pyrimidine-2,4-diamine
603		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ² -{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-[(1-methylpiperidin-4-yl)oxy]pyrimidine-2,4-diamine

(continued)

Entry	Structure	Name
604		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)- <i>N</i> ² -{[3-(4-fluorophenyl)isoxazol-5-yl]methyl}-6-morpholin-4-ylpyrimidine-2,4-diamine
605		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)- <i>N</i> ² -{[3-(4-fluorophenyl)isoxazol-5-yl]methyl}-6-(4-methylpiperazin-1-yl)pyrimidine-2,4-diamine
606		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)- <i>N</i> ² -{[3-(4-fluorophenyl)isoxazol-5-yl]methyl}-6-[(2-morpholin-4-ylethyl)oxy]pyrimidine-2,4-diamine
607		<i>N</i> ² -{[3-(4-fluorophenyl)isoxazol-5-yl]methyl}- <i>N</i> ⁴ -[3-(1-methylethyl)-1 <i>H</i> -pyrazol-5-yl]-6-morpholin-4-ylpyrimidine-2,4-diamine

(continued)

Entry	Structure	Name
608		<i>N</i> ² -{[3-(4-fluorophenyl)isoxazol-5-yl]methyl}- <i>N</i> ⁴ -[3-(1-methylethyl)-1 <i>H</i> -pyrazol-5-yl]-6-(4-methylpiperazin-1-yl)pyrimidine-2,4-diamine
609		<i>N</i> ² -{[3-(4-fluorophenyl)isoxazol-5-yl]methyl}- <i>N</i> ⁴ -[3-(1-methylethyl)-1 <i>H</i> -pyrazol-5-yl]-6-[(2-morpholin-4-ylethyl)oxy]pyrimidine-2,4-diamine
610		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-methyl- <i>N</i> ² -[(3-pyridin-3-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
611		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-(4-methylpiperazin-1-yl)- <i>N</i> ² -[(3-pyridin-2-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
612		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-morpholin-4-yl- <i>N</i> ² -[(3-pyridin-2-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine

(continued)

Entry	Structure	Name
613		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ² -{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-piperazin-1-ylpyrimidine-2,4-diamine
614		6-(4-acetylpiperazin-1-yl)- <i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ² -{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4-diamine
615		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ² -{[3-(1-methylethyl)isoxazol-5-yl]methyl}-6-[4-(methylsulfonyl)piperazin-1-yl]pyrimidine-2,4-diamine
616		4-{6-[(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)amino]-2-([3-(1-methylethyl)isoxazol-5-yl]methyl)amino}pyrimidin-4-yl}piperazine-1-carbaldehyde
617		<i>N</i> ⁴ -(3-methyl-1 <i>H</i> -pyrazol-5-yl)-6-morpholin-4-yl- <i>N</i> ² -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
618		6-(4-methylpiperazin-1-yl)- <i>N</i> ⁴ -(3-methyl-1 <i>H</i> -pyrazol-5-yl)- <i>N</i> ² -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine

(continued)

Entry	Structure	Name
619		<i>N</i> ⁴ -(3-methyl-1 <i>H</i> -pyrazol-5-yl)-6-[(2-morpholin-4-ylethyl)oxy]- <i>N</i> ² -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
620		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-methyl- <i>N</i> ² -[(3-pyridin-4-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
621		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ² -[[3-(3,4-difluorophenyl)isoxazol-5-yl]methyl]-6-methylpyrimidine-2,4-diamine
622		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ² -[[3-(2,4-difluorophenyl)isoxazol-5-yl]methyl]-6-methylpyrimidine-2,4-diamine
623		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-methyl- <i>N</i> ² -[(3-pyrazin-2-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine

(continued)

Entry	Structure	Name
624		5-chloro- <i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-morpholin-4-yl- <i>N</i> ² -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
625		5-chloro- <i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-(4-methylpiperazin-1-yl)- <i>N</i> ² -[(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
626		<i>N</i> ² -[(3-methylisoxazol-5-yl)methyl]-6-(4-methylpiperazin-1-yl)- <i>N</i> ⁴ -(3-methyl-1 <i>H</i> -pyrazol-5-yl)pyrimidine-2,4-diamine
627		<i>N</i> ² -[(3-methylisoxazol-5-yl)methyl]- <i>N</i> ⁴ -(3-methyl-1 <i>H</i> -pyrazol-5-yl)-6-morpholin-4-ylpyrimidine-2,4-diamine
628		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-(4-methylpiperazin-1-yl)- <i>N</i> ² -[(3-pyrimidin-4-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine

(continued)

Entry	Structure	Name
629		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ² -[(3-furan-3-ylisoxazol-5-yl)methyl]-6-(4-methylpiperazin-1-yl)pyrimidine-2,4-diamine
630		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ⁶ -(8-methyl-8-azabicyclo[3.2.1]oct-3-yl)- <i>N</i> ² -[(3-methylisoxazol-5-yl)methyl]pyrimidine-2,4,6-triamine
631		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-(5-methyl-2,5-diazabicyclo[2.2.1]hept-2-yl)- <i>N</i> ² -[(3-methylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
632		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-(5-methyl-2,5-diazabicyclo[2.2.1]hept-2-yl)- <i>N</i> ² -{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4-diamine
633		<i>N</i> ⁴ -bicyclo[2.2.1]hept-2-yl- <i>N</i> ⁶ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ² -{[3-(1-methylethyl)isoxazol-5-yl]methyl}pyrimidine-2,4,6-triamine
634		<i>N</i> ⁴ -bicyclo[2.2.1]hept-2-yl- <i>N</i> ⁶ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ² -[(3-methylisoxazol-5-yl)methyl]pyrimidine-2,4,6-triamine

(continued)

Entry	Structure	Name
635		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ² -[(3-methylisoxazol-5-yl)methyl]-6-[(1 <i>R</i> ,4 <i>R</i>)-5-(phenylmethyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]pyrimidine-2,4-diamine
636		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ² -[[3-(1-methylethyl)isoxazol-5-yl)methyl]-6-[(1 <i>R</i> ,4 <i>R</i>)-5-(phenylmethyl)-2,5-diazabicyclo[2.2.1]hept-2-yl]pyrimidine-2,4-diamine
637		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-morpholin-4-yl- <i>N</i> ² -[(3-pyrimidin-4-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
638		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-{[2-(dimethylamino)ethyl]oxy}- <i>N</i> ² -[(3-pyrimidin-4-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
639		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ² -[[3-(5-fluoropyridin-2-yl)isoxazol-5-yl)methyl]-6-methylpyrimidine-2,4-diamine
640		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-(4-methylpiperazin-1-yl)- <i>N</i> ² -[[3-(2-thienyl)isoxazol-5-yl)methyl]pyrimidine-2,4-diamine

(continued)

Entry	Structure	Name
641		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-{[2-(dimethylamino)ethyl]oxy}- <i>N</i> ² -[(3-pyridin-2-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
642		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-(4-methylpiperazin-1-yl)- <i>N</i> ² -[(3-pyrimidin-5-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
643		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-morpholin-4-yl- <i>N</i> ² -[(3-pyrimidin-5-ylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
644		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-{[2-(diethylamino)ethyl]oxy}- <i>N</i> ² -[[3-(1-methylethyl)isoxazol-5-yl]methyl]pyrimidine-2,4-diamine
645		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ² -[[3-(1-methylethyl)isoxazol-5-yl]methyl]-6-[(2-pyrrolidin-1-ylethyl)oxy]pyrimidine-2,4-diamine

(continued)

Entry	Structure	Name
646		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-{[2-(diethylamino)ethyl]oxy}- <i>N</i> ² -[(3-methylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
647		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)- <i>N</i> ² -[(3-methylisoxazol-5-yl)methyl]-6-[(2-pyrrolidin-1-ylethyl)oxy]pyrimidine-2,4-diamine
648		<i>N</i> ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-6-(4-methylpiperazin-1-yl)- <i>N</i> ² -[(3-(1,3-thiazol-2-yl)isoxazol-5-yl)methyl]pyrimidine-2,4-diamine
649		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-[2-(dimethylamino)ethoxy]- <i>N</i> ² -[(3-methylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
650		6-[[2-(dimethylamino)ethyl]oxy]- <i>N</i> ² -[(3-methylisoxazol-5-yl)methyl]- <i>N</i> ⁴ -(3-methyl-1 <i>H</i> -pyrazol-5-yl)pyrimidine-2,4-diamine
651		6-[[2-(diethylamino)ethyl]oxy]- <i>N</i> ² -[(3-methylisoxazol-5-yl)methyl]- <i>N</i> ⁴ -(3-methyl-1 <i>H</i> -pyrazol-5-yl)pyrimidine-2,4-diamine

(continued)

Entry	Structure	Name
652		<i>N</i> ² -[(3-methylisoxazol-5-yl)methyl]- <i>N</i> ⁴ -(3-methyl-1 <i>H</i> -pyrazol-5-yl)-6-[(2-pyrrolidin-1-ylethyl)oxy]pyrimidine-2,4-diamine
653		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-methyl-N-[2-(3-phenylisoxazol-5-yl)ethyl]pyrimidine-2,4-diamine
654		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-methyl- <i>N</i> ² -[1-(3-phenylisoxazol-5-yl)ethyl]pyrimidine-2,4-diamine
655		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)- <i>N</i> ² -[(3-ethylisoxazol-5-yl)methyl]-6-(4-methylpiperazin-1-yl)pyrimidine-2,4-diamine
656		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)- <i>N</i> ² -[(3-ethylisoxazol-5-yl)methyl]-6-morpholin-4-ylpyrimidine-2,4-diamine
657		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-{[2-(dimethylamino)ethyl]oxy}- <i>N</i> ² -[(3-ethylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine

(continued)

Entry	Structure	Name
658		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-{[2-(diethylamino)ethyl]oxy}- <i>N</i> ² -[(3-ethylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
659		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)- <i>N</i> ² -[(3-ethylisoxazol-5-yl)methyl]-6-[(2-pyrrolidin-1-ylethyl)oxy]pyrimidine-2,4-diamine
660		<i>N</i> ² -[[3-(2-aminopyrimidin-4-yl)isoxazol-5-yl]methyl]- <i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-(4-methylpiperazin-1-yl)pyrimidine-2,4-diamine
661		<i>N</i> ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-(4-ethylpiperazin-1-yl)- <i>N</i> ² -[[3-(1-methylethyl)isoxazol-5-yl]methyl]pyrimidine-2,4-diamine
662		2-(1-{6-[(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)amino]-2-[(3-(1-methylethyl)isoxazol-5-yl)methyl]amino}pyrimidin-4-yl)piperidin-4-yl)ethanol

(continued)

Entry	Structure	Name
663		2-(4-{6-[(3-cyclopropyl-1H-pyrazol-5-yl)amino]-2-[[3-(1-methylethyl)isoxazol-5-yl]methyl]amino}pyrimidin-4-yl)piperazin-1-yl)ethanol

Table 6.

Representative Raf Inhibitors

[0236] The Compounds in Table 6 can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 6 can be used.

Entry	Name
1	6-(2-butyl-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-2H-1,4-benzoxazin-3(4H)-one
2	6-[1-hydroxy-3-oxo-2-(2-phenylethyl)-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-one
3	6-(1-hydroxy-2-[[4-(methyloxy)phenyl]methyl]-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-2H-1,4-benzoxazin-3(4H)-one
4	6-(1-hydroxy-2-[[3-(methyloxy)phenyl]methyl]-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-2H-1,4-benzoxazin-3(4H)-one
5	6-[2-[(4-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-one
6	6-(1-hydroxy-3-oxo-2-phenyl-2,3-dihydro-1H-isoindol-1-yl)-2H-1,4-benzoxazin-3(4H)-one
7	6-[2-[(3-bromophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-one
8	6-[2-[(4-bromophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-one
9	6-[1-hydroxy-3-oxo-2-(3-phenylpropyl)-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-one
10	6-[2-[(3,4-dichlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-one
11	6-[1-hydroxy-2-[(4-methylphenyl)methyl]-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-one
12	6-[2-[(4-chlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-one
13	6-[1-hydroxy-2-(1-methylethyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-one
14	methyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-yl} carbamate
15	6-[2-[(3,4-dimethylphenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-one

(continued)

Entry	Name
5	16 6-(2-[[4-chloro-3-(trifluoromethyl)phenyl]methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	17 6-(2-[[4-(dimethylamino)phenyl]methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
10	18 6-[2-(3-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	19 6-[2-(4-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	20 6-[2-(3,4-dichlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	21 6-[1-hydroxy-2-(4-methylphenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
15	22 3-(2-[[3,5-bis(methyloxy)phenyl]amino]-1 <i>H</i> -benzimidazol-5-yl)-3-(methyloxy)-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-one
	23 3-(2-[[3,5-bis(methyloxy)phenyl]amino]-1 <i>H</i> -benzimidazol-5-yl)-2-(1-methylethyl)-3-(methyloxy)-2,3-dihydro-1 <i>H</i> -isoindol-1-one
20	24 3-(2-[[3,5-bis(methyloxy)phenyl]amino]-1 <i>H</i> -benzimidazol-5-yl)-3-hydroxy-2-phenyl-2,3-dihydro-1 <i>H</i> -isoindol-1-one
	25 3-(2-[[3,5-bis(methyloxy)phenyl]amino]-1 <i>H</i> -benzimidazol-5-yl)-3-hydroxy-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-one
25	26 methyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1-methyl-1 <i>H</i> -benzimidazol-2-yl}carbamate
	27 3-(1 <i>H</i> -benzimidazol-5-yl)-3-hydroxy-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-one
30	28 5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]- <i>N</i> -methyl-1 <i>H</i> -benzimidazole-2-carboxamide
	29 3-hydroxy-3-(2-methyl-1 <i>H</i> -benzimidazol-5-yl)-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-one
	30 7-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-3,4-dihydroquinoxalin-2(1 <i>H</i>)-one
	31 7-[2-(3-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-3,4-dihydroquinoxalin-2(1 <i>H</i>)-one
35	32 1,1-dimethylethyl 4-[[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2 <i>H</i> -1,4-benzoxazin-6-yl)-1,3-dihydro-2 <i>H</i> -isoindol-2-yl]methyl]piperidine-1-carboxylate
	33 6-(1-hydroxy-2-[[2-(methyloxy)phenyl]methyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
40	34 6-{2-[(3-chlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	35 6-{2-[(2-chlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
45	36 6-{2-[(3-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isondol-1-yl}-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	37 6-{2-[(2-bromophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
50	38 6-{2-[(2-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	39 6-[2-(3-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	40 6-[1-hydroxy-2-(3-iodophenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
55	41 6-[2-(3-bromophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	42 6-[1-hydroxy-2-(3-nitrophenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one

(continued)

Entry	Name
5	43 6-{1-hydroxy-2-[3-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	44 6-[1-hydroxy-2-(3-methylphenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	45 3-hydroxy-3-(1 <i>H</i> -indol-5-yl)-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-one
	46 methyl [6-(1-hydroxy-3-oxo-2-phenyl-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate
10	47 6-[2-(2-aminophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	48 6-[[2-(3-phenyl-1,2,4-oxadiazol-5-yl)phenyl]carbonyl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	49 6-[[2-(1 <i>H</i> -benzimidazol-2-yl)phenyl]carbonyl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
15	50 6-(1-hydroxy-3-oxo-2-[[2-(trifluoromethyl)phenyl]methyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	51 6-[2-[(5-bromo-2-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
20	52 6-{1-hydroxy-2-[(3-nitrophenyl)methyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	53 6-(1-hydroxy-3-oxo-2-[[3-(trifluoromethyl)phenyl]methyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	54 6-(2-[[2,3-bis(methyloxy)phenyl]methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
25	55 6-{1-hydroxy-2-[(3-iodophenyl)methyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	56 6-[1-hydroxy-3-oxo-2-({3-[(trifluoromethyl) oxy]phenyl)methyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
30	57 6-(1-hydroxy-2-[[2-(methylthio)phenyl]methyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	58 6-[2-(3,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	59 6-{1-hydroxy-2-[3-(1-methylethyl)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
35	60 6-(1-hydroxy-3-oxo-2-{3-[(trifluoromethyl) oxy]phenyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	61 6-{1-hydroxy-3-oxo-2-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
40	62 3-[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2 <i>H</i> -1,4-benzoxazin-6-yl)-1,3-dihydro-2 <i>H</i> -isoindol-2-yl]benzenesulfonamide
	63 6-[2-[5-chloro-2-(methyloxy)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
45	64 6-[2-[4-fluoro-3-(trifluoromethyl)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	65 3-hydroxy-3-(1 <i>H</i> -indol-6-yl)-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-one
50	66 6-[2-(3-fluoro-5-iodophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	67 6-[2-(3-aminophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	68 6-[2-(3,5-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
55	69 6-{1-hydroxy-2-[3-(methylsulfonyl)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	70 ethyl 3-[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2 <i>H</i> -1,4-benzoxazin-6-yl)-1,3-dihydro-2 <i>H</i> -isoindol-2-yl] benzoate

(continued)

Entry	Name
5 71	3-[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2 <i>H</i> -1,4-benzoxazin-6-yl)-1,3-dihydro-2 <i>H</i> -isoindol-2-yl] benzonitrile
72	6-[2-(2-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
73	6-[2-(3-amino-5-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
10 74	6-[2-(5-chloro-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
75	6-[2-(3-chloro-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
15 76	6-[2-(3-ethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
77	6-[2-(3-ethynylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
78	6-[1-hydroxy-2-(3-hydroxyphenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
20 79	6-[1-hydroxy-3-oxo-2-[3-(phenyloxy)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
80	6-(1-hydroxy-3-oxo-2-{3-[(phenylmethyl)oxy]phenyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
25 81	3-[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2 <i>H</i> -1,4-benzoxazin-6-yl)-1,3-dihydro-2 <i>H</i> -isoindol-2-yl] benzamide
82	6-[1-hydroxy-2-[3-(hydroxymethyl)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
83	6-[2-(2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
30 84	3-hydroxy-3-[2-(methylamino)-1 <i>H</i> -benzimidazol-5-yl]-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-one
85	6-(2-biphenyl-3-yl-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
86	6-(2-{3-[(dimethylamino)methyl]phenyl}-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
35 87	6-[2-(3,5-dichlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
88	6-(1-hydroxy-3-oxo-2-piperidin-4-yl-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
89	6-[2-(3-{[2-(dimethylamino)ethyl]oxy}phenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
40 90	6-[1-hydroxy-2-(2-methylphenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
91	<i>N</i> -methyl-2-[(3-oxo-3,4-dihydro-2 <i>H</i> -1,4-benzoxazin-6-yl)carbonyl]- <i>N</i> -phenylbenzamide
45 92	methyl {5-[1-(ethyloxy)-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
93	phenylmethyl 2-[[2-[(methyloxy)carbonyl]amino]-1 <i>H</i> -benzimidazol-5-yl]carbonyl]benzoate
94	3-hydroxy-3-(1 <i>H</i> -indazol-5-yl)-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-one
95	3-hydroxy-3-(1 <i>H</i> -indazol-6-yl)-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-one
50 96	ethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
97	2-methylpropyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
55 98	methyl {5-[1-hydroxy-3-oxo-2-(2-thienylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate

(continued)

Entry	Name
5 99	methyl {5-[1-hydroxy-3-oxo-2-(2-phenylethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
100	3-[2-amino-1-(1,1-dimethylethyl)-1 <i>H</i> -benzimidazol-5-yl]-3-hydroxy-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-one
10 101	3-(2-amino-1 <i>H</i> -benzimidazol-5-yl)-3-hydroxy-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-one
102	methyl [5-(1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate
103	3-(methyloxy)butyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
15 104	methyl (5-{1-hydroxy-3-oxo-2-[(1 <i>R</i>)-1-phenylethyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl) carbamate
105	methyl (5-{1-hydroxy-3-oxo-2-[(1 <i>S</i>)-1-phenylethyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl) carbamate
20 106	2-(methyloxy)ethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
107	methyl {6-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1-methyl-1 <i>H</i> -benzimidazol-2-yl}carbamate
25 108	prop-2-yn-1-yl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
109	but-2-yn-1-yl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
30 110	1-methylethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
111	methyl {5-[2-(2,3-dihydro-1 <i>H</i> -inden-2-yl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
35 112	methyl {5-[1-hydroxy-3-oxo-2-(pyridin-4-ylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
113	methyl {5-[1-hydroxy-3-oxo-2-(pyridin-3-ylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
40 114	methyl (6-{2-[(3-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate
115	methyl {5-[1-hydroxy-2-(3-methylphenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
45 116	methyl [5-(1-hydroxy-2-{[2-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate
117	methyl [5-(1-hydroxy-2-{[3-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate
50 118	methyl [5-(1-hydroxy-2-{[4-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate
119	methyl (6-{2-[(4-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate
55 120	methyl (6-{2-[(3-bromophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate
121	methyl (5-{1-hydroxy-2-[(3-iodophenyl)methyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl) carbamate

(continued)

Entry	Name
5 122	methyl (5-{2-[(3-chlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl)carbamate
123	methyl (5-{2-[(2-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl)carbamate
10 124	methyl {5-[1-hydroxy-3-oxo-2-(pyridin-2-ylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
125	phenylmethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
15 126	2-fluoroethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
127	propyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
20 128	methyl (5-{1-hydroxy-2-[4-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl) carbamate
129	methyl (5-{2-[(2-chlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl)carbamate
25 130	methyl (5-{2-[(2-bromophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl)carbamate
131	methyl (5-{1-hydroxy-2-[(3-methylphenyl)methyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl)carbamate
30 132	methyl (5-{1-hydroxy-2-[(4-methylphenyl)methyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl)carbamate
133	methyl (5-{1-hydroxy-2-[(2-methylphenyl)methyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl)carbamate
35 134	methyl {5-[2-(3-bromophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
135	methyl {5-[2-(3-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
40 136	methyl {5-[2-(3-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
137	methyl (5-{1-hydroxy-2-[3-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl) carbamate
45 138	methyl {5-[2-(4-bromophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
139	methyl {5-[2-(4-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
50 140	methyl {5-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
141	methyl {5-[2-(3,5-dimethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
55 142	methyl {5-[2-(2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
143	methyl {5-[2-(2-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate

(continued)

Entry	Name
5	144 methyl {5-[1-hydroxy-2-(2-methylphenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
	145 methyl (5-{1-hydroxy-2-[2-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl) carbamate
10	146 methyl {5-[1-hydroxy-2-(4-methylphenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
	147 methyl (5-{1-hydroxy-3-oxo-2-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> benzimidazol-2-yl)carbamate
15	148 but-2-yn-1-yl (5-{1-hydroxy-3-oxo-2-[(1 <i>R</i>)-1-phenylethyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl)carbamate
	149 <i>N</i> -ethyl- <i>N</i> '-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} urea
20	150 phenylmethyl (5-{1-hydroxy-3-oxo-2-[(1 <i>R</i>)-1-phenylethyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl)carbamate
	151 methyl {6-[2-(3-amino-5-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
25	152 piperidin-4-ylmethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
	153 methyl {5-[2-(cyclopropylmethyl)- 1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
30	154 methyl {5-[2-(2,2-dimethylpropyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
	155 methyl {5-[2-(3,5-dichlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
35	156 methyl {5-[2-(3,5-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
	157 <i>N</i> -ethyl- <i>N</i> '-(5-{1-hydroxy-3-oxo-2-[(1 <i>R</i>)-1-phenylethyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl)urea
40	158 <i>N</i> '-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}- <i>N</i> , <i>N</i> -dimethylurea
	159 methyl {5-[2-(3-[[2-(dimethylamino)ethyl]oxy]phenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
45	160 3-(4-methylpiperazin-1-yl)propyl{6-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
	161 methyl {5-[2-(cyclohexylmethyl)- 1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
50	162 methyl {5-[1-hydroxy-1-(2-methylpropyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
	163 methyl {5-[1-hydroxy-3-oxo-2-(1,3-thiazol-2-ylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
55	164 methyl {5-[2-(3,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
	165 methyl (5-{2-[1-(3,5-difluorophenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl)carbamate

(continued)

Entry	Name
166	methyl (5-{2-[1-(3-fluorophenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
167	methyl [5-(2-cyclohexyl-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate
168	methyl {5-[2-(2,5-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
169	<i>N</i> -{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}- <i>N'</i> -(phenylmethyl)urea
170	piperidin-4-yl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
171	<i>N</i> -{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}- <i>N'</i> -methylurea
172	methyl (5-{2-[1-(2-fluorophenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
173	methyl (5-{1-hydroxy-3-oxo-2-[1-(2-thienyl)ethyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
174	methyl (5-{2-[1-(3-chlorophenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
175	methyl (5-{1-hydroxy-2-[3-methyl-5-(trifluoromethyl)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
176	<i>N</i> -{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}propanamide
177	methyl {5-[2-(3,4-dichlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
178	methyl {5-[2-(3-ethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
179	methyl {5-[2-(3-ethynylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
180	methyl {5-[2-(4-chloro-3-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
181	methyl [5-(1-hydroxy-3-oxo-2-{1-[3-(trifluoromethyl)phenyl]ethyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate
182	methyl (5-{1-hydroxy-3-oxo-2-[(1 <i>R</i>)-1-phenylpropyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
183	methyl [5-(1-hydroxy-3-oxo-2-{2-[(trifluoromethyl)oxy]phenyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate
184	methyl{5-[2-(2,3-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
185	cyclohexyl{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
186	tetrahydrofuran-2-ylmethyl{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
187	cyclopropylmethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
188	<i>N</i> -{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}morpholine-4-carboxamide

(continued)

Entry	Name
189	methyl {5-[2-(cyclopentylmethyl)- 1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
190	methyl{5-[2-(2,3-dimethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
191	methyl {5-[2-(2,3-dihydro-1 <i>H</i> -inden-1-yl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
192	methyl (2 <i>S</i>)-cyclohexyl[1-hydroxy-1-(2-[[[(methyloxy)carbonyl]amino]-1 <i>H</i> -benzimidazol-5-yl]-3-oxo-1,3-dihydro-2 <i>H</i> -isoindol-2-yl]ethanoate
193	methyl {5-[2-(2,6-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
194	methyl {5-[2-(3-chloro-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
195	but-3-en-1-yl{5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
196	2,2,2-trifluoroethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
197	methyl {5-[2-(5-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
198	methyl {5-[2-[1-(5-chloro-2-methylphenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
199	methyl (5-{1-hydroxy-3-oxo-2-[(1 <i>S</i>)-1-phenylpropyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl) carbamate
200	methyl (5-{2-[1-(3-chloro-2-methylphenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate
201	methyl (5-{1-hydroxy-2-[1-(5-methyl-2-thienyl)ethyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate
202	methyl (S-{2-[1-(5-chloro-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate
203	methyl {5-[1-hydroxy-2-(3-iodophenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
204	methyl (5-{1-hydroxy-2-[3-(1-methylethyl)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate
205	methyl{5-[2-(furan-2-ylmethyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
206	methyl {5-[1-hydroxy-3-oxo-2-(3-thienylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
207	methyl {5-[2-(cyclobutylmethyl)- 1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
208	3,3,3-trifluoro-2-hydroxy- <i>N</i> -{5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}-2-(trifluoromethyl)propanamide
209	methyl (5-{1-hydroxy-2-[1-(4-methyl-2-thienyl)ethyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate
210	methyl (5-{2-[1-(4-bromo-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate

(continued)

Entry	Name
5 211	methyl {5-[1-hydroxy-2-(3-{[2-(methyloxy)ethyl]oxy}phenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
212	tetrahydrofuran-3-ylmethyl{5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
10 213	<i>N</i> -{5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}piperidine-1-carboxamide
214	methyl {5-[2-(3-bromo-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
15 215	2,3-dihydroxypropyl{5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
216	methyl {5-[1-hydroxy-3-oxo-2-(tetrahydrofuran-2-ylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
20 217	methyl (5-{2-[3-(aminocarbonyl)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
218	4,4,4-trifluoro-3-hydroxy- <i>N</i> -{5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}-3-(trifluoromethyl)butanamide
25 219	methyl (5-{1-hydroxy-2-[3-(methylsulfonyl)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
220	methyl (5-{1-hydroxy-3-oxo-2-[3-(phenyloxy)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl) carbamate
30 221	methyl [5-(1-hydroxy-3-oxo-2-{3-[(phenylmethyl) oxy]phenyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate
222	methyl [5-(2-biphenyl-3-yl-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl] carbamate
35 223	2,2-dimethyl-3-[(phenylmethyl) oxy]propyl{5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
224	methyl{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
40 225	methyl {5-[2-(3-cyanophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
226	methyl {5-[2-(3-ethynyl-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
45 227	methyl {5-[2-(4-fluoro-3-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
228	methyl {6-[2-(3,4-dichloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
50 229	[(4 <i>S</i>)-2,2-dimethyl-1,3-dioxolan-4-yl]methyl{5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
230	methyl {5-[2-(5-bromo-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
55 231	methyl (5-{2-[3-(acetylamino)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
232	methyl (5-{1-hydroxy-3-oxo-2-[3-(phenylmethyl)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl}carbamate

(continued)

Entry	Name
5 233	methyl (5-{2-[1-(4-chloro-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate
234	methyl (5-{1-hydroxy-3-oxo-2-[3-(phenylcarbonyl)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate
10 235	methyl [5-(2-{3-[(dimethylamino) methyl]phenyl}-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate
236	methyl (5-{2-[3-(aminosulfonyl)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate
15 237	methyl {5-[2-(3-acetylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
238	methyl {5-[2-(3-ethyl-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
20 239	methyl {5-[2-(3-chloro-5-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
240	<i>N</i> -{6-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}-2-methylpropanamide
25 241	methyl (5-{2-[1-(3-chloro-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate
242	methyl [5-(1-hydroxy-3-oxo-2-pyridin-3-yl-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate
243	methyl (5-{1-hydroxy-3-oxo-2-[3-(phenylamino)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate
30 244	methyl {5-[2-(5-bromo-2,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
245	methyl {5-[2-(5-chloro-2,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
35 246	methyl {5-[2-(3,5-dichloro-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
247	2,2-dimethyl-3-(methyloxy)propyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
40 248	3-hydroxy-2,2-dimethylpropyl{5-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
249	methyl (5-{2-[1-(5-bromo-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate
45 250	methyl {5-[2-(4,5-dichloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
251	methyl {5-[2-(3-bromo-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
50 252	methyl {5-[2-(3-chloro-2,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
253	<i>N</i> -{6-[1-hydroxy-3-oxo-2-(phenylmethyl)- 2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}pent-4-ynamide
55 254	methyl (6-{1-methyl-3-oxo-2-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate

(continued)

Entry	Name
255	methyl [5-(1-hydroxy-3-oxo-2-{3-[(1,1,2,2-tetrafluoroethyl)oxy]phenyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate
256	methyl {5-[1-hydroxy-3-oxo-2-(3-piperidin-4-ylphenyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
257	methyl {5-[2-(3-ethenylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
258	methyl (5-{2-[3-(dimethylamino)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate
259	2,2-difluoro- <i>N</i> -(6-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl) cyclopropanecarboxamide
260	<i>N</i> -ethyl- <i>N'</i> -(6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl) urea
261	methyl{5-[2-(3-aminophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
262	<i>N</i> -(5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl)-4-[(phenylmethyl) oxy]butanamide
263	<i>N</i> -(5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl)-4-piperidin-1-ylbutanamide
264	<i>N</i> -(5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl)-4-(4-methylpiperazin-1-yl)butanamide
265	<i>N</i> -(6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl)butanamide
266	methyl {6-[2-(3-bromophenyl)-5,6-dichloro-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
267	methyl [5-(1-hydroxy-2-{3-[methyl(phenyl)amino]phenyl}-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate
268	methyl {5-[1-hydroxy-3-oxo-2-(phenylsulfonyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
269	methyl {5-[(2-[(phenylamino)carbonyl]amino)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
270	methyl (5-{2-[(phenylmethyl)oxy]carbonyl}amino)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
271	methyl [5-[(2-[(2-phenylhydrazino)carbonyl]phenyl)carbonyl]-1 <i>H</i> -benzimidazol-2-yl]carbamate
272	methyl {5-[(2-[(phenyloxy)amino]carbonyl]phenyl)carbonyl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
273	but-2-yn-1-yl {5-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl} carbamate
274	<i>N</i> -(5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl)-3-piperidin-1-ylpropanamide
275	<i>N</i> -(6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl) propanamide
276	<i>N</i> -(4-fluorophenyl)-2-[[2-(pent-4-ynoylamino)-1 <i>H</i> -benzimidazol-6-yl]carbonyl]benzamide
277	4-(diethylamino)- <i>N</i> -(5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl)butanamide
278	<i>N</i> -(5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl)-4-pyrrolidin-1-ylbutanamide

(continued)

Entry	Name
279	3-piperidin-1-ylpropyl{6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
280	3-(4-methylpiperazin-1-yl)propyl{6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
281	methyl {5-[2-(3-bromophenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
282	methyl {5-[2-(3-ethynyl-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
283	2-piperidin-1-ylethyl{5-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
284	methyl {5-[2-(3-chloro-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
285	methyl {5-[2-(5-chloro-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
286	<i>N</i> -{6-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}-2,2-dimethyl-3-piperidin-1-ylpropanamide
287	<i>N</i> -{5-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}-4-piperidin-1-ylbutanamide
288	<i>N</i> -{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}-4-piperidin-1-ylbutanamide
289	methyl [6-({2-[(phenylcarbonyl) amino]phenyl}carbonyl)-1 <i>H</i> -benzimidazol-2-yl]carbamate
290	methyl {5-[1-hydroxy-2-(3-morpholin-4-ylphenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
291	2-(dimethylamino)ethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
292	2-(diethylamino)ethyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
293	2-piperidin-1-ylethyl{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
294	3-piperidin-1-ylpropyl{6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
295	2-piperidin-1-ylethyl{6-[2-(3-bromophenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
296	methyl {6-[2-(3-bromophenyl)-4,7-difluoro-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
297	2-[methyl(phenylmethyl)amino]ethyl{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
298	methyl {5-[1-hydroxy-3-oxo-2-(3-pyrrolidin-1-ylphenyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
299	methyl {5-[2-(5-chloro-2,3-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
300	methyl {5-[1-hydroxy-3-oxo-2-(pyrrolidin-2-ylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
301	methyl {5-[1-hydroxy-3-oxo-2-(pyrrolidin-3-ylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate

(continued)

Entry	Name
5 302	(1-methylpiperidin-2-yl)methyl{6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
303	[(2 <i>S</i>)-1-methylpyrrolidin-2-yl]methyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
10 304	octahydro-2 <i>H</i> -quinolizin-1-ylmethyl{6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
305	methyl {5-[2-(5-bromo-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
15 306	5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1,3-dihydro-2 <i>H</i> -benzimidazol-2-one
307	methyl {5-[2-(3-bromo-2,5-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
20 308	2-morpholin-4-ylethyl{6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
309	(1-methylpiperidin-3-yl)methyl{6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
25 310	methyl {5-[2-[5-chloro-2-(methyloxy)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
311	methyl [5-(2-{3-[cyclohexyl(methyl)amino]phenyl}-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate
30 312	8-azabicyclo[3.2.1]oct-3-ylmethyl{6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
313	methyl {6-[1-(3-bromophenyl)-5-oxopyrrolidin-2-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
314	(1-methylpiperidin-4-yl)methyl{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
35 315	1,1-dimethylethyl 4-({[5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl]amino}carbonyl)oxy)methyl)piperidine-1-carboxylate
316	(1-methylpiperidin-4-yl)methyl{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
40 317	2-(1-methylpiperidin-4-yl)ethyl{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
318	methyl ({6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}amino)(oxo)acetate
45 319	<i>N</i> -(5-{1-hydroxy-3-oxo-2-[3-(phenyloxy)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl)-4-piperidin-1-ylbutanamide
320	methyl{6-[2-(3-bromophenyl)-1-methyl-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
50 321	4-(diethylamino)but-2-yn-1-yl{6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
322	methyl {5-[2-(3-chloro-2,6-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
55 323	2-(2-oxopyrrolidin-1-yl)ethyl{6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate

(continued)

Entry	Name
5 324	2-(2,5-dioxopyrrolidin-1-yl)ethyl{6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
325	2,2,3,3-tetrafluorocyclobutyl{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
10 326	1-acetyl- <i>N</i> -{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}piperidine-4-carboxamide
327	<i>N</i> -{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}cyclobutanecarboxamide
15 328	methyl [5-(2-{3-[ethyl(phenyl)amino]phenyl}-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-yl]carbamate
329	<i>N</i> -{6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}-2,2-difluorocyclopropanecarboxamide
20 330	cyclobutyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
331	2,2-difluoroethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
25 332	2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(pyridin-2-ylamino)-1 <i>H</i> -benzimidazol-5-yl]-2,3-dihydro-1 <i>H</i> -isoindol-1-one
333	1-methylethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
30 334	cyclopropylmethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
335	<i>N</i> -{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}cyclopropanecarboxamide
35 336	2-(methyloxy)ethyl{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
337	tetrahydrofuran-2-ylmethyl{6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
40 338	<i>N</i> -{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}-2-(2-thienyl)acetamide
339	methyl {6-[2-(3-chloro-2-fluorophenyl)-4,7-difluoro-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
45 340	ethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
341	2-fluoroethyl{6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
342	methyl (5-{1-hydroxy-3-oxo-2-[2-(phenyloxy)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate
50 343	<i>N'</i> -{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}- <i>N,N</i> -diethylpentanediamide
344	cyclobutylmethyl{6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
55 345	2,2,2-trifluoroethyl{6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate

(continued)

Entry	Name
5	346 methyl (5-{2-[3-(1,1-dimethylethyl)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
	347 methyl {6-[2-(3-chloro-2-fluorophenyl)-7-fluoro-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
10	348 2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(phenylamino)-1 <i>H</i> -benzimidazol-5-yl]-2,3-dihydro-1 <i>H</i> -isoindol-1-one
	349 methyl{6-[4,7-dichloro-2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
15	350 phenylmethyl 2-[(2-[(ethyloxy)carbonyl]amino)-1,3-benzoxazol-5-yl]carbonyl]benzoate
	351 methyl {5-[2-(5-chloro-3-ethynyl-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
	352 methyl {5-[2-(5-ethynyl-2,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
20	353 methyl {5-[2-(3-ethynyl-2,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
	354 2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(pyrimidin-2-ylamino)-1 <i>H</i> -benzimidazol-5-yl]-2,3-dihydro-1 <i>H</i> -isoindol-1-one
25	355 methyl {5-[2-(3-ethynyl-2-fluorophenyl)-4,7-difluoro-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
	356 2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(1,3-thiazol-2-ylamino)-1 <i>H</i> -benzimidazol-5-yl]-2,3-dihydro-1 <i>H</i> -isoindol-1-one
30	357 ethyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1,3-benzoxazol-2-yl}carbamate
	358 methyl {5-[2-(5-chloro-3-iodo-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
35	359 methyl {5-[2-(3-ethyl-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
	360 methyl {5-[2-(5-ethynyl-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
40	361 2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(pyrazin-2-ylamino)-1 <i>H</i> -benzimidazol-5-yl]-2,3-dihydro-1 <i>H</i> -isoindol-1-one
	362 methyl {5-[2-(2-fluoro-3-iodophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
45	363 methyl {6-[2-(5-ethynyl-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
	364 2-(3-ethynyl-2-fluorophenyl)-3-hydroxy-3-[2-(pyrimidin-2-ylamino)-1 <i>H</i> -benzimidazol-5-yl]-2,3-dihydro-1 <i>H</i> -isoindol-1-one
50	365 methyl {5-[2-(2,5-dimethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
	366 methyl {5-[2-(3-ethenyl-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
55	367 methyl (6-{2-[2-fluoro-3-(methyloxy)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate

(continued)

Entry	Name
5 368	methyl (5-{1{1-hydroxy-2-[2-methyl-5-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
369	methyl {5-[2-(3-ethynyl-2-fluorophenyl)-7-fluoro-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
10 370	methyl {5-[2-(2-fluoro-3-prop-1-yn-1-ylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
371	methyl {5-[2-(5-chloro-2-methylphenyl)-7-fluoro-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
15 372	methyl {5-[2-(3-ethynyl-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
373	3-hydroxy-2-[3-(methyloxy)phenyl]-3-[2-(pyrimidin-2-ylamino)-1 <i>H</i> -benzimidazol-6-yl]-2,3-dihydro-1 <i>H</i> -isoindol-1-one
20 374	3-hydroxy-2-(3-methylphenyl)-3-[2-(pyrimidin-2-ylamino)-1 <i>H</i> -benzimidazol-6-yl]-2,3-dihydro-1 <i>H</i> -isoindol-1-one
375	2-(5-chloro-2-methylphenyl)-3-hydroxy-3-[2-(pyrimidin-2-ylamino)-1 <i>H</i> -benzimidazol-6-yl]-2,3-dihydro-1 <i>H</i> -isoindol-1-one
25 376	methyl {6-[2-(5-chloro-2-methylphenyl)-4,7-difluoro-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
377	methyl {5-[2-(3-ethynyl-2-fluorophenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
30 378	2-(3-chloro-2-fluorophenyl)-3-{2-[(6-chloropyridazin-3-yl)amino]-1 <i>H</i> -benzimidazol-5-yl}-3-hydroxy-2,3-dihydro-1 <i>H</i> -isoindol-1-one
379	2-(3-chloro-2-fluorophenyl)-4,7-difluoro-3-hydroxy-3-[2-(pyrimidin-2-ylamino)-1 <i>H</i> -benzimidazol-5-yl]-2,3-dihydro-1 <i>H</i> -isoindol-1-one
35 380	methyl {5-[2-(2-fluoro-5-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
381	methyl (5-{2-[2-fluoro-5-(methyloxy)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate
40 382	methyl (5-{1-hydroxy-2-[5-methyl-2-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazol-2-yl)carbamate
383	methyl {5-[2-(3-ethynyl-5-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
45 384	2-(3-chloro-2-fluorophenyl)-3-{2-[(5-chloropyrimidin-2-yl)amino]-1 <i>H</i> -benzimidazol-5-yl}-3-hydroxy-2,3-dihydro-1 <i>H</i> -isoindol-1-one
385	2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-{2-[(4-methylpyrimidin-2-yl)amino]-1 <i>H</i> -benzimidazol-5-yl}-2,3-dihydro-1 <i>H</i> -isoindol-1-one
386	3-(2-{[4,6-bis(methyloxy)pyrimidin-2-yl]amino}-1 <i>H</i> -benzimidazol-5-yl)-2-(3-chloro-2-fluorophenyl)-3-hydroxy-2,3-dihydro-1 <i>H</i> -isoindol-1-one
50 387	2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-(2-{[4-methyl-6-(methyloxy)pyrimidin-2-yl]amino}-1 <i>H</i> -benzimidazol-5-yl)-2,3-dihydro-1 <i>H</i> -isoindol-1-one
388	3-hydroxy-2-(3-methylphenyl)-3-[2-(pyrazin-2-ylamino)-1 <i>H</i> -benzimidazol-6-yl]-2,3-dihydro-1 <i>H</i> -isoindol-1-one
55 389	2-(5-chloro-2-methylphenyl)-3-hydroxy-3-[2-(pyrazin-2-ylamino)-1 <i>H</i> -benzimidazol-6-yl]-2,3-dihydro-1 <i>H</i> -isoindol-1-one

(continued)

Entry	Name
390	methyl {6-[2-(2-fluoro-3-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
391	3-hydroxy-2-[3-(methyloxy)phenyl]-3-[2-(pyrazin-2-ylamino)-1 <i>H</i> -benzimidazol-5-yl]-2,3-dihydro-1 <i>H</i> -isoindol-1-one
392	methyl {6-[(2-[(2-thienylmethyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
393	methyl {6-[(2-[(3-methylphenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
394	methyl {6-[(2-[(3-bromophenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
395	methyl {6-[(2-[(3-chlorophenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
396	methyl {6-[(2-[(3-fluorophenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
397	methyl {6-[(2-[(3-(methyloxy)phenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
398	methyl {6-[(2-[(3-(trifluoromethyl)phenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
399	methyl {6-[(2-[(3-ethylphenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
400	methyl {6-[(2-[(3-ethynylphenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
401	methyl {6-[(2-[(3-chloro-4-fluorophenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
402	methyl {6-[(2-[(5-chloro-2-fluorophenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
403	methyl {6-[(2-[(3-iodophenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
404	methyl {6-[(2-[(3-(1-methylethyl)phenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
405	methyl {6-[(2-[(3-thienylmethyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
406	methyl {6-[(2-[(3-bromo-4-fluorophenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
407	methyl {6-[(2-[(3-chloro-2-fluorophenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
408	methyl {6-[(2-[(4-fluoro-3-methylphenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
409	methyl {6-[(2-[(5-bromo-2-fluorophenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
410	methyl {6-[(2-[(5-bromo-2,4-difluorophenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
411	methyl {6-[(2-[(5-chloro-2,4-difluorophenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
412	methyl {6-[(2-[(3-bromo-2-fluorophenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
413	methyl {6-[(2-[(3-ethenylphenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
414	methyl {6-[(2-[(3-ethynyl-2-fluorophenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate
415	methyl {6-[(2-[(5-chloro-2-methylphenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl}carbamate

(continued)

Entry	Name
416	methyl {6-[(2-[(5-bromo-2-methylphenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl} carbamate
417	methyl {6-[(2-[(2-fluoro-3-iodophenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl} carbamate
418	methyl {6-[(2-[(3-ethenyl-2-fluorophenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl} carbamate
419	methyl {6-[(2-[(2-fluoro-5-methylphenyl)amino]carbonyl)phenyl]carbonyl}-1 <i>H</i> -benzimidazol-2-yl} carbamate

Table 7.

Representative EGFR and/or VEGFR Inhibitors

[0237] The Compounds in Table 7 can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 7 can be used.

Entry	Name
1	(3 <i>Z</i>)-3-[[5-(methyloxy)- 1 <i>H</i> -benzimidazol-2-yl](phenyl)methylidene]-5-[[1-(phenylmethyl)pyrrolidin-3-yl]amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
2	(3 <i>Z</i>)-5-[(1-ethylpiperidin-3-yl)amino]-3-[[5-(methyloxy)- 1 <i>H</i> -benzimidazol-2-yl](phenyl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
3	(3 <i>Z</i>)-5-[(1-ethylpiperidin-4-yl)amino]-3-[[5-(methyloxy)- 1 <i>H</i> -benzimidazol-2-yl](phenyl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
4	(3 <i>Z</i>)-5-[(1-ethylpiperidin-4-yl)amino]-3-[1 <i>H</i> -imidazol-2-yl(phenyl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
5	(3 <i>Z</i>)-5-[(1-ethylpiperidin-4-yl)amino]-3-[[5-(methyloxy)- 1 <i>H</i> -benzimidazol-2-yl][4-(methyloxy)phenyl]methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
6	(3 <i>Z</i>)-5-[(1-ethylpiperidin-4-yl)amino]-3-[[5-(methyloxy)- 1 <i>H</i> -benzimidazol-2-yl](4-methylphenyl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
7	(3 <i>Z</i>)-3-[1 <i>H</i> -benzimidazol-2-yl(4-nitrophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
8	(3 <i>Z</i>)-3-[1 <i>H</i> -benzimidazol-2-yl[4-(methyloxy)phenyl]methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
9	(3 <i>Z</i>)-3-[1 <i>H</i> -benzimidazol-2-yl(phenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
10	(3 <i>Z</i>)-3-[[5-(methyloxy)- 1 <i>H</i> -benzimidazol-2-yl](phenyl)methylidene]-5-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
11	(3 <i>Z</i>)-3-[(4-aminophenyl)(1 <i>H</i> -benzimidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
12	(3 <i>Z</i>)-3-[1 <i>H</i> -benzimidazol-2-yl(4-methylphenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
13	(3 <i>Z</i>)-5-[(1-ethylpiperidin-4-yl)amino]-3-[1 <i>H</i> -imidazol-2-yl(4-methylphenyl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
14	(3 <i>Z</i>)-5-[(1-ethylpiperidin-4-yl)oxy]-3-[[5-(methyloxy)- 1 <i>H</i> -benzimidazol-2-yl](phenyl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
15	(3 <i>Z</i>)-5-[(1-ethylpiperidin-4-yl)amino]-3-[1 <i>H</i> -imidazol-2-yl[4-(methyloxy)phenyl]methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one

(continued)

Entry	Name
5	16 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(4-fluorophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
	17 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(3,5-difluorophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
10	18 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(3-fluorophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
	19 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(3-nitrophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
15	20 3-((<i>Z</i>)-1 <i>H</i> -benzimidazol-2-yl{5-[(1-ethylpiperidin-4-yl)amino]-2-oxo-1,2-dihydro-3 <i>H</i> -indol-3-ylidene}methyl)benzonitrile
	21 (3Z)-3-[(3-aminophenyl)(1 <i>H</i> -benzimidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
20	22 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(phenyl)methylidene]-5-(piperidin-4-ylamino)-1,3-dihydro-2 <i>H</i> -indol-2-one
	23 3-((<i>Z</i>)-1 <i>H</i> -benzimidazol-2-yl{5-[(1-ethylpiperidin-4-yl)amino]-2-oxo-1,2-dihydro-3 <i>H</i> -indol-3-ylidene}methyl)benzenecarboximidamide
	24 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(phenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
25	25 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(phenyl)methylidene]-5-[(2,2,6,6-tetramethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
	26 (3Z)-3-{1 <i>H</i> -benzimidazol-2-yl[3-(methyloxy)phenyl]methylidene}-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
30	27 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(3-chlorophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
	28 2-(2-[2-[(<i>Z</i>)-{5-[(1-ethylpiperidin-4-yl)amino]-2-oxo-1,2-dihydro-3 <i>H</i> -indol-3-ylidene}(phenyl)methyl]-1 <i>H</i> -imidazol-4-yl]ethyl)-1 <i>H</i> -isoindole-1,3(2 <i>H</i>)-dione
35	29 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(phenyl)methylidene]-5-({1-[2-(dimethylamino)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
	30 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(phenyl)methylidene]-5-[(1-(methylsulfonyl)piperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
40	31 (3Z)-5-(8-azabicyclo[3.2.1]oct-3-ylamino)-3-[1 <i>H</i> -benzimidazol-2-yl(phenyl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
	32 (3Z)-3-{1 <i>H</i> -benzimidazol-2-yl[3-(methyloxy)phenyl]methylidene}-5-[(1-ethylpiperidin-4-yl)oxy]-1,3-dihydro-2 <i>H</i> -indol-2-one
45	33 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(3,5-difluorophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)oxy]-1,3-dihydro-2 <i>H</i> -indol-2-one
	34 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(phenyl)methylidene]-5-[(1-(phenylmethyl)piperidin-4-yl)oxy]-1,3-dihydro-2 <i>H</i> -indol-2-one
50	35 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(3-chlorophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)oxy]-1,3-dihydro-2 <i>H</i> -indol-2-one
	36 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(3,5-difluorophenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}oxy)-1,3-dihydro-2 <i>H</i> -indol-2-one
55	37 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(3-chlorophenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}oxy)-1,3-dihydro-2 <i>H</i> -indol-2-one

(continued)

Entry	Name
5	38 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(3-chlorophenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl} amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
	39 (3Z)-3-{1 <i>H</i> -benzimidazol-2-yl[3-(methyloxy)phenyl]methylidene}-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl} amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
10	40 (3Z)-3-[(3-chlorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl} amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
	41 (3Z)-3-[(3-fluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl} amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
15	42 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(3,5-difluorophenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl} amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
	43 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(3-chlorophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)(methyl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
20	44 (3Z)-3-[(3-chlorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)oxy]-1,3-dihydro-2 <i>H</i> -indol-2-one
	45 (3Z)-3-(1 <i>H</i> -benzimidazol-2-yl(4-chlorophenyl)methylidene)-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
25	46 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(3-fluorophenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl} amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
	47 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(4-fluorophenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl} amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
30	48 (3Z)-3-[(3-chlorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
	49 (3Z)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(3-fluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
35	50 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(3-fluoro-4-methylphenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
	51 (3Z)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(3-fluorophenyl)(4-methyl-1 <i>H</i> -imidazol-2-yl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
40	52 (3Z)-3-[1 <i>H</i> -benzimidazol-2-yl(4-fluoro-3-methylphenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
	53 (3Z)-3-[(3-chloro-4-fluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
45	54 (3Z)-3-[(3,4-difluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
	55 (3Z)-3-[(5-chloro-1 <i>H</i> -benzimidazol-2-yl)(phenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
50	56 (3Z)-3-[(5-chloro-1 <i>H</i> -benzimidazol-2-yl)(3,5-difluorophenyl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
	57 (3Z)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(3-fluoro-4-methylphenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
55	58 (3Z)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(4-fluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
	59 (3Z)-5-[(1-ethylpiperidin-4-yl)amino]-3-[1 <i>H</i> -imidazol-2-yl(4-propylphenyl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one

(continued)

Entry	Name
5 60	(3Z)-5-[(1-ethylpiperidin-4-yl)amino]-3-{1 <i>H</i> -imidazol-2-yl}[4-(trifluoromethyl)phenyl]methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
61	(3 <i>E</i>)-3-[(3,5-difluorophenyl)(5-fluoro-1 <i>H</i> -benzimidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
10 62	(3Z)-3-[(3,5-difluorophenyl)(5-fluoro-1 <i>H</i> -benzimidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
63	(3Z)-3-[(3-fluoro-4-methylphenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
15 64	(3Z)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(4-methyl-1 <i>H</i> -imidazol-2-yl)(4-methylphenyl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
65	(3Z)-5-[(1-ethylpiperidin-4-yl)amino]-3-[[3-fluoro-4-(trifluoromethyl)phenyl](1 <i>H</i> -imidazol-2-yl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
20 66	(3Z)-3-[(4-chlorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
67	(3Z)-5-[(1-ethyl)piperidin-4-yl]amino]-3-[(3-fluoro-4-methylphenyl)(4-methyl)-1 <i>H</i> -imidazol-2-yl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
25 68	(3Z)-5-[(1-ethylpiperidin-4-yl)amino]-3-{1 <i>H</i> -imidazol-2-yl}[6-(trifluoromethyl)pyridin-3-yl]methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
69	(3Z)-3-[1 <i>H</i> -imidazol-2-yl](4-methylphenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
30 70	(3Z)-3-[(3-fluorophenyl)(4-methyl-1 <i>H</i> -imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
71	(3Z)-3-{1 <i>H</i> -imidazol-2-yl}[4-(trifluoromethyl)phenyl]methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
35 72	(3Z)-3-[(5-chloro-1 <i>H</i> -benzimidazol-2-yl)(phenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
73	(3Z)-3-[(3,5-difluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
40 74	(3Z)-3-[(3,5-difluorophenyl)(4-methyl-1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
75	(3Z)-3-[(3,5-difluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
45 76	(3Z)-3-[(3,5-difluorophenyl)(4-methyl-1 <i>H</i> -imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
77	(3Z)-3-[(4-methyl-1 <i>H</i> -imidazol-2-yl)(4-methylphenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
50 78	(3Z)-3-[(4-fluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
79	(3Z)-3-[(3,4-difluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
80	(3Z)-3-[(3-chloro-4-fluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
55 81	(3Z)-3-[(3-fluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-(piperidin-4-ylamino)-1,3-dihydro-2 <i>H</i> -indol-2-one

(continued)

Entry	Name
5 82	(3Z)-3-[(3-fluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-[[1-(2-piperidin-1-ylethyl)piperidin-4-yl]amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
83	(3Z)-3-[(3-fluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-[[1-(2-morpholin-4-ylethyl)piperidin-4-yl]amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
10 84	(3Z)-5-({1-[2-(diethylamino)ethyl]piperidin-4-yl}amino)-3-[(3-fluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
85	(3Z)-3-[(3-fluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-[[1-(2-pyrrolidin-1-ylethyl)piperidin-4-yl]amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
15 86	(3Z)-3-[1 <i>H</i> -imidazol-2-yl(4-methylphenyl)methylidene]-5-[(1-methylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
87	(3Z)-3-[(3-fluorophenyl)(1 <i>H</i> -1,2,4-triazol-5-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
20 88	ethyl 2-[(<i>Z</i>)-(3-fluorophenyl)[5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-2-oxo-1,2-dihydro-3 <i>H</i> -indol-3-ylidene]methyl]-4-methyl-1 <i>H</i> -imidazole-5-carboxylate
89	(3Z)-3-[1 <i>H</i> -imidazol-2-yl(phenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
25 90	(3Z)-3-[1 <i>H</i> -imidazol-2-yl[4-(methyloxy)phenyl]methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
91	(3Z)-3-[(4-chlorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
30 92	(3Z)-3-[(3-fluoro-4-(trifluoromethyl)phenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
93	(3Z)-3-[(3-fluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-[[1-(methylsulfonyl)piperidin-4-yl]amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
35 94	(3Z)-3-[1 <i>H</i> -imidazol-2-yl(4-propylphenyl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
95	(3Z)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(3-fluorophenyl)(4-phenyl-1 <i>H</i> -imidazol-2-yl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
40 96	(3Z)-3-[(3-fluorophenyl)(4-phenyl-1 <i>H</i> -imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
97	(3Z)-3-[(3-fluoro-4-methylphenyl)(4-methyl-1 <i>H</i> -imidazol-2-yl)methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
45 98	(3Z)-3-[1 <i>H</i> -imidazol-2-yl[6-(trifluoromethyl)pyridin-3-yl]methylidene]-5-({1-[2-(methyloxy)ethyl]piperidin-4-yl}amino)-1,3-dihydro-2 <i>H</i> -indol-2-one
99	(3Z)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(3-fluorophenyl)(1 <i>H</i> -1,2,4-triazol-5-yl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
50 100	(3Z)-5-[(1-ethylpiperidin-4-yl)amino]-3-[[2-fluoro-4-(trifluoromethyl)phenyl](1 <i>H</i> -imidazol-2-yl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
101	(3Z)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(4-methyl-1 <i>H</i> -imidazol-2-yl)[4-(trifluoromethyl)phenyl]methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
55 102	(3Z)-3-[(4-chlorophenyl)(4-methyl-1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
103	(3Z)-5-[(1-ethylpiperidin-4-yl)amino]-3-[[3-fluoro-4-(trifluoromethyl)phenyl](4-methyl-1 <i>H</i> -imidazol-2-yl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one

(continued)

Entry	Name
104	(3Z)-3-[(3,4-difluorophenyl)(4-methyl-1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
105	(3Z)-3-[(3-chloro-4-fluorophenyl)(4-methyl-1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
106	(3Z)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(4-fluorophenyl)(4-methyl-1 <i>H</i> -imidazol-2-yl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
107	(3Z)-5-[(1-ethylpiperidin-4-yl)amino]-3-[(2-fluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
108	(3Z)-5-[(1-ethylpiperidin-4-yl)amino]-3-[[2-fluoro-4-(trifluoromethyl)phenyl](4-methyl-1 <i>H</i> -imidazol-2-yl)methylidene]-1,3-dihydro-2 <i>H</i> -indol-2-one
109	(3Z)-3-[(2,3-difluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
110	(3Z)-3-[(2,3-difluorophenyl)(4-methyl-1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
111	(3Z)-3-[(2,4-difluorophenyl)(4-methyl-1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
112	(3Z)-3-[(2,4-difluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
113	(3Z)-3-[(2-fluorophenyl)(4-methyl-1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
114	(3Z)-3-[(3-trifluoromethylphenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
115	(3Z)-3-[(3-trifluoromethylphenyl)(4-methyl-1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
116	(3Z)-3-[(2,4-dichloro-5-fluorophenyl)(1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
117	(3Z)-3-[(2,4-dichloro-5-fluorophenyl)(4-methyl-1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one
118	(3Z)-3-[(4-chloro-2-fluorophenyl)(4-methyl-1 <i>H</i> -imidazol-2-yl)methylidene]-5-[(1-ethylpiperidin-4-yl)amino]-1,3-dihydro-2 <i>H</i> -indol-2-one

Table 8. c-KIT Inhibitors

[0238] The Compounds in Table 8 can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 8 can be used.

Entry	Name
1	<i>N</i> -[5-chloro-2-(methoxy)phenyl]-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetamide
2	<i>N</i> -phenyl-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetamide
3	<i>N</i> -(2-methylphenyl)-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy} acetamide
4	<i>N</i> -(2-chlorophenyl)-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetamide
5	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy} acetamide
6	ethyl 2-[[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetyl]amino]-4,5,6,7-tetrahydro-1-benzothiophene-3-carboxylate

(continued)

Entry	Name
5	7 <i>N</i> -(3-chloro-2-methylphenyl)-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy} acetamide
	8 <i>N</i> -(3-fluorophenyl)-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetamide
	9 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-(2 <i>H</i> -tetrazol-5-yl)phenyl]oxy}acetamide
	10 <i>N</i> -(4-chloro-2-fluorophenyl)-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetamide
10	11 <i>N</i> -(4-bromo-3-methylphenyl)-2- [[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy} acetamide
	12 <i>N</i> -(4-morpholin-4-ylphenyl)-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetamide
	13 <i>N</i> -[4-fluoro-3-(trifluoromethyl)phenyl]-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetamide
15	14 <i>N</i> -[4-bromo-3-(trifluoromethyl)phenyl]-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetamide
	15 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[4-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetamide
	16 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}propanamide
	17 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-(5-methyl-1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetamide
20	18 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[2-methyl-5-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy} acetamide
	19 <i>N</i> -(4-chlorophenyl)- <i>N</i> -methyl-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy} acetamide
	20 <i>N</i> -[4-chloro-2-(trifluoromethyl)phenyl]-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy} acetamide
25	21 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-(2,5-dioxopyrrolidin-1-yl)phenyl]oxy} acetamide -
	22 (2 <i>E</i>)- <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-3-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]prop-2-enamide
	23 <i>N</i> -[4-fluoro-3-(trifluoromethyl)phenyl]-2-[[4-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy} acetamide
	24 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-(2-methyl-2 <i>H</i> -tetrazol-5-yl)phenyl]oxy} acetamide
30	25 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[2,4-dichloro-5-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy} acetamide
	26 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]thio} acetamide
	27 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> -2-~-[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]glycinamide
35	28 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[2-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetamide
	29 methyl 1-[[3-[(2-[[4-chloro-3-(trifluoromethyl)phenyl]amino]-2-oxoethyl)oxy]phenyl]-1 <i>H</i> -1,2,3-triazole-4-carboxylate
	30 1,1-dimethylethyl {4-[[{3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetyl]amino]phenyl}carbamate
40	31 1,1-dimethylethyl {4-[[{4-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetyl]amino]phenyl}carbamate
	32 <i>N</i> -{4-[(1-ethylpiperidin-4-yl)amino]phenyl}-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetamide
	33 <i>N</i> -{4-[(1-ethylpiperidin-3-yl)amino]phenyl}-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy} acetamide
45	34 <i>N</i> -(4-aminophenyl)-2-[[4-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy} acetamide
	35 <i>N</i> -{4-[(1-ethylpiperidin-4-yl)amino]phenyl}-2-[[4-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy} acetamide
	36 <i>N</i> -{4-[(1-ethylpiperidin-3-yl)amino]phenyl}-2-[[4-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetamide
	37 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[(3-pyridin-4-ylphenyl)oxy]acetamide
50	38 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> -2-~methyl- <i>N</i> -2-~-[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]glycinamide
	39 <i>N</i> -1,3-benzothiazol-2-yl-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetamide
	40 <i>N</i> -quinolin-8-yl-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetamide
55	41 <i>N</i> -(2,3-dihydro-1,4-benzodioxin-6-yl)-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetamide
	42 <i>N</i> -isoquinolin-5-yl-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetamide
	43 <i>N</i> -{3-[(phenylmethyl) oxy]phenyl}-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy}acetamide

(continued)

Entry	Name
5	44 <i>N</i> -[5-methyl-2-(methyloxy)phenyl]-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]acetamide
	45 <i>N</i> -[2,5-bis(methyloxy)phenyl]-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]acetamide
	46 <i>N</i> -(6-fluoro-1,3-benzothiazol-2-yl)-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]acetamide
	47 methyl 3-[[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]acetyl]amino]benzoate
10	48 5-chloro-2-[[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]acetyl]amino]benzamide
	49 <i>N</i> -[5-chloro-2,4-bis(methyloxy)phenyl]-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy] acetamide
	50 <i>N</i> -[2-(phenyloxy)phenyl]-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]acetamide
15	51 <i>N</i> -[3-(aminosulfonyl)phenyl]-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]acetamide
	52 <i>N</i> -[2-(methyloxy)- 5-(trifluoromethyl)phenyl]-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]acetamide
	53 <i>N</i> -(4-[[4-methylphenyl)sulfonyl]amino]phenyl)-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]acetamide
	54 <i>N</i> -(5-phenyl-1 <i>H</i> -pyrazol-3-yl)-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]acetamide
20	55 <i>N</i> -1,3-benzothiazol-2-yl-2-[[4-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy] acetamide
	56 <i>N</i> -quinolin-8-yl-2-[[4-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]acetamide
	57 1,1-dimethylethyl-2-{3-[(2-[[4-chloro-3-(trifluoromethyl)phenyl]amino]-2-oxoethyl)oxy]phenyl}-1 <i>H</i> -pyrrole-1-carboxylate
25	58 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-(1 <i>H</i> -pyrrol-2-yl)phenyl]oxy]acetamide
	59 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[(3-pyrimidin-5-ylphenyl)oxy]acetamide
	60 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-(1 <i>H</i> -1,2,3-triazol-1-yl)phenyl]oxy]acetamide
30	61 4-chloro- <i>N</i> -(2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]ethyl)-3-(trifluoromethyl)aniline
	62 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> -(2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]ethyl)formamide
	63 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[(3-pyridin-3-ylphenyl)oxy]acetamide
	64 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[(3-furan-3-ylphenyl)oxy]acetamide
35	65 (2 <i>E</i>)- <i>N</i> -[4-fluoro-3-(trifluoromethyl)phenyl]-3-[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]prop-2-enamide
	66 <i>N</i> -[4-fluoro-3-(trifluoromethyl)phenyl]-3-[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]propanamide
	67 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[6-(1 <i>H</i> -tetrazol-1-yl)pyrimidin-4-yl]oxy]acetamide
40	68 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2- {3-(3,5-dimethylisoxazol-4-yl)phenyl]oxy]acetamide
	69 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[(3-quinolin-7-ylphenyl)oxy]acetamide
	70 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[(3-furan-2-ylphenyl)oxy]acetamide
	71 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]hydrazinecarboxamide
45	72 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[(3-dibenzo[b,d]furan-4-ylphenyl)oxy]acetamide
	73 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[(4-pyrimidin-5-ylphenyl)oxy]acetamide
	74 <i>N</i> -methyl- <i>N</i> -[4-(methyloxy)phenyl]-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]acetamide
50	75 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> '-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]methyl]urea
	76 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> -methyl-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy] acetamide
	77 <i>N</i> -[4-fluoro-3-(trifluoromethyl)phenyl]- <i>N</i> -2-~[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]glycinamide
	78 <i>N</i> -[4-fluoro-3-(trifluoromethyl)phenyl]-2-[[3-(pyridin-2-ylamino)phenyl]oxy]acetamide
55	79 <i>N</i> -[2-fluoro-5-(trifluoromethyl)phenyl]-2-[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]hydrazinecarboxamide
	80 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[(4-pyridin-3-ylphenyl)oxylacetamide

(continued)

Entry	Name
5	81 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> '-[(3-pyrimidin-5-ylphenyl)methyl]urea
	82 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> '-[(4-pyrimidin-5-ylphenyl)methyl]urea
	83 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> '-[(4-pyridin-3-ylphenyl)methyl]urea
	84 [3-(1 <i>H</i> -tetrazol-1-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
10	85 <i>N</i> -[4-fluoro-3-(trifluoromethyl)phenyl]-2-[(4-pyrimidin-5-ylphenyl)oxy]acetamide
	86 <i>N</i> -2-~[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> -[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]glycinamide
	87 2-[[4-chloro-3-(trifluoromethyl)phenyl]oxy]- <i>N</i> -[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]acetamide
15	88 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-methyl-4-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]acetamide
	89 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[4-(1 <i>H</i> -1,2,3-triazol-1-yl)phenyl]oxy]acetamide
	90 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[3-fluoro-4-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]acetamide
	91 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[2-fluoro-4-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]acetamide
20	92 <i>N</i> -([4-chloro-3-(trifluoromethyl)phenyl]amino)carbonyl-3-(1 <i>H</i> -tetrazol-1-yl)benzenesulfonamide
	93 <i>N</i> -([4-chloro-3-(trifluoromethyl)phenyl]amino)carbonyl- <i>N</i> -methyl-3-(1 <i>H</i> -tetrazol-1-yl)benzenesulfonamide
	94 <i>N</i> -[4-fluoro-3-(trifluoromethyl)phenyl]-2-[(4-pyridin-3-ylphenyl)oxy]acetamide
25	95 2-({4-[2,4-bis(methyloxy)pyrimidin-5-yl]phenyl}oxy)- <i>N</i> -[4-fluoro-3-(trifluoromethyl)phenyl]acetamide
	96 2-({4-[2,4-bis(methyloxy)pyrimidin-5-yl]phenyl}oxy)- <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]acetamide
	97 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[(4-pyridin-4-ylphenyl)oxy]acetamide
30	98 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> -2-~[3-(methyloxy)-4-(1 <i>H</i> -tetrazol-1-yl)phenyl]glycinamide
	99 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> -2-~[4-(methyloxy)-3-(1 <i>H</i> -tetrazol-1-yl)phenyl]glycinamide
	100 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> -2-~[4-(1 <i>H</i> -tetrazol-1-yl)phenyl]glycinamide
35	101 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-(2,3,5,6-tetrafluoro-4-pyrimidin-5-ylphenyl)hydrazinecarboxamide
	102 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> '-[[4-(1 <i>H</i> -tetrazol-1-yl)phenyl]methyl]urea
	103 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-(4-pyrimidin-5-ylphenyl)hydrazinecarboxamide
	104 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> '-[(3-pyridin-3-ylphenyl)methyl]urea
40	105 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-methyl-2-[[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]propanamide
	106 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[4-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]propanamide
	107 <i>N</i> -({4-[2,4-bis(methyloxy)pyrimidin-5-yl]phenyl}methyl)- <i>N</i> '-[4-chloro-3-(trifluoromethyl)phenyl]urea
45	108 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> '-({3-[2-(methyloxy)pyrimidin-5-yl]phenyl}methyl)urea
	109 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> '-({3-[6-(methyloxy)pyridin-3-yl]phenyl}methyl)urea
	110 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> '-({4-[2-(methyloxy)pyrimidin-5-yl]phenyl}methyl)urea
	111 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> '-({4-[6-(methyloxy)pyridin-3-yl]phenyl}methyl)urea
50	112 1,1-dimethylethyl 2-{4-[(2-{[4-chloro-3-(trifluoromethyl)phenyl]amino}-2-oxoethyl)oxy]phenyl}-1 <i>H</i> -indole-1-carboxylate
	113 <i>N</i> -([4-chloro-3-(trifluoromethyl)phenyl]amino)carbonyl-4-(1 <i>H</i> -tetrazol-1-yl)benzenesulfonamide
	114 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> -2-~[3-(2 <i>H</i> -tetrazol-5-yl)phenyl]glycinamide
55	115 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[2,6-difluoro-4-(1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]acetamide
	116 (3-pyridin-3-ylphenyl)methyl[4-chloro-3-(trifluoromethyl)phenyl]carbamate

(continued)

Entry	Name
117	(3-pyrimidin-5-ylphenyl)methyl[4-chloro-3-(trifluoromethyl)phenyl]carbamate
118	(3-pyridin-4-ylphenyl)methyl[4-chloro-3-(trifluoromethyl)phenyl]carbamate
119	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[4-(1 <i>H</i> -tetrazol-1-yl)phenyl]hydrazinecarboxamide
120	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-(4-pyridin-3-ylphenyl)hydrazinecarboxamide
121	(4-pyridin-3-ylphenyl)methyl[4-chloro-3-(trifluoromethyl)phenyl]carbamate
122	(4-pyridin-4-ylphenyl)methyl[4-chloro-3-(trifluoromethyl)phenyl]carbamate
123	(4-pyrimidin-5-ylphenyl)methyl[4-chloro-3-(trifluoromethyl)phenyl]carbamate
124	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> '-[(4-pyridin-4-ylphenyl)methyl]urea
125	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-(3-pyridin-3-ylphenyl)hydrazinecarboxamide
126	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-(3-pyrimidin-5-ylphenyl)hydrazinecarboxamide
127	<i>N</i> -[5-chloro-2,4-bis(methyloxy)phenyl]- <i>N</i> '-[(4-pyrimidin-5-ylphenyl)methyl]urea
128	<i>N</i> -[5-chloro-2,4-bis(methyloxy)phenyl]- <i>N</i> '-[(4-pyridin-3-ylphenyl)methyl]urea
129	(4-pyrimidin-5-ylphenyl)methyl[5-chloro-2,4-bis(methyloxy)phenyl]carbamate
130	(4-pyridin-3-ylphenyl)methyl[5-chloro-2,4-bis(methyloxy)phenyl]carbamate
131	1-(4-pyridin-3-ylphenyl)ethyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
132	1-(4-pyrimidin-5-ylphenyl)ethyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
133	<i>N</i> -[5-chloro-2,4-bis(methyloxy)phenyl]- <i>N</i> '-[(3-pyridin-3-ylphenyl)methyl]urea
134	<i>N</i> -[5-chloro-2,4-bis(methyloxy)phenyl]- <i>N</i> '-[(3-pyrimidin-5-ylphenyl)methyl]urea
135	(3-pyridin-3-ylphenyl)methyl [5-chloro-2,4-bis(methyloxy)phenyl]carbamate
136	(3-pyrimidin-5-ylphenyl)methyl [5-chloro-2,4-bis(methyloxy)phenyl]carbamate
137	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-methyl-2-(3-pyrimidin-5-ylphenyl)hydrazinecarboxamide
138	<i>N</i> -[4-fluoro-3-(trifluoromethyl)phenyl]- <i>N</i> '-[(4-pyridin-3-ylphenyl)methyl]urea
139	<i>N</i> -[3-(6-aminopyridin-3-yl)phenyl]methyl)- <i>N</i> '-[4-chloro-3-(trifluoromethyl)phenyl]urea
140	<i>N</i> -[4-(6-aminopyridin-3-yl)phenyl]methyl)- <i>N</i> '-[4-chloro-3-(trifluoromethyl)phenyl]urea
141	<i>N</i> -[3-(2-aminopyrimidin-5-yl)phenyl]methyl)- <i>N</i> '-[4-chloro-3-(trifluoromethyl)phenyl]urea
142	<i>N</i> -[4-(2-aminopyrimidin-5-yl)phenyl]methyl)- <i>N</i> '-[4-chloro-3-(trifluoromethyl)phenyl]urea
143	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> '-[1-(4-pyridin-3-ylphenyl)ethyl]urea
144	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> '-[1-(4-pyrimidin-5-ylphenyl)ethyl]urea
145	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[4-(1 <i>H</i> -indol-2-yl)phenyl]oxy} acetamide
146	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-(isoquinolin-7-yl)oxy}acetamide
147	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-(4-pyridin-4-ylphenyl)hydrazinecarboxamide
148	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-(3-pyridin-4-ylphenyl)hydrazinecarboxamide
149	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> '-[(3-pyridin-4-ylphenyl)methyl]urea
150	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> '-[(3-quinoxalin-6-ylphenyl)methyl]urea
151	methyl 3-amino-6-(3-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino)methyl}phenyl)pyrazine-2-carboxylate
152	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N</i> '-[(4-quinoxalin-6-ylphenyl)methyl]urea
153	<i>N</i> -[3-(2-amino-5-methylpyridin-3-yl)phenyl]methyl)- <i>N</i> '-[4-chloro-3-(trifluoromethyl)phenyl]urea

(continued)

Entry	Name
5	154 methyl 3-amino-6-(4-(((4-chloro-3-(trifluoromethyl)phenyl)amino)carbonyl)amino)methyl}phenyl)pyrazine-2-carboxylate
	155 [3-(1 <i>H</i> -tetrazol-1-yl)phenyl]methyl [3-chloro-4-(methyloxy)phenyl]carbamate
	156 <i>N</i> -[3-chloro-4-(methyloxy)phenyl]- <i>N'</i> -{[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]methyl}urea
10	157 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]-2-[[4-(5-hydroxy-1 <i>H</i> -tetrazol-1-yl)phenyl]oxy]acetamide
	158 <i>N</i> -{[3-(2-amino-5-chloropyridin-3-yl)phenyl]methyl}- <i>N'</i> -[4-chloro-3-(trifluoromethyl)phenyl]urea
	159 <i>N</i> -{[4-(2-amino-5-chloropyridin-3-yl)phenyl]methyl}- <i>N'</i> -[4-chloro-3-(trifluoromethyl)phenyl]urea
15	160 <i>N</i> -{[3-(6-chloropyridin-3-yl)phenyl]methyl}- <i>N'</i> -[4-chloro-3-(trifluoromethyl)phenyl]urea
	161 <i>N</i> -{[4-(6-chloropyridin-3-yl)phenyl]methyl}- <i>N'</i> -[4-chloro-3-(trifluoromethyl)phenyl]urea
	162 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -{[4-(pyrimidin-2-yloxy)phenyl]methyl}urea
	163 <i>N</i> -{[4-chloro-3-(trifluoromethyl)phenyl]amino}carbonyl)-3-(1 <i>H</i> -tetrazol-1-yl)benzamide
20	164 3-amino-6-(3-(((4-chloro-3-(trifluoromethyl)phenyl)amino)carbonyl)amino)methyl}phenyl)- <i>N</i> -[2-(dimethylamino)ethyl]pyrazine-2-carboxamide
	165 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -{[3-(6-fluoropyridin-3-yl)phenyl]methyl}urea
	166 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -{[3-(2-(methyloxy)pyridin-3-yl)phenyl]methyl}urea
25	167 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -{[4-(6-fluoropyridin-3-yl)phenyl]methyl}urea
	168 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -{[4-(2-(methyloxy)pyridin-3-yl)phenyl]methyl}urea
	169 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -[4-(6-methylpyridin-3-yl)phenyl]methyl}urea
30	170 <i>N</i> -{[4-(2-amino-5-fluoropyridin-3-yl)phenyl]methyl}- <i>N'</i> -[4-chloro-3-(trifluoromethyl)phenyl]urea
	171 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -{[3-(6-methylpyridin-3-yl)phenyl]methyl}urea
	172 <i>N</i> -{[4-(2-aminopyridin-3-yl)phenyl]methyl}- <i>N'</i> -[4-chloro-3-(trifluoromethyl)phenyl]urea
	173 <i>N</i> -{[3-(2-aminopyridin-3-yl)phenyl]methyl}- <i>N'</i> -[4-chloro-3-(trifluoromethyl)phenyl]urea
35	174 [3-(6-methylpyridin-3-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
	175 [3-(2-amino-5-fluoropyridin-3-yl)phenyl]methyl[4-chloro-3-(trifluoromethyl)phenyl]carbamate
	176 [3-(2-aminopyridin-3-yl)phenyl]methyl[4-chloro-3-(trifluoromethyl)phenyl]carbamate
40	177 (3-pyrazin-2-ylphenyl)methyl[4-chloro-3-(trifluoromethyl)phenyl]carbamate
	178 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -{[3-(6-(hydroxymethyl)pyridin-3-yl)phenyl]methyl}urea
	179 <i>N</i> -{[3-(6-acetylpyridin-3-yl)phenyl]methyl}- <i>N'</i> -[4-chloro-3-(trifluoromethyl)phenyl]urea
	180 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -{[3-(6-cyanopyridin-3-yl)phenyl]methyl}urea
45	181 1,1-dimethylethyl (3 <i>S</i>)-3-({[3-amino-6-(3-(((4-chloro-3-(trifluoromethyl)phenyl)amino)carbonyl)amino)methyl}phenyl)pyrazine-2-yl)carbonyl)amino)piperidine-1-carboxylate
	182 3-amino-6-(3-(((4-chloro-3-(trifluoromethyl)phenyl)amino)carbonyl)amino)methyl}phenyl)- <i>N</i> -[(3 <i>S</i>)-piperidin-3-yl]pyrazine-2-carboxamide
50	183 1,1-dimethylethyl (3 <i>S</i>)-3-({[3-amino-6-(4-(((4-chloro-3-(trifluoromethyl)phenyl)amino)carbonyl)amino)methyl}phenyl)pyrazine-2-yl)carbonyl)amino)piperidine-1-carboxylate
	184 3-amino-6-(4-(((4-chloro-3-(trifluoromethyl)phenyl)amino)carbonyl)amino)methyl}phenyl)- <i>N</i> -[(3 <i>S</i>)-piperidin-3-yl]pyrazine-2-carboxamide
55	185 [3-(7 <i>H</i> -pyrrolo[2,3- <i>d</i>]pyrimidin-4-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
	186 <i>N</i> -{[3-(2-amino-5-fluoropyridin-3-yl)phenyl]methyl}- <i>N'</i> -[4-chloro-3-(trifluoromethyl)phenyl]urea

(continued)

Entry	Name
187	[6-(1 <i>H</i> -tetrazol-1-yl)pyridin-2-yl]methyl[4-chloro-3-(trifluoromethyl)phenyl]carbamate
188	[3-(1 <i>H</i> -benzimidazol-2-yl)phenyl]methyl[4-chloro-3-(trifluoromethyl)phenyl]carbamate
189	[3-(6-amino-2-methylpyridin-3-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
190	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -([3-[5-(methylthio)pyridin-3-yl]phenyl]methyl)urea
191	[4-(6-methylpyridin-3-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
192	[4-(2-amino-5-fluoropyridin-3-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
193	[4-(2-aminopyridin-3-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
194	(4-pyrazin-2-ylphenyl)methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
195	[4-(7 <i>H</i> -pyrrolo[2,3- <i>d</i>]pyrimidin-4-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
196	[4-(6-amino-2-methylpyridin-3-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
197	[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]methyl 1,3-benzothiazol-2-ylcarbamate
198	[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]methyl (5-bromopyridin-2-yl)carbamate
199	(3-pyridin-3-ylphenyl)methyl (3,5-dimethylphenyl)carbamate
200	(3-pyridin-3-ylphenyl)methyl [5-chloro-2-(methyloxy)phenyl]carbamate
201	[4-(1 <i>H</i> -tetrazol-1-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
202	(3-pyrimidin-5-ylphenyl)methyl [5-chloro-2-(methyloxy)phenyl]carbamate
203	(4-pyrimidin-5-ylphenyl)methyl (3,4-dimethylphenyl)carbamate
204	(3-pyridin-3-ylphenyl)methyl (3,4-dimethylphenyl)carbamate
205	1,1-dimethylethyl 3-([3-amino-6-(3-([4-chloro-3-(trifluoromethyl)phenyl]amino)carbonyl)amino]methyl)phenyl)pyrazi n-2-yl]carbonyl)amino)piperidine-1-carboxylate
206	1,1-dimethylethyl 3-([3-amino-6-(4-([4-chloro-3-(trifluoromethyl)phenyl]amino)carbonyl)amino]methyl)phenyl)pyrazi n-2-yl]carbonyl)amino)piperidine-1-carboxylate
207	3-amino-6-(3-([4-chloro-3-(trifluoromethyl)phenyl]amino)carbonyl)amino]methyl)phenyl)- <i>N</i> -piperidin-3-ylpyrazine-2-carboxamide
208	3-amino-6-(4-([4-chloro-3-(trifluoromethyl)phenyl]amino)carbonyl)amino]methyl)phenyl)- <i>N</i> -piperidin-3-ylpyrazine-2-carboxamide
209	1,1-dimethylethyl 4-([3-amino-6-(3-([4-chloro-3-(trifluoromethyl)phenyl]amino)carbonyl)amino]methyl)phenyl)pyrazi n-2-yl]carbonyl)piperazine-1-carboxylate
210	1,1-dimethylethyl 4-([3-amino-6-(4-([4-chloro-3-(trifluoromethyl)phenyl]amino)carbonyl)amino]methyl)phenyl)pyrazi n-2-yl]carbonyl) piperazine-1-carboxylate
211	<i>N</i> -([3-[5-amino-6-(piperazin-1-ylcarbonyl)pyrazin-2-yl]phenyl] methyl)- <i>N'</i> -[4-chloro-3-(trifluoromethyl)phenyl]urea
212	<i>N</i> -([4-[5-amino-6-(piperazin-1-ylcarbonyl)pyrazin-2-yl]phenyl]methyl)- <i>N'</i> -[4-chloro-3-(trifluoromethyl)phenyl]urea
213	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -([3-(1 <i>H</i> -pyrazol-4-yl)phenyl]methyl)urea
214	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -([4-(1 <i>H</i> -pyrazol-4-yl)phenyl]methyl)urea
215	[3-(2-piperazin-1-ylpyrimidin-5-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
216	[4-(2-piperazin-1-ylpyrimidin-5-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
217	<i>N</i> -([3-(2-chloropyridin-3-yl)phenyl]methyl)- <i>N'</i> -[4-chloro-3-(trifluoromethyl)phenyl]urea
218	<i>N</i> -([4-(2-chloropyridin-3-yl)phenyl]methyl)- <i>N'</i> -[4-chloro-3-(trifluoromethyl)phenyl]urea

(continued)

Entry	Name
219	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -{[3-(2-fluoropyridin-3-yl)phenyl]methyl}urea
220	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -{[4-(2-fluoropyridin-3-yl)phenyl]methyl}urea
221	[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]methyl [3-(trifluoromethyl)phenyl]carbamate
222	[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]methyl [6-(trifluoromethyl)pyridin-2-yl]carbamate
223	[3-(1 <i>H</i> -tetrazol-1-yl)phenyl]methyl [4-(trifluoromethyl)pyridin-2-yl]carbamate
224	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -{[3-(5-(methylthio)pyridin-2-yl)phenyl]methyl}urea
225	[3-(2,6-dimethylpyridin-3-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
226	{3-[5-(methyloxy)pyridin-3-yl]phenyl}methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
227	2,3'-bipyridin-6-ylmethyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
228	(6-pyrimidin-5-ylpyridin-2-yl)methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
229	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -[3-(isoquinolin-4-ylphenyl)methyl]urea
230	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -[4-(isoquinolin-4-ylphenyl)methyl]urea
231	[6-(1 <i>H</i> -tetrazol-1-yl)pyridin-2-yl]methyl [4-(trifluoromethyl)pyridin-2-yl]carbamate
232	[3-(1 <i>H</i> -pyrazol-4-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate
233	[4-(1 <i>H</i> -pyrazol-4-yl)phenyl]methyl [4-chloro-3-(trifluoromethyl)phenyl]carbamate

Table 9. c-KIT and/or Flt-3 Inhibitors

[0239] The Compounds in Table 9 can be prepared as pharmaceutically acceptable salts, solvates, hydrates, and/or isomers thereof. All such salt, solvate, hydrate, and isomer combinations of the Compounds in Table 9 can be used.

Entry	Name
1	4-((<i>E</i>)-2-{3-[6-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}ethenyl)phenol
2	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -(4-{3-[5-(4-ethylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
3	<i>N</i> -(3-ethylphenyl)- <i>N'</i> -(4-{3-[5-(4-ethylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
4	<i>N</i> -(4-{3-[5-(4-ethylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)- <i>N'</i> -[3-(trifluoromethyl)phenyl]urea
5	<i>N</i> -(3-acetylphenyl)- <i>N'</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
6	<i>N</i> -(3,4-dichlorophenyl)- <i>N'</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
7	<i>N</i> -(3-bromophenyl)- <i>N'</i> -(4-{3-[6-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
8	<i>N</i> -[4-fluoro-3-(trifluoromethyl)phenyl]- <i>N'</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
9	<i>N</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)- <i>N'</i> -[4-(phenyloxy)phenyl]urea
10	<i>N</i> -(3-chlorophenyl)- <i>N'</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
11	<i>N</i> -[3,5-bis(methyloxy)phenyl]- <i>N'</i> -(4-{3-[6-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea

(continued)

Entry	Name
5	12 <i>N</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)- <i>N'</i> -(4-[(trifluoromethyl)oxy]phenyl)urea
	13 <i>N</i> -(4-{3-[6-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)- <i>N'</i> -(4-(trifluoromethyl)phenyl)urea
10	14 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
	15 <i>N</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)- <i>N'</i> -[3-(trifluoromethyl)phenyl]urea
15	16 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -(4-{3-[4-(4-methylpiperazin-1-yl)phenyl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
	17 <i>N</i> -(3,4-dimethylphenyl)- <i>N'</i> -(4-{5-[4-(4-methylpiperazin-1-yl)phenyl]-1 <i>H</i> -pyrazol-3-yl}phenyl)urea
	18 <i>N</i> -(4-chlorophenyl)- <i>N'</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
20	19 <i>N</i> -(3,5-difluorophenyl)- <i>N'</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
	20 <i>N</i> -[3-(methyloxy)phenyl]- <i>N'</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
25	21 <i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -(4-{3-[4-(4-ethylpiperazin-1-yl)phenyl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
	22 <i>N</i> -(3-fluorophenyl)- <i>N'</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
30	23 <i>N</i> -(4-fluorophenyl)- <i>N'</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
	24 <i>N</i> -(3-cyanophenyl)- <i>N'</i> -(4-{3-[6-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
35	25 <i>N</i> -(3,4-difluorophenyl)- <i>N'</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
	26 <i>N</i> -[3,4-bis(methyloxy)phenyl]- <i>N'</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
40	27 <i>N</i> -[5-chloro-2-(methyloxy)phenyl]- <i>N'</i> -(4-{5-[4-(4-methylpiperazin-1-yl)phenyl]-1 <i>H</i> -pyrazol-3-yl}phenyl)urea
	28 <i>N</i> -(4-{5-[4-(4-methylpiperazin-1-yl)phenyl]-1 <i>H</i> -pyrazol-3-yl}phenyl)- <i>N'</i> -(4-(phenyloxy)phenyl)urea
	29 <i>N</i> -(2,4-difluorophenyl)- <i>N'</i> -(4-{3-[6-(4-ethylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
45	30 <i>N</i> -(4-{3-(1 <i>H</i> -benzimidazol-2-yl)-1 <i>H</i> -pyrazol-5-yl}phenyl)- <i>N'</i> -(4-chloro-3-(trifluoromethyl)phenyl)urea
	31 <i>N</i> -(4-{3-(1 <i>H</i> -benzimidazol-2-yl)-1 <i>H</i> -pyrazol-5-yl}phenyl)- <i>N'</i> -(2-fluoro-5-(trifluoromethyl)phenyl)urea
	32 <i>N</i> -(2,4-difluorophenyl)- <i>N'</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
50	33 <i>N</i> -(4-{3-(1 <i>H</i> -benzimidazol-2-yl)-1 <i>H</i> -pyrazol-5-yl}phenyl)- <i>N'</i> -phenylurea
	34 <i>N</i> -[3,5-bis(trifluoromethyl)phenyl]- <i>N'</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
55	35 <i>N</i> -(2-fluorophenyl)- <i>N'</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
	36 4-((<i>E</i>)-2-{5-[(<i>E</i>)-2-phenylethenyl]-1 <i>H</i> -pyrazol-3-yl}ethenyl)phenol

(continued)

Entry	Name
37	2-(methyloxy)- 4-((<i>E</i>)-2-{5-[(<i>E</i>)-2-phenylethenyl]-1 <i>H</i> -pyrazol-3-yl}ethenyl)phenol
38	<i>N</i> -(5-fluoro-2-methylphenyl)- <i>N'</i> -(4-{3-[6-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
39	<i>N</i> -(4-{3-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)- <i>N'</i> -phenylurea
40	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -(4-{3-[3-(4-methylpiperazin-1-yl)phenyl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
41	<i>N</i> -(2,4-difluorophenyl)- <i>N'</i> -(4-{3-[4-(4-methylpiperazin-1-yl)phenyl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
42	<i>N</i> -(2,3-dihydro-1,4-benzodioxin-6-yl)- <i>N'</i> -(4-{5-[4-(4-methylpiperazin-1-yl)phenyl]-1 <i>H</i> -pyrazol-3-yl}phenyl)urea
43	<i>N</i> -[2,4-bis(methyloxy)phenyl]- <i>N'</i> -(4-{5-[4-(4-methylpiperazin-1-yl)phenyl]-1 <i>H</i> -pyrazol-3-yl}phenyl)urea
44	4-((<i>E</i>)-2-{3-[(<i>E</i>)-2-(4-fluorophenyl)ethenyl]-1 <i>H</i> -pyrazol-5-yl}ethenyl)-2-(methyloxy)phenol
45	4-{(<i>E</i>)-2-[3-(1-benzofuran-2-yl)-1 <i>H</i> -pyrazol-5-yl]ethenyl}phenol
46	<i>N</i> -(4-{3-[4-(4-methylpiperazin-1-yl)phenyl]-1 <i>H</i> -pyrazol-5-yl}phenyl)- <i>N'</i> -(2-phenylethyl)ethanediamide
47	4-{(<i>E</i>)-2-[3-(1 <i>H</i> -benzimidazol-2-yl)-1 <i>H</i> -pyrazol-5-yl]ethenyl}phenol
48	4-((<i>E</i>)-2-{3-[(<i>E</i>)-2-(4-chlorophenyl)ethenyl]-1 <i>H</i> -pyrazol-5-yl}ethenyl)-2-(methyloxy)phenol
49	4- {(<i>E</i>)-2-[3-(1-benzothien-2-yl)-1 <i>H</i> -pyrazol-5-yl]ethenyl}phenol
50	<i>N</i> -[4-chloro-3-(trifluoromethyl)phenyl]- <i>N'</i> -(4-{3-phenyl-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
51	4-((<i>E</i>)-2-{3-[4-(4-methylpiperazin-1-yl)phenyl]-1 <i>H</i> -pyrazol-5-yl}ethenyl)phenol
52	1,1-dimethylethyl{4-[3-(1 <i>H</i> -benzimidazol-2-yl)-1 <i>H</i> -pyrazol-5-yl]phenyl}carbamate
53	<i>N</i> -(5-fluoro-2-methylphenyl)- <i>N'</i> -(4-{5-[4-(4-methylpiperazin-1-yl)phenyl]-1 <i>H</i> -pyrazol-3-yl}phenyl)urea
54	4-[(<i>E</i>)-2-(3-phenyl-1 <i>H</i> -pyrazol-5-yl)ethenyl]phenol
55	2-(methyloxy)- 4-[(<i>E</i>)-2-(5-phenyl-1 <i>H</i> -pyrazol-3-yl)ethenyl]phenol
56	4-[(<i>E</i>)-2-(5-naphthalen-2-yl-1 <i>H</i> -pyrazol-3-yl)ethenyl]phenol
57	4-{(<i>E</i>)-2-[5-(2-fluorophenyl)-1 <i>H</i> -pyrazol-3-yl]ethenyl}phenol
58	4-((<i>E</i>)-2-{3-[3-(4-methylpiperazin-1-yl)phenyl]-1 <i>H</i> -pyrazol-5-yl}ethenyl)phenol
59	4-((<i>E</i>)-2-{3-[(<i>E</i>)-2-(2,4-difluorophenyl)ethenyl]-1 <i>H</i> -pyrazol-5-yl}ethenyl)-2-(methyloxy)phenol
60	4-{(<i>E</i>)-2-[5-(4-fluorophenyl)-1 <i>H</i> -pyrazol-3-yl]ethenyl}phenol
61	4-{(<i>E</i>)-2-[3-(4-chlorophenyl)-1 <i>H</i> -pyrazol-5-yl]ethenyl}phenol
62	4-[(<i>E</i>)-2-(5-pyridin-2-yl-1 <i>H</i> -pyrazol-3-yl)ethenyl]phenol
63	4-{(<i>E</i>)-2-[3-(5-chloro-1-benzofuran-2-yl)-1 <i>H</i> -pyrazol-5-yl]ethenyl}phenol
64	<i>N</i> -(1,1-dimethylethyl)- <i>N'</i> -(4-{3-[5-(4-ethylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-5-yl}phenyl)urea
65	4-[(<i>E</i>)-2-(3-pyridin-4-yl-1 <i>H</i> -pyrazol-5-yl)ethenyl]phenol
66	4- {(<i>E</i>)-2-[3-(3-chlorophenyl)-1 <i>H</i> -pyrazol-5-yl]ethenyl} phenol
67	4-((<i>E</i>)-2-{5-[2-(methyloxy)phenyl]-1 <i>H</i> -pyrazol-3-yl}ethenyl)phenol
68	4-{(<i>E</i>)-2-[3-(2-chlorophenyl)-1 <i>H</i> -pyrazol-5-yl]ethenyl}phenol
69	4-[(<i>E</i>)-2-(3-pyridin-3-yl-1 <i>H</i> -pyrazol-5-yl)ethenyl]phenol
70	4-((<i>E</i>)-2-{5-[3-(methyloxy)phenyl]-1 <i>H</i> -pyrazol-3-yl}ethenyl)phenol
71	1,1-dimethylethyl{4-[3-[(<i>E</i>)-2-phenylethenyl]-1 <i>H</i> -pyrazol-5-yl]phenyl}carbamate

(continued)

Entry	Name
72	4-((<i>E</i>)-2-[3-(3,4-dichlorophenyl)-1 <i>H</i> -pyrazol-5-yl]ethenyl)phenol
73	2-{5-[(<i>E</i>)-2-phenylethenyl]-1 <i>H</i> -pyrazol-3-yl}-1-benzofuran-6-ol
74	4-((<i>E</i>)-2-[5-(3-fluorophenyl)-1 <i>H</i> -pyrazol-3-yl]ethenyl)phenol
75	2-(5-phenyl-1 <i>H</i> -pyrazol-3-yl)-1 <i>H</i> -benzimidazole
76	<i>N</i> -phenyl- <i>N</i> '-[4-(3-phenyl-1 <i>H</i> -pyrazol-5-yl)phenyl]urea
77	4-[3-(1 <i>H</i> -benzimidazol-2-yl)-1 <i>H</i> -pyrazol-5-yl]aniline
78	4-[(<i>E</i>)-2-(5-biphenyl-3-yl-1 <i>H</i> -pyrazol-3-yl)ethenyl]phenol
79	4-((<i>E</i>)-2-{5-[5-(4-methylpiperazin-1-yl)-1 <i>H</i> -benzimidazol-2-yl]-1 <i>H</i> -pyrazol-3-yl}ethenyl)phenol

General Administration

[0240] Also described are pharmaceutical compositions comprising an inhibitor of PI3K as described herein and a pharmaceutically acceptable carrier, excipient, or diluent. In certain other specific options, administration may specifically be by the oral route. Administration of the compounds described herein, or their pharmaceutically acceptable salts, in pure form or in an appropriate pharmaceutical composition, can be carried out via any of the accepted modes of administration or agents for serving similar utilities. Thus, administration can be, for example, orally, nasally, parenterally (intravenous, intramuscular, or subcutaneous), topically, transdermally, intravaginally, intravesically, intracisternally, or rectally, in the form of solid, semi-solid, lyophilized powder, or liquid dosage forms, such as for example, tablets, suppositories, pills, soft elastic and hard gelatin capsules, powders, solutions, suspensions, or aerosols, or the like, specifically in unit dosage forms suitable for simple administration of precise dosages. When treating brain cancers, including glioblastomas, the administration may specifically be by placing a gliadel, a dissolvable material that contains the chemotherapy drug (in particular BCNU), directly into brain tumors during an operation.

[0241] The compositions will include a compound of Formula I or II as the/an active agent and can include a conventional pharmaceutical carrier or excipient and in addition may include other medicinal agents and pharmaceutical agents that are generally administered to a patient being treated for cancer.

[0242] Adjuvants include preserving, wetting, suspending, sweetening, flavoring, perfuming, emulsifying, and dispensing agents. Prevention of the action of microorganisms can be ensured by various antibacterial and antifungal agents, for example, parabens, chlorobutanol, phenol, sorbic acid, and the like. It may also be desirable to include isotonic agents, for example sugars, sodium chloride, and the like. Prolonged absorption of the injectable pharmaceutical form can be brought about by the use of agents delaying absorption, for example, aluminum monostearate and gelatin.

[0243] If desired, a pharmaceutical composition of the invention may also contain minor amounts of auxiliary substances such as wetting or emulsifying agents, pH buffering agents, antioxidants, and the like, such as, for example, citric acid, sorbitan monolaurate, triethanolamine oleate, butylated hydroxytoluene, etc.

[0244] The choice of formulation depends on various factors such as the mode of drug administration (e.g., for oral administration, formulations in the form of tablets, pills or capsules) and the bioavailability of the drug substance. Recently, pharmaceutical formulations have been developed especially for drugs that show poor bioavailability based upon the principle that bioavailability can be increased by increasing the surface area i.e., decreasing particle size. For example, U.S. Pat. No. 4,107,288 describes a pharmaceutical formulation having particles in the size range from 10 to 1,000 nm in which the active material is supported on a crosslinked matrix of macromolecules. U.S. Pat. No. 5,145,684 describes the production of a pharmaceutical formulation in which the drug substance is pulverized to nanoparticles (average particle size of 400 nm) in the presence of a surface modifier and then dispersed in a liquid medium to give a pharmaceutical formulation that exhibits remarkably high bioavailability.

[0245] Compositions suitable for parenteral injection may comprise physiologically acceptable sterile aqueous or nonaqueous solutions, dispersions, suspensions or emulsions, and sterile powders for reconstitution into sterile injectable solutions or dispersions. Examples of suitable aqueous and nonaqueous carriers, diluents, solvents or vehicles include water, ethanol, polyols (propyleneglycol, polyethyleneglycol, glycerol, and the like), suitable mixtures thereof, vegetable oils (such as olive oil) and injectable organic esters such as ethyl oleate. Proper fluidity can be maintained, for example, by the use of a coating such as lecithin, by the maintenance of the required particle size in the case of dispersions and by the use of surfactants.

[0246] One specific route of administration is oral, using a convenient daily dosage regimen that can be adjusted according to the degree of severity of the disease-state to be treated.

[0247] Solid dosage forms for oral administration include capsules, tablets, pills, powders, and granules. In such solid dosage forms, the active compound is admixed with at least one inert customary excipient (or carrier) such as sodium citrate or dicalcium phosphate or (a) fillers or extenders, as for example, starches, lactose, sucrose, glucose, mannitol, and silicic acid, (b) binders, as for example, cellulose derivatives, starch, alginates, gelatin, polyvinylpyrrolidone, sucrose, and gum acacia, (c) humectants, as for example, glycerol, (d) disintegrating agents, as for example, agar-agar, calcium carbonate, potato or tapioca starch, alginic acid, croscarmellose sodium, complex silicates, and sodium carbonate, (e) solution retarders, as for example paraffin, (f) absorption accelerators, as for example, quaternary ammonium compounds, (g) wetting agents, as for example, cetyl alcohol, and glycerol monostearate, magnesium stearate and the like (h) adsorbents, as for example, kaolin and bentonite, and (i) lubricants, as for example, talc, calcium stearate, magnesium stearate, solid polyethylene glycols, sodium lauryl sulfate, or mixtures thereof. In the case of capsules, tablets, and pills, the dosage forms may also comprise buffering agents.

[0248] Solid dosage forms as described above can be prepared with coatings and shells, such as enteric coatings and others well known in the art. They may contain pacifying agents, and can also be of such composition that they release the active compound or compounds in a certain part of the intestinal tract in a delayed manner. Examples of embedded compositions that can be used are polymeric substances and waxes. The active compounds can also be in microencapsulated form, if appropriate, with one or more of the above-mentioned excipients.

[0249] Liquid dosage forms for oral administration include pharmaceutically acceptable emulsions, solutions, suspensions, syrups, and elixirs. Such dosage forms are prepared, for example, by dissolving, dispersing, etc., a compound (s) of the invention, or a pharmaceutically acceptable salt or solvate thereof, and optional pharmaceutical adjuvants in a carrier, such as, for example, water, saline, aqueous dextrose, glycerol, ethanol and the like; solubilizing agents and emulsifiers, as for example, ethyl alcohol, isopropyl alcohol, ethyl carbonate, ethyl acetate, benzyl alcohol, benzyl benzoate, propyleneglycol, 1, 3- butyleneglycol, dimethylformamide; oils, in particular, cottonseed oil, groundnut oil, corn germ oil, olive oil, castor oil and sesame oil, glycerol, tetrahydrofurfuryl alcohol, polyethyleneglycols and fatty acid esters of sorbitan; or mixtures of these substances, and the like, to thereby form a solution or suspension.

[0250] Suspensions, in addition to the active compounds, may contain suspending agents, as for example, ethoxylated isostearyl alcohols, polyoxyethylene sorbitol and sorbitan esters, microcrystalline cellulose, aluminum metahydroxide, bentonite, agar- agar and tragacanth, or mixtures of these substances, and the like.

[0251] Compositions for rectal administrations are, for example, suppositories that can be prepared by mixing the compounds of the present invention with for example suitable non-irritating excipients or carriers such as cocoa butter, polyethyleneglycol or a suppository wax, which are solid at ordinary temperatures but liquid at body temperature and therefore, melt while in a suitable body cavity and release the active component therein.

[0252] Dosage forms for topical administration of a compound of this invention include ointments, powders, sprays, and inhalants. The active component is admixed under sterile conditions with a physiologically acceptable carrier and any preservatives, buffers, or propellants as may be required. Ophthalmic formulations, eye ointments, powders, and solutions are also contemplated as being within the scope of this invention.

[0253] Compressed gases may be used to disperse a compound of this invention in aerosol form. Inert gases suitable for this purpose are nitrogen, carbon dioxide, etc.

[0254] Generally, depending on the intended mode of administration, the pharmaceutically acceptable compositions will contain about 1% to about 99% by weight of a compound (s) of the invention, or a pharmaceutically acceptable salt or solvate thereof, and 99% to 1% by weight of a suitable pharmaceutical excipient. In one example, the composition will be between about 5% and about 75% by weight of a compound (s) described herein, or a pharmaceutically acceptable salt or solvate thereof, with the rest being suitable pharmaceutical excipients.

[0255] Actual methods of preparing such dosage forms are known, or will be apparent, to those skilled in this art; for example, see Remington's Pharmaceutical Sciences, 18th Ed., (Mack Publishing Company, Easton, Pa., 1990). The composition to be administered will, in any event, contain a therapeutically effective amount of a compound described herein, or a pharmaceutically acceptable salt or solvate thereof, for treatment of a disease-state in accordance with the teachings of this invention.

[0256] The compounds described herein, or their pharmaceutically acceptable salts, are administered in a therapeutically effective amount which will vary depending upon a variety of factors including the activity of the specific compound employed, the metabolic stability and length of action of the compound, the age, body weight, general health, sex, diet, mode and time of administration, rate of excretion, drug combination, the severity of the particular disease-states, and the host undergoing therapy. The compounds can be administered to a patient at dosage levels in the range of about 0.1 to about 1,000 mg per day. For a normal human adult having a body weight of about 70 kilograms, a dosage in the range of about 0.01 to about 100 mg per kilogram of body weight per day is an example. The specific dosage used, however, can vary. For example, the dosage can depend on a number of factors including the requirements of the patient, the severity of the condition being treated, and the pharmacological activity of the compound being used. The determination of optimum dosages for a particular patient is well known to one of ordinary skill in the art.

[0257] If formulated as a fixed dose, such combination products employ the compounds described herein within the

dosage range described above and the other pharmaceutically active agent(s) within its approved dosage range. Compounds described herein may alternatively be used sequentially with known pharmaceutically acceptable agent(s) when a combination formulation is inappropriate.

[0258] Representative pharmaceutical formulations containing a compound of Formula I or II are described below in the Pharmaceutical Composition Examples.

UTILITY

[0259] Certain compounds of Formula I have been tested using the assay described in Biological Example 1 and have been determined to be PI3K inhibitors. As such compounds of Formula I are useful for treating diseases, particularly cancer in which PI3K activity contributes to the pathology and/or symptomatology of the disease. For example, cancer in which PI3K activity contributes to its pathology and/or symptomatology include breast cancer, colon cancer, rectal cancer, endometrial cancer, gastric carcinoma, glioblastoma, hepatocellular carcinoma, small cell lung cancer, non-small cell lung cancer, melanoma, ovarian cancer, pancreatic cancer, prostate carcinoma, acute myelogenous leukemia (AML), chronic myelogenous leukemia (CML), and thyroid carcinoma, and the like.

Suitable *in vitro* assays for measuring PI3K activity and the inhibition thereof by compounds are known. Typically, the assay will measure PI3K-induced ATP consumption. For further details of an *in vitro* assay for measuring PI3K activity see Biological Examples, Example 1 *infra*. Cellular activity can be determined using assays as described in Biological Examples 2, 3, and 4 *infra*. Suitable *in vivo* models of cancer are known to those of ordinary skill in the art. For further details of *in vivo* assays see Biological Examples 5-10, *infra*. Examples describing the administration of a Compound of Formula I in combination with anticancer agents are described in Biological Examples 11-14, *infra*. Following the examples disclosed herein, as well as that disclosed in the art, a person of ordinary skill in the art can determine what combinations of a Compound of Formula I and anti-cancer agents would be effective for treating cancer.

PREPARATIONS OF THE INTERMEDIATES AND COMPOUNDS OF THE INVENTION

[0260] Compounds described herein can be made by the synthetic procedures described in WO 2007/044729.

[0261] The starting materials and reagents used in preparing these compounds are either available from commercial suppliers such as Aldrich Chemical Co. (Milwaukee, Wis.), or Bachem (Torrance, Calif.), or are prepared by methods known to those skilled

in the art following procedures set forth in references such as Fieser and Fieser's Reagents for Organic Synthesis, Volumes 1-17 (John Wiley and Sons, 1991); Rodd's Chemistry of Carbon Compounds, Volumes 1-5 and Supplementals (Elsevier Science Publishers, 1989); Organic Reactions, Volumes 1-40 (John Wiley and Sons, 1991), March's Advanced Organic Chemistry, (John Wiley and Sons, 4th Edition) and Larock's Comprehensive Organic Transformations (VCH Publishers Inc., 1989). These schemes are merely illustrative of some methods by which the compounds of this invention can be synthesized, and various modifications to these schemes can be made and will be suggested to one skilled in the art having referred to this disclosure. The starting

materials and the intermediates of the reaction may be isolated and purified if desired using conventional techniques, including but not limited to filtration, distillation, crystallization, chromatography and the like. Such materials may be characterized using conventional means, including physical constants and spectral data.

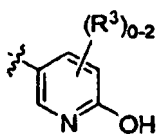
[0262] Unless specified to the contrary, the reactions described herein take place at atmospheric pressure and over a temperature range from about -78 °C to about 150 °C, in another embodiment from about 0 °C. to about 125 °C and most specifically at about room (or ambient) temperature, e.g., about 20 °C. Unless otherwise stated (as in the case of a hydrogenation), all reactions are performed under an atmosphere of nitrogen.

[0263] Prodrugs can be prepared by techniques known to one skilled in the art. These techniques generally modify appropriate functional groups in a given compound. These modified functional groups regenerate original functional groups by routine manipulation or *in vivo*. Amides and esters of the compounds described herein may be prepared according to conventional methods. A thorough discussion of prodrugs is provided in T. Higuchi and V. Stella, "Prodrugs as Novel Delivery Systems," Vol 14 of the A.C.S. Symposium Series, and in Bioreversible Carriers in Drug Design, ed. Edward B. Roche, American Pharmaceutical Association and Pergamon Press, 1987.

[0264] The compounds for use in the invention, or their pharmaceutically acceptable salts, may have asymmetric carbon atoms or quaternized nitrogen atoms in their structure. Compounds of Formula I that may be prepared through the syntheses described herein may exist as single stereoisomers, racemates, and as mixtures of enantiomers and diastereomers. The compounds may also exist as geometric isomers. Single stereoisomers, racemates and mixtures thereof, and geometric isomers of the compound as claimed in claim 1 may be used within the scope of this invention. Some of the compounds for use in the invention may exist as tautomers. For example, where a ketone or aldehyde is present, the molecule may exist in the enol form; where an amide is present, the molecule may exist as the imidic acid; and where an enamine is present, the molecule may exist as an imine. Such tautomers may be used within the scope

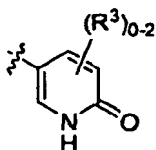
of the invention.

[0265] B can be 2- hydroxy- pyridinyl, also described as its structure:



14.

Both 2- hydroxy- pyridinyl and the above structure 14 include, and are equivalent to, pyridin- 2 (1H)- one and its structure 15:



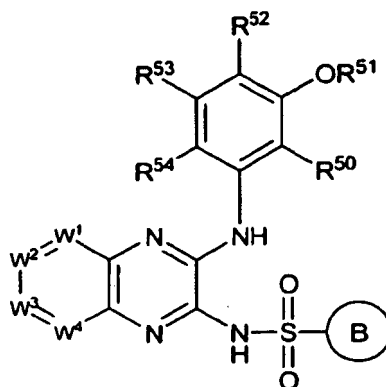
15.

[0266] Also described are N-oxide derivatives and protected derivatives of compounds of Formula I. For example, when compounds of Formula I contain an oxidizable nitrogen atom, the nitrogen atom can be converted to an N-oxide by methods well known in the art. When compounds of Formula I contain groups such as hydroxy, carboxy, thiol or any group containing a nitrogen atom(s), these groups can be protected with a suitable "protecting group" or "protective group". A comprehensive list of suitable protective groups can be found in T.W. Greene, Protective Groups in Organic Synthesis, John Wiley & Sons, Inc. 1991. The protected derivatives of compounds of Formula I can be prepared by methods well known in the art.

[0267] Methods for the preparation and/or separation and isolation of single stereoisomers from racemic mixtures or non-racemic mixtures of stereoisomers are well known in the art. For example, optically active (R)- and (S)- isomers may be prepared using chiral synthons or chiral reagents, or resolved using conventional techniques. Enantiomers (R- and S-isomers) may be resolved by methods known to one of ordinary skill in the art, for example by: formation of diastereoisomeric salts.²⁴ or complexes which may be separated, for example, by crystallization; via formation of diastereoisomeric derivatives which may be separated, for example, by crystallization, selective reaction of one enantiomer with an enantiomer-specific reagent, for example enzymatic oxidation or reduction, followed by separation of the modified and unmodified enantiomers; or gas-liquid or liquid chromatography in a chiral environment, for example on a chiral support, such as silica with a bound chiral ligand or in the presence of a chiral solvent. It will be appreciated that where a desired enantiomer is converted into another chemical entity by one of the separation procedures described above, a further step may be required to liberate the desired enantiomeric form. Alternatively, specific enantiomer may be synthesized by asymmetric synthesis using optically active reagents, substrates, catalysts or solvents or by converting on enantiomer to the other by asymmetric transformation. For a mixture of enantiomers, enriched in a particular enantiomer, the major component enantiomer may be further enriched (with concomitant loss in yield) by recrystallization.

[0268] In addition, compounds for use in the present invention can exist in unsolvated as well as solvated forms with pharmaceutically acceptable solvents such as water, ethanol, and the like. In general, the solvated forms are considered equivalent to the unsolvated forms for the purposes of the present invention.

[0269] In Compounds of Formula I

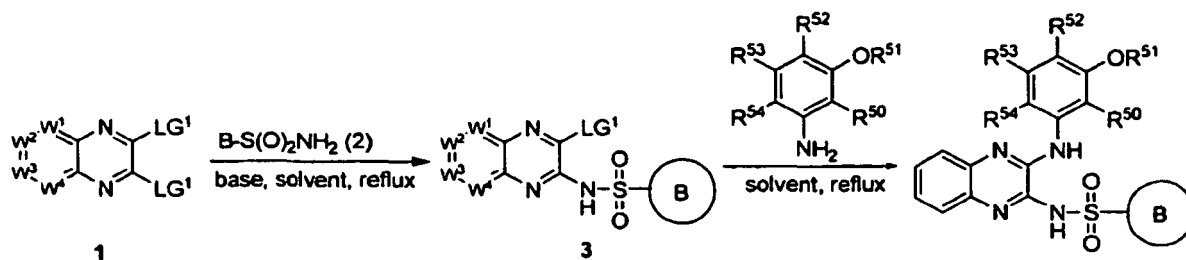


the hydrogen on the -NHS(O)_2 group is highly acidic. Thus, intermediates leading to Compounds of Formula I, as well as Compounds of Formula I themselves, can be recovered as uncharged or zwitterionic molecules, or cationic salts such as sodium or potassium, depending on the substitutions on the B ring and on reaction conditions. In the examples that follow, unless otherwise specified, the final form of the compound was assumed to be the uncharged molecule in the absence of analytical techniques that would have determined otherwise.

[0270] Compounds of Formula I can be prepared using methods known to one of ordinary skill in the art. In another embodiment, fusion of appropriate reagents at 180°C in the presence of a base such as K_2CO_3 and metallic copper is known to provide intermediates of formula I (see S. H. Dandegaonker and C. K. Mesta, J. Med. Chem. 1965, 8, 884).

[0271] Alternatively, the intermediate of formula 3 can be prepared according to the scheme below where each LG^1 is a leaving group (in one embodiment halo, in another embodiment chloro) and all other groups are as defined in the Detailed Description of the Invention.

Scheme 1



[0272] In scheme 1, an intermediate of formula 3 can be prepared by briefly heating commercially available 2,3-dichloroquinoxaline and an intermediate of formula 2 (which are commercially available or can be prepared by one of ordinary skill in the art), a base such as K_2CO_3 , in a solvent, such as DMF or DMSO. Upon completion (about 2 hours), the reaction mixture is then poured into water and followed by 2 N HCl. The product is then extracted into a solvent such as ethyl acetate and washed with water and brine. The organic layers are combined and dried over a drying agent such as sodium sulfate, filtered, and concentrated under vacuum.

[0273] The intermediate of formula 3 is then treated with an intermediate of formula 4 in a solvent such as DMF or p-xylene at reflux temperature. Upon completion of the reaction (about 16 hours or less), the reaction is allowed to cool, extracted into DCM, washed with 2 N HCl and brine, dried over a drying agent such as sodium sulfate or magnesium sulfate, filtered, and concentrated to give a compound of Formula I.

[0274] Alternatively, other methods to prepare quinoxaline derivatives are known to one skilled in the art and include, but are not limited to S. V. Litvinenko, V. I. Savich, D. D. Bobrovnik, Chem. Heterocycl. Compd. (Engl. Transl), 1994, 30, 340 and W. C. Lumma, R. D. Hartman, J. Med. Chem. 1981, 24, 93.

[0275] The following compounds were prepared in a manner similar to that described above.

Example 1: *N*- (3- { [2, 5- bis (methoxy) phenyl] amino} quinoxalin- 2- yl)- 3- nitrobenzenesulfonamide.

Example 2: *N*- (3- { [2, 5- bis (methoxy) phenyl] amino} quinoxalin- 2- yl)- 4- chlorobenzenesulfonamide.

Example 3: *N*- (3- chloroquinoxalin- 2- yl)- 3- nitrobenzenesulfonamide.

Example 4: 4- chloro- *N*- (3- chloroquinoxalin- 2- yl) benzenesulfonamide.

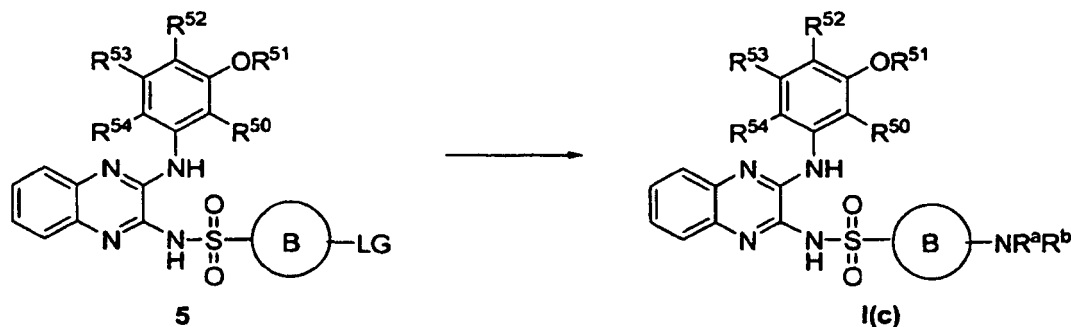
Example 5: 4- chloro- *N*- (3- (2, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) benzenesulfonamide. ^1H NMR (400 MHz, $\text{DMSO}-d_6$) δ 9.18 (s, 1H), 8.78 (s, 1H), 8.40- 8.60 (m, 3H), 7.98 (t, 2H), 7.62 (d, 1H), 7.41 (m, 2H), 6.98 (d, 1H), 6.59 (d, 1H), 3.78 (s, 3H), 3.76 (s, 3H); MS (EI) m/z for $\text{C}_{22}\text{H}_{19}\text{N}_5\text{O}_6\text{S}$: 482.1 (MH $^+$).

Example 6: *N*- (3- (2, 5- dimethoxyphenylamino) quinoxalin- 2- yl)- 3- nitrobenzenesulfonamide. ^1H NMR (400 MHz, CDCl_3) δ 12.68 (br s, 1H), 9.18 (s, 1H), 8.55 (s, 1H), 8.08 (d, 2H), 7.98 (d, 1H), 7.78 (d, 2H), 7.62 (dd, 1H), 7.40 (m, 2H), 7.00 (d, 1H), 6.60 (dd, 1H), 3.78 (s, 6H) ; MS (EI) m/z for $\text{C}_{22}\text{H}_{19}\text{ClN}_4\text{O}_4\text{S}$: 471.1 (MH^+) .

Example 7: *N*- (3- (N- (3- (2- chloro- 5- methoxyphenylamino) quinoxalin- 2- yl) sulfamoyl)- 4- methylphenyl)- 2- (dimethylamino) acetamide. ^1H NMR (400 MHz, $\text{DMSO}-d_6$) δ 12.0 (br s, 1 H), 10.6 (s, 1 H), 10.0 (br s, 1 H), 9.52 (s, 1 H), 8.91 (d, 1 H), 8.25 (d, 1 H), 7.69 (dd, 1H), 7.47 (m, 1 H), 7.39 (d, 1 H), 7.16 (m, 3 H), 6.01 (dd, 1 H) ; MS (EI) m/z for $\text{C}_{26}\text{H}_{27}\text{ClN}_6\text{O}_4\text{S}$: 555 (MH^+) .

[0276] Compounds of Formula I where B is phenyl substituted with R^{3a} where R^{3a} is alkylamino or dialkylamino or B is heteroaryl substituted with R^3 where R^3 is amino, alkylamino, or dialkylamino, and all other groups are as defined in the Summary of the Invention can be prepared according to Scheme 2.

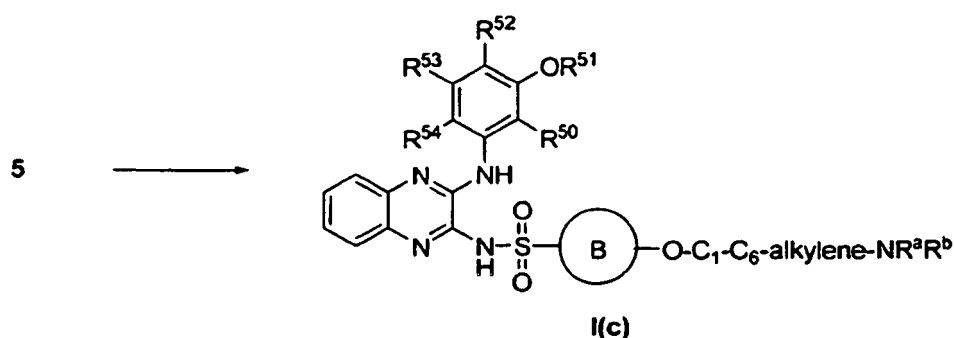
Scheme 2



LG is a leaving group such as chloro. 5 is reacted with NHR^aR^b or $\text{HO}-\text{C}_1-\text{C}_6\text{-alkylene}-\text{NHR}^a\text{R}^b$ where R^a and R^b are independently hydrogen or alkyl. The reaction is carried out in the presence of a base, such as KHCO_3 , in a solvent such as DMF.

[0277] Compounds of Formula I where B is phenyl substituted with R^{3a} where R^{3a} is aminoalkoxy, alkylaminoalkoxy, or dialkylaminoalkoxy or B is heteroaryl substituted with R^3 where R^3 is aminoalkoxy, alkylaminoalkoxy, or dialkylaminoalkoxy, and all other groups are as defined in the Summary of the Invention can be prepared according to Scheme 3.

Scheme 3



The reaction is carried out in the presence of a base such as NaH in a solvent such as DMF.

[0278] Compounds of Formula I where B is phenyl substituted with R^{3a} or B is heteroaryl substituted with R^3 where R^{3a} and R^3 are

- i.- $\text{N}(\text{R}^7)\text{C}(\text{O})-\text{C}_1-\text{C}_6\text{-alkylene}-\text{N}(\text{R}^{7a})(\text{R}^{7b})$ where R^7 , R^{7a} , and R^{7b} are as defined in the Summary of the Invention;
- ii.- $\text{NR}^9\text{C}(\text{O})\text{R}^{9a}$ where R^9 is as defined in the Summary of the Invention;
- iii.- $\text{NR}^{11}\text{C}(\text{O})\text{NR}^{11a}\text{R}^{11b}$ where R^{11a} , R^{11a} , and R^{11b} are as defined in the Summary of the Invention;
- iv.- $\text{NR}^{13}\text{C}(\text{O})\text{OR}^{13a}$ where R^{13} and R^{13a} are as defined in the Summary of the Invention;
- v.- $\text{N}(\text{R}^{18})\text{C}(\text{O})-\text{C}_1-\text{C}_6\text{-alkylene}-\text{N}(\text{R}^{18b})\text{C}(\text{O})\text{R}^{18a}$ where R^{18} , R^{18a} , and R^{18b} are as defined in the Summary of the Invention;
- vi.- $\text{N}(\text{R}^{20})\text{C}(\text{O})-\text{C}_1-\text{C}_6\text{-alkylene}-\text{C}(\text{O})\text{R}^{20a}$ where R^{20} and R^{20a} as defined in the Summary of the Invention;

vii.- $\text{NR}^{21}\text{S}(\text{O})_2\text{-C}_1\text{-C}_6\text{-alkylene-N}(\text{R}^{21\text{b}})\text{R}^{21\text{a}}$ where R^{21} , $\text{R}^{21\text{a}}$, and $\text{R}^{21\text{b}}$ are as defined in the Summary of the Invention;

viii.- $\text{N}(\text{R}^{22})\text{C}(\text{O})\text{-C}_0\text{-C}_6\text{-alkylene-N}(\text{R}^{22\text{b}})\text{-N}(\text{R}^{22\text{c}})(\text{R}^{22\text{a}})$, where R^{22} , $\text{R}^{22\text{a}}$ and $\text{R}^{22\text{b}}$ are as defined in the Summary of the Invention;

ix.- $\text{NR}^{24}\text{C}(\text{O})\text{-C}_1\text{-C}_6\text{-alkylene-OR}^{24}$, where R^{24} and $\text{R}^{24\text{a}}$ are as defined in the Summary of the Invention;

and where the alkylene in R^3 and $\text{R}^{3\text{a}}$ are independently optionally substituted as described in the Summary of the Invention can be prepared according to Scheme 4 by reacting with an intermediate of formula 9(a), 9(b), 9(c), 9(d), 9(e), 9(f), or 9(g):

9 (a) $\text{HOC}(\text{O})\text{-C}_1\text{-C}_6\text{-alkylene-N}(\text{R}^{7\text{a}})(\text{R}^{7\text{b}})$ where R^{a} is $\text{R}^{7\text{a}}$ or a N- protecting group, such as Boc or Fmoc;

9(b) $\text{HOC}(\text{O})\text{R}^{9\text{a}}$;

9 (c) $\text{HOC}(\text{O})\text{NR}^{11\text{a}}\text{R}^{11\text{b}}$;

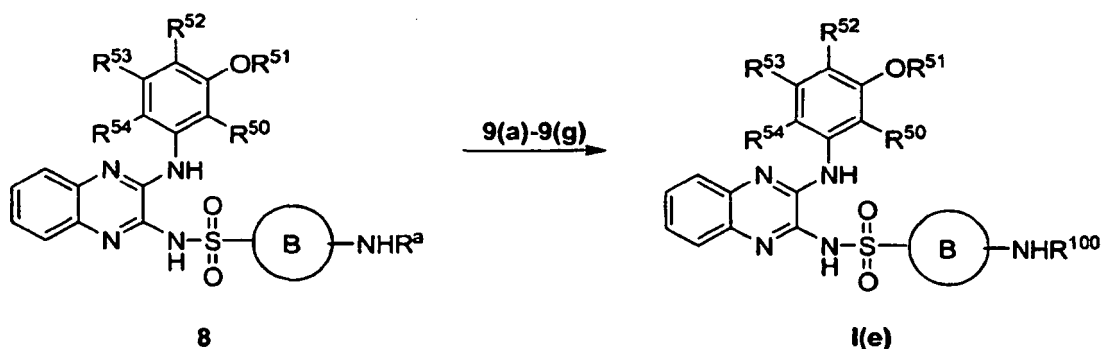
9 (d) $\text{HOC}(\text{O})\text{OR}^{13\text{a}}$;

9 (e) $\text{HOC}(\text{O})\text{-C}_1\text{-C}_6\text{-alkylene-N}(\text{R}^{18\text{b}})\text{C}(\text{O})\text{R}^{18\text{a}}$;

9 (f) $\text{HOC}(\text{O})\text{-C}_1\text{-C}_6\text{-alkylene-C}(\text{O})\text{R}^{20\text{a}}$;

9 (g) $\text{LG-S}(\text{O})_2\text{-C}_1\text{-C}_6\text{-alkylene-N}(\text{R}^{21\text{b}})\text{R}^{\text{a}}$ where R^{a} is $\text{R}^{21\text{a}}$ or a N- protecting group, such as Boc or Fmoc.

Scheme 4



R^{100} in Scheme 4 is: $\text{C}(\text{O})\text{R}^{9\text{a}}$, $\text{-C}(\text{O})\text{NR}^{11\text{a}}\text{R}^{11\text{b}}$, $\text{-C}(\text{O})\text{OR}^{13\text{a}}$, $\text{-C}(\text{O})\text{-C}_1\text{-C}_6\text{-alkylene-N}(\text{R}^{18\text{b}})\text{C}(\text{O})\text{R}^{18\text{a}}$, $\text{-C}(\text{O})\text{-C}_1\text{-C}_6\text{-alkylene-C}(\text{O})\text{R}^{20\text{a}}$, or $\text{-S}(\text{O})_2\text{-C}_1\text{-C}_6\text{-alkylene-N}(\text{R}^{21\text{b}})\text{R}^{\text{a}}$. The reaction is carried out under standard amide coupling conditions known to one of ordinary skill in the art. In particular, the reaction is carried out in the presence of a coupling agent such as HATU, a base such as DIEA, and in a solvent such as DMF. Where applicable, the N- protecting group is then removed using procedures known to one of ordinary skill in the art, such as treating with acid where PG is Boc.

[0279] Proceeding as described for Scheme 4, compounds of the invention where B is phenyl substituted with $\text{R}^{3\text{a}}$ or B is heteroaryl substituted with R^3 where $\text{R}^{3\text{a}}$ and R^3 are

a)- $\text{C}(\text{O})\text{NR}^{8\text{a}}$;

b)- $\text{C}(\text{O})\text{N}(\text{R}^{10})\text{-C}_1\text{-C}_6\text{-alkylene-N}(\text{R}^{10\text{a}})\text{R}^{10\text{b}}$;

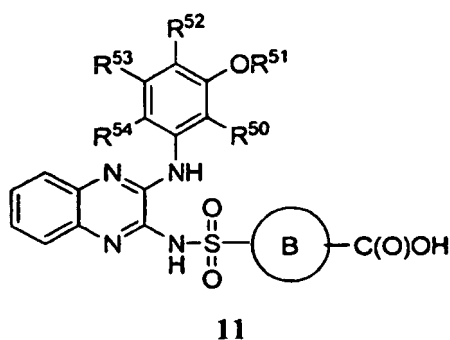
c)- $\text{-C}(\text{O})\text{R}^{12}$ where R^{12} is an N-substituted heterocycloalkyl;

d)- $\text{C}(\text{O})\text{N}(\text{R}^{14})\text{N}(\text{R}^{14\text{a}})(\text{R}^{14\text{b}})$;

e)- $\text{C}(\text{O})\text{N}(\text{R}^{16})\text{-C}_1\text{-C}_6\text{-alkylene-C}(\text{O})\text{OR}^{16\text{a}}$; or

f)- $\text{C}(\text{O})\text{N}(\text{R}^{19})\text{-C}_1\text{-C}_6\text{-alkylene-C}(\text{O})\text{R}^{19\text{a}}$; or

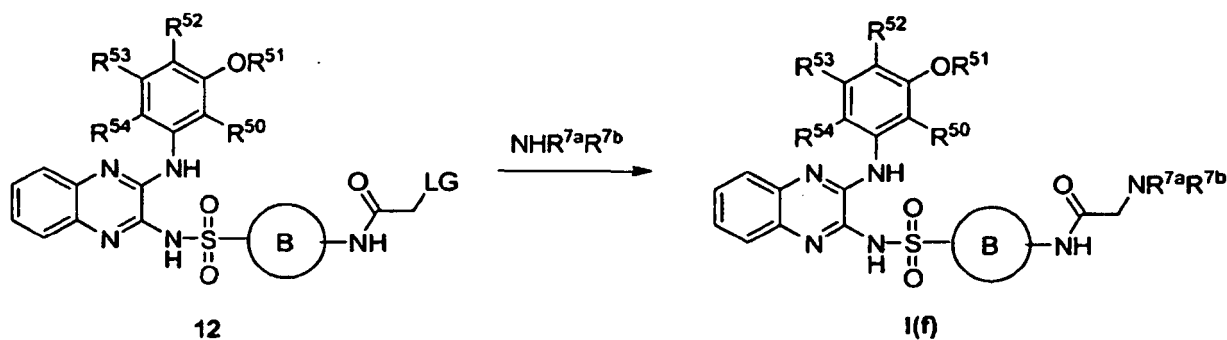
can be prepared by exchanging the starting materials as necessary. In particular, the intermediate of formula 11:



is used instead of 8.

[0280] Compounds of Formula I where B is phenyl substituted with R^{3a} or B is heteroaryl substituted with R^3 where R^{3a} and R^3 are- $\text{NHC}(\text{O})\text{CH}_2\text{NR}^{7a}\text{R}^{7b}$ where R^{7a} and R^{7b} are as defined in the Summary of the Invention can be prepared according to Scheme 5.

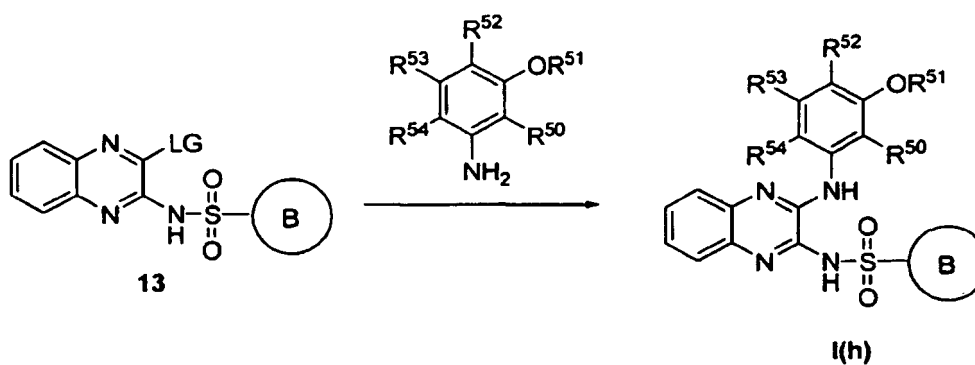
Scheme 5



LG is a leaving group such as bromo or chloro. 12 is reacted with $\text{NH}(\text{R}^{7b})\text{R}^{7a}$ in the presence of a base, such as DIEA, in a solvent such as ACN.

[0281] Compounds of Formula I can be prepared according to Scheme 6.

Scheme 6

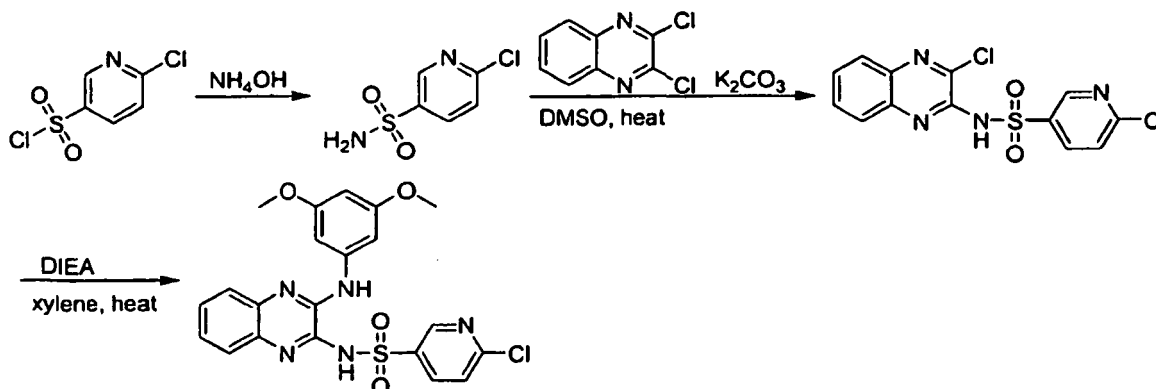


LG in Scheme 6 is a leaving group such as chloro. The reaction can be carried out by irradiating in a solvent such as DMA. Alternatively, the reaction can be carried out in the presence of acetic acid in a solvent such as DMA and by heating.

Example 8

6-chloro-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)pyridine-3-sulfonamide

[0282]



[0283] 6-chloropyridine-3-sulfonamide. 6-chloropyridine-3-sulfonyl chloride (4.1 g, 19.3 mmol) was stirred in ammonium hydroxide (30 mL) at room temperature for 2 hr. The reaction mixture was diluted with EtOAc (150 mL) and any insoluble material filtered. The filtrate was transferred to a separatory funnel and the phases were separated. The aqueous phase was further extracted with EtOAc (1 x 15 mL). The combined EtOAc extractions were washed with H₂O (1 x 50 mL) and saturated NaCl (1 x 50 mL), dried over Na₂SO₄, and concentrated *in vacuo* to give 6-chloropyridine-3-sulfonamide (2.58 g, 69%). MS (EI) *m/z* for C₅H₅Cl₂N₂O₂S: 190.9 (MH⁺).

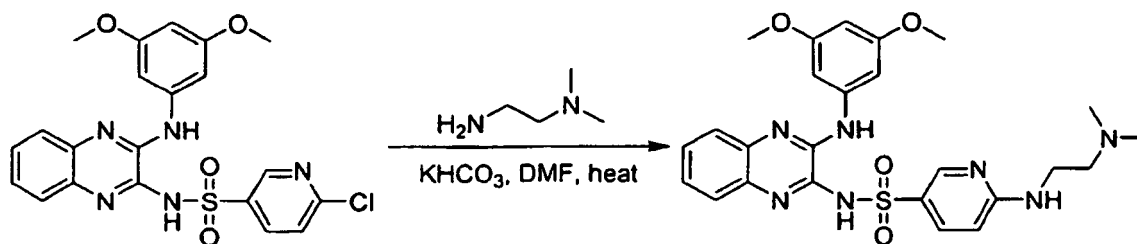
[0284] 6-chloro-*N*-(3-chloroquinoxalin-2-yl)pyridine-3-sulfonamide. 2,3-dichloroquinoxaline (1.09 g, 5.48 mmol), 6-chloropyridine-3-sulfonamide (1.05 g, 5.45 mmol), K₂CO₃ (753 mg, 5.45 mmol) and dry DMSO (30 mL) were combined and heated to 150 °C with vigorous stirring for 3-4 hr. The reaction mixture was allowed to cool to room temperature, then poured into 1% AcOH in ice water (300 mL) with vigorous stirring. The resulting solids were filtered, washed with H₂O and dried under high vacuum to give 6-chloro-*N*-(3-chloroquinoxalin-2-yl)pyridine-3-sulfonamide (1.87 g, 96%). MS (EI) *m/z* for C₁₃H₈Cl₂N₄O₂S: 354.99 (MH⁺).

[0285] 6-chloro-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)pyridine-3-sulfonamide. 6-chloro-*N*-(3-chloroquinoxalin-2-yl)pyridine-3-sulfonamide (775 mg, 2.2 mmol), 3,5-dimethoxyaniline (355 mg, 2.3 mmol) and toluene (12 mL) were combined and heated to 125 °C with stirring overnight. The reaction was allowed to cool to room temperature and diluted with Et₂O with vigorous stirring. The resulting solids were filtered, washed with Et₂O and dried to give 6-chloro-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)pyridine-3-sulfonamide (920 mg, 89%). ¹H NMR (400 MHz, DMSO-*d*₆) δ 12.20 (br s, 1H), 9.12 (d, 1H), 9.01 (br s, 1H), 8.53 (dd, 1H), 7.91 (br d, 1H), 7.77 (d, 1H), 7.60 (dd, 1H), 7.40 (m, 4H), 6.26 (m, 1H), 3.78 (s, 6H). MS (EI) *m/z* for C₂₁H₁₈ClN₅O₄S: 472.0 (MH⁺).

Example 9

N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-6-(2-(dimethylamino)ethylamino)pyridine-3-sulfonamide

[0286]



[0287] 6-chloro-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-pyridine-3-sulfonamide (100 mg, 0.21 mmol), prepared using procedures similar to those used in Example 8, KHCO₃ (40 mg, 0.40 mmol), *N*¹, *N*¹-dimethylethane-1,2-diamine (225 μL, 2.0 mmol) and dry DMF (1.0 mL) were combined and heated to 130 °C with stirring overnight. The

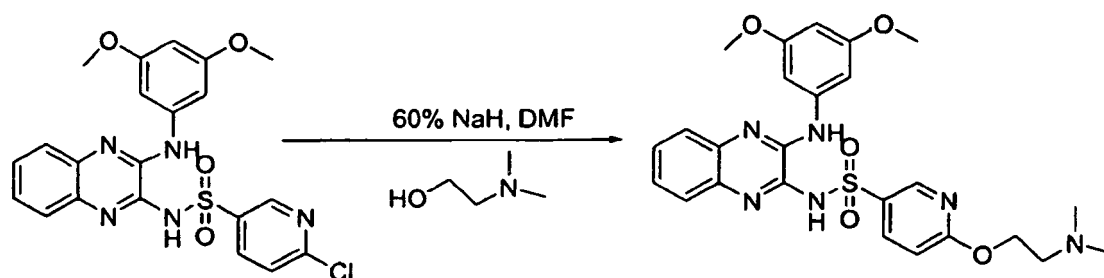
reaction mixture was concentrated *in vacuo* and purified by preparative HPLC to give *N*-(3-(3,5-dimethoxy-phenylamino)-quinoxalin-2-yl)-6-(2-(dimethylamino)ethylamino)pyridine-3-sulfonamide (21.0 mg, 19%). ¹H NMR (400 MHz, DMSO-*d*₆) δ 8.76 (br s, 1H), 8.63 (d, 1H), 8.07 (dd, 1H), 7.40 (m, 1H), 7.34 (m, 1H), 7.28 (d, 2H), 7.14 (m, 4H), 6.47 (d, 1H), 6.12 (m, 1H), 3.75 (s, 6H), 3.35 (m, 2H), 3.14 (m, 2H), 2.74 (s, 6H). MS (EI) *m/z* for C₂₅H₁₉N₇O₄S: 524.1 (MH⁺).

[0288] Example 10: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-6-(dimethylamino)pyridine-3-sulfonamide was prepared using procedures similar to those used in Example 9. ¹H NMR (400 MHz, DMSO-*d*₆) δ 12.00 (br s, 1H), 8.92 (br s, 1H), 8.74 (d, 1H), 8.10 (dd, 1H), 7.38 (br s, 1H), 7.54 (m, 1H), 7.33 (m, 4H), 6.70 (d, 1H), 6.22 (s, 1H), 3.77 (s, 6H), 3.08 (s, 6H). MS (EI) *m/z* for C₂₃H₂₄N₆O₄S: 481.1 (MH⁺).

Example 11

N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-6-(2-(dimethylamino)ethoxy)pyridine-3-sulfonamide

[0289]

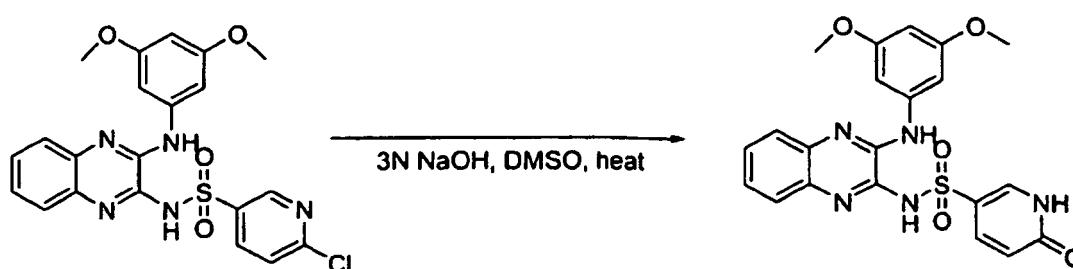


[0290] *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)pyridine-3-sulfonamide (100 mg, 0.21 mmol), prepared using procedures similar to those described above in Example 1, 2-(dimethylamino)ethanol (50 μL, 0.50 mmol) and dry DMF were combined and 60% NaH in oil (80 mg, 2.0 mmol) was added. The mixture was stirred at room temperature overnight. The reaction mixture was concentrated *in vacuo* and purified by preparative HPLC to give *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-6-(2-(dimethylamino)ethoxy)pyridine-3-sulfonamide (23 mg, 21%). ¹H NMR (400 MHz, DMSO-*d*₆) δ 8.78 (d, 1H), 8.73 (s, 1H), 8.38 (dd, 1H), 7.40 (dd, 1H), 7.31 (m, 3H), 7.14 (m, 2H), 6.85 (d, 1H), 6.12 (m, 1H), 4.56 (m, 2H), 3.76 (s, 6H), 3.43 (m, 2H), 2.77 (s, 6H). MS (EI) *m/z* for C₂₅H₂₈N₆O₅S: 525.1 (MH⁺).

Example 12

N-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide

[0291]



[0292] *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)pyridine-3-sulfonamide (220 mg, 0.47 mmol), prepared using procedures similar to those described above in Example 8, DMSO (5 mL), and 3N NaOH (5 mL) are combined and heated to 100 °C overnight with stirring. Upon cooling to room temperature, the reaction mixture was diluted with H₂O and the pH was adjusted to 7.0 with 1N HCl. The resulting solid was filtered, washed with H₂O, and air-dried. The solid was then sonicated in EtOAc, filtered, washed with EtOAc, and dried under high vacuum to give *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-sulfonamide (190 mg, 90%). ¹H NMR (400 MHz, DMSO-*d*₆) δ 12.23 (br s, 1H), 12.10 (br s, 1H), 8.97 (s, 1H), 8.23 (s, 1H), 7.95 (m, 2H), 7.59 (m, 1H), 7.37 (m, 4H), 6.43 (d, 1H), 6.25 (s, 1H), 3.77 (s, 6H). MS (EI) *m/z* for C₂₁H₁₉N₅O₅S: 454.0 (MH⁺).

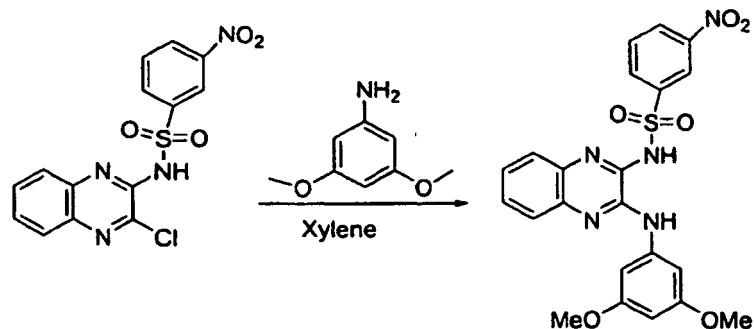
Example 13: *N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)-6-oxo-1,6-dihydropyridine-3-

sulfonamide. The title compound was prepared according to the above Example 12. ^1H NMR (400 MHz, $\text{DMSO}-d_6$) δ 12.22 (br s, 1H), 12.10 (br s, 1H), 9.16 (s, 1H), 8.60 (s, 1H), 8.14 (d, 1H), 7.94 (m, 1H), 7.85 (dd, 1H), 7.62 (m, 1H), 7.40 (m, 3H) 6.69 (dd, 1H), 6.43 (d, 1H), 3.81 (s, 3H) . MS (EI) m/z for $\text{C}_{20}\text{H}_{16}\text{ClN}_5\text{O}_4\text{S}$: 456.0 (MH^+) .

Example 14

3-amino-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide.

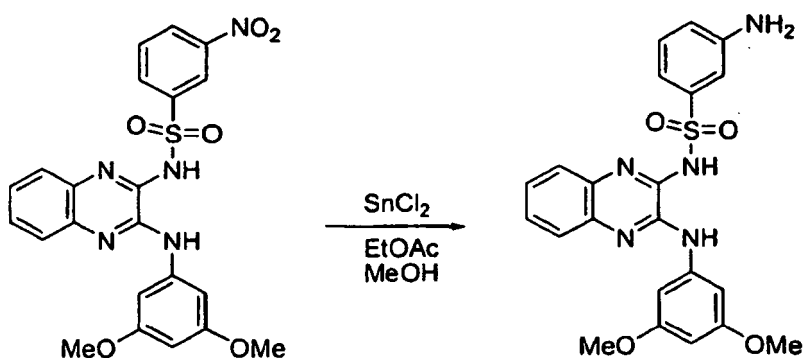
[0293]



[0294] ***N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide.** A flask was charged with *N*-(3-chloroquinoxalin-2-yl)-3-nitrobenzenesulfonamide (5 g, 13.7 mmol), prepared using procedures similar to those in Example 1, 3,5-dimethoxyaniline (4.2 g, 27.4 mmol), and 80 mL of xylene. The reaction mixture was stirred under an N_2 atmosphere at 150°C for 3 hours, after which time, solvent was removed on a rotary evaporator, and 10 mL of Dichloromethane and 50 mL of methanol were added. The slurry was heated to reflux and filtered while hot, resulting in 4.6 g (69.7 %) of *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide MS (EI) m/z for $\text{C}_{22}\text{H}_{19}\text{N}_5\text{O}_6\text{S}$: 482.2 (MH^+) .

Example 15: 3-amino-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide

[0295]



[0296] A flask was charged with *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide (3.4g, 7.06 mmol), prepared using procedures similar to those in Example 14, tin chloride solvate (6.4 g, 28.2 mmol), and 30 mL of DMA. A few drops of water were added and the reaction mixture was stirred at 80°C for 3 hours, after which time, solvent was removed on a rotary evaporator, and 50 mL of water and 10 mL of Methanol were added. The slurry was filtered, and the filtrate was washed with MeOH, water, and diethyl ether (20 mL of each), resulting in 3.25 g 3-amino-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. ^1H NMR (400 MHz, DMSO) δ 12.2 (br s, 1H), 8.85 (s, 1H), 7.90 (br s, 1H), 7.50- 7.60 (m, 1H), 7.3- 7.4 (m, 4H), 7.2 (m, 3H), 6.74 (m, 1H), 6.24 (m, 1H), 5.56 (br s, 2H), 3.76 (s, 6H) . MS (EI) m/z for $\text{C}_{22}\text{H}_{21}\text{N}_5\text{O}_4\text{S}$: 452.0 (MH^+) .

[0297] The following compounds were made using procedures similar to those used in Example 15.

Example 16: Proceeding as above, 3-amino-*N*-(3-(2,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide was prepared. ^1H NMR (400 MHz, DMSO) δ 12.4 (br s, 1H), 9.20 (s, 1H), 8.56 (d, 1H), 7.95 (d, 1H), 7.62 (m, 1H),

7.38 (m, 2H), 7.24 (q, 2H), 7.14 (d, 1H), 6.98 (d, 1H), 6.8 (m, 1H), 6.60 (m, 1H), 5.6 (br s, 2H), 3.78 (d, 6H) . MS (EI) m/z for $C_{22}H_{21}N_5O_4S$: 452.3 (MH⁺) .

Example 17: Proceeding as above, **3-amino-*N*-(3-(2-chloro-5-hydroxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide** was prepared. MS (EI) m/z for $C_{20}H_{16}ClN_5O_3S$ 1.0 x $C_2H_4O_2F_3$: 442.2, 444.2 (MH⁺) .

Example 18: Proceeding as above, **3-amino-*N*-(3-(6-methoxyquinolin-8-ylamino)quinoxalin-2-yl)benzenesulfonamide** was prepared. MS (EI) m/z for $C_{24}H_{20}N_6O_3S$: 473.0 (MH⁺) .

Example 19: **3-amino-*N*-(3-(3-fluoro-5-methoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide**. MS (EI) m/z for $C_{21}H_{18}FN_5O_3S$: 439.99 (MH⁺) .

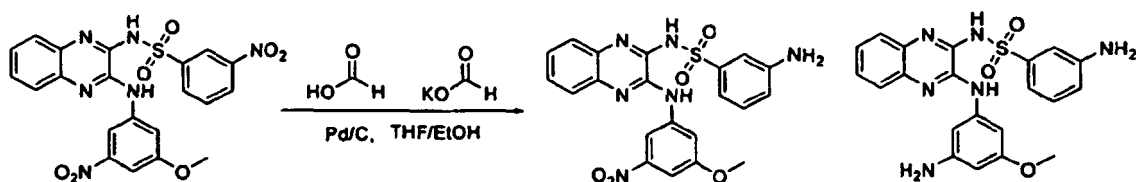
Example 20: **3-amino-*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide**. MS (EI) m/z for $C_{21}H_{18}ClN_5O_3S$: 457.02 (MH⁺) .

Example 21: **3-amino-*N*-(3-(5-methoxy-2-methyl-phenylamino)quinoxalin-2-yl)benzenesulfonamide**. MS (EI) m/z for $C_{22}H_{21}N_5O_3S$: 436.32 (MH⁺) .

Example 22a and Example 22b

3-amino-*N*-(3-(3-methoxy-5-nitro-phenylamino)quinoxalin-2-yl)benzenesulfonamide and **3-amino-*N*-(3-(3-amino-5-methoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide**

[0298]

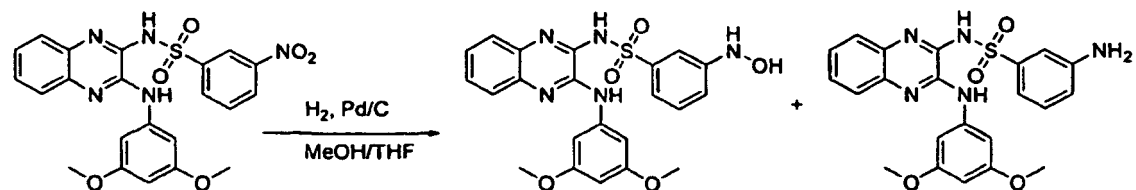


[0299] To a mixture of *N*-(3-{[3-(methoxy)-5-nitrophenyl]amino}quinoxalin-2-yl)-3-nitrobenzenesulfonamide (400 mg), THF (2 mL) and EtOH (2 mL) was added formic acid (938 μ L), potassium formate (203 mg) . After the mixture was flushed with N₂, 10%wt Pd/C (50 mg) was added. The resulting mixture was heated at 60 °C with stirring. LC/MS analysis indicated that the reaction mixture contained the complete reduced diamino compound as the major product and the partially reduced mono-amino compound as a minor product. A portion of the crude mixture was purified by HPLC to give the two products. Product A: 3-amino-*N*-(3-(3-methoxy-5-nitro-phenylamino)quinoxalin-2-yl)benzenesulfonamide. ¹H NMR (400 MHz, DMSO) δ 12.2 (br s, 1H), 9.51 (s, 1H), 8.77 (s, 1H), 8.21 (s, 1H), 7.92 (s, 1H), 7.48 (m, 1H), 7.43-7.38 (m, 3H), 7.24-7.16 (m, 3H), 6.75 (d, 1H), 5.57 (br s, 2H), 3.90 (s, 3H) . MS (EI) for $C_{21}H_{18}N_6O_5S$: 467.00 (MH⁺) . Product B: 3-amino-*N*-(3-(3-amino-5-methoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide. ¹H NMR (400 MHz, DMSO) δ 12.0 (br. s, 1H), 8.53 (s, 1H), 7.84 (s, 1H), 7.56 (d, 1H), 7.37-7.30 (m, 2H), 7.21-7.17 (m, 3H), 6.87 (s, 1H), 6.81 (s, 1H), 6.74 (br s, 2H), 5.91 (s, 1H), 5.56 (br s, 3H), 3.69 (s, 3H) . MS (EI) for $C_{21}H_{20}N_6O_3S$: 437.2 (MH⁺) .

Example 23a and Example 23b

***N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-3-(hydroxyamino)-benzenesulfonamide** and **3-amino-*N*-(3-{[3,5-(dimethoxy)phenyl]amino}quinoxalin-2-yl)benzenesulfonamide**

[0300]



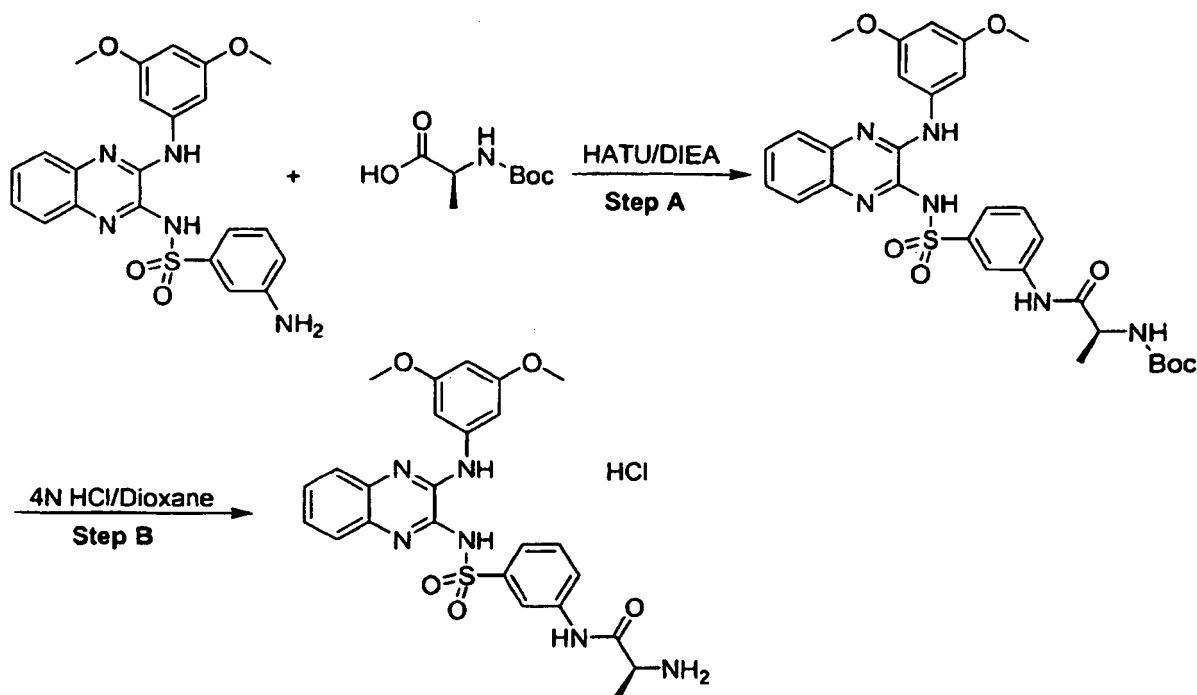
[0301] To a solution *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide (1.3g) in 20 mL of THF and 10 mL of MeOH was added 10%wt Pd/C (100 mg) . The mixture was stirred under a H₂ balloon overnight.

A portion of the reaction mixture was taken out and filtered, then purified by HPLC to afford two products. Product A: *N*-(3- { [3, 5- bis (methoxy) phenyl] amino} quinoxalin- 2- yl)- 3- (hydroxyamino) benzenesulfonamide. MS (EI) for $C_{22}H_{21}N_5O_5S$: 468.1 (MH⁺) . Product B: 3- amino- *N*-(3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) benzenesulfonamide. ¹H NMR (400 MHz, DMSO) δ 12.2 (br s, 1H), 8.85 (s, 1H), 7.90 (br s, 1H), 7.50- 7.60 (m, 1H), 7.3- 7.4 (m, 4H), 7.2 (m, 3H), 6.74 (m, 1H), 6.24 (m, 1H), 5.56 (br s, 2H), 3.76 (s, 6H) . MS (EI) for $C_{22}H_{21}N_5O_4S$: 452.0 (MH⁺) .

Example 24

(S)-2-amino-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)propanamide hydrochloride.

[0302]



[0303] (S)-tert-butyl 1-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenylamino)-1-oxopropan-2-ylcarbamate. 3- amino- *N*-(3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) benzenesulfonamide (1.1 mmol, 500 mg), prepared using procedures similar to those described above in Example 15, (L)- Boc- Ala- OH (1.5 mmol, 284 mg), dichloromethane (15 mL), DMF (10 mL), DIEA (2 mmol, 330 μ L), and HATU (2 mmol, 760 mg) stirred at room temperature over night. The crude mixture was column purified using 1/1 ethyl acetate/ hexanes on silica to gave 160 mg.

[0304] (S)-2-amino-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)propanamide hydrochloride. 4 N HCl in dioxane (10 mL) was added to a solution of (S)-tert-butyl 1-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenylamino)-1-oxopropan-2-ylcarbamate (160 mg) and DCM (15 mL) . The mixture was stirred at room temperature for 3 hours. The solvent decanted and ether added to the solid, ether decanted to gave 80 mg product as HCl salt. ¹H NMR (400 MHz, CD₃OD) δ 8.50- 8.49 (t, 1H), 7.89- 7.87 (m, 1H), 7.74- 7.72 (m, 1H), 7.61- 7.5 (m, 3H), 7.40- 7.36 (m, 2H), 7.21- 7.20 (d, 2H), 6.23- 6.21 (t, 1H), 4.09- 4.03 (q, 1H), 3.78 (s, 6H), 1.60- 1.58 (d, 3H) ; MS (EI) m/z for $C_{25}H_{26}N_6O_5S \cdot HCl$: 523.1 (MH⁺) .

[0305] The following compounds were prepared as the free amine and/or HCl salt using procedures similar to those in Example 24. Where the deprotection step is not necessary, Step B in the above scheme was not preformed.

Example 25: *N*-(2-chloro-5-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)acetamide. The title compound was prepared according to the Examples above. ¹H NMR (400 MHz, DMSO- *d*₆) δ 10.50 (s, 1H), 9.14 (s, 1H), 9.03 (m, 2H), 8.63 (d, 1H), 8.44 (d, 1H), 7.98 (m, 1H), 7.91 (dd, 1H), 7.80 (d, 1H), 7.67 (m, 1H), 7.44 (m, 3H), 6.71 (dd, 1H), 4.06 (m, 2H), 3.83 (s, 3H), 2.64 (t, 3H) . MS (EI) m/z for $C_{24}H_{22}Cl_2N_6O_4S$: 561.0 (MH⁺) .

Example 26: (S)- 2- amino- N- (3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) propanamide hydrochloride. ^1H NMR (400 MHz, CD_3OD) δ 8.72- 8.71 (d, 1H), 8.48- 8.46 (t, 1H), 7.86- 7.84 (m, 1H), 7.80- 7.78 (m, 1H), 7.63- 7.59 (m, 2H), 7.58- 7.55 (t, 1H), 7.41- 7.38 (m, 2H), 7.24- 7.22 (d, 1H), 6.60- 6.58 (dd, 1H), 4.10- 4.04 (q, 1H), 3.83 (s, 3H), 1.61- 1.60 (d, 3H) ; MS (EI) m/z for $\text{C}_{24}\text{H}_{23}\text{ClN}_6\text{O}_4\text{S}\cdot\text{HCl}$: 527.2 (MH^+) .

Example 27: (S)- 2- amino- N- (3- (N- (3- (2- chloro- 5- methoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) butanamide hydrochloride. ^1H NMR (400 MHz, CD_3OD) δ 8.74- 8.73 (d, 1H), 8.80- 8.47 (t, 1H), 7.87- 7.85 (m, 1H), 7.80- 7.78 (m, 1H), 7.67- 7.61 (m, 2H), 7.59- 7.55 (t, 1H), 7.42- 7.39 (m, 2H), 7.26- 7.24 (d, 1H), 6.62- 6.59 (dd, 1H), 3.96- 3.93 (t, 1H), 3.84 (s, 3H), 2.02- 1.94 (m, 2H), 1.09- 1.06 (t, 3H) ; MS (EI) m/z for $\text{C}_{25}\text{H}_{25}\text{ClN}_6\text{O}_4\text{S}\cdot\text{HCl}$: 541.3 (MH^+) .

Example 28: (S)- N- (3- (N- (3- (2- chloro- 5- methoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) pyrrolidine- 2- carboxamide hydrochloride. ^1H NMR (400 MHz, CD_3OD) δ 8.78- 8.77 (d, 1H), 8.47- 8.46 (t, 1H), 7.87- 7.85 (m, 1H), 7.80- 7.75 (m, 1H), 7.69- 7.65 (m, 2H), 7.59- 7.55 (t, 1H), 7.45- 7.41 (m, 2H), 7.31- 7.28 (d, 1H), 6.65- 6.63 (dd, 1H), 4.42- 4.38 (m, 1H), 3.86 (s, 3H), 3.48- 3.42 (m, 2H), 2.55- 2.49 (m, 1H), 2.18- 2.08 (m, 3H) ; MS (EI) m/z for $\text{C}_{26}\text{H}_{25}\text{ClN}_6\text{O}_4\text{S}\cdot\text{HCl}$: 553.3 (MH^+) .

Example 29: (S)- N- (3- (N- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) pyrrolidine- 2- carboxamide hydrochloride. ^1H NMR (400 MHz, CD_3OD) δ 10.62 (br s, 1H), 8.50- 8.49 (t, 1H), 7.90- 7.87 (m, 1H), 7.76- 7.73 (m, 1H), 7.63- 7.58 (m, 3H), 7.43- 7.35 (m, 2H), 7.14 (s, 2H), 6.27- 6.26 (t, 1H), 4.43- 4.38 (m, 1H), 3.78 (s, 6H), 3.48- 3.41 (m, 1H), 3.40- 3.36 (m, 1H), 2.54- 2.48 (m, 1H), 2.19- 2.05 (m, 3H) ; MS (EI) m/z for $\text{C}_{27}\text{H}_{28}\text{N}_6\text{O}_5\text{S}\cdot\text{HCl}$: 549.3 (MH^+) .

Example 30: (R)- 2- amino- N- (3- (N- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 3- hydroxypropanamide hydrochloride. ^1H NMR (400 MHz, CD_3OD) δ 8.49- 8.48 (t, 1H), 7.89- 7.87 (m, 1H), 7.75- 7.72 (m, 1H), 7.65- 7.62 (m, 2H), 7.62- 7.55 (t, 1H), 7.44- 7.38 (m, 2H), 7.23- 7.22 (d, 2H), 6.27- 6.26 (t, 1H), 4.07- 4.05 (m, 1H), 3.99- 3.93 (m, 2H), 3.80 (s, 6H) ; MS (EI) m/z for $\text{C}_{25}\text{H}_{26}\text{N}_6\text{O}_6\text{S}\cdot\text{HCl}$: 539.1 (MH^+) .

Example 31: N- (3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) piperidine- 3- carboxamide hydrochloride. ^1H NMR (400 MHz, CD_3OD) δ 8.79- 8.78 (d, 1H), 8.45 (m, 1H), 7.83- 7.81 (d, 1H), 7.76- 7.74 (m, 1H), 7.636 (m, 2H), 7.54- 7.50 (t, 1H), 7.41 (m, 2H), 7.30- 7.28 (d, 1H), 6.65- 6.62 (dd, 1H), 3.86 (s, 3H), 3.40- 3.32 (m, 2H), 3.20- 3.13 (m, 3H), 2.93 (m, 1H), 2.15- 2.11 (m, 1H), 1.98- 1.93 (m, 2H), 1.83 (m, 1H) ; MS (EI) m/z for $\text{C}_{27}\text{H}_{27}\text{ClN}_6\text{O}_4\text{S}\cdot\text{HCl}$: 567.3 (MH^+) .

Example 32: (S)- 2- amino- N- (3- (N- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) butanamide hydrochloride. MS (EI) m/z for $\text{C}_{26}\text{H}_{28}\text{N}_6\text{O}_5\text{S}\cdot\text{HCl}$: 537.1 (MH^+) .

Example 33: (R)- N- (3- (N- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) pyrrolidine- 2- carboxamide hydrochloride. MS (EI) m/z for $\text{C}_{27}\text{H}_{28}\text{N}_6\text{O}_5\text{S}\cdot\text{HCl}$: 549.1 (MH^+) .

Example 34: (R)- N- (3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) pyrrolidine- 2- carboxamide hydrochloride. MS (EI) m/z for $\text{C}_{26}\text{H}_{25}\text{ClN}_6\text{O}_4\text{S}\cdot\text{HCl}$: 553 (MH^+) .

Example 35: (R)- 2- amino- N- (3- (N- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) propanamide. ^1H NMR (400 MHz, $\text{DMSO}-d_6$) δ 10.2 (br s, 1H), 8.82 (s, 1H), 8.27 (m, 1H), 7.75 (m, 2H), 7.33 (m, 5H), 7.13 (m, 2H), 6.14 (t, 1H), 3.77 (s, 6H), 1.39 (d, 3H) ; MS (EI) m/z for $\text{C}_{25}\text{H}_{26}\text{N}_6\text{O}_5\text{S}$: 523 (MH^+) .

Example 36: N- (3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (methylamino) acetamide. ^1H NMR (400 MHz, $\text{DMSO}-d_6$) δ 10.6 (s, 1H), 9.48 (s, 1H), 8.95 (br s, 1H), 8.75 (br s, 1H), 8.19 (br s, 1H), 7.77 (dd, 1H), 7.69 (dd, 1H), 7.41 (m, 4H), 7.17 (m, 2H), 6.60 (dd, 1H), 3.91 (s, 2H), 3.82 (s, 6H), 2.62 (s, 3H) ; MS (EI) m/z for $\text{C}_{24}\text{H}_{23}\text{ClN}_6\text{O}_4\text{S}$: 527 (MH^+) .

Example 37: (R)- 2- amino- N- (3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) propanamide. ^1H NMR (400 MHz, $\text{DMSO}-d_6$) δ 10.5 (s, 1H), 9.47 (s, 1H), 8.95 (d, 1H), 8.22 (d, 2H), 8.14 (br s, 2H), 7.76 (m, 2H), 7.40 (m, 4H), 7.17 (m, 2H), 6.60 (m, 1H), 3.97 (q, 1H), 3.96 (s, 3H), 1.45 (d, 3H) ; MS (EI) m/z for $\text{C}_{24}\text{H}_{23}\text{ClN}_6\text{O}_4\text{S}$: 527 (MH^+) .

Example 38: 2- amino- N- (3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- methylpropanamide. ^1H NMR (400 MHz, $\text{DMSO}-d_6$) δ 10.1 (s, 1H), 9.46 (s, 1H), 8.95 (d, 1H), 8.50 (br s, 1H), 8.27 (m, 1H), 7.81 (m, 2H), 7.47 (m, 1H), 7.37 (m, 3H), 7.17 (m, 2H), 6.61 (dd, 1H), 3.83 (s, 3H), 1.60 (s, 6H) ; MS (EI) m/z for $\text{C}_{25}\text{H}_{25}\text{ClN}_6\text{O}_4\text{S}$: 541 (MH^+) .

Example 39: 2- amino- N- (3- (N- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- methylpropanamide. ^1H NMR (400 MHz, $\text{DMSO}-d_6$) δ 10.33 (s, 1H), 8.89 (s, 1H), 8.32 (br s, 4H), 7.92 (m, 3H), 7.59 (m, 2H), 7.37 (m, 4H), 6.24 (s, 1H), 3.76 (s, 6H), 1.61 (s, 6H) ; MS (EI) m/z for $\text{C}_{26}\text{H}_{28}\text{N}_6\text{O}_5\text{S}$: 537 (MH^+) .

Example 40: N- (3- (N- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- 4- methylphenyl)- 2- (dimethylamino) acetamide. ^1H NMR (400 MHz, $\text{DMSO}-d_6$) δ 10.58 (s, 1H), 9.80 (br s, 1H), 8.85 (s, 1H), 8.25 (s, 1H), 7.67 (dd, 1H), 7.30 (m, 7H), 6.16 (m, 1H), 4.02 (br s, 2H), 3.77 (s, 6H), 2.81 (s, 6H), 2.54 (s, 3H) ; MS (EI) m/z for $\text{C}_{27}\text{H}_{30}\text{N}_6\text{O}_5\text{S}$: 551 (MH^+) .

Example 41: N- (3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- ((2- (dimethylamino) ethyl) (methyl) amino) acetamide. ^1H NMR (400 MHz, $\text{DMSO}-d_6$) δ 10.0 (s, 1H), 9.48 (s, 1H), 8.96 (d, 1H), 8.16 (m, 1H), 7.76 (m, 2H), 7.39 (m, 4H), 7.17 (m, 2H), 6.61 (dd, 1H), 3.82 (s, 3H), 3.40 (br s, 2H), 2.94 (br s, 2H), 2.71 (br t, 2H), 2.60 (s, 6H), 2.33 (s, 3H) ; MS (EI) m/z for $\text{C}_{28}\text{H}_{32}\text{ClN}_7\text{O}_4\text{S}$: 598 (MH^+) .

Example 42: 2-amino- *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. ^1H NMR (400 MHz, DMSO- d_6) δ 10.5 (s, 1 H), 9.48 (s, 1 H), 8.94 (s, 1 H), 8.15 (s, 1 H), 8.06 (br s, 3 H), 7.74 (m, 2 H), 7.39 (m, 4 H), 7.18 (m, 2 H), 6.61 (dd, 1 H), 3.83 (s, 3 H), 3.77 (s, 2 H); MS (EI) m/z for $\text{C}_{23}\text{H}_{21}\text{ClN}_6\text{O}_4\text{S}$: 513 (MH^+).

Example 43: *N*-(3-(*N*-(3-(2-acetyl-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide. ^1H NMR (400 MHz, DMSO- d_6) δ 12.4 (s, 1 H), 10.5 (s, 1 H), 9.27 (s, 1 H), 8.25 (s, 1 H), 8.01 (d, 1 H), 7.82 (d, 1 H), 7.71 (d, 1 H), 7.42 (m, 3 H), 7.21 (m, 2 H), 6.63 (dd, 1 H), 3.91 (m, 5 H), 2.75 (s, 6 H), 2.61 (s, 3 H); MS (EI) m/z for $\text{C}_{27}\text{H}_{28}\text{N}_6\text{O}_5\text{S}$: 549 (MH^+).

Example 44: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)formamide. ^1H NMR (400 MHz, DMSO- d_6) δ 12.6 (s, 1 H), 10.5 (s, 1 H), 9.16 (s, 1 H), 8.53 (br s, 1 H), 8.35 (m, 2 H), 8.02 (s, 1 H), 7.56 (m, 7 H), 6.70 (dd, 1 H), 3.83 (s, 3 H); MS (EI) m/z for $\text{C}_{22}\text{H}_{18}\text{ClN}_5\text{O}_4\text{S}$: 484 (MH^+).

Example 45: 2-amino- *N*-(5-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-2-methylphenyl)acetamide. ^1H NMR (400 MHz, DMSO- d_6) δ 12.4 (s, 1 H), 10.1 (br s, 1 H), 8.82 (s, 1 H), 8.20 (m, 3 H), 7.82 (m, 1 H), 7.30 (m, 6 H), 6.20 (s, 1 H), 3.85 (s, 2 H), 3.77 (s, 6 H), 2.26 (s, 3 H); MS (EI) m/z for $\text{C}_{25}\text{H}_{26}\text{N}_6\text{O}_5\text{S}$: 523 (MH^+).

Example 46: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methyl-2-(methylamino)propanamide. ^1H NMR (400 MHz, DMSO- d_6) δ 10.09 (s, 1 H), 9.46 (s, 1 H), 8.95 (m, 3 H), 8.28 (s, 1 H), 7.81 (m, 2 H), 7.41 (m, 4 H), 7.17 (m, 2 H), 6.60 (dd, 1 H), 3.82 (s, 3 H), 2.53 (s, 3 H), 1.60 (s, 6 H); MS (EI) m/z for $\text{C}_{26}\text{H}_{27}\text{ClN}_6\text{O}_4\text{S}$: 555 (MH^+).

Example 47: (S)- *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(methylamino)propanamide. ^1H NMR (400 MHz, DMSO- d_6) δ 10.61 (s, 1 H), 9.47 (s, 1 H), 8.95 (s, 1 H), 8.82 (br s, 2 H), 8.27 (m, 1 H), 7.74 (m, 2 H), 7.42 (m, 4 H), 7.17 (m, 2 H), 6.60 (dd, 1 H), 3.90 (m, 1 H), 3.82 (s, 3 H), 2.59 (s, 3 H), 1.49 (d, 3 H); MS (EI) m/z for $\text{C}_{25}\text{H}_{25}\text{ClN}_6\text{O}_4\text{S}$: 541 (MH^+).

Example 48: 3-amino- *N*-(5-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-2-methylphenyl)propanamide. ^1H NMR (400 MHz, DMSO- d_6) δ 12.25 (s, 1 H), 9.77 (s, 1 H), 8.82 (s, 1 H), 7.84 (m, 5 H), 7.50 (d, 1 H), 7.37 (m, 5 H), 6.22 (m, 1 H), 3.74 (s, 6 H), 3.08 (m, 2 H), 2.77 (m, 2 H), 2.27 (s, 3 H); MS (EI) m/z for $\text{C}_{26}\text{H}_{28}\text{N}_6\text{O}_5\text{S}$: 537 (MH^+).

Example 49: 1-amino- *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)cyclopropanecarboxamide. ^1H NMR (400 MHz, DMSO- d_6) δ 9.54 (br s, 1 H), 9.42 (s, 1 H), 8.91 (s, 1 H), 8.21 (s, 1 H), 8.20 (br s, 2 H), 7.81 (m, 2 H), 7.48 (m, 4 H), 7.22 (m, 2 H), 6.61 (dd, 1 H), 3.82 (s, 3 H), 1.63 (m, 2 H), 1.26 (m, 2 H); MS (EI) m/z for $\text{C}_{25}\text{H}_{23}\text{ClN}_6\text{O}_4\text{S}$: 539 (MH^+).

Example 50: (S)-2-amino- *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-6-(dimethylamino)hexanamide. ^1H NMR (400 MHz, DMSO- d_6) δ 9.47 (br s, 1 H), 8.95 (d, 1 H), 8.26 (m, 1 H), 7.73 (m, 2 H), 7.30 (m, 4 H), 7.26 (m, 4 H), 7.16 (m, 2 H), 6.59 (dd, 1 H), 3.82 (s, 3 H), 3.34 (m, 1 H), 2.20 (m, 2 H), 2.09 (s, 6 H), 1.50 (m, 6 H); MS (EI) m/z for $\text{C}_{29}\text{H}_{34}\text{ClN}_7\text{O}_4\text{S}$: 610 (MH^+).

Example 51: 1-amino- *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)cyclopentanecarboxamide. ^1H NMR (400 MHz, DMSO- d_6) δ 10.12 (br s, 1 H), 9.46 (s, 1 H), 8.95 (d, 1 H), 8.26 (m, 1 H), 8.16 (m, 3 H), 7.84 (m, 2 H), 7.35 (m, 6 H), 6.60 (dd, 1 H), 3.82 (s, 3 H), 2.34 (m, 2 H), 1.91 (m, 6 H); MS (EI) m/z for $\text{C}_{27}\text{H}_{27}\text{ClN}_6\text{O}_4\text{S}$: 567 (MH^+).

Example 52: *N*-(5-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-2-methylphenyl)-2-(dimethylamino)acetamide. ^1H NMR (400 MHz, DMSO- d_6) δ 12.0 (br s, 1 H), 9.98 (s, 1 H), 9.43 (s, 1 H), 8.91 (m, 1 H), 8.08 (s, 1 H), 7.84 (dd, 1 H), 7.32 (m, 6 H), 6.61 (dd, 1 H), 4.07 (s, 2 H), 3.82 (s, 3 H), 2.82 (s, 6 H), 2.21 (s, 3 H); MS (EI) m/z for $\text{C}_{26}\text{H}_{27}\text{ClN}_6\text{O}_4\text{S}$: 555 (MH^+).

Example 53: 1-amino- *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)cyclobutanecarboxamide. ^1H NMR (400 MHz, DMSO- d_6) δ 10.34 (br s, 1 H), 8.81 (s, 1 H), 8.49 (br s, 3 H), 8.34 (s, 1 H), 7.83 (m, 2 H), 7.43 (m, 3 H), 7.31 (m, 2 H), 7.16 (m, 2 H), 6.16 (s, 1 H), 3.77 (s, 6 H), 2.83 (m, 2 H), 2.25 (m, 3 H), 2.05 (m, 1 H); MS (EI) m/z for $\text{C}_{27}\text{H}_{28}\text{N}_6\text{O}_5\text{S}$: 549 (MH^+).

Example 54: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-(3-(2-(dimethylamino)ethyl)ureido)benzenesulfonamide. ^1H NMR (400 MHz, DMSO- d_6) δ 8.91 (br s, 1 H), 8.81 (s, 1 H), 8.08 (s, 1 H), 7.60 (s, 1 H), 7.38 (m, 9 H), 6.28 (m, 1 H), 6.15 (s, 1 H), 3.78 (s, 6 H), 3.40 (m, 2 H), 3.08 (m, 2 H), 2.74 (s, 6 H); MS (EI) m/z for $\text{C}_{27}\text{H}_{31}\text{N}_7\text{O}_5\text{S}$: 566 (MH^+).

Example 55: 1-amino- *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)cyclopentanecarboxamide. ^1H NMR (400 MHz, DMSO- d_6) δ 12.40 (br s, 1 H), 10.58 (s, 1 H), 8.46 (m, 4 H), 7.80 (m, 3 H), 7.59 (m, 2 H), 7.34 (m, 4 H), 6.25 (m, 1 H), 3.76 (s, 6 H), 2.35 (m, 2 H), 1.90 (m, 8 H); MS (EI) m/z for $\text{C}_{28}\text{H}_{30}\text{N}_6\text{O}_5\text{S}$: 563 (MH^+).

Example 56: 1-amino- *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)cyclopropanecarboxamide. ^1H NMR (400 MHz, DMSO- d_6) δ 9.54 (br s, 1 H), 8.84 (s, 1 H), 8.29 (s, 1 H), 7.75 (m, 2 H), 7.39 (m, 6 H), 7.17 (m, 2 H), 6.16 (m, 1 H), 3.78 (s, 6 H), 1.52 (m, 2 H), 1.17 (m, 2 H); MS (EI) m/z for $\text{C}_{26}\text{H}_{26}\text{N}_6\text{O}_5\text{S}$: 535 (MH^+).

Example 57: 2- (dimethylamino) ethyl 3- (N- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenylcarbamate. ^1H NMR (400 MHz, DMSO- d_6) δ 9.78 (br s, 1 H), 8.79 (s, 1 H), 8.19 (s, 1 H), 7.66 (d, 1 H), 7.31 (m, 9 H), 6.14 (m, 1 H), 4.17 (t, 2 H), 3.78 (s, 6 H), 2.54 (t, 2 H), 2.21 (s, 6 H) ; MS (EI) m/z for $\text{C}_{27}\text{H}_{30}\text{N}_6\text{O}_6\text{S}$: 567 (MH^+) .

Example 58: 4- amino- N- (3- (N- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) tetrahydro- 2H- pyran- 4- carboxamide. ^1H NMR (400 MHz, DMSO- d_6) δ 12.2 (br s, 1 H), 10.6 (s, 1 H), 8.74 (m, 5 H), 7.93 (m, 2 H), 7.47 (m, 6 H), 6.24 (m, 1 H), 3.77 (m, 10 H), 2.45 (m, 2 H), 1.81 (m, 2 H) ; MS (EI) m/z for $\text{C}_{28}\text{H}_{30}\text{N}_6\text{O}_6\text{S}$: 579 (MH^+) .

Example 59: N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl)- N3- (2- (dimethylamino) ethyl) benzene- 1, 3- disulfonamide. ^1H NMR (400 MHz, DMSO- d_6) δ 9.35 (m, 2 H), 8.92 (m, 1 H), 8.64 (s, 1 H), 8.30 (m, 1 H), 8.11 (s, 1 H), 7.86 (m, 1 H), 7.68 (m, 1 H), 7.49 (s, 1 H), 7.42 (m, 2 H), 7.21 (m, 2 H), 6.61 (m, 1 H), 3.82 (s, 3 H), 3.05 (m, 4 H), 2.74 (s, 6 H) ; MS (EI) m/z for $\text{C}_{25}\text{H}_{27}\text{ClN}_6\text{O}_5\text{S}_2$: 591 (MH^+) .

Example 60: N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl)- N3- (3- (dimethylamino) propyl) benzene- 1, 3- disulfonamide. ^1H NMR (400 MHz, DMSO- d_6) δ 9.38 (m, 2 H), 8.90 (m, 1 H), 8.60 (s, 1 H), 8.32 (m, 1 H), 8.12 (s, 1 H), 7.88 (m, 1 H), 7.72 (m, 1 H), 7.59 (s, 1 H), 7.40 (m, 2 H), 7.20 (m, 2 H), 6.67 (m, 1 H), 3.82 (s, 3 H), 2.97 (m, 2 H), 2.78 (m, 2 H), 2.71 (s, 6 H), 1.70 (m, 2 H) ; MS (EI) m/z for $\text{C}_{26}\text{H}_{29}\text{ClN}_6\text{O}_5\text{S}_2$: 605 (MH^+) .

Example 61: N- (3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- 4- methylphenyl)- 2- (methylamino) acetamide. MS (EI) m/z for $\text{C}_{25}\text{H}_{25}\text{ClN}_6\text{O}_4\text{S}$: 541.0 (MH^+) .

Example 62: (S)- 2- amino- N- (3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- 4- methylphenyl) propanamide. MS (EI) m/z for $\text{C}_{25}\text{H}_{25}\text{ClN}_6\text{O}_4\text{S}$: 541.2 (MH^+) .

Example 63: (R)- 2- amino- N- (3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- 4- methylphenyl) propanamide. MS (EI) m/z for $\text{C}_{25}\text{H}_{25}\text{ClN}_6\text{O}_4\text{S}$: 541.0 (MH^+) .

Example 64: (S)- N- (3- (N- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (methylamino) propanamide. MS (EI) m/z for $\text{C}_{26}\text{H}_{28}\text{N}_6\text{O}_5\text{S}$: 537.1 (MH^+) .

Example 65: (R)- N- (3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (methylamino) propanamide. MS (EI) m/z for $\text{C}_{25}\text{H}_{25}\text{ClN}_6\text{O}_4\text{S}$: 541.1 (MH^+) .

Example 66: (R)- N- (3- (N- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (methylamino) propanamide. MS (EI) m/z for $\text{C}_{26}\text{H}_{28}\text{N}_6\text{O}_3\text{S}$: 537.3 (MH^+) .

Example 67: N- (3- (N- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) piperidine- 2- carboxamide. MS (EI) m/z for $\text{C}_{28}\text{H}_{30}\text{N}_6\text{O}_5\text{S}$: 563.1 (MH^+) .

Example 68: N- (3- (N- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (2- (dimethylamino) ethylamino) acetamide. MS (EI) m/z for $\text{C}_{28}\text{H}_{33}\text{N}_7\text{O}_5\text{S}$: 580.1 (MH^+) .

Example 69: N- (3- (N- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (4- (methylamino) piperidin- 1- yl) acetamide. MS (EI) m/z for $\text{C}_{30}\text{H}_{35}\text{N}_7\text{O}_5\text{S}$: 606.1 (MH^+) .

Example 70: N- (3- (N- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (4- (dimethylamino) piperidin- 1- yl) acetamide. MS (EI) m/z for $\text{C}_{31}\text{H}_{37}\text{N}_7\text{O}_5\text{S}$: 620.1 (MH^+) .

Example 71: N- (3- (N- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (dimethylamino) acetamide. ^1H NMR (400 MHz, DMSO) δ 12.4 (br s, 1H), 10.9 (s, 1H), 9.8 (s, 1H), 8.9 (s, 1H), 8.3 (br s, 1H), 7.9 (d, 2H), 7.8 (d, 1H), 7.6 (t, 2H), 7.4 (q, 2H), 7.3 (s, 1H), 6.25 (s, 1H), 4.15 (s, 2H), 3.8 (s, 6H), 2.9 (s, 6H) . MS (EI) m/z for $\text{C}_{26}\text{H}_{28}\text{N}_6\text{O}_5\text{S} \cdot 2.0 \times \text{C}_2\text{H}_4\text{O}_2\text{F}_3$: 537.1 (MH^+) .

Example 72: N- (3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (ethylamino) acetamide. ^1H NMR (400 MHz, DMSO) δ 10.8 (s, 1H), 9.20 (s, 1H), 8.84 (br s, 2H), 8.64 (br s, 1H), 8.30 (s, 1H), 7.9- 8.0 (br s, 1H), 7.80 (t, 2H), 7.55- 7.68 (m, 2H), 7.4 (d, 3H), 6.70 (m, 1H), 3.97 (br s, 2H), 3.83 (s, 3H), 3.04 (br s, 2H), 1.3 (t, 3H) . MS (EI) m/z for $\text{C}_{25}\text{H}_{25}\text{ClN}_6\text{O}_4\text{S} \cdot 2.0 \times \text{C}_2\text{H}_4\text{O}_2\text{F}_3$: 541.3, 543.2 (MH^+) .

Example 73: 2- (azetidin- 1- yl)- N- (3- (N- (3- (2- chloro- 5- methoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. ^1H NMR (400 MHz, DMSO) δ 10.8 (s, 1H), 10.2 (s, 1H), 9.2 (s, 1H), 8.7 (s, 1H), 8.3 (s, 1H), 7.9- 8.0 (br s, 1H), 7.80 (d, 1H), 7.72 (d, 1H), 7.65 (br s, 1H), 7.56 (t, 1H), 7.40 (d, 3H), 6.70 (m, 1H), 4.28 (s, 2H), 4.15 (m, 4H), 3.82 (s, 3H), 2.32 (br s, 1H) . MS (EI) m/z for $\text{C}_{26}\text{H}_{25}\text{ClN}_6\text{O}_4\text{S} \cdot 2.0 \times \text{C}_2\text{H}_4\text{O}_2\text{F}_3$: 553.3, 555.2 (MH^+) .

Example 74: N- (3- (N- (3- (2- bromo- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (methylamino) acetamide. The title compound was prepared according to the Examples above, ^1H NMR (400 MHz, DMSO) δ 10.6 (s, 1H), 9.5 (s, 1H), 8.95 (d, 1H), 8.18 (t, 1H), 7.78 (m, 1H), 7.70 (m, 1H), 7.54 (d, 1H), 7.46 (m, 1H), 7.38 (t, 1H), 7.32 (d, 1H), 7.12- 7.22 (m, 2H), 6.56 (m, 1H), 3.90 (s, 2H), 3.82 (s, 3H), 2.62 (s, 3H) . MS (EI) m/z for $\text{C}_{24}\text{H}_{23}\text{BrN}_6\text{O}_4\text{S}$: 572.77, 570.90 (MH^+) .

Example 75: 2- (dimethylamino)- N- (3- (N- (3- (6- methoxy- quinolin- 8- yl) amino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. The title compound was prepared according to the Examples above. ^1H NMR (400 MHz, DMSO) δ 10.9 (s, 1H), 10.6 (s, 1H), 9.13 (s, 1H), 8.80 (d, 1H), 8.26- 8.30 (m, 2H), 7.85 (d, 1H), 7.70 (d, 1H), 7.60 (q, 1H), 7.54 (m, 1H), 7.44 (t, 2H), 7.20 (t, 2H), 6.80 (d, 1H), 4.00 (s, 2H), 3.94 (s, 3H), 2.78 (s, 6H) . MS (EI) m/z for $\text{C}_{28}\text{H}_{27}\text{N}_7\text{O}_4\text{S}$: 558.3 (MH^+) .

Example 76: N- (3- (N- (3- (2- bromo- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (dimethylamino) acetamide. ^1H NMR (400 MHz, DMSO) δ 10.6 (s, 1H), 9.4 (s, 1H), 8.9 (s, 1H), 8.25 (s, 1H), 7.78 (d, 1H), 7.70

(d, 1H), 7.54 (d, 1H), 7.48 (d, 1H), 7.40 (t, 2H), 6.56 (d, 1H), 4.02 (s, 2H), 3.82 (s, 3H), 2.80 (s, 6H) . MS (EI) m/z for $C_{25}H_{25}BrN_6O_4S$: 586.79, 584.91 (MH^+) .

Example 77: *N*- (3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (2- fluoroethylamino) acetamide. ^1H NMR (400 MHz, DMSO) δ 10.6 (s, 1H), 9.4 (s, 1H), 8.9 (d, 1H), 8.20 (s, 1H), 7.78 (d, 1H), 7.70 (d, 1H), 7.48 (m, 1H), 7.36- 7.44 (m, 3H), 7.20 (q, 3H), 6.6 (m, 1H), 4.78 (t, 1H), 4.66 (t, 1H), 3.94 (s, 2H), 3.82 (s, 3H), 3.4 (t, 1H), 3.3 (t, 1H) . MS (EI) m/z for $C_{25}H_{24}ClFN_6O_4S$: 559.2, 561.2 (MH^+) .

Example 78: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) formamide. ^1H NMR (400 MHz, DMSO) δ 12.4 (br s, 1H), 10.5 (s, 1H), 8.90 (s, 1H), 8.3 (s, 1H), 7.9 (br s, 1H), 7.85 (d, 1H), 7.75 (d, 1H), 7.5- 7.6 (m, 2H), 7.3- 7.4 (m, 4H), 6.2 (s, 1H), 3.8 (s, 3H) . MS (EI) m/z for $C_{23}H_{21}N_5O_5S$: 480.1 (MH^+) .

Example 79: *N*- (3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (3- (dimethylamino) azetidin- 1- yl) acetamide. ^1H NMR (400 MHz, DMSO) δ 10.2 (br s, 1H), 9.5 (s, 1H), 8.95 (d, 1H), 8.2 (s, 1H), 7.75 (d, 1H), 7.65 (d, 1H), 7.45 (d, 1H), 7.40 (d, 1H), 7.30- 7.35 (t, 1H), 7.1- 7.2 (q, 2H), 6.60 (m, 1H), 3.82 (s, 3H) . MS (EI) m/z for $C_{28}H_{30}ClN_7O_4S$: 480.1 (MH^+) .

Example 80: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (pyrrolidin- 1- yl) acetamide. MS (EI) m/z for $C_{28}H_{30}N_6O_5S$: 563.18 (MH^+) .

Example 81: *N*- (3- (*N*- (3- (2- chloro- 5- methoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (ethyl (methyl) amino) acetamide. ^1H NMR (400 MHz, DMSO) δ 12.0 (s, 1H), 10.6 (s, 1H), 9.65 (s, 1H), 9.5 (s, 1H), 8.95 (s, 1H), 8.25 (s, 1H), 7.8 (d, 1H), 7.70 (d, 1H), 7.45- 7.50 (d, 1H), 7.3- 7.4 (m, 3H), 7.2 (t, 2H), 6.60 (d, 1H), 4.02 (br s, 2H), 3.82 (s, 3H), 3.14 (br s, 2H), 2.80 (s, 3H) 1.2 (t, 3H) . MS (EI) m/z for $C_{26}H_{27}ClN_6O_4S$: 555.2, 557.3 (MH^+) .

Example 82: *N*- (3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (3- (piperidin- 1- yl) azetidin- 1- yl) acetamide. MS (EI) m/z for $C_{31}H_{34}ClN_7O_4S$ 2.0 x $C_2H_4O_2F_3$: 636.3, 638.3 (MH^+) .

Example 83: *N*- (3- (*N*- (3- (3- fluoro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (methyl- amino) acetamide. MS (EI) m/z for $C_{24}H_{23}FN_6O_4S$: 511.04 (MH^+) .

Example 84: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 1- methylpiperidine- 4- carboxamide. MS (EI) m/z for $C_{29}H_{32}N_6O_5S$ 1.0 x $C_2H_4O_2$: 577.2 (MH^+) .

Example 85: *N*- (3- (*N*- (3- (3- methoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (methylamino) acetamide. ^1H NMR (400 MHz, DMSO) δ 10.6 (s, 1H), 8.82 (s, 1H), 8.22 (t, 1H), 7.86 (t, 1H), 7.76 (m, 1H), 7.66 (m, 1H), 7.46 (m, 1H), 7.41 (m, 1H), 7.38 (t, 1H), 7.28 (m, 1H), 7.24 (t, 1H), 7.12 (m, 2H), 6.56 (d, 1H), 3.88 (s, 2H), 3.80 (s, 3H), 2.60 (s, 3H) . MS (EI) m/z for $C_{24}H_{24}N_6O_4S$: 492.99 (MH^+) .

Example 86: *N*- (3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (2, 2, 2- trifluoroethylamino) acetamide. ^1H NMR (400 MHz, DMSO) δ 10.4 (s, 1H), 9.2 (s, 1H), 8.65 (s, 1H), 8.4 (s, 1H), 8.00 (m, 1H), 7.80 (d, 1H), 7.75 (d, 1H), 7.65 (q, 1H), 7.55 (t, 1H), 7.40- 7.5 (m, 3H), 6.7 (m, 1H), 3.82 (s, 3H), 3.62 (br s, 2H), 3.55 (br d, 2H) . MS (EI) m/z for $C_{25}H_{22}ClF_3N_6O_4S$ 1.0 x $C_2H_4O_2F_3$: 595.0, 597.0 (MH^+) .

Example 87: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 3- (piperidin- 1- yl) propanamide. MS (EI) m/z for $C_{30}H_{34}N_6O_5S$: 591.2 (MH^+) .

Example 88: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 4- (dimethylamino) butanamide. MS (EI) m/z for $C_{28}H_{32}N_6O_5S$ 1.0 x $C_2H_4O_2$: 565.2 (MH^+) .

Example 89: 2- (dimethylamino)- *N*- (3- (*N*- (3- (3- fluoro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. ^1H NMR (400 MHz, DMSO) δ 10.9 (s, 1H), 9.8 (br s, 1H), 9.1 (s, 1H), 8.34 (s, 1H), 7.90 (d, 1H), 7.76 (d, 1H), 7.52- 7.68 (m, 4H), 7.40 (m, 2H), 6.54 (m, 1H), 4.16 (s, 2H), 3.82 (s, 3H), 2.86 (s, 6H) . MS (EI) m/z for $C_{25}H_{25}FN_6O_4S$: 525.05 (MH^+) .

Example 90: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (piperidin- 1- yl) acetamide. MS (EI) m/z for $C_{29}H_{32}N_6O_5S$: 577.37 (MH^+) .

Example 91: 2- (dimethylamino)- *N*- (3- (*N*- (3- (3- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. ^1H NMR (400 MHz, DMSO) δ 10.5 (s, 1H), 8.8 (s, 1H), 8.25 (s, 1H), 7.83 (t, 1H), 7.76 (d, 1H), 7.64 (d, 1H), 7.3- 7.48 (m, 4H), 7.22 (t, 1H), 7.12 (t, 2H), 6.56 (m, 1H), 3.96 (s, 2H), 3.78 (s, 3H), 2.76 (s, 6H) . MS (EI) m/z for $C_{25}H_{26}N_6O_4S$: 507.1 (MH^+) .

Example 92: *N*- (3- (*N*- (3- (2- chloro- 5- hydroxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (dimethylamino) acetamide. ^1H NMR (400 MHz, DMSO) δ 10.8 (s, 1H), 9.9 (s, 1H), 9.8 (s, 1H), 9.1 (s, 1H), 8.55 (s, 1H), 8.34 (s, 1H), 7.9- 8.0 (br s, 1H), 7.82 (d, 1H), 7.76 (d, 1H), 7.52- 7.66 (m, 2H), 7.42 (t, 1H), 7.26 (d, 1H), 6.50 (m, 1H), 4.16 (s, 2H), 2.86 (s, 6H) . MS (EI) m/z for $C_{24}H_{23}ClN_6O_4S$: 527.1, 529.0 (MH^+) .

Example 93: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- morpholinoacetamide. MS (EI) m/z for $C_{28}H_{30}N_6O_6S$: 579.1 (MH^+) .

Example 94: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z for $C_{24}H_{23}N_5O_5S$: 494.0 (MH^+) . **Example 97:** 2- amino- *N*- (3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- 4- methylphenyl)- 2- methylpropanamide. MS (EI) m/z for $C_{26}H_{27}ClN_6O_4S$: 556.12 (MH^+) .

Example 98: *N*- (3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (dimeth-

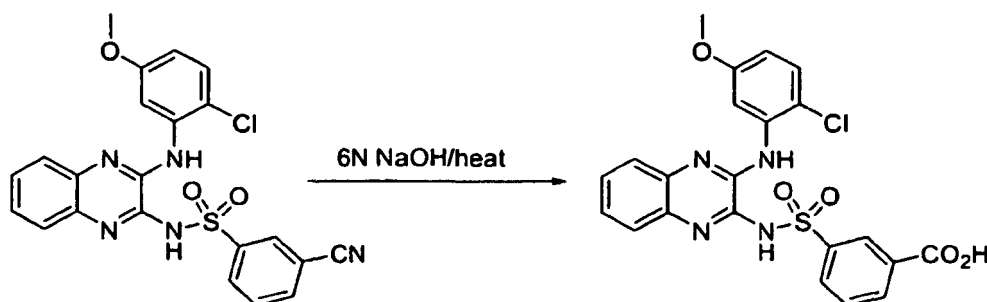
ylamino) acetamide. MS (EI) m/z for $C_{25}H_{25}ClN_6O_4S$: 542.05 (MH^+).

Example 99: 2-amino-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z for $C_{24}H_{24}N_6O_5S$: 509.59 (MH^+).

Example 100

3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)benzoic acid

[0306]



[0307] To a solution of *N*-(3-([2-chloro-5-(methoxy)-phenyl]amino)quinoxalin-2-yl)-3-cyanobenzenesulfonamide (6.02 g, 12.95 mmol), prepared using procedures similar to those in Example 115 or Example 423, in methanol (20 mL) and 1,4-dioxane (20 mL) was added 6.0 N aqueous sodium hydroxide (40 mL) at room temperature. The solution was stirred at 90 °C for 3.5 h. The reaction was cooled to room temperature and neutralized slowly by adding 2.0 N hydrochloric acid until the pH of the solution became in the 2-3 range at 0° C. The solution was diluted with ethyl acetate (300 mL). The organic layer was washed with saturated aqueous sodium chloride (50 mL) and dried over magnesium sulfate. Filtration and concentration at reduced pressure afforded 3-([3-([2-chloro-5-(methoxy)-phenyl]amino)quinoxalin-2-yl]amino)sulfonylbenzoic acid (5.921 g, 94%). MS (EI) m/z for $C_{22}H_{17}ClN_4O_5S$: 485.0 (MH^+).

[0308] The following compounds were prepared using procedures similar to those used in Example 100.

Example 101: Proceeding as above, 3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)benzoic acid was prepared. MS (EI) m/z for $C_{23}H_{20}N_4O_6S$: 481.0 (MH^+).

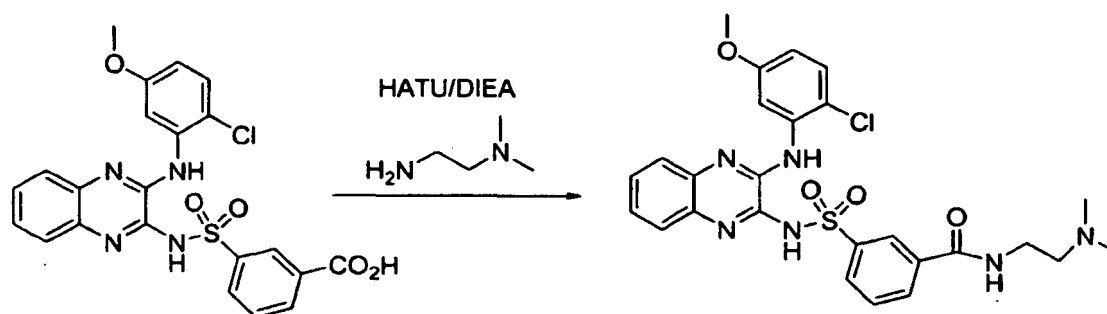
Example 102: 3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-methyl-1-(piperidin-1-yl)propan-2-yl)benzamide. MS (EI) m/z for $C_{31}H_{35}ClN_6O_4S$: 623.06 (MH^+).

Example 103: 3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(2-methyl-1-oxo-1-(piperidin-1-yl)propan-2-yl)benzamide. MS (EI) m/z for $C_{31}H_{33}ClN_6O_5S$: 637.65 (MH^+).

Example 104

3-([3-([2-chloro-5-(methoxy)phenylamino]quinoxalin-2-yl)amino]sulfonyl)-*N*-(2-(dimethylamino)ethyl)benzamide

[0309]



[0310] To a solution of 3-([3-([2-chloro-5-(methoxy)phenyl]amino)quinoxalin-2-yl]amino)sulfonylbenzoic acid (0.20 g, 0.42 mmol), prepared using procedures similar to Example 100, in dimethylformamide (4 mL) were added

2- (7-aza-1*H*-benzotriazole-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate (HATU, 0.32 g, 0.83 mmol) and *N*-ethyl-diisopropylamine (DIEA, 0.13 g, 1.04 mmol) at room temperature. The reaction was stirred for 15 min before *N,N*-dimethylethane-1,2-diamine (73 mg, 0.83 mmol) was added. The reaction mixture was allowed to stir overnight. The reaction was diluted with ethyl acetate (200 mL) and washed with water (50 mL), saturated aqueous sodium bicarbonate (40 mL), 1.0 *N* aqueous hydrochloric acid (30 mL), and saturated aqueous sodium chloride (25 mL). The organic layer was dried over magnesium sulfate, filtered and concentrated at reduced pressure to afford 3- { [(3- { [2-chloro-5-(methoxy)phenyl] amino} quinoxalin-2-yl) amino] sulfonyl}-*N*-[2-(dimethylamino)ethyl] benzamide (0.20 g, 87%) as yellow solid. MS (EI) *m/z* for C₂₆H₂₇ClN₆O₄S: 555.1 (MH⁺).

[0311] The following compounds were prepared using procedures similar to those in Example 104.

Example 105: 5- (N- (3- (2-chloro-5-methoxy-phenylamino) quinoxalin-2-yl) sulfamoyl)-N- (2- (dimethylamino) ethyl)-2-methoxybenzamide. ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.45 (s, 1H), 8.95 (d, 1H), 8.57 (d, 1H), 8.28 (t, 1H), 8.14 (dd, 1H), 7.46 (dd, 1H), 7.39 (m, 2H), 7.17 (m, 4H), 6.60 (dd, 1H), 3.89 (s, 3H), 3.82 (s, 3H), 3.38 (m, 2H), 2.43 (m, 2H), 2.21 (s, 6H). MS (EI) *m/z* for C₂₇H₂₉ClN₆O₅S: 585.3 (MH⁺). **Example 106: 5- (N- (3- (2-chloro-5-methoxy-phenylamino) quinoxalin-2-yl) sulfamoyl)-N- (2- (dimethylamino) ethyl)-2-fluorobenzamide.** ¹H NMR (400 MHz, DMSO-*d*₆) δ 9.40 (br s, 1H), 9.16 (s, 1H), 8.73 (m, 1H), 8.67 (d, 1H), 8.36 (dd, 1H), 8.26 (m, 1H), 7.94 (br s, 1H), 7.66 (m, 1H), 7.59 (t, 1H), 7.43 (m, 3H), 6.71 (dd, 1H), 3.83 (s, 3H), 3.62 (m, 2H), 3.27 (m, 2H), 2.85 (d, 6H). MS (EI) *m/z* for C₂₆H₂₆ClFN₆O₄S: 573.1 (MH⁺).

Example 107: 3- (N- (3- (3,5-dimethoxyphenylamino) quinoxalin-2-yl) sulfamoyl)-N- (2- (dimethylamino) ethyl) benzamide. MS (EI) *m/z* for C₂₇H₃₀N₆O₅S: 551.1 (MH⁺).

Example 108: 3- (N- (3- (2-chloro-5-methoxy-phenylamino) quinoxalin-2-yl) sulfamoyl)-N- (2- (dimethylamino) ethyl)-N-methylbenzamide. MS (EI) *m/z* for C₂₇H₂₉ClN₆O₄S: 569.1 (MH⁺).

Example 109: 3- (N- (3- (3,5-dimethoxyphenylamino) quinoxalin-2-yl) sulfamoyl)-N- (2- (dimethylamino) ethyl)-N-methylbenzamide. MS (EI) *m/z* for C₂₈H₃₂N₆O₅S: 565.1 (MH⁺).

Example 110: 3- (N- (3- (2-chloro-5-methoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) benzamide. MS (EI) *m/z* for C₂₂H₁₈ClN₅O₄S: 484.0 (MH⁺).

Example 111: 3- (N- (3- (2-chloro-5-methoxy-phenylamino) quinoxalin-2-yl) sulfamoyl)-N- (2-morpholinoethyl) benzamide. MS (EI) *m/z* for C₂₈H₂₉ClN₆O₅S: 597.0 (MH⁺).

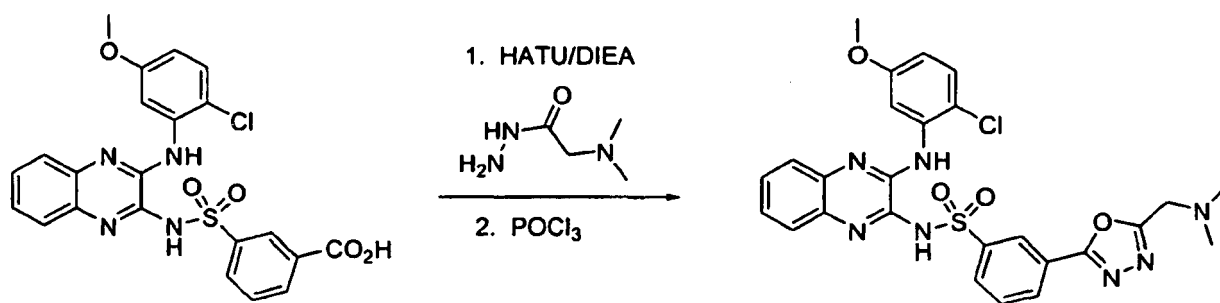
Example 112: 3- (N- (3- (2-chloro-5-methoxy-phenylamino) quinoxalin-2-yl) sulfamoyl)-N-methylbenzamide. MS (EI) *m/z* for C₂₃H₂₀ClN₅O₄S: 498.0 (MH⁺).

Example 113: 3- (N- (3- (2-chloro-5-methoxy-phenylamino) quinoxalin-2-yl) sulfamoyl)-N-morpholinobenzamide. MS (EI) *m/z* for C₂₆H₂₅ClN₆O₅S: 569.0 (MH⁺).

Example 114

***N*- (3- { [2-chloro-5-(methoxy)phenyl] amino} quinoxalin-2-yl)-3- {5- [(dimethylamino) methyl]-1,3,4-oxadiazol-2-yl} benzenesulfonamide**

[0312]



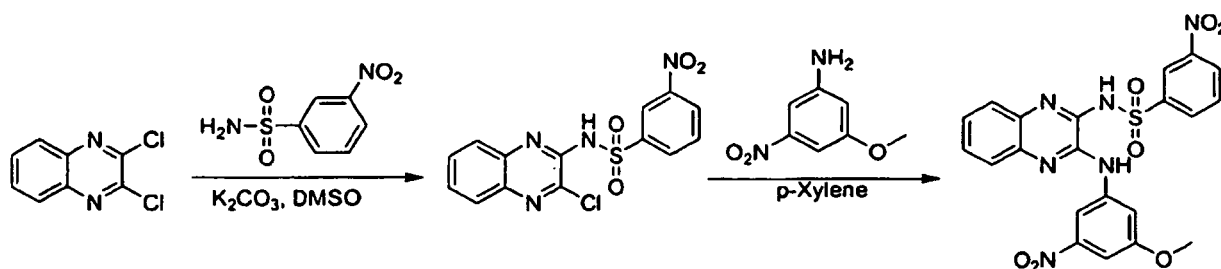
[0313] To a solution of 3- { [(3- { [2-chloro-5-(methoxy)phenyl] amino} quinoxalin-2-yl) amino] sulfonyl} benzoic acid (0.25 g, 0.52 mmol), prepared as described above in Example 100, in dimethylformamide (2.6 mL) were added 2- (7-aza-1*H*-benzotriazole-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate (HATU, 0.25 g, 0.67 mmol) and *N*-ethyl-diisopropylamine (DIEA, 0.11 g, 0.88 mmol) at room temperature. The reaction was stirred for 15 min before 2- (dimethylamino) acetohydrazide (78 mg, 0.67 mmol) was added. The reaction mixture was allowed to stir overnight. The reaction was diluted with ethyl acetate (200 mL) and washed with water (30 mL), saturated aqueous sodium bicarbonate (30 mL), 1.0 *N* aqueous hydrochloric acid (20 mL), and saturated aqueous sodium chloride (25 mL). The organic layer was dried over magnesium sulfate, filtered and concentrated at reduced pressure to afford 180 mg of a coupled inter-

mediate which was then heated in phosphorus oxychloride (5 mL) at 100 °C for 4h. The reaction was cooled to room temperature and treated with ice water (50 mL) and extracted with dichloromethane (3 X 50 mL). The organic layer was dried over magnesium sulfate, filtered and concentrated at reduced pressure to afford a crude product which was subjected to reverse phase HPLC to afford *N*-(3- { [2- chloro- 5- (methoxy)- phenyl] amino} quinoxalin- 2- yl)- 3- {5- [(dimethylamino) methyl]- 1, 3, 4- oxadiazol- 2- yl}- benzenesulfonamide (16 mg, 5 %) as yellow solid. MS (EI) *m/z* for $C_{26}H_{24}ClN_7O_4S$: 566.0 (MH⁺).

Example 115

N-(3-(3-methoxy-5-nitro-phenylamino)-quinoxalin-2-yl)-3-nitrobenzenesulfonamide

[0314]



[0315] *N*-(3-chloroquinoxalin-2-yl)-3-nitrobenzenesulfonamide. 2, 3- Dichloroquinoxaline (26.1 g, 131.1 mmol), *m*- Nitrobenzene sulfonamide (26.5 g, 131.1 mmol) and potassium carbonate (18.1 g, 131.1) were dissolved in anhydrous DMSO (500 mL). The reaction was heated to 150 °C for 2 h. The reaction mixture was poured into water (400 mL), followed by addition of 2M HCl (60 mL). The product was extracted with EtOAc (3 x 500 mL). The organic layers were combined and washed with water (2 x 500 mL) and brine (2 x 500 mL). The product was then dried with sodium sulfate to give *N*-(3-chloroquinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) *m/z* for $C_{14}H_9ClN_4O_4S$: 364.94, 366.97 (MH⁺).

[0316] *N*-(3-(3-methoxy-5-nitrophenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. *N*-(3-chloroquinoxalin-2-yl)-3-nitrobenzenesulfonamide (700 mg, 1.92 mmol), 3-methoxy-5-nitroaniline (645 mg, 3.84 mmol) and *p*-xylene (7 mL) were combined and heated to 140 °C, then stirred for 16 hours at 130 °C. The reaction was allowed to cool, placed in a sep. funnel, diluted with DCM, and washed with 2M HCl and brine and concentrated in vacuo. The resulting solid was washed with Et₂O to give *N*-(3-(3-methoxy-5-nitrophenylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide (400 mg, 42%). MS (EI) *m/z* for $C_{21}H_{16}N_6O_7S$: 496.94 (MH⁺).

[0317] The following compounds were prepared using procedures similar to those in Example 115.

Example 116: *N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)-3-cyanobenzenesulfonamide. MS (EI) *m/z* for $C_{22}H_{16}ClN_5O_3S$: 465.9 (MH⁺). **Example 117:** 3-cyano-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) *m/z* for $C_{23}H_{19}N_5O_4S$: 462.3 (MH⁺).

Example 118: *N*-(3-(2,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-fluorobenzenesulfonamide. MS (EI) *m/z* for $C_{22}H_{19}FN_4O_4S$: 456.0 (MH⁺). **Example 119:** 3-bromo-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) *m/z* for $C_{22}H_{19}BrN_4O_4S$: 516.9 (MH⁺).

Example 120: 3-bromo-*N*-(3-(2,5-dimethoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) *m/z* for $C_{22}H_{19}BrN_4O_4S$: 516.9 (MH⁺).

Example 121: *N*-(3-(3-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) *m/z* for $C_{21}H_{18}N_4O_3S$: 407.0 (MH⁺).

Example 122: *N*-(3-(4-fluoro-3-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) *m/z* for $C_{21}H_{17}FN_4O_3S$: 425.0 (MH⁺).

Example 123: *N*-(3-(2,5-dimethoxyphenylamino)quinoxalin-2-yl)-4-methoxybenzenesulfonamide. MS (EI) *m/z* for $C_{23}H_{22}N_4O_5S$: 467.0 (MH⁺).

Example 124: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-4-methoxybenzenesulfonamide. MS (EI) *m/z* for $C_{23}H_{22}N_4O_5S$: 467.0 (MH⁺).

Example 125: *N*-(3-(4-chloro-3-methoxyphenylamino)quinoxalin-2-yl)benzenesulfonamide. MS (EI) *m/z* for $C_{21}H_{17}ClN_4O_3S$: 440.9 (MH⁺).

Example 126: *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)thiophene-2-sulfonamide. MS (EI) *m/z* for $C_{20}H_{18}N_4O_4S_2$: 443.0 (MH⁺).

Example 127: *N*-(3-(6-methoxyquinolin-8-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide. MS (EI) *m/z* for $C_{24}H_{18}N_6O_5S$: 502.95 (MH⁺).

Example 128: 3- nitro- *N*- (3- (pyridin- 4- yl amino) quinoxalin- 2- yl) benzenesulfonamide. MS (EI) *m/z* for $C_{19}H_{14}N_6O_4S$: 423.2 (MH^+) .

Example 129: *N*- (3- (2- chloropyridin- 4- yl amino) quinoxalin- 2- yl)- 3- nitrobenzenesulfonamide. MS (EI) *m/z* for $C_{19}H_{13}ClN_6O_4S$: 456.93, 458.90 (MH^+) .

Example 130: *N*- (3- (4, 6- dimethoxypyrimidin- 2- yl amino) quinoxalin- 2- yl)- 3- nitrobenzenesulfonamide. MS (EI) *m/z* for $C_{20}H_{17}N_7O_6S$: 484.03 (MH^+) .

Example 131: *N*- (3- (4- hydroxy- 6- methoxypyrimidin- 2- yl amino) quinoxalin- 2- yl)- 3- nitrobenzenesulfonamide. MS (EI) *m/z* for $C_{19}H_{15}N_7O_6S$: 469.97 (MH^+) .

Example 132: *N*- (3- (3, 5- dimethoxy- phenyl amino) quinoxalin- 2- yl)- 2- fluorobenzenesulfonamide. MS (EI) *m/z* for $C_{12}H_{19}FN_4O_4S$: 455.3 (MH^+) .

Example 133: *N*- (3- (2- bromo- 5- methoxy- phenyl amino) quinoxalin- 2- yl)- 3- nitrobenzenesulfonamide. MS (EI) *m/z* for $C_{21}H_{16}BrN_5O_5S$: 531.82, 532.84 (MH^+) .

Example 134: *N*- (3- (3, 5- dimethoxy- phenyl amino) quinoxalin- 2- yl)- 4- methylbenzenesulfonamide. MS (EI) *m/z* for $C_{23}H_{22}N_4O_4S$: 451.0 (MH^+) .

Example 136: *N*- (3- (2, 5- dimethoxy- phenyl amino) quinoxalin- 2- yl)- 4- methylbenzenesulfonamide. MS (EI) *m/z* for $C_{23}H_{22}N_4O_4S$: 451.0 (MH^+) .

Example 137: *N*- (3- (3- fluoro- 5- methoxy- phenyl amino) quinoxalin- 2- yl)- 3- nitrobenzenesulfonamide. MS (EI) *m/z* for $C_{21}H_{16}FN_5O_5S$: 470.0 (MH^+) .

Example 138: 4- bromo- *N*- (3- (3, 5- dimethoxy- phenyl amino) quinoxalin- 2- yl) benzenesulfonamide. MS (EI) *m/z* for $C_{22}H_{19}BrN_4O_4S$: 516.9, 514.9 (MH^+) .

Example 139: *N*- (3- (3- methoxyphenyl amino) quinoxalin- 2- yl)- 3- nitrobenzenesulfonamide. MS (EI) *m/z* for $C_{21}H_{17}N_5O_5S$: 451.93 (MH^+) .

Example 140: *N*- (3- (2- chloro- 5- hydroxy- phenyl amino) quinoxalin- 2- yl)- 3- nitrobenzenesulfonamide. MS (EI) *m/z* for $C_{20}H_{14}ClN_5O_5S$: 472.15, 474.13 (MH^+) .

Example 141: 3- acetyl- *N*- (3- (2- chloro- 5- methoxy- phenyl amino) quinoxalin- 2- yl) benzenesulfonamide. MS (EI) *m/z* for $C_{23}H_{19}ClN_4O_4S$: 483.08 (MH^+) .

Example 142: *N*- (3- (3, 5- dimethoxy- phenyl amino) quinoxalin- 2- yl) benzenesulfonamide. MS (EI) *m/z* for $C_{22}H_{20}N_4O_4S$: 437.49 (MH^+) .

Example 143: *N*- (3- (5- methoxy- 2- methyl- phenyl amino) quinoxalin- 2- yl) benzenesulfonamide. MS (EI) *m/z* for $C_{22}H_{20}N_4O_3S$: 421.46 (MH^+) .

Example 144: *N*- (3- (2- chloro- 5- methoxy- phenyl amino) quinoxalin- 2- yl) benzenesulfonamide. MS (EI) *m/z* for $C_{21}H_{17}ClN_4O_3S$: 440.59 (MH^+) .

Example 145: *N*- (3- (2, 5- dimethoxy- phenyl amino) quinoxalin- 2- yl) benzenesulfonamide. MS (EI) *m/z* for $C_{22}H_{20}N_4O_4S$: 437.53 (MH^+) .

Example 146: 4- chloro- *N*- (3- (3, 5- dimethoxy- phenyl amino) quinoxalin- 2- yl) benzenesulfonamide. MS (EI) *m/z* for $C_{22}H_{19}ClN_4O_4S$: 470.54 (MH^+) .

Example 147: *N*- (3- (5- methoxy- 2- methyl- phenyl amino) quinoxalin- 2- yl)- 3- nitrobenzenesulfonamide. MS (EI) *m/z* for $C_{22}H_{19}N_5O_5S$: 466.32 (MH^+) .

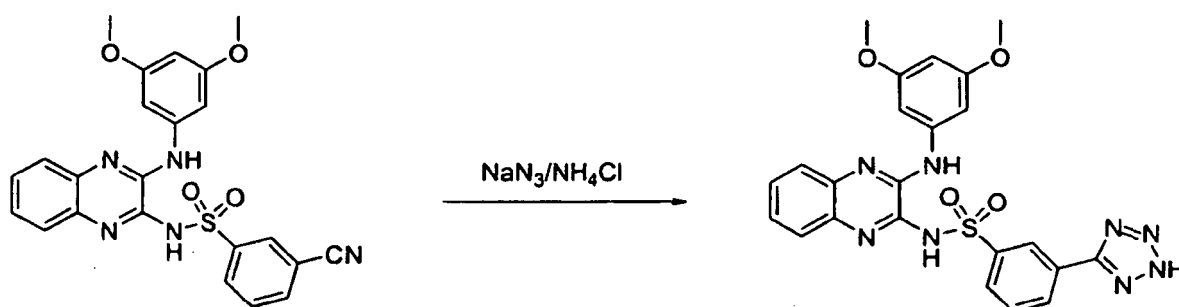
Example 148: *N*- (3- (2- chloro- 5- methoxy- phenyl amino) quinoxalin- 2- yl)- 3- nitrobenzenesulfonamide. MS (EI) *m/z* for $C_{21}H_{16}ClN_5O_5S$: 485.86 (MH^+) .

Example 149: *N*- (3- (4- chloro- 2, 5- dimethoxy- phenyl amino) quinoxalin- 2- yl) benzenesulfonamide. MS (EI) *m/z* for $C_{22}H_{19}ClN_4O_4S$: 470.99 (MH^+) .

Example 150

N- (3- ([3,5-bis(methoxy)phenyl] amino) quinoxalin-2-yl)-3-(2H-tetrazol-5-yl) benzenesulfonamide

[0318]



[0319] To a stirred solution of 3- cyano- *N*- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl) benzenesulfonamide (0.20 g, 0.44 mmol), prepared using procedures similar to those described in Example 115, in dimethylformamide (1.2 mL) at 50 °C were added sodium azide (0.11 g, 1.76 mmol) and ammonium chloride (94 mg, 1.76 mmol) . The crude mixture was heated at 100 °C overnight. The reaction was cooled to room temperature treated with ice water (20 mL) followed by concentrated hydrochloric acid (10 mL) . The solid obtained was filtered under reduced pressure and washed with hexane (20 mL), diethyl ether (20 mL), and ethyl acetate (5 mL) to afford *N*- (3- { [3, 5- bis (methoxy) phenyl] amino} quinoxalin- 2- yl)- 3- (2*H*- tetrazol- 5- yl) benzenesulfonamide (55 mg, 25%) as light yellow solid. MS (EI) *m/z* for C₂₃H₂₀N₈O₄S: 505.0 (MH⁺) .

Example 151

N-(3-(2,6-dichloropyridin-4-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide.

[0320] A mixture of *N*- (3- chloroquinoxalin- 2- yl)- 3- nitrobenzenesulfonamide (1 g), 2, 6- dichloropyridin- 4- amine (760 mg) and *p*- xylene (10 mL) was heated at 135 °C with stirring overnight. Upon cooling to room temperature, the mixture was dissolved in dichloromethane, washed with 2 N HCl (2 x) and brine, concentrated in vacuo to give a crude product of *N*- {3- [(2, 6- dichloropyridin- 4- yl) amino] quinoxalin- 2- yl}- 3- nitrobenzenesulfonamide. A small portion of this crude product was purified by HPLC to give *N*- {3- [(2, 6- dichloropyridin- 4- yl) amino] quinoxalin- 2- yl}- 3- nitrobenzenesulfonamide. ¹H NMR (400 MHz, DMSO) δ 9.71 (s, 1H), 8.90 (s, 1H), 8.50 (d, 2H), 8.8.41 (d, 1H), 8.30 (s, 2H), 7.88- 7.78 (m, 27.65 (d, 1H), 7.47- 7.37 (m, 2H) ; MS (EI) *m/z* for C₁₉H₁₂Cl₂N₆O₄S: 491.1, 493.1 (MH⁺) .

Example 152

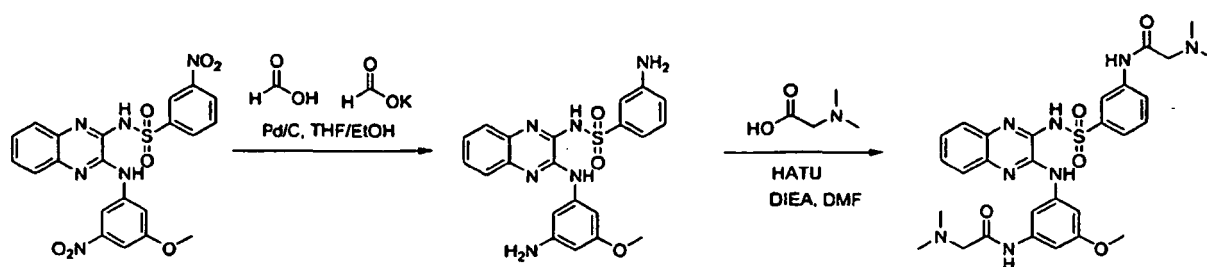
N-(3-(2-chloro-6-methoxypyridin-4-ylamino)quinoxalin-2-yl)-3-nitrobenzenesulfonamide

[0321] To a crude product of *N*- {3- [(2, 6- dichloropyridin- 4- yl) amino] quinoxalin- 2- yl}- 3- nitrobenzenesulfonamide (1.24 g) prepared using procedures similar to those for Example 151, was added anhydrous DMSO (10 mL), followed by sodium methoxide (273 mg) . The resulting mixture was heated at 100 °C for 3 days. The mixture was diluted with EtOAc and water, and the pH was adjusted to about 4 by adding acetic acid. The product was extracted with EtOAc (3 x) . The combined extracts were washed with brine to give the crude product. A portion of the crude product was purified by prep HPLC to give *N*- (3- { [2- chloro- 6- (methoxy) pyridin- 4- yl] amino} quinoxalin- 2- yl)- 3- nitrobenzenesulfonamide. ¹H NMR (400 MHz, DMSO) δ 9.44 (s, 1H), 8.90 (s, 1H), 8.50 (d, 1H), 8.42 (d, 1H), 7.88- 7.84 (m, 2H), 7.77 (s, 1H), 7.74 (s, 1H), 7.64 (d, 1H), 7.45- 7.38 (m, 2H), 3.82 (s, 3H) ; MS (EI) *m/z* for C₂₀H₁₅ClN₆O₅S: 496.94 (MH⁺) .

Example 153

2-(dimethylamino)-*N*-(3-(*N*-(3-(2-(dimethylamino)acetamido)-5-methoxy-phenylamino)quinoxalin-2-yl)sulfa-moyl)phenyl)acetamide

[0322]



[0323] 3- amino- *N*- (3- (3- amino- 5- methoxyphenylamino) quinoxalin- 2- yl) benzenesulfonamide. *N*- (3- (3- Methoxy- 5- nitrophenylamino) quinoxalin- 2- yl)- 3- nitrobenzenesulfonamide (400 mg, 0.81 mmol), prepared as described above in Example 115, was dissolved in 1: 1 THF: EtOH (4 mL), to which was added formic acid (938 μL, 2.42 mmol) and potassium formate (203 mg, 2.42 mmol) . The system was flushed with nitrogen, and then 10%wt Pd/C (50 mg) was added. The reaction was then heated to 60°C. Once the reaction was determined complete by LC- MS, it was allowed to cool, and DMF was added for solubility. The solution was then filtered through a nylon frit to remove the catalyst. The filtrate was diluted water and the pH adjusted to 7 and extracted with DCM (2x) and EtOAc (2x) . All organic

layers were combined and evaporated to dryness to give 3- amino- *N*- (3- (3- amino- 5- methoxyphenylamino) quinoxalin- 2- yl) benzenesulfonamide (330 mg, 93%) . MS (EI) *m/z* for $C_{21}H_{20}N_6O_3S$: 437.06 (MH⁺)

[0324] 2- (dimethylamino)- *N*- (3- (*N*- (3- (2- (dimethylamino)- acetamido)- 5- methoxyphenylamino) quinoxalin- 2- yl)- sulfamoyl) phenyl) acetamide. 3- Amino- *N*- (3- (3- amino- 5- methoxyphenylamino) quinoxalin- 2- yl) benzenesulfonamide (330 mg, 0.76 mmol), DMF (4 mL), *N,N*-Dimethylglycine (312 mg, 3.02 mmol), HATU (1.15 g, 3.02 mmol), and 1.29 (mL) (7.56 mmol) DIEA (1.29 mL, 7, 56 mmol) were combined and heated to 90°C, followed by heating at 50°C for over 16 hours. The reaction was allowed to cool, placed into a sep. funnel diluted with water and aqueous LiCl and extracted with EtOAc. The final compound was then purified by prep. HPLC to give 2- (dimethylamino)- *N*- (3- (*N*- (3- (2- (dimethylamino) acetamido)- 5- methoxy- phenylamino)- quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. ¹H NMR (400 MHz, CD₃OD) δ 8.45 (t, 1H), 7.93 (t, 1H), 7.85- 7.88 (m, 1H), 7.70- 7.74 (m, 1H), 7.65- 7.68 (m, 1H), 7.58- 7.62 (m, 1H), 7.58 (t, 1H), 7.34- 7.42 (m, 3H), 7.0 (t, 1H), 4.05 (d, 2H), 3.8 (s, 3H), 2.9- 3.0 (d, 12H) . MS (EI) *m/z* for $C_{20}H_{34}N_8O_5S$: 607.2 (MH⁺) .

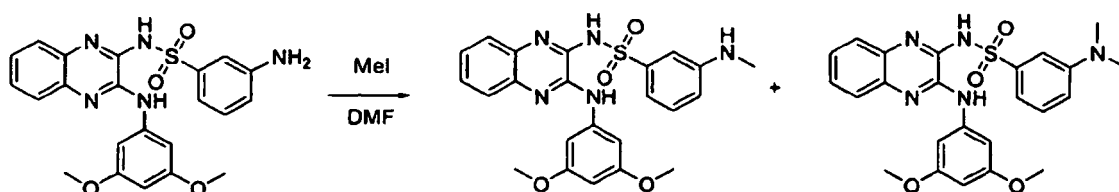
[0325] The following title compounds were prepared using procedures similar to those in Example 153.

Example 154: *N*- (3- (2, 5- dimethoxyphenylamino)- 7- methylquinoxalin- 2- yl) benzenesulfonamide. MS (EI) *m/z* for $C_{23}H_{22}N_4O_4S$: 451.0 (MH⁺) .

Example 155a and Example 155b

N-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-3-(methylamino)benzenesulfonamide and *N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)-3-(dimethylamino)benzenesulfonamide.

[0326]

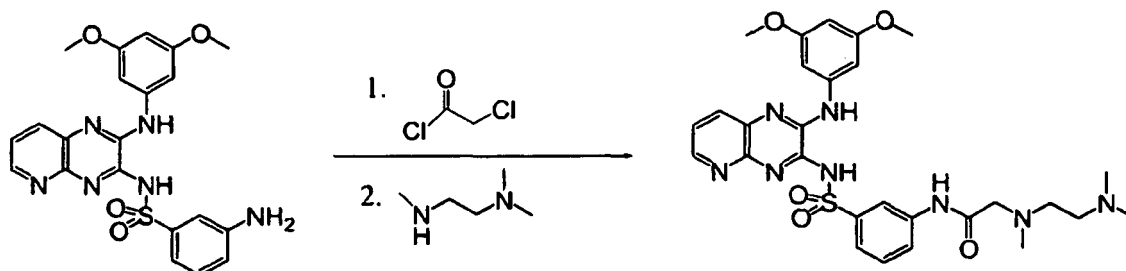


[0327] To a solution of 3- amino- *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) benzenesulfonamide (414 mg) in DMF (4.5 mL) was added iodomethane (114 μL) . The reaction mixture was heated at 35- 50 °C until the formation of both mono- methylated and di- methylated products was detected by LC/MS. The mixture was diluted with EtOAc, washed with water, 10% LiCl (2 x) and brine. After removal of solvent in vacuo, the crude mixture was purified by flash silica column chromatography eluting with 15% EtOAc in hexanes, affording the mono- methylated and di- methylated products. Product A: *N*- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl)- 3- (methylamino)- benzenesulfonamide (35 mg) . ¹H NMR (400 MHz, DMSO) δ 12.2 (s, 1H), 8.93 (s, 1H), 7.85 (d, 1H), 7.58 (d, 1H), 7.40- 7.20 (m, 7H), 6.76 (m, 1H), 6.24 (m, 1H), 6.16 (br s, 1H), 3.77 (s, 6H), 2.71 (s, 3H) . MS (EI) for $C_{23}H_{23}N_5O_4S$: 466.05 (MH⁺) . Product B: *N*- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl)- 3- (dimethylamino) benzenesulfonamide (33 mg) . ¹H NMR (400 MHz, DMSO) δ 12.20 (s, 1H), 8.98 (s, 1H), 7.98 (d, 1H), 7.56 (d, 1H), 7.42- 7.32 (m, 7H), 6.74 (m, 1H), 6.24 (m, 1H), 3.77 (s, 6H), 2.97 (s, 6H) . MS (EI) for $C_{24}H_{25}N_5O_4S$: 480.04 (MH⁺) .

Example 156

N-(3-((2-((3,5-bis(methoxy)phenyl)amino)pyrido[2,3-b]pyrazin-3-yl)amino)sulfonyl)phenyl)-*N*-2-[2-(dimethylamino)ethyl]-*N*-2-methylglycinamide

[0328]



[0329] To a THF suspension (1.3 mL) of 3- amino- *N*- (3- { [3, 5- (dimethoxy)- phenyl] amino}- quinoxalin- 2- yl) benzenesulfonamide (126 mg, 0.28 mmol), prepared using procedures similar to those described for Example 15, was added 0.143 mL of 2M aqueous Na_2CO_3 . To this yellow suspension is added dropwise 33 μL (0.42 mmol) of chloroacetyl chloride. The reaction mixture turns clear after a few minutes and is allowed to stir at 23°C for 1h. To the reaction is added a DMSO (1 mL) solution containing 180 μL (1.4 mmol) of *N, N', N'* trimethylethylenediamine. The reaction is then warmed to 60°C and stirred for 18h. The product is isolated by preparative RP- HPLC ($\text{NH}_4\text{OAc}/\text{ACN}$) gradient, the appropriate fractions are pooled and lyophilized to give a solid yellow as the acetic acid salt: 59 mg (51%). ^1H - NMR (400 MHz, CDCl_3) : δ 10.1 (br s, 1H), 8.37 (br s, 2H), 8.18 (d, 1H), 7.97 (d, 1H), 7.60 (br d, 1H), 7.27 (s, 2H), 7.20 (br s, 3H), 6.15 (s, 1H), 3.82 (m, 2H), 3.65 (s, 6H), 3.20 (br m, 2H), 2.82 (br s, 8H), 2.42 (s, 3H), 2.02 (s, 3H). MS (EI) m/z for $\text{C}_{26}\text{H}_{34}\text{N}_8\text{O}_5\text{S}$: 595.84 (MH^+).

[0330] The following title compounds were prepared using similar procedures to those in Example 156.

Example 157: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- ((3- (dimethylamino) propyl) (methyl) amino) acetamide. MS (EI) m/z for $\text{C}_{30}\text{H}_{37}\text{N}_7\text{O}_5\text{S}$: 608.1 (MH^+).

Example 158: 2- (1, 4'- bipiperidin- 1'- yl)- *N*- (3- (*N*- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z for $\text{C}_{34}\text{H}_{41}\text{N}_7\text{O}_5\text{S}$: 660.1 (MH^+).

Example 159: *tert*- butyl 2- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenylcarbamoyl) piperidine- 1- carboxylate. MS (EI) m/z for $\text{C}_{33}\text{H}_{38}\text{N}_6\text{O}_7\text{S}$: 663.1 (MH^+).

Example 160: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (1- (dimethylamino) propan- 2- yl) benzamide. MS (EI) m/z for $\text{C}_{27}\text{H}_{29}\text{ClN}_6\text{O}_4\text{S}$: 569.0 (MH^+).

Example 161: *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 3- ureidobenzenesulfonamide. MS (EI) m/z for $\text{C}_{23}\text{H}_{22}\text{N}_6\text{O}_5\text{S}$: 495.40 (MH^+).

Example 162: 2- (dimethylamino)- *N*- (3- (*N*- (3- (5- methoxy- 2- methylphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z for $\text{C}_{26}\text{H}_{28}\text{N}_6\text{O}_4\text{S}$: 521.69 (MH^+).

Example 163: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (4- methylpiperazin- 1- yl) acetamide. MS (EI) m/z for $\text{C}_{29}\text{H}_{33}\text{N}_7\text{O}_5\text{S}$: 592.61 (MH^+).

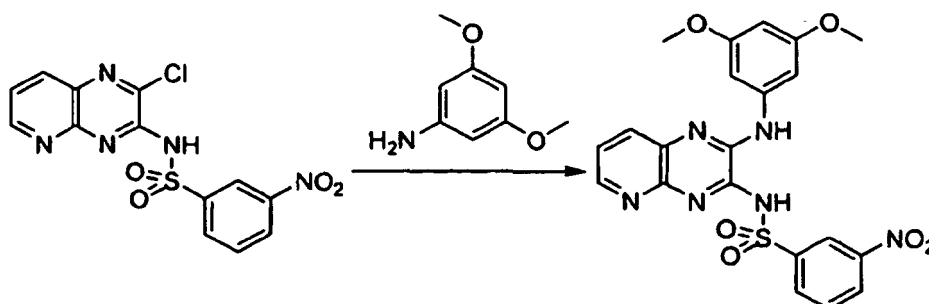
Example 164: 2- acetamido- *N*- (3- (*N*- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z for $\text{C}_{26}\text{H}_{26}\text{N}_6\text{O}_6\text{S}$: 550.59 (MH^+).

Example 165: *tert*- butyl 2- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenylamino)- 2- oxoethylcarbamate. MS (EI) m/z for $\text{C}_{29}\text{H}_{32}\text{N}_6\text{O}_7\text{S}$: 609.32 (MH^+).

Example 166

N-(2-(3,5-dimethoxy-phenylamino)pyrido[2,3-*b*]pyrazin-3-yl)-3-nitrobenzenesulfonamide

[0331]



[0332] To a xylene suspension (15 mL) of *N*- (2- chloropyrido [2, 3- *b*] pyrazin- 3- yl)- 3- nitrobenzenesulfonamide (1

g, 2.7 mmol) (prepared using procedures similar to those in Asier, et al J. Org Chem 2005, 70 (7), 2878 and Leeson, et al J. Med. Chem 1991, 34, 1243) was added 420 mg (2.7 mmol) of 3, 5 dimethoxyaniline. After refluxing the reaction for 1h, the reaction is cooled, the precipitate is collected by filtration and dried under vacuum to give 830 mg of the product as a ~6: 1 mixture of isomers with the major being N- (2- (3, 5- dimethoxy- phenylamino) pyrido [2, 3- b] pyrazin- 3- yl)- 3- nitrobenzenesulfonamide which was assigned by known chemical reactivity. Analytical HPLC, ret. time = 3.3 min (14%), 3.05 min (86%), (conditions: Phenomenex Gemini C 18 50x4.6 column, gradient 5% to 95% MeCN/H₂O, in the presence of 0.1% TFA, 5 min run at 3.5 ml/min flow rate, λ =254 nm) . ¹H- NMR (400 MHz, DMSO- d₆) : major isomer δ 9.14 (br s, 1H), 8.69 (dd, 1H), 8.60 (dd, 1H), 8.33 (dt, 2H), 7.77 (t, 1H), 7.49 (dd, 1H), 7.37 d, 2H), 7.05 (s, 1H), 6.26 (t, 1H), 3.77 (s, 6H) ; MS (EI) m/z for C₂₁H₁₈N₆O₆S: 483.08 (MH⁺) .

Example 167

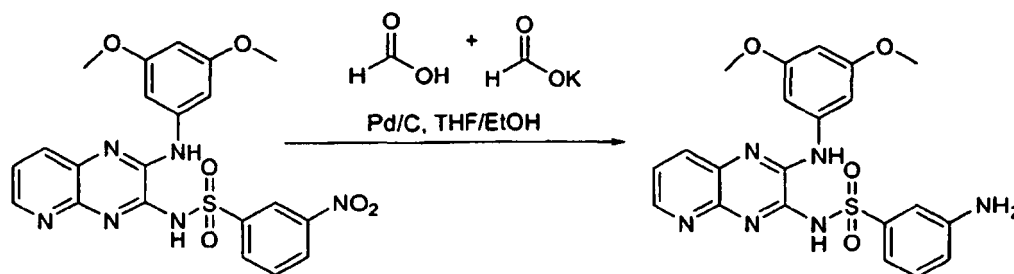
3-amino-N-(2-(3,5-dimethoxy-phenylamino)pyrido[2,3-b]pyrazin-3-yl)benzenesulfonamide.

[0333] To a 1: 1 THF/ EtOH suspension (1 mL) of N- (3- (3, 5- dimethoxyphenylamino)- pyrido [3, 2- b] pyrazin- 2- yl)- 3- nitrobenzenesulfonamide (190 mg, 0.21 mmol) (prepared using procedures similar to those in Examples 166) was added 47 μ L (1.26 mmol) of formic acid plus 99 mg (1.17 mmol) of potassium formate and 50 mg of 10% palladium on charcoal. After refluxing the reaction for 1h, hot filtration through celite (washing with a small portion of DMF), dilution with 30 mL of water, the pH was adjusted to 5.5 with 5% NaHCO₃, the product is isolated as a precipitate 140 mg (80%) of white powder. Analytical HPLC, ret. time = 2.6 min (90%), 3.05 min (10%), 100% pure (conditions: YMC C 18 5x4.6 column, gradient 10% to 90% MeCN/H₂O, in the presence of 0.1% TFA, 9 min run at 1 ml/min flow rate, λ =254 nm) . ¹H- NMR (400 MHz, CDCl₃) : δ 8.48 (br s, 1H), 8.34 (dd, 1H), 7.92 (dd, 1H), 7.41 (dd, 1H), 7.15 (m, 3H), 7.13 (d, 2H), 6.86 (dd, 1H), 6. 28 (t, 1H), 3.83 (s, 6H) ; MS (EI) m/z for C₂₁H₂₀N₆O₄S: 453.03 (MH⁺) .

Example 168

3-amino-N-(3-{[3,5-bis(methoxy)phenyl]amino}pyrido[2,3-b]pyrazin-2-yl)benzenesulfonamide

[0334]

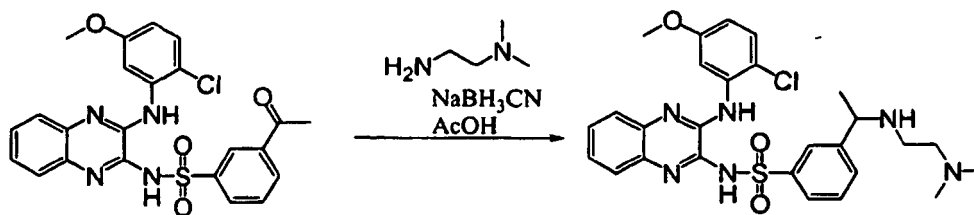


[0335] To a 1: 1 THF/ EtOH suspension (1 mL) of 3- nitro- N- (3- { [3, 5- bis (methoxy)- phenyl] amino} pyrido [2, 3- b] pyrazin- 2- yl) benzenesulfonamide (100 mg, 0.21 mmol) (prepared using procedures similar to those used in Example 166) was added 46 μ L (0.63 mmol) of formic acid plus 100mg (0.63 mmol) of potassium formate and 100 mg of 10% palladium on charcoal. After refluxing the reaction for 1h, hot filtration through celite, and concentration, the product is isolated by preparative RP- HPLC (NH₄OAc/ACN) gradient. The appropriate fractions were pooled and lyophilize to give solid yellow product: 3.2 mg (4%) . ¹H- NMR (400 MHz, CDCl₃) : δ 8.62 (d, 1H), 8.52 (s, 1H), 7.62 (d, 1H), 7.3 (m, 4H), 7.18 (d, 2H), 6.88 (d, 1H), 6.27 (t, 1H), 3.96 (br s, 2H), 3.83 (s, 6H) . MS (EI) m/z for C₂₁H₂₀N₆O₄S: 453.22 (MH⁺) .

Example 169

N-(3-{[2-chloro-5-(methoxy)phenyl]amino}quinoxalin-2-yl)-3-(1-{[2-(dimethylamino)-ethyl]amino}ethyl)benzenesulfonamide trifluoroacetic acid salt

[0336]

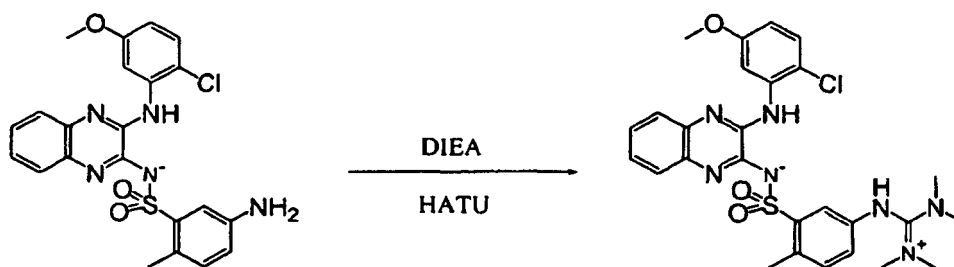


[0337] To a dichloroethane solution (0.6 mL) of 3-acetyl-*N*-(3-{[2-chloro-5-(methoxy)-phenyl]amino}quinoxalin-2-yl)benzenesulfonamide (150 mg, 0.31 mmol), prepared using procedures similar to those in Example 115, and 51 μ L (0.37 mmol) of *N,N*-dimethylethylenediamine was added 19 μ L of acetic acid followed by 132 mg (0.62 mmol) of sodium cyanoborohydride. The reaction mixture was refluxed for 18h under a nitrogen atmosphere. After concentration (in vacuo), the product is isolated by preparative RP- HPLC (0.1 % TFA/ACN) gradient, followed by lyophilization of appropriate fractions to give solid yellow solid: 189 mg (90%). ^1H - NMR (400 MHz, d_3 - MeOD) : δ 8.74 (s, 1H), 8.18 (s, 1H), 8.12 (d, 1H), 7.71 (m, 3H), 7.48 (m, 4H), 7.28 (d, 1H), 6.63 (d, 1H), 4.38 (q, 1H), 3.80 (s, 3H), 3.30 (m, 3H), 3.12 (m, 1H), 2.84 (s, 3H), 1.60 (d, 3H). MS (EI) m/z for $\text{C}_{27}\text{H}_{31}\text{ClN}_6\text{O}_3\text{S}$: 555.56 (MH^+).

Example 170

***N,N*-[[(3-[[[3-[[2-chloro-5-(methoxy)phenyl]amino]quinoxalin-2-yl)amino]sulfonyl]-4-methylphenyl)amino](dimethylamino)methylidene]-*N*-methylmethanaminium**

[0338]

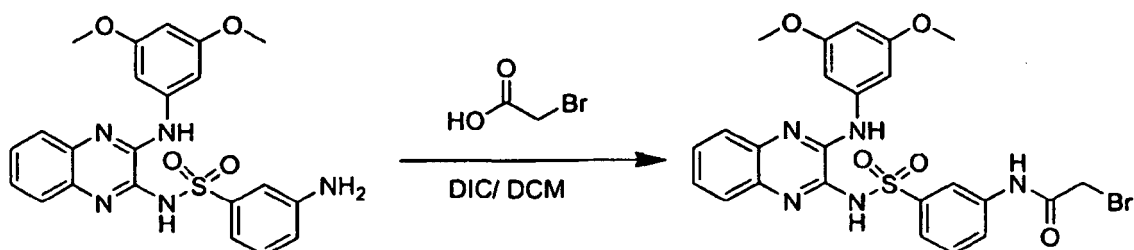


[0339] To a dimethylformamide solution (1 mL) of 3-amino-*N*-(3-{[2-chloro-5-(methoxy)-phenyl]amino}quinoxalin-2-yl)-2-methylbenzenesulfonamide (200 mg, 0.40 mmol), prepared using procedures similar to those described in Example 115, is added 312 μ L (1.8 mmol) of DIEA and 122 mg (0.6 mmol) of HATU. After stirring for 18h at 60 °C, the product was precipitated from a 1: 1 mixture of hexane/ ethyl acetate, filtered and dried to afford 60 mg (26%). ^1H NMR (400 MHz, DMSO- d_6) : δ 9.26 (b rs, 1H), 8.96 (br s, 1H), 7.80 (s, 1H), 7.51 (br s, 1H), 7.45 (d, 1H), 7.18 (brm, 4H), 6.91 (br s, 1H), 6.60 (br d, 1H), 3.82 (s, 3H), 3.36 (s, 3H), 2.85 (s, 6H), 2.58 (s, 3H). MS (EI) m/z for $\text{C}_{27}\text{H}_{31}\text{ClN}_7\text{O}_3\text{S}^+$: 569.32 (MH^+).

Example 171

2-Bromo-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide

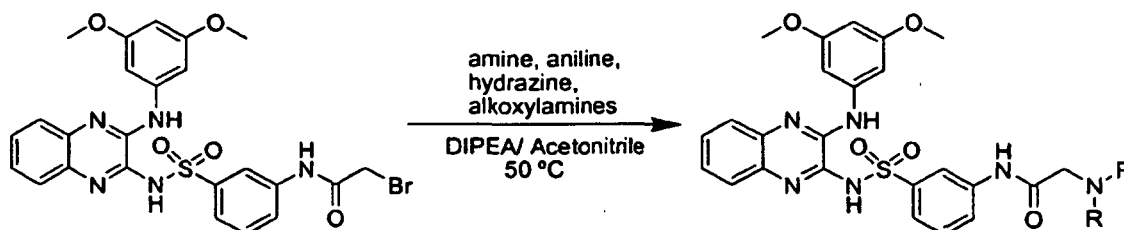
[0340]



[0341] In a 50 mL round-bottom flask was added 2-bromoacetic acid (1.87 g, 13.5 mmol), *N,N*-diisopropylcarbodiimide (860 mg, 6.8 mmol) and 10 mL DCM. To this mixture was added 3-amino-*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl) benzenesulfonamide (2.03 g, 4.5 mmol), prepared using procedures similar to those in Example 168. The reaction was stirred overnight at room temperature. Complete consumption of the starting aniline was confirmed by LCMS. The solvent was evaporated off to yield the crude product (2-bromo-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl) sulfamoyl) phenyl) acetamide). This was used directly in the next step without further purification.

General Alkylation Procedure 1

[0342]



[0343] Into a 2-dram vial was placed 2-bromo-*N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl) sulfamoyl) phenyl) acetamide (86 mg, 0.15 mmol), prepared using procedures similar to those in Example 171, along with 2 mL of acetonitrile. Eight equivalents (1.2 mmol) of the desired amine, aniline, hydrazine or alkoxyamine were added followed by the addition of Hunig's Base (41 μ L, 0.25 mmol). The reaction then was stirred at 50 °C for one hour (overnight for aniline reagents). Preparative reverse-phase HPLC was used to isolate the desired product directly from the crude reaction mixture. A Waters Fractionlynx preparative reverse-phase HPLC- equipped with a Waters SunFire Prep C18, OCD 5 μ M, 30 X 70 mm column and running a 5- 100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/ acetonitrile- was used to carry out the purification.

[0344] The following title compounds were prepared according to **General Library Alkylation Procedure 1**.

Example 172: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl) sulfamoyl) phenyl)- 2-(methylamino) acetamide. $^1\text{H-NMR}$ (400MHz, d_6 - DMSO) : 8.81 (s, 1H), 8.23 (t, 1H), 7.75 (d, 1H), 7.66 (d, 1H), 7.41- 7.38 (m, 1H), 7.35 (m, 1H), 7.32 (d, 2H), 7.29- 7.27 (m, 1H), 7.14- 7.11 (m, 2H), 6.14 (t, 1H), 3.80 (s, 1H), 3.78 (s, 6H), 2.58 (s, 3H), 1.91 (s, 2H) ; MS (EI) m/z $\text{C}_{25}\text{H}_{26}\text{N}_6\text{O}_5\text{S}$: 523.6 (MH^+) .

Example 173: 2-(cyclopropylmethylamino)- *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl) sulfamoyl) phenyl) acetamide. $^1\text{H-NMR}$ (400MHz, d_6 - DMSO) : 10.58 (s, 1H), 8.81 (s, 1H), 8.20 (t, 1H), 7.76 (d, 1H), 7.67 (d, 1H), 7.42- 7.36 (m, 2H), 7.32 (d, 2H), 7.27 (s, 1H), 7.14- 7.12 (m, 2H), 6.15 (t, 1H), 3.93 (s, 2H), 3.78 (s, 6H), 2.89 (s, 1H), 2.88 (s, 1H), 1.05- 1.00 (m, 1H), 0.59 (d, 1H), 0.57 (d, 1H), 0.35 (d, 1H), 0.34 (d, 1H) ; MS (EI) m/z $\text{C}_{28}\text{H}_{30}\text{N}_6\text{O}_5\text{S}$: 563.6 (MH^+) .

Example 174: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl) sulfamoyl) phenyl)- 2-(2-hydroxy-propylamino) acetamide. $^1\text{H-NMR}$ (400MHz, d_6 - DMSO) : 10.49 ppm (s, 1H), 8.81 ppm (s, 1H), 8.23 ppm (t, 1H), 8.13 ppm (s, 1H), 7.76 ppm (d, 1H), 7.765- 7.763 (dd, 1H), 7.41- 7.37 ppm (m, 2H), 7.33- 7.32 ppm (d, 1H), 7.30- 7.28 ppm (m, 1H), 7.16- 7.09 ppm (m, 2H), 6.55 ppm (s, 1H), 6.14 ppm (t, 1H), 5.49 ppm (d, 2H), 5.25 ppm (s, 1H), 3.85 ppm (s, 1H), 3.78 ppm (s, 6H) 3.67- 3.59 ppm (m, 1H), 3.00- 2.89 ppm (dd, 1H), 2.79- 2.76 ppm (m, 1H), 1.10 ppm (d, 1H), 1.01- 0.99 ppm (d, 1H) ; MS (EI) m/z $\text{C}_{27}\text{H}_{30}\text{N}_6\text{O}_6\text{S}$: 566.6 (MH^+) .

Example 175: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl) sulfamoyl) phenyl) 2-(3-fluorobenzylamino) acetamide. $^1\text{H-NMR}$ (400MHz, d_6 - DMSO) : 10.42 ppm (s, 1H), 8.82 ppm (s, 1H), 8.23 ppm (s, 1H), 8.14 ppm (s, 1H), 7.75 ppm (d, 1H), 7.65 ppm (d, 1H), 7.49- 7.32 ppm (m, 6H), 7.25- 7.20 ppm (m, 1H), 7.14- 7.12 ppm (m, 2H), 6.55 ppm (s, 1H), 6.15 ppm (t, 1H), 4.14 ppm (s, 2H), 3.78 ppm (s, 6H), 3.74 ppm (s, 2H) ; MS (EI) m/z $\text{C}_{31}\text{H}_{29}\text{FN}_6\text{O}_5\text{S}$: 616.7 (MH^+) .

Example 176: 2-(benzylamino)- *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $\text{C}_{31}\text{H}_{30}\text{N}_6\text{O}_5\text{S}$: 599 (MH^+) .

Example 177: 2-(diethylamino)- *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $\text{C}_{28}\text{H}_{32}\text{N}_6\text{O}_5\text{S}$: 565 (MH^+) .

Example 178: 2-(4-(3,4-dichlorophenyl) piperazin-1-yl)- *N*-(3-(*N*-(3-(3,5-dimethoxyphenylamino)quinoxalin-2-yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $\text{C}_{34}\text{H}_{33}\text{Cl}_2\text{N}_7\text{O}_5\text{S}$: 722 (MH^+) .

Example 179: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl) sulfamoyl) phenyl)- 2-(2,2-dimethylhydrazinyl) acetamide. MS (EI) m/z $\text{C}_{26}\text{H}_{29}\text{N}_7\text{O}_5\text{S}$: 552 (MH^+) .

Example 180: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl) sulfamoyl) phenyl)- 2-(*p*-tolylamino)

acetamide. MS (EI) m/z $C_{31}H_{30}N_6O_5S$: 599 (MH^+) .

[0345] Example 181: 2- (benzyloxyamino)- *N*- (3- (*N*- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{31}H_{30}N_6O_6S$: 615 (MH^+) .

[0346] Example 182: 2- (2- chlorophenylamino)- *N*- (3- (*N*- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{30}H_{27}ClN_6O_5S$: 619 (MH^+) .

Example 183: *N*- (3- (*N*- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (isopropylamino) acetamide. MS (EI) m/z $C_{27}H_{30}N_6O_5S$: 551 (MH^+) .

Example 184: 2- (4- cyclopentylpiperazin- 1- yl)- *N*- (3- (*N*- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{33}H_{39}N_7O_5S$: 646 (MH^+) .

Example 185: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (4- propylpiperidin- 1- yl) acetamide. MS (EI) m/z $C_{32}H_{38}N_6O_5S$: 619 (MH^+) .

Example 186: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (isobutoxyamino) acetamide. MS (EI) m/z $C_{28}H_{32}N_6O_6S$: 581 (MH^+) .

Example 187: 2- (3- *tert*- butylphenylamino)- *N*- (3- (*N*- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{34}H_{36}N_6O_5S$: 641 (MH^+) .

Example 188: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (2- phenylpropan- 2- ylamino) acetamide. MS (EI) m/z $C_{33}H_{34}N_6O_5S$: 627 (MH^+) .

Example 189: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (3- fluoro- 4- hydroxyphenylamino) acetamide. MS (EI) m/z $C_{30}H_{27}FN_6O_6S$: 619 (MH^+) .

Example 190: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (2- (methylthio) benzylamino) acetamide. MS (EI) m/z $C_{32}H_{32}N_6O_5S_2$: 645 (MH^+) .

Example 191: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (5- fluoro- 2- methylbenzylamino) acetamide. MS (EI) m/z $C_{32}H_{31}FN_6O_5S$: 631 (MH^+) .

Example 192: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (2- phenylpyrrolidin- 1- yl) acetamide. MS (EI) m/z $C_{34}H_{34}N_6O_5S$: 639 (MH^+) .

Example 193: 2- (2- benzylpyrrolidin- 1- yl)- *N*- (3- (*N*- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{35}H_{36}N_6O_5S$: 653 (MH^+) .

Example 194: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (2- phenylmorpholino) acetamide. MS (EI) m/z $C_{34}H_{34}N_6O_6S$: 655 (MH^+) .

Example 195: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (2- (pyridin- 4- yl) piperidin- 1- yl) acetamide. MS (EI) m/z $C_{34}H_{35}N_7O_5S$: 654 (MH^+) .

Example 196: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (o- tolylamino) acetamide. MS (EI) m/z $C_{31}H_{30}N_6O_5S$: 599 (MH^+) .

Example 197: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (2, 4- dimethylbenzylamino) acetamide. MS (EI) m/z $C_{33}H_{34}N_6O_5S$: 627 (MH^+) .

Example 198: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (methyl (pyridin- 3- ylmethyl) amino) acetamide. MS (EI) m/z $C_{31}H_{31}N_7O_5S$: 614 (MH^+) .

Example 199: 2- (3- chloro- 4- methylbenzylamino)- *N*- (3- (*N*- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{32}H_{31}ClN_6O_5S$: 647 (MH^+) .

Example 200: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- ((2- (dimethylamino)- ethyl) (methyl) amino) acetamide. MS (EI) m/z $C_{29}H_{35}N_7O_5S$: 594 (MH^+) .

Example 201: 2- (4- acetylpiperazin- 1- yl)- *N*- (3- (*N*- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{30}H_{33}N_7O_6S$: 620 (MH^+) .

Example 202: *N*- (3- (*N*- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (methyl (1- methylpyrrolidin- 3- yl) amino) acetamide. MS (EI) m/z $C_{30}H_{35}N_7O_5S$: 606 (MH^+) .

Example 203: *N*- (3- (*N*- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (4- methyl- 1, 4- diazepam- 1- yl) acetamide. MS (EI) m/z $C_{30}H_{35}N_7O_5S$: 606 (MH^+) .

Example 204: 2- (4- allylpiperazin- 1- yl)- *N*- (3- (*N*- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{31}H_{35}N_7O_5S$: 618 (MH^+) .

Example 205: *N*- (3- (*N*- (3- (3, 5- dimethoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (4- isopropylpiperazin- 1- yl) acetamide MS (EI) m/z $C_{31}H_{37}N_7O_5S$: 620 (MH^+) .

Example 206: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (3- (dimethylamino) pyrrolidin- 1- yl) acetamide. MS (EI) m/z $C_{30}H_{35}N_7O_5S$: 606 (MH^+) .

Example 207: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (3- (dimethylamino) azetidin- 1- yl) acetamide. MS (EI) m/z $C_{29}H_{33}N_7O_5S$: 592 (MH^+) .

Example 208: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (4- oxopiperidin- 1- yl) acetamide. MS (EI) m/z $C_{29}H_{30}N_6O_6S$: 591 (MH^+) .

Example 209: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- ((2- meth-

oxyethyl) (methyl) amino) acetamide. MS (EI) m/z $C_{28}H_{32}N_6O_6S$: 581 (MH⁺) .

Example 210: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(4-methyl-benzyloxyamino) acetamide. MS (EI) m/z $C_{32}H_{32}N_6O_6S$: 629 (MH⁺) .

Example 211: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxatin-2-yl) sulfamoyl) phenyl)-2-(2-methoxy-benzyloxyamino) acetamide. MS (EI) m/z $C_{32}H_{32}N_6O_7S$: 645 (MH⁺) .

Example 212: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(propylamino) acetamide. MS (EI) m/z $C_{27}H_{30}N_6O_5S$: 551 (MH⁺) .

Example 213: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(ethyl (methyl) amino) acetamide. MS (EI) m/z $C_{21}H_{30}N_6O_5S$: 551 (MH⁺) .

Example 214: 2-(allyl (methyl) amino)-*N*-(3-(*N*-(3-(3, 5-dimethoxyphenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{28}H_{30}N_6O_5S$: 563 (MH⁺) .

Example 215: 2-(*tert*-butylamino)-*N*-(3-(*N*-(3-(3, 5-dimethoxyphenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{28}H_{32}N_6O_5S$: 565 (MH⁺) .

Example 216: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(isobutylamino) acetamide. MS (EI) m/z $C_{28}H_{32}N_6O_5S$: 565 (MH⁺) .

Example 217: 2-(butylamino)-*N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{28}H_{32}N_6O_5S$: 565 (MH⁺) .

Example 218: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(isopropyl (methyl) amino) acetamide. MS (EI) m/z $C_{28}H_{32}N_6O_5S$: 565 (MH⁺) .

Example 219: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(4-formyl-piperazin-1-yl) acetamide. MS (EI) m/z $C_{29}H_{31}N_7O_6S$: 606 (MH⁺) .

Example 220: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(4-ethylpiper-azin-1-yl) acetamide. MS (EI) m/z $C_{30}H_{35}N_7O_5S$: 606 (MH⁺) .

Example 221: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(4-formyl-1, 4-diazepan-1-yl) acetamide. MS (EI) m/z $C_{30}H_{33}N_7O_6S$: 620 (MH⁺) .

Example 222: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(ethyl (2-hydroxyethyl) amino) acetamide. MS (EI) m/z $C_{28}H_{32}N_6O_6S$: 581 (MH⁺) .

Example 223: (S)-*N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(3-hy-droxypyrrolidin-1-yl) acetamide. MS (EI) m/z $C_{28}H_{30}N_6O_6S$: 579 (MH⁺) .

Example 224: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(2, 6-dimeth-ylmorpholino) acetamide. MS (EI) m/z $C_{30}H_{34}N_6O_6S$: 607 (MH⁺) .

Example 225: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(2-methyl-benzylamino) acetamide. MS (EI) m/z $C_{32}H_{32}N_6O_5S$: 613 (MH⁺) .

Example 226: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(2-methoxy-ethylamino) acetamide. MS (EI) m/z $C_{27}H_{30}N_6O_6S$: 567 (MH⁺) .

Example 227: *N*-(3-(*N*-(3-(3, 5-dimethoxyphenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(thiazolidin-3-yl) acetamide. MS (EI) m/z $C_{27}H_{28}N_6O_5S_2$: 581 (MH⁺) .

Example 228: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(3-(hydroxyme-thyl) piperidin-1-yl) acetamide. MS (EI) m/z $C_{30}H_{34}N_6O_6S$: 607 (MH⁺) .

Example 229: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(2-phenyl-propylamino) acetamide. MS (EI) m/z $C_{33}H_{34}N_6O_5S$: 627 (MH⁺) .

Example 230: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(isobutyl (methyl) amino) acetamide. MS (EI) m/z $C_{29}H_{34}N_6O_5S$: 579 (MH⁺) .

Example 231: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(phenylamino) acetamide. MS (EI) m/z $C_{30}H_{28}N_6O_5S$: 585 (MH⁺) .

Example 232: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(2-propylphe-nylamino) acetamide. MS (EI) m/z $C_{33}H_{34}N_6O_5S$: 627 (MH⁺) .

Example 233: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(2-isopro-pylphenylamino) acetamide. MS (EI) m/z $C_{33}H_{34}N_6O_5S$: 627 (MH⁺) .

Example 234: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(2-fluoro-4-methylphenylamino) acetamide. MS (EI) m/z $C_{31}H_{29}FN_6O_5S$: 617 (MH⁺) .

Example 235: 2-(4-chlorophenylamino)-*N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{30}H_{27}ClN_6O_5S$: 619 (MH⁺) .

Example 236: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(2-methox-yphenylamino) acetamide. MS (EI) m/z $C_{31}H_{30}N_6O_6S$: 615 (MH⁺) .

Example 237: 2-(3-chlorophenylamino)-*N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{30}H_{27}ClN_6O_5S$: 619 (MH⁺) .

Example 238: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(2, 3-dimeth-

ylphenylamino) acetamide. MS (EI) m/z $C_{32}H_{32}N_6O_5S$: 613 (MH^+).

Example 239: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(2-fluorophenylamino) acetamide. MS (EI) m/z $C_{30}H_{27}FN_6O_5S$: 603 (MH^+).

Example 240: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(3-fluorophenylamino) acetamide. MS (EI) m/z $C_{30}H_{27}FN_6O_5S$: 603 (MH^+).

Example 241: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(thiophen-2-ylmethylamino) acetamide. MS (EI) m/z $C_{29}H_{28}N_6O_5S_2$: 605 (MH^+).

Example 242: 2-(cyclohexyl (ethyl) amino)-*N*-(3-(*N*-(3-(3, 5-dimethoxyphenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{32}H_{38}N_6O_5S$: 619 (MH^+).

Example 243: 2-((cyclopropylmethyl) (propyl) amino)-*N*-(3-(*N*-(3-(3, 5-dimethoxyphenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{31}H_{36}N_6O_5S$: 605 (MH^+).

Example 244: 2-(allyl (cyclopentyl) amino)-*N*-(3-(*N*-(3-(3, 5-dimethoxyphenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{32}H_{36}N_6O_5S$: 617 (MH^+).

Example 245: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(ethyl (isopropyl) amino) acetamide. MS (EI) m/z $C_{29}H_{34}N_6O_5S$: 579 (MH^+).

Example 246: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(ethyl (phenyl) amino) acetamide. MS (EI) m/z $C_{32}H_{32}N_6O_5S$: 613 (MH^+).

Example 247: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(2-methylpyrrolidin-1-yl) acetamide. MS (EI) m/z $C_{29}H_{32}N_6O_5S$: 577 (MH^+).

Example 248: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(2-methylpiperidin-1-yl) acetamide. MS (EI) m/z $C_{30}H_{34}N_6O_5S$: 591 (MH^+).

Example 249: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(pyridin-2-ylmethylamino) acetamide. MS (EI) m/z $C_{30}H_{29}N_7O_5S$: 600 (MH^+).

Example 250: 2-(benzyl (methyl) amino)-*N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{32}H_{32}N_6O_5S$: 613 (MH^+).

Example 251: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(1-phenylethylamino) acetamide. MS (EI) m/z $C_{32}H_{31}N_6O_5S$: 613 (MH^+).

Example 252: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(3-methylpiperidin-1-yl) acetamide. MS (EI) m/z $C_{30}H_{34}N_6O_5S$: 591 (MH^+).

Example 253: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(4-methylpiperidin-1-yl) acetamide. MS (EI) m/z $C_{30}H_{34}N_6O_5S$: 591 (MH^+).

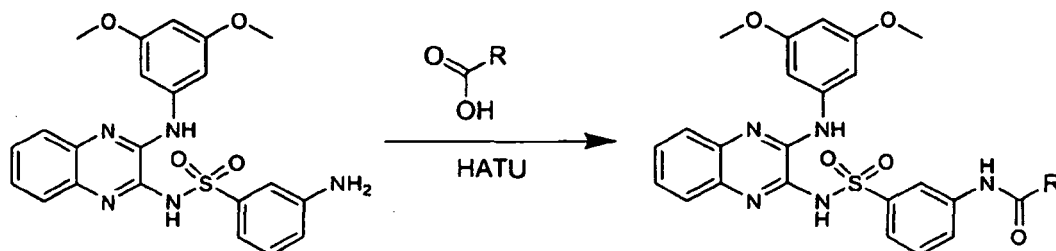
Example 254: 2-(3, 4-dihydroisoquinolin-2(1H)-yl)-*N*-(3-(*N*-(3-(3, 5-dimethoxyphenylamino) quinoxalin-2-yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{33}H_{32}N_6O_5S$: 625 (MH^+).

Example 255: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(2, 6-dimethylpiperidin-1-yl) acetamide. MS (EI) m/z $C_{31}H_{36}N_6O_5S$: 605 (MH^+).

Example 256: *N*-(3-(*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) sulfamoyl) phenyl)-2-(3-hydroxybenzylamino) acetamide. MS (EI) m/z $C_{31}H_{30}N_6O_6S$: 615 (MH^+).

General Library Acylation Procedure 1

[0347]



[0348] Into a 2-dram vial were added 3-amino-*N*-(3-(3, 5-dimethoxy-phenylamino) quinoxalin-2-yl) benzenesulfonamide (54 mg, 0.12 mmol), prepared using procedures similar to those described in Example 15, DMA (2 mL) and the desired carboxylic acid (0.17 mmol). DIEA (70 μ L, 0.4 mmol) followed by HATU (53 mg, 0.14 mmol) were added to the vial and the reaction mixture stirred at 50 °C overnight. Preparative reverse-phase HPLC was used to isolate the desired product directly from the crude reaction mixture. A Waters Fractionlynx preparative reverse-phase HPLC; equipped with a Waters SunFire Prep C18 OCD 5 μ M, 30 X 70 mm column and running a 5- 100 % gradient with a

binary solvent system of 25 mM ammonium acetate in water/ acetonitrile; was used to carry out the purification.

[0349] The following title compounds were prepared according to **General Library Acylation Procedure 1**.

Example 257: *N*-(3-(*N*-(3-(2-chloro-5-methoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)morpholine-4-carboxamide. MS (EI) *m/z* for $C_{26}H_{21}ClN_6O_5S$: 567 (MH⁺).

Example 258: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide. MS (EI) *m/z* for $C_{26}H_{28}N_6O_5S$: 535.1 (MH⁺).

Example 259: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)propionamide. ¹H-NMR (400MHz, d₆-DMSO) : 12.37 (s, 1H), 10.20 (s, 1H), 8.88 (s, 1H), 8.37 (s, 1H), 7.93 (s, 1H), 7.77 (t, 2H), 7.59 (t, 1H), 7.51 (t, 1H), 7.41-7.34 (m, 4H), 6.24 (t, 1H), 3.76 (s, 6H), 2.36-2.31 (dd, 2H), 1.10 (s, 1H), 1.08 (s, 1H), 1.06 (s, 1H); MS (EI) *m/z* $C_{25}H_{25}N_5O_5S$: 508.6 (MH⁺).

Example 260: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pyridazine-4-carboxamide. ¹H-NMR (400MHz, d₆-DMSO) : 11.01 (s, 1H), 9.66 (dd, 1H), 9.52 (dd, 1H), 8.90 (s, 1H), 8.55 (s, 1H), 8.13 (dd, 1H), 7.99 (d, 1H), 7.93 (d, 1H), 7.65-7.58 (m, 2H), 7.42-7.35 (m, 4H), 6.24 (t, 1H), 3.75 (s, 6H); MS (EI) *m/z* $C_{27}H_{23}N_7O_5S$: 558.6 (MH⁺).

Example 261: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methylnicotinamide. ¹H-NMR (400MHz, d₆-DMSO) : 10.78 ppm (s, 1H), 8.90 ppm (s, 1H), 8.58-8.57 ppm (dd, 2H), 7.90-7.86 (m, 4H), 7.60-7.56 ppm (m, 2H), 7.42-7.34 (m, 5H), 6.23 ppm (t, 1H), 3.74 ppm (s, 6H), 2.57 ppm (s, 3H); MS (EI) *m/z* $C_{29}H_{26}N_5O_5S$: 570.6 (MH⁺).

Example 262: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(*o*-tolyl)oxyacetamide. ¹H-NMR (400MHz, d₆-DMSO) : 12.37 ppm (s, 1H), 10.41 ppm (s, 1H), 8.90 ppm (s, 1H), 8.41 ppm (s, 1H), 7.93 ppm (s, 1H), 7.90-7.8 (m, 2H), 7.59-7.53 ppm (m, 2H), 7.42-7.33 ppm (m, 4H), 7.17-7.12 ppm (m, 2H), 6.89-6.85 ppm (m, 2H), 6.24 ppm (t, 1H), 4.74 ppm (s, 2H), 3.76 ppm (s, 6H), 2.33 ppm (s, 2H); MS (EI) *m/z* $C_{31}H_{29}N_5O_6S$: 599.7 (MH⁺).

Example 263: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methoxy-4-methylbenzamide. MS (EI) *m/z* $C_{31}H_{29}N_5O_6S$: 600 (MH⁺).

Example 264: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methoxy-4-methylbenzamide. MS (EI) *m/z* $C_{28}H_{24}N_6O_5S$: 557 (MH⁺).

Example 265: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)thiazole-4-carboxamide. MS (EI) *m/z* $C_{26}H_{22}N_6O_5S_2$: 563 (MH⁺).

Example 266: 2-bromo-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)thiophene-3-carboxamide. MS (EI) *m/z* $C_{27}H_{22}BrN_5O_5S_2$: 640 (MH⁺).

Example 267: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pivalamide. MS (EI) *m/z* $C_{27}H_{29}N_5O_5S$: 536 (MH⁺).

Example 268: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pent-4-enamide. MS (EI) *m/z* $C_{27}H_{27}N_5O_5S$: 534 (MH⁺).

Example 269: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) *m/z* $C_{29}H_{25}N_5O_5S$: 556 (MH⁺).

Example 270: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)butyramide. MS (EI) *m/z* $C_{26}H_{27}N_5O_5S$: 522 (MH⁺).

Example 271: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methoxyacetamide. MS (EI) *m/z* $C_{25}H_{25}N_5O_6S$: 524 (MH⁺).

Example 272: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)cyclobutanecarboxamide. MS (EI) *m/z* $C_{27}H_{27}N_5O_5S$: 534 (MH⁺).

Example 273: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methylcyclopropanecarboxamide. MS (EI) *m/z* $C_{27}H_{27}N_5O_5S$: 534 (MH⁺).

Example 274: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-methylcyclopropanecarboxamide. MS (EI) *m/z* $C_{27}H_{27}N_5O_5S$: 534 (MH⁺).

Example 275: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methylbutanamide. MS (EI) *m/z* $C_{27}H_{29}N_5O_5S$: 536 (MH⁺).

Example 276: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-ethoxyacetamide. MS (EI) *m/z* $C_{26}H_{27}N_5O_6S$: 538 (MH⁺).

Example 277: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methoxypropanamide. MS (EI) *m/z* $C_{26}H_{27}N_5O_6S$: 538 (MH⁺).

Example 278: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-hydroxyacetamide. MS (EI) *m/z* $C_{24}H_{23}N_5O_6S$: 510 (MH⁺).

Example 279: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)isobutyramide. MS (EI) *m/z* $C_{26}H_{27}N_5O_5S$: 522 (MH⁺).

Example 280: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-hydroxycy-

clopropanecarboxamide. MS (EI) m/z $C_{26}H_{25}N_5O_6S$: 536 (MH^+) .

Example 281: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) furan- 3- carboxamide. MS (EI) m/z $C_{27}H_{23}N_5O_6S$: 546 (MH^+) .

Example 282: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) tetrahydrofuran- 3- carboxamide. MS (EI) m/z $C_{27}H_{27}N_5O_6S$: 550 (MH^+) .

Example 283: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) tetrahydrofuran- 2- carboxamide. MS (EI) m/z $C_{27}H_{27}N_5O_6S$: 550 (MH^+) .

Example 284: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) furan- 2- carboxamide. MS (EI) m/z $C_{21}H_{23}N_5O_6S$: 546 (MH^+) .

Example 285: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) isonicotinamide. MS (EI) m/z $C_{28}H_{24}N_6O_5S$: 557 (MH^+) .

Example 286: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 1H- pyrrole- 2- carboxamide. MS (EI) m/z $C_{27}H_{24}N_6O_5S$: 545 (MH^+) .

Example 287: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) pyrazine- 2- carboxamide. MS (EI) m/z $C_{27}H_{23}N_7O_5S$: 558 (MH^+) .

Example 288: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 1- methyl- 1H- pyrrole- 2- carboxamide. MS (EI) m/z $C_{28}H_{26}N_6O_5S$: 559 (MH^+) .

Example 289: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 5- methylisoxazole- 3- carboxamide. MS (EI) m/z $C_{27}H_{24}N_6O_6S$: 561 (MH^+) .

Example 290: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) thiophene- 2- carboxamide. MS (EI) m/z $C_{27}H_{23}N_5O_5S_2$: 562 (MH^+) .

Example 291: (*S*)- *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 1- methyl- pyrrolidine- 2- carboxamide. MS (EI) m/z $C_{28}H_{30}N_6O_5S$: 563 (MH^+) .

Example 292: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- methylbenzamide. MS (EI) m/z $C_{30}H_{27}N_5O_5S$: 570 (MH^+) .

Example 293: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- phenylacetamide. MS (EI) m/z $C_{30}H_{27}N_5O_5S$: 570 (MH^+) .

Example 294: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 3- methylpicolinamide. MS (EI) m/z $C_{29}H_{26}N_6O_5S$: 571 (MH^+) .

Example 295: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (pyridin- 3- yl) acetamide. MS (EI) m/z $C_{29}H_{26}N_6O_5S$: 571 (MH^+) .

Example 296: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 6- hydroxypicolinamide. MS (EI) m/z $C_{28}H_{24}N_6O_6S$: 573 (MH^+) .

Example 297: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- fluorobenzamide. MS (EI) m/z $C_{29}H_{24}FN_5O_5S$: 574 (MH^+) .

Example 298: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 4- fluorobenzamide. MS (EI) m/z $C_{29}H_{24}FN_5O_5S$: 574 (MH^+) .

Example 299: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 3- fluorobenzamide. MS (EI) m/z $C_{29}H_{24}FN_5O_5S$: 574 (MH^+) .

Example 300: 2- cyclohexyl- *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $C_{30}H_{33}N_5O_5S$: 576 (MH^+) .

Example 301: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (2- oxocyclopentyl) acetamide. MS (EI) m/z $C_{29}H_{29}N_5O_6S$: 576 (MH^+) .

Example 302: 4- cyclopropyl- *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 4- oxobutanamide. MS (EI) m/z $C_{29}H_{29}N_5O_6S$: 576 (MH^+) .

Example 303: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 3- oxocyclohexanecarboxamide. MS (EI) m/z $C_{29}H_{29}N_5O_6S$: 576 (MH^+) .

Example 304: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 3- (pyridin- 3- yl) propanamide. MS (EI) m/z $C_{30}H_{28}N_6O_5S$: 585 (MH^+) .

Example 305: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- methoxybenzamide. MS (EI) m/z $C_{30}H_{27}N_5O_6S$: 586 (MH^+) .

Example 306: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 3- methoxybenzamide. MS (EI) m/z $C_{30}H_{27}N_5O_6S$: 586 (MH^+) .

Example 307: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- phenoxyacetamide. MS (EI) m/z $C_{30}H_{27}N_5O_6S$: 586 (MH^+) .

Example 308: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 4- methoxybenzamide. MS (EI) m/z $C_{30}H_{27}N_5O_6S$: 586 (MH^+) .

Example 309: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (4- fluorophenyl)

acetamide. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH⁺) .

Example 310: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-fluorophenyl)acetamide. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH⁺) .

Example 311: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-fluorophenyl)acetamide. MS (EI) m/z $C_{30}H_{26}FN_3O_5S$: 588 (MH⁺) .

Example 312: 2-chloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) m/z $C_{29}H_{24}ClN_5O_5S$: 590 (MH⁺) .

Example 313: 4-chloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) m/z $C_{29}H_{24}ClN_5O_5S$: 590 (MH⁺) .

Example 314: 3-chloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) m/z $C_{29}H_{24}ClN_5O_5S$: 590 (MH⁺) .

Example 315: (1*R*, 2*R*)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-phenylcyclopropanecarboxamide. MS (EI) m/z $C_{32}H_{29}N_5O_5S$: 596 (MH⁺) .

Example 316: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-phenylcyclopropanecarboxamide. MS (EI) m/z $C_{32}H_{29}N_5O_5S$: 596 (MH⁺) .

Example 317: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(1*H*-imidazol-4-yl)acetamide. MS (EI) m/z $C_{27}H_{25}N_7O_5S$: 560 (MH⁺) .

Example 318: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-methoxy-2-methylbenzamide. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH⁺) .

Example 319: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-fluorophenoxy)acetamide. MS (EI) m/z $C_{30}H_{26}FN_5O_6S$: 604 (MH⁺) .

Example 320: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-5-fluoro-2-methoxybenzamide. MS (EI) m/z $C_{30}H_{26}FN_5O_6S$: 604 (MH⁺) .

Example 321: 2-(4-chlorophenyl)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{30}H_{26}ClN_5O_5S$: 604 (MH⁺) .

Example 322: 2-(2-chlorophenyl)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{30}H_{26}ClN_5O_5S$: 604 (MH⁺) .

Example 323: 2-(3-chlorophenyl)-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. MS (EI) m/z $C_{30}H_{26}ClN_5O_5S$: 604 (MH⁺) .

Example 324: 1-acetyl-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)piperidine-4-carboxamide. MS (EI) m/z $C_{30}H_{32}N_6O_6S$: 605 (MH⁺) .

Example 325: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(pyridin-4-yl)acetamide. MS (EI) m/z $C_{29}H_{26}N_6O_5S$: 571 (MH⁺) .

Example 326: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(pyridin-2-yl)acetamide. MS (EI) m/z $C_{29}H_{26}N_6O_5S$: 571 (MH⁺) .

Example 327: 2,4-dichloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) m/z $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH⁺) .

Example 328: 3,4-dichloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) m/z $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH⁺) .

Example 329: 2,5-dichloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) m/z $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH⁺) .

Example 330: 3,5-dichloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) m/z $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH⁺) .

Example 331: 2,3-dichloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzamide. MS (EI) m/z $C_{29}H_{23}Cl_2N_5O_5S$: 624 (MH⁺) .

Example 332: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pentanamide. MS (EI) m/z $C_{27}H_{29}N_5O_5S$: 536 (MH⁺) .

Example 333: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methylbutanamide. MS (EI) m/z $C_{27}H_{29}N_5O_5S$: 536 (MH⁺) .

Example 334: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1*H*-imidazole-2-carboxamide. MS (EI) m/z $C_{26}H_{23}N_7O_5S$: 546 (MH⁺) .

Example 335: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1*H*-imidazole-4-carboxamide. MS (EI) m/z $C_{26}H_{23}N_7O_5S$: 546 (MH⁺) .

Example 336: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)isoxazole-5-carboxamide. MS (EI) m/z $C_{26}H_{22}N_6O_6S$: 547 (MH⁺) .

Example 337: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3,3-dimethylbutanamide. MS (EI) m/z $C_{28}H_{31}N_5O_5S$: 550 (MH⁺) .

Example 338: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methylpentanamide. MS (EI) m/z $C_{28}H_{31}N_5O_5S$: 550 (MH^+).

Example 339: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2,2-dimethylbutanamide. MS (EI) m/z $C_{28}H_{31}N_5O_5S$: 550 (MH^+).

Example 340: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-methylpentanamide. MS (EI) m/z $C_{28}H_{31}N_5O_5S$: 550 (MH^+).

Example 341: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)pyrimidine-5-carboxamide. MS (EI) m/z $C_{27}H_{23}N_7O_5S$: 558 (MH^+).

Example 342: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-methylfuran-2-carboxamide. MS (EI) m/z $C_{28}H_{25}N_5O_6S$: 560 (MH^+).

Example 343: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)thiophene-3-carboxamide. MS (EI) m/z $C_{27}H_{23}N_5O_5S_2$: 562 (MH^+).

Example 344: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-oxocyclopentanecarboxamide. MS (EI) m/z $C_{28}H_{27}N_5O_6S$: 562 (MH^+).

Example 345: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-methoxyethoxy)acetamide. MS (EI) m/z $C_{27}H_{29}N_5O_7S$: 568 (MH^+).

Example 346: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-methylbenzamide. MS (EI) m/z $C_{30}H_{27}N_5O_5S$: 570 (MH^+).

Example 347: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-methylisoxazol-4-yl)acetamide. MS (EI) m/z $C_{28}H_{26}N_6O_6S$: 575 (MH^+).

Example 348: 3-cyclopentyl-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)propanamide. MS (EI) m/z $C_{30}H_{33}N_5O_5S$: 576 (MH^+).

Example 349: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-*o*-tolylacetamide. MS (EI) m/z $C_{31}H_{29}N_5O_5S$: 584 (MH^+).

Example 350: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methoxynicotinamide. MS (EI) m/z $C_{29}H_{26}N_6O_6S$: 587 (MH^+).

Example 351: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-fluoro-3-methylbenzamide. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Example 352: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-fluoro-2-methylbenzamide. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Example 353: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-fluoro-4-methylbenzamide. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Example 354: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-fluoro-5-methylbenzamide. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Example 355: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-5-fluoro-2-methylbenzamide. MS (EI) m/z $C_{30}H_{26}FN_5O_5S$: 588 (MH^+).

Example 356: 6-chloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)nicotinamide. MS (EI) m/z $C_{28}H_{23}ClN_6O_5S$: 591 (MH^+).

Example 357: 2-chloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)nicotinamide. MS (EI) m/z $C_{28}H_{23}ClN_6O_5S$: 591 (MH^+).

Example 358: 2-chloro-*N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)isonicotinamide. MS (EI) m/z $C_{28}H_{23}ClN_6O_5S$: 591 (MH^+).

Example 359: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-4-(dimethylamino)benzamide. MS (EI) m/z $C_{31}H_{30}N_6O_5S$: 599 (MH^+).

Example 360: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-(dimethylamino)benzamide. MS (EI) m/z $C_{31}H_{30}N_6O_5S$: 599 (MH^+).

Example 361: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)benzo[d][1,3]dioxole-5-carboxamide. MS (EI) m/z $C_{30}H_{25}N_5O_7S$: 600 (MH^+).

Example 362: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(*m*-tolylloxy)acetamide. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Example 363: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(4-methoxyphenyl)acetamide. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Example 364: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(2-methoxyphenyl)acetamide. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Example 365: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(3-methoxyphenyl)acetamide. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Example 366: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-methoxy-4-methylbenzamide. MS (EI) m/z $C_{31}H_{29}N_5O_6S$: 600 (MH^+).

Example 367: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-fluoro-4-methoxybenzamide. MS (EI) m/z $C_{30}H_{26}FN_5O_6S$: 604 (MH^+).

Example 368: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-fluoro-6-methoxybenzamide. MS (EI) m/z $C_{30}H_{26}FN_5O_6S$: 604 (MH^+).

Example 369: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-(4-methoxyphenyl)propanamide. MS (EI) m/z $C_{32}H_{31}N_5O_6S$: 614 (MH^+).

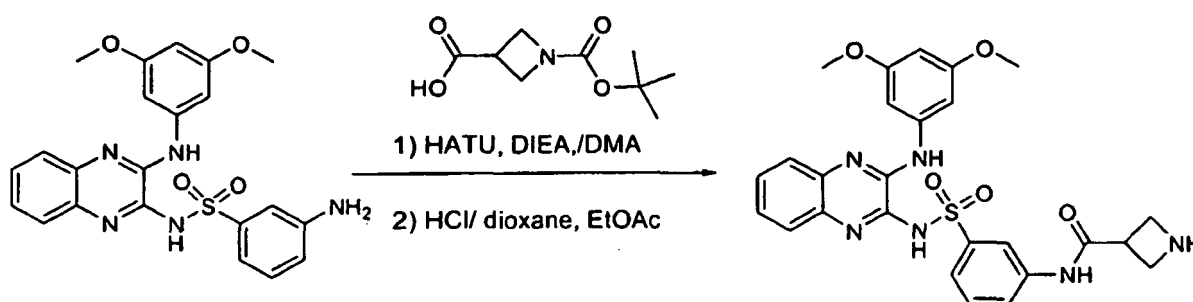
Example 370: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-(2-methoxyphenyl)propanamide. MS (EI) m/z $C_{32}H_{31}N_5O_6S$: 614 (MH^+).

Example 371: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-3-(3-methoxyphenyl)propanamide. MS (EI) m/z $C_{32}H_{31}N_5O_6S$: 614 (MH^+).

Example 372

N-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)azetidine-3-carboxamide.

[0350]

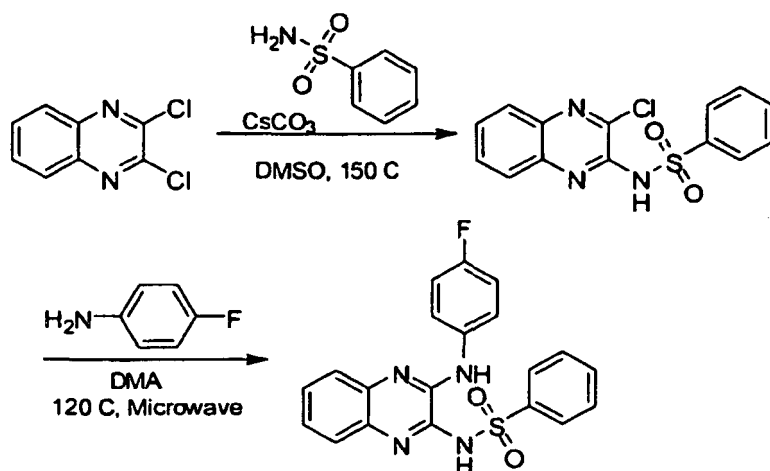


[0351] Into a 20 mL vial was added 3-amino-*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)benzenesulfonamide (0.24 mmol, 1 equiv), prepared using procedures similar to those described in Example 15, DMA (5 mL) and 1-(*tert*-butoxycarbonyl)azetidine-3-carboxylic acid (0.336 mmol, 1.4 equiv). Hunig's Base (0.792 mmol, 3.3 equiv) and HATU (0.288 mmol, 1.2 equiv) were added to the vial and the reaction mixture was then stirred at room temperature overnight. Completion of the reaction was indicated by LCMS. The solvent was removed by rotary evaporation. The crude mixture was carried forward without further purification. The residue was suspended in 5 mL ethyl acetate and chilled in an ice bath. A solution of 4 N HCl in dioxane (3 mL, 5 equiv) was added with stirring. The reaction mixture was then stirred at room temperature overnight. The solid materials were collected by filtration, washed with ethylacetate then purified further by preparative reverse-phase HPLC (ammonium acetate/ACN). A Waters Fractionlynx preparative reverse-phase HPLC; equipped with a Waters SunFire Prep C 18, OCD 5 μ M, 30 X 70 mm column and running a 5-100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/ acetonitrile; was used to carry out the purification. *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)azetidine-3-carboxamide was obtained (26 mg, 20%). ^1H -NMR (400MHz, d_6 -DMSO): 10.26 (s, 1H), 8.81 (s, 1H), 8.25 (t, 1H), 8.14 (s, 1H), 7.74 (d, 1H), 7.69 (d, 1H), 7.41- 7.39 (m, 1H), 7.36 (d, 1H), 7.32 (d, 2H), 7.30- 7.28 (dd, 1H), 7.14- 7.11 (m, 2H), 6.14 (t, 1H), 4.09 (d, 4H), 3.78 (s, 6H); MS (EI) m/z $C_{26}H_{26}N_6O_5S$: 535.6 (MH^+).

Example 373

N-(3-(4-fluorophenylamino)quinoxalin-2-yl)benzenesulfonamide

[0352]



[0353] A flask was charged with 2, 3- dichloroquinoxaline (3.5 g, 18 mmol), 85 mL of dimethylsulfoxide, benzene sulfonamide (2.8 g, 18 mmol), and cesium carbonate (5.8 g, 18 mmol) . The reaction mixture was stirred under an N₂ atmosphere for 15 h at 150 °C, after which time, it was transferred to a separatory funnel and 100 mL of water were added. Concentrated HCl was then added in order to acidify the reaction mixture to pH<2. The aqueous layer was subsequently washed three times with 90 mL ethyl acetate. The ethyl acetate layers were then washed two times with 150 mL water, three times with 100 mL brine and then dried over sodium sulfate. The ethyl acetate was removed on a rotary- evaporator. A slurry was formed by adding ethyl acetate and dichloromethane to the dried crude product, filtration yielded *N*- (3- chloroquinoxalin- 2- yl)- benzenesulfonamide which was used without further purification. MS (EI) m/z C₁₄H₁₀ClN₃O₂S: 319.9 (MH⁺) .

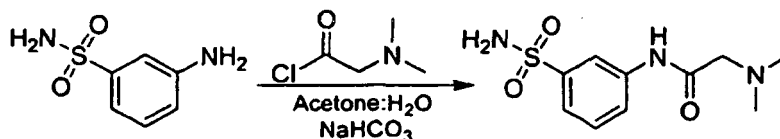
[0354] A CEM microwave reaction vessel was charged with *N*- (3- chloroquinoxalin- 2- yl) benzenesulfonamide (52 mg, 0.16 mmol), prepared using procedures similar to those described in the above step, 4- fluoroaniline (36 mg, 0.32 mmol), and 0.8 mL of dimethylacetamide. The vessel was sealed and the reaction mixture was heated under microwave radiation for 25 m at 120 °C in a CEM Discover microwave instrument. Methanol (1 mL) was added to the reaction mixture and after 20 minutes the product precipitated out of the solution. Filtration yielded *N*- (3- (4- fluorophenylamino) quinoxalin- 2- yl) benzenesulfonamide (39 mg, 62 %) . ¹H- NMR (400MHz, d₆- DMSO) : δ 12.30 (s, 1H), 9.11 (s, 1H), 8.16- 8.10 (d, 2H), 8.02- 7.90 (m, 3H), 7.68- 7.58 (m, 3H), 7.55- 7.51 (m, 1H), 7.41- 7.32 (m, 2H), 7.25- 7.16 (m, 2H) ; MS (EI) m/z C₂₀H₁₅FN₄O₂S: 395.0 (MH⁺) .

Example 374

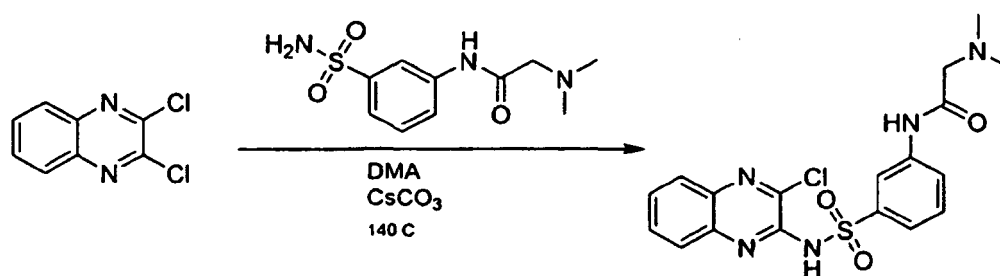
N-(3-(*N*-(3-chloroquinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide

[0355]

Scheme A



Scheme B



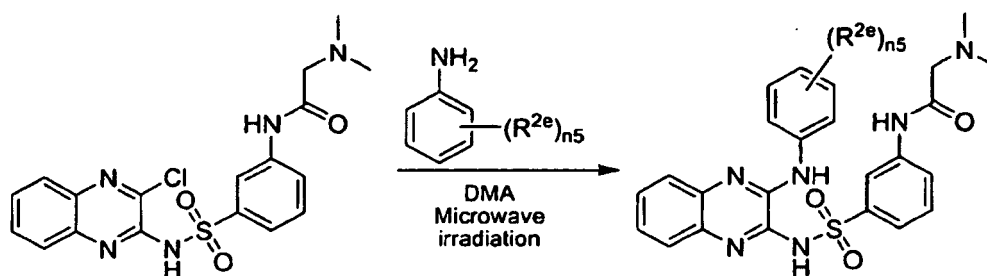
Scheme A

[0356] A flask was charged with 3-aminobenzene sulfonamide (3.3 g, 19 mmol), and 20 mL of 1: 1 acetone: H_2O . The solution was stirred at room temperature until the aminobenzene sulfonamide had dissolved. The flask was then cooled in an ice bath and dimethylamino- acetyl chloride HCl (4.6 g, 29 mmol) was added. To the resulting slurry sodium bicarbonate (4.8 g, 57 mmol) was added over a 15 m period. After 30 min the reaction was removed from the ice bath and allowed to stir at room temperature for 15 h. The reaction mixture was then filtered and washed with methanol and acetonitrile. The filtrate was dried on a rotary evaporator to yield 2- (dimethylamino)- *N*-(3- sulfamoyl- phenyl) acetamide, which was submitted to the next step without further purification. MS (EI) m/z $\text{C}_{10}\text{H}_{15}\text{N}_3\text{O}_3\text{S}$: 258.0 (MH^+) .

Scheme B

[0357] A flask was charged with dichloroquinazoline (1.0 g, 5.8 mmol), 10 mL of dimethylacetamide, 2- (dimethylamino)- *N*-(3- sulfamoylphenyl) acetamide (0.70 g, 2.7 mmol), and cesium carbonate (1.8 g, 5.5 mmol) . The reaction mixture was stirred for 3 h at 140 °C and then filtered. The solvent was evaporated from the filtrate on a rotary- evaporator to yield (N- (3- (N- (3- chloroquinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (dimethylamino) acetamide) which was submitted to the next step without further purification. MS (EI) m/z $\text{C}_{18}\text{H}_{18}\text{ClN}_5\text{O}_3\text{S}$: 420.0 (MH^+) .

General Amination Procedure 1a

[0358]

[0359] A CEM microwave reaction vessel was charged with *N*-(3- (N- (3- chloroquinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (dimethylamino) acetamide (30 mg, 0.071 mmol), prepared using procedures similar to those described in Example 374, the desired aniline (16 mg, 0.14 mmol, 2 eq), and 0.5 mL of dimethylacetamide. The vessel was sealed and the reaction mixture was heated under microwave radiation for 70 min at 140 °C in a CEM Discover microwave instrument. The solvent was then removed by rotary- evaporation. Purification of the final product was accomplished by preparatory reverse- phase HPLC with the eluents 25 mM aqueous $\text{NH}_4\text{OAc}/\text{ACN}$ to the desired product.

[0360] The following compounds were prepared according to the above **General Amination Procedure 1a**.

Example 375: 2- (dimethylamino)- *N*-(3- (N- (3- (3- fluorophenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. ^1H - NMR (400MHz, CDCl_3) : 9.40 ppm (s, 1H), 8.43 ppm (s, 1H), 8.22 ppm (s, 1H), 8.07- 8.02 ppm (d, 1H), 7.97- 7.93 ppm (d, 1H), 7.76- 7.71 (m, 2H), 7.53- 7.48 ppm (t, 1H), 7.45- 7.36 ppm (m, 4H), 7.35- 7.28 ppm (m, 2H), 6.84- 6.77 ppm (t, 1H), 3.10 ppm (s, 2H), 2.38 ppm (s, 6H) ; MS (EI) m/z $\text{C}_{24}\text{H}_{23}\text{FN}_6\text{O}_3\text{S}$: 495 (MH^+) .

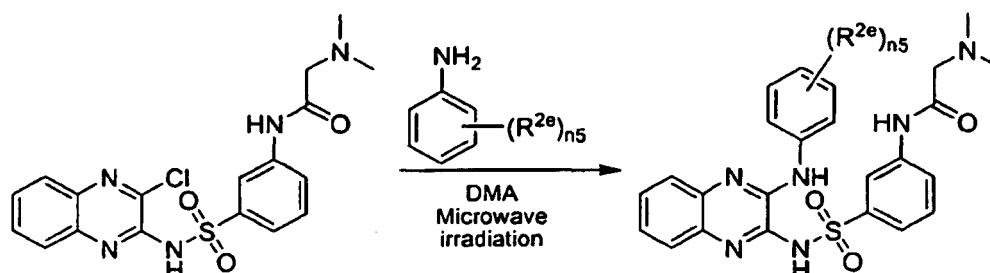
Example 376: 2- (dimethylamino)- *N*-(3- (N- (3- (4- fluorophenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $\text{C}_{24}\text{H}_{23}\text{FN}_6\text{O}_3\text{S}$: 495 (MH^+) .

Example 377: *N*-(3- (N- (3- (4- chloro- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 2- (dimethylamino)

acetamide. MS (EI) m/z $C_{24}H_{23}ClN_6O_3S$: 511 (MH^+).

General Amination Procedure 1b

[0361]



[0362] A CEM microwave reaction vessel was charged with *N*-(3-(*N*-(3-chloroquinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide (62 mg, 0.147 mmol), prepared using procedures similar to those in Example 374, the desired aniline (0.567 mmol, 4 eq), and 1.0 mL of toluene. The vessel was sealed and the reaction mixture was heated under microwave radiation for 60 min at 180 °C in a CEM Discover microwave instrument. The solvent was removed on a rotary- evaporator. Purification of the final product was done by preparatory HPLC with NH_4OAc/ACN as eluent to yield the desired product.

[0363] The following compounds were prepared according to the above **General Amination Procedure 1b**.

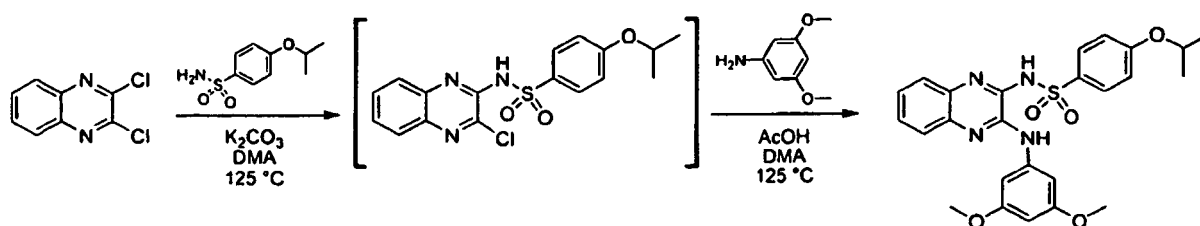
Example 378 *N*-(3-(*N*-(3-(3-chloro-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-2-(dimethylamino)acetamide. MS (EI) m/z $C_{24}H_{23}ClN_6O_3S$: 511 (MH^+).

Example 379 2-(dimethylamino)-*N*-(3-(*N*-(3-(4-fluoro-3-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)acetamide. 2-(dimethylamino)-*N*-(3-(*N*-(3-(4-fluoro-3-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)phenyl). ^1H -NMR (400MHz, $CDCl_3$): δ 9.47 (s, 1H), 8.36 (s, 1H), 8.29 (s, 1H), 7.91-7.87 (d, 1H), 7.80-7.73 (m, 2H), 7.66-7.63 (d, 1H), 7.53-7.47 (t, 1H), 7.43-7.30 (m, 4H), 7.10-7.04 (t, 1H), 6.55-5.95 (br s, 1H), 3.96 (s, 3H), 3.12 (s, 2H), 2.39 (s, 6H), 2.08 (s, 3H (AcOH)); MS (EI) m/z $C_{25}H_{25}FN_6O_4S$: 525 (MH^+).

Example 380

N-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-4-isopropoxybenzenesulfonamide

[0364]



[0365] *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-4-isopropoxy-benzenesulfonamide. A solution of 2,3-dichloroquinoxaline (2.0 mL, 0.38 M) was combined with K_2CO_3 (105 mg, 0.76 mmol) in a glass vial. A solution of 4-isopropoxybenzenesulfonamide (1.75 mL, 0.43 M) was added and the solution was stirred overnight at 125 °C. After cooling, acetic acid (45 mL, 0.79 mmol) and 3,5-dimethoxyaniline (230 mg, 1.5 mmol) were added. The reaction mixture was stirred again at 125 °C overnight. Upon cooling, the reaction mixture was diluted with 8 mL of methanol and then 8 mL of water. The precipitate was collected by filtration and recrystallized from *N,N*-dimethylacetamide/ water to give *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-4-isopropoxy-benzenesulfonamide (45 mg, 12%). ^1H -NMR (400MHz, d_6 -DMSO): 12.16 (bs, 1H), 8.93 (s, 1H), 8.03 (d, 2H), 7.92 (bs, 1H), 7.56 (d, 1H), 7.36 (m, 4H), 7.07 (d, 2H), 6.24 (s, 1H), 4.72 (m, 1H), 3.76 (s, 6H), 1.27 (d, 6H); MS (EI) m/z $C_{25}H_{26}N_4O_5S$: 495 (MH^+).

[0366] Examples 381- 411 were synthesized proceeding as above in Example 423. In the cases where the product did not precipitate, the mixture was purified by reverse phase HPLC.

Example 381: 3- chloro- *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 4- methylbenzenesulfonamide. ^1H - NMR (400MHz, d_6 - DMSO) : 12.31 (bs, 1H), 8.96 (s, 1H), 8.18 (s, 1H), 7.98 (d, 1H), 7.92 (bs, 1H), 7.58 (d, 2H), 7.43- 7.33 (m, 4H), 6.24 (t, 1H), 3.76 (s, 6H), 2.39 (s, 3H) ; MS (EI) m/z $\text{C}_{23}\text{H}_{21}\text{ClN}_4\text{O}_4\text{S}$: 485 (MH^+) .

Example 382: *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) naphthalene- 1- sulfonamide. MS (EI) m/z $\text{C}_{26}\text{H}_{22}\text{N}_4\text{O}_4\text{S}$: 487 (MH^+) .

Example 383: *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 4- fluorobenzenesulfonamide. MS (EI) m/z $\text{C}_{22}\text{H}_{19}\text{FN}_4\text{O}_4\text{S}$: 455 (MH^+) .

Example 384: *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 3- fluorobenzenesulfonamide. MS (EI) m/z $\text{C}_{22}\text{H}_{19}\text{FN}_4\text{O}_4\text{S}$: 455 (MH^+) .

Example 385: *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 3- (trifluoromethyl) benzenesulfonamide. MS (EI) m/z $\text{C}_{23}\text{H}_{19}\text{F}_3\text{N}_4\text{O}_4\text{S}$: 505 (MH^+) .

Example 386: *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 4- (trifluoromethyl) benzenesulfonamide. MS (EI) m/z $\text{C}_{23}\text{H}_{19}\text{F}_3\text{N}_4\text{O}_4\text{S}$: 505 (MH^+) .

Example 387: *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 4- (trifluoromethoxy) benzenesulfonamide. MS (EI) m/z $\text{C}_{23}\text{H}_{19}\text{F}_3\text{N}_4\text{O}_5\text{S}$: 521 (MH^+) .

Example 388: *N*- (4- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) acetamide. MS (EI) m/z $\text{C}_{24}\text{H}_{23}\text{N}_5\text{O}_5\text{S}$: 494 (MH^+) .

Example 389: *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 4- fluoro- 2- methylbenzenesulfonamide. MS (EI) m/z $\text{C}_{23}\text{H}_{21}\text{FN}_4\text{O}_4\text{S}$: 469 (MH^+) .

Example 390: *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 2- methylbenzenesulfonamide. MS (EI) m/z $\text{C}_{23}\text{H}_{22}\text{N}_4\text{O}_4\text{S}$: 451 (MH^+) .

Example 391: 2- chloro- *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) benzenesulfonamide. MS (EI) m/z $\text{C}_{22}\text{H}_{19}\text{ClN}_4\text{O}_4\text{S}$: 471 (MH^+) .

Example 392: *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 3, 5- difluorobenzenesulfonamide. MS (EI) m/z $\text{C}_{22}\text{H}_{18}\text{F}_2\text{N}_4\text{O}_4\text{S}$: 473 (MH^+) .

Example 393: 3, 5- dichloro- *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) benzenesulfonamide. MS (EI) m/z $\text{C}_{22}\text{H}_{18}\text{Cl}_2\text{N}_4\text{O}_4\text{S}$: 505 (MH^+) .

Example 394: *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 3- fluoro- 4- methylbenzenesulfonamide. MS (EI) m/z $\text{C}_{23}\text{H}_{21}\text{FN}_4\text{O}_4\text{S}$: 469 (MH^+) .

Example 395: *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 2- (trifluoromethyl) benzenesulfonamide. MS (EI) m/z $\text{C}_{23}\text{H}_{19}\text{F}_3\text{N}_4\text{O}_4\text{S}$: 505 (MH^+) .

Example 396: 4- cyano- *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) benzenesulfonamide. MS (EI) m/z $\text{C}_{23}\text{H}_{19}\text{N}_5\text{O}_4\text{S}$: 462 (MH^+) .

Example 397: *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 1- phenylmethanesulfonamide. MS (EI) m/z $\text{C}_{23}\text{H}_{22}\text{N}_4\text{O}_4\text{S}$: 451 (MH^+) .

Example 398: 4, 5- dichloro- *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) thiophene- 2- sulfonamide. MS (EI) m/z $\text{C}_{20}\text{H}_{16}\text{Cl}_2\text{N}_4\text{O}_4\text{S}_2$: 511 (MH^+) .

Example 399: 1- (3- chlorophenyl)- *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) methanesulfonamide. MS (EI) m/z $\text{C}_{23}\text{H}_{21}\text{ClN}_4\text{O}_4\text{S}$: 485 (MH^+) .

Example 400: *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 2, 5- dimethylthiophene- 3- sulfonamide. MS (EI) m/z $\text{C}_{22}\text{H}_{22}\text{N}_4\text{O}_4\text{S}_2$: 471 (MH^+) .

Example 401: *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 3, 5- bis (trifluoromethyl) benzenesulfonamide. MS (EI) m/z $\text{C}_{24}\text{H}_{18}\text{F}_6\text{N}_4\text{O}_4\text{S}$: 573 (MH^+) .

Example 402: *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 4- fluoro- 3- (trifluoromethyl) benzenesulfonamide. MS (EI) m/z $\text{C}_{23}\text{H}_{18}\text{F}_4\text{N}_4\text{O}_4\text{S}$: 523 (MH^+) .

Example 403: 5- chloro- *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 1, 3- dimethyl- 1H- pyrazole- 4- sulfonamide. MS (EI) m/z $\text{C}_{21}\text{H}_{21}\text{ClN}_6\text{O}_4\text{S}$: 489 (MH^+) .

Example 404: 5- chloro- *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 2- methoxybenzenesulfonamide. MS (EI) m/z $\text{C}_{23}\text{H}_{21}\text{ClN}_4\text{O}_5\text{S}$: 501 (MH^+) .

Example 405: 5- bromo- *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 2- methoxybenzenesulfonamide. MS (EI) m/z $\text{C}_{23}\text{H}_{21}\text{BrN}_4\text{O}_5\text{S}$: 545 (MH^+) .

Example 406: 2, 5- dichloro- *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) thiophene- 3- sulfonamide. MS (EI) m/z $\text{C}_{20}\text{H}_{16}\text{Cl}_2\text{N}_4\text{O}_4\text{S}_2$: 511 (MH^+) .

Example 407: *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 3, 5- dimethylisoxazole- 4- sulfonamide. MS (EI) m/z $\text{C}_{21}\text{H}_{21}\text{N}_5\text{O}_5\text{S}$: 456 (MH^+) .

Example 408: *N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl)- 2, 5- dimethoxybenzenesulfonamide. MS (EI) m/z $\text{C}_{24}\text{H}_{24}\text{N}_4\text{O}_6\text{S}$: 497 (MH^+) .

Example 409: 3-chloro- *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-4-fluorobenzenesulfonamide.

MS (EI) m/z $C_{22}H_{18}ClFN_4O_4S$: 489 (MH^+).

Example 410: 4-(difluoromethoxy)- *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl) benzenesulfonamide

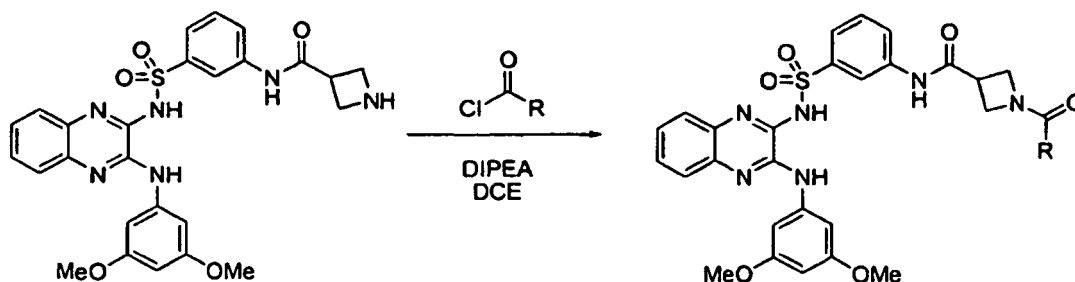
MS (EI) m/z $C_{23}H_{20}F_2N_4O_5S$: 503 (MH^+).

Example 411: *N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-3-(methylsulfonyl) benzenesulfonamide.

MS (EI) m/z $C_{23}H_{22}N_4O_6S_2$: 515 (MH^+).

General Acylation Procedure 2

[0367]



[0368] *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)-sulfamoyl)phenyl)azetidine-3-carboxamide (125 mg, 0.23 mmol), prepared using procedures similar to those described in Example 372, was dissolved into 5 mL DCE in a 10 mL round-bottom flask. DIEA (1.17 mmol, 5.0 equiv.) was then added with stirring followed by acid chloride (0.47 mmol, 2.0 equiv.). The reaction was then stirred at room temperature for 1 hour or until complete as indicated by LCMS. The solvent was subsequently removed under reduced pressure on a rotary evaporator. The crude material was then redissolved in methanol. Purification of the final product was accomplished by preparatory reverse-phase HPLC with the eluents 25 mM aqueous NH_4OAc/CAN . A Waters Fractionlynx preparative reverse-phase HPLC; equipped with a Waters SunFire Prep C 18, ODC 5 μ M, 30 X 70 mm column and running a 5-100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/ acetonitrile; was used to carry out the purification.

[0369] The following compounds were prepared according to **General Acylation Procedure 2**.

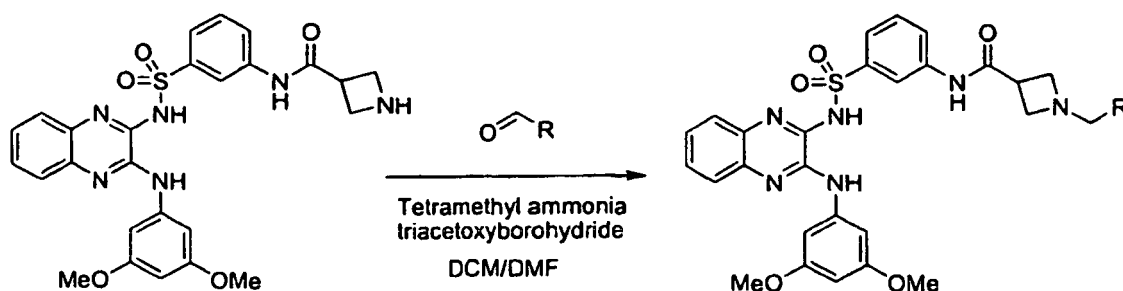
Example 412: *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)-1-propionylazetidine-3-carboxamide. ^1H -NMR (400MHz, d_6 -DMSO): 12.40 (s, 1H), 10.45 (s, 1H), 8.88 (s, 1H), 8.40 (s, 1H), 7.93 (s, 1H), 7.82 (d, 1H), 7.77 (d, 1H), 7.60-7.45 (m, 2H), 7.41-7.30 (m, 4H), 6.24 (s, 1H), 4.26 (t, 1H), 4.22-4.17 (m, 1H), 3.99 (t, 1H), 3.95-3.89 (m, 1H), 3.76 (s, 6H), 3.59-3.45 (m, 1H), 2.05 (dd, 2H), 0.95 (t, 3H); MS (EI) m/z $C_{29}H_{30}N_6O_6S$: 591 (MH^+).

Example 413: 1-acetyl- *N*-(3-([3-(3,5-bis(methoxy)-phenyl)amino]quinoxalin-2-yl)amino)sulfonyl)phenyl)azetidine-3-carboxamide. MS (EI) m/z $C_{28}H_{28}N_6O_6S$: 577 (MH^+).

Example 414: 1-(cyclopropanecarbonyl)- *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)azetidine-3-carboxamide. MS (EI) m/z $C_{30}H_{30}N_6O_6S$: 603 (MH^+).

General Reductive Amination Procedure 1

[0370]



[0371] To a solution of *N*-(3-(*N*-(3-(3,5-dimethoxy-phenylamino)quinoxalin-2-yl)sulfamoyl)phenyl)azetidine-

3- carboxamide (110 mg, 0.19 mmol), prepared using procedures similar to those described in Example 372, in 3 mL of DCE and 200 μ L of DMF, aldehyde (0.77 mmol, 4.0 eq.) was added slowly followed by tetramethylammonium triacetoxyborohydride (1.16 mmol, 6.0 eq) . The reaction was stirred at room temperature overnight. LC/MS indicated the reaction was completed. The solvent was subsequently removed under reduced pressure on a rotary evaporator. The crude material was then redissolved in methanol. Purification of the final product was accomplished by preparatory reverse- phase HPLC with the eluents 25 mM aqueous NH_4OAc /CAN. A Waters Fractionlynx preparative reverse- phase HPLC; equipped with a Waters SunFire Prep C18, OCD 5 μ M, 30 X 70 mm column and running a 5- 100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/ acetonitrile; was used to carry out the purification.

[0372] The following title compounds were prepared according to **General Reductive Amination Procedure 1**.

Example 415: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 1- ethylazetidine- 3- carboxamide. ^1H - NMR (400MHz, d_6 - DMSO) : 10.29 (s, 1H), 8.82 (s, 1H), 8.25 (t, 1H), 7.75- 7.68 (m, 2H), 7.43- 7.38 (m, 1H), 7.375- 7.340 (m, 1H), 7.338- 7.310 (d, 2H), 7.305- 7.262 (m, 1H), 7.15- 7.08 (m, 2H), 6.56 (s, 1H), 6.15 (t, 1H), 4.15- 4.08 (m, 2H), 4.06- 3.95 (m, 2H), 3.78 (s, 6H), 3.65- 3.56 (m, 1H), 3.12- 3.04 (m, 2H), 1.03 (t, 3H) ; MS (EI) m/z $\text{C}_{28}\text{H}_{30}\text{N}_6\text{O}_5\text{S}$: 563 (MH^+) .

Example 416: 1- (cyclopropylmethyl)- *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) azetidine- 3- carboxamide. MS (EI) m/z $\text{C}_{30}\text{H}_{32}\text{N}_6\text{O}_5\text{S}$: 589 (MH^+) .

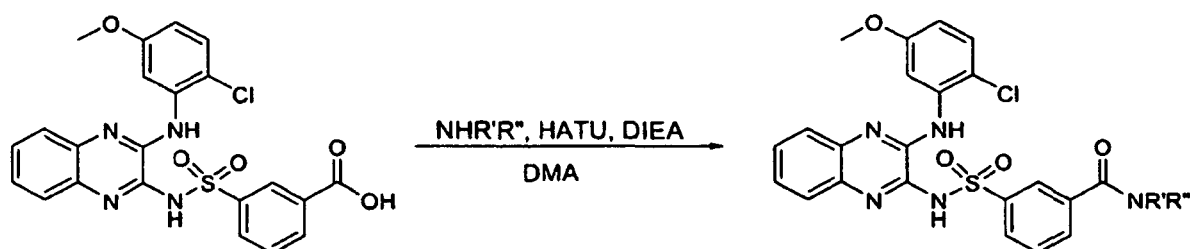
Example 417: 1- benzyl- *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) azetidine- 3- carboxamide. MS (EI) m/z $\text{C}_{33}\text{H}_{32}\text{N}_6\text{O}_5\text{S}$: 625 (MH^+) .

Example 418: *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl)- 1- (furan- 2- ylmethyl) azetidine- 3- carboxamide. MS (EI) m/z $\text{C}_{31}\text{H}_{30}\text{N}_6\text{O}_6\text{S}$: 615 (MH^+) .

Example 419: 1- ((1H- imidazol- 5- yl) methyl)- *N*- (3- (*N*- (3- (3, 5- dimethoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) phenyl) azetidine- 3- carboxamide. MS (EI) m/z $\text{C}_{30}\text{H}_{30}\text{N}_8\text{O}_5\text{S}$: 615 (MH^+) .

General Amide Formation Procedure 1a

[0373]



[0374] Into a small 1 dram vial was added 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino)- quinoxalin- 2- yl) sulfamoyl) benzoic acid (61 mg, 0.13 mmol, 1.1 equiv), prepared using procedures described for Example 100. The acid was dissolved in DMA (1 mL) and DIEA (42 μ L, 0.24 mmol, 2 equiv) was added then added to the solution. The amine reagent (1 mL of 0.12 M solution in DMA) was added to solution with stirring followed by HATU (64 mg, 0.17 mMol, 1.4 equiv) . The reaction was stirred overnight at room temperature. Upon completion as indicated by LCMS analysis, 2 mL of methanol was added to the solution. Preparative reverse- phase HPLC was used to isolate the desired product. A Waters Fractionlynx preparative reverse- phase HPLC- equipped with a Waters SunFire Prep C18, OCD 5 μ M, 30 X 70 mm column and running a 5- 100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/ acetonitrile- was used to carry out the purification.

[0375] The following compounds were prepared according to General Amide **Formation Procedure 1**.

Example 420: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (3- (dimethylamino) propyl) benzamide. 3- (*N*- (3- (2- chloro- 5- methoxyphenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (3- (dimethylamino) propyl) benzamide: ^1H NMR (400 MHz, d_6 - DMSO) : 9.44 (s, 1H), 8.94 (s, 1H), 8.79 (t, 1H), 8.54 (s, 1H), 8.24 (d, 1H), 7.87 (d, 1H), 7.48 (m, 3H), 7.33 (d, 1H), 7.18 (m, 2H), 6.60 (dd, 1H), 3.82 (1H), 3.04 (m, 3H), 2.51 (m, 5H), 1.91 (s, 1H), 1.86 (m, 3H) ; MS (EI) m/z for $\text{C}_{27}\text{H}_{29}\text{ClN}_6\text{O}_4\text{S}$: 569 (MH^+) .

Example 421: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (1- methylazetidin- 3- yl) benzamide. 3- (*N*- (3- (2- chloro- 5- methoxyphenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (1- methylazetidin- 3- yl) benzamide: ^1H NMR (400 MHz, d_6 - DMSO) : 9.43 (s, 1H), 9.23 (d, 1H), 8.94 (d, 1H), 8.58 (s, 1H), 8.29 (d, 1H), 7.89 (d, 1H), 7.56 (t, 1H), 7.47 (d, 1H), 7.44 (d, 1H), 7.33 (d, 1H), 7.18 (m, 2H), 6.60 (dd, 1H), 4.81 (m, 1H), 4.33 (m, 2H), 4.19 (m, 2H), 3.82 (s, 1H), 2.51 (s, 3H) ; MS (EI) m/z for $\text{C}_{26}\text{H}_{25}\text{ClN}_6\text{O}_4\text{S}$: 553 (MH^+) .

Example 422: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (pyridin- 4- ylmethyl)

benzamide. MS (EI) m/z $C_{28}H_{23}ClN_6O_4S$: 575 (MH^+) .

Example 423: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (3- (dimethylamino) propyl) benzamide. MS (EI) m/z $C_{28}H_{26}ClN_7O_4S$: 592 (MH^+) .

Example 424: *N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl)- 3- (2, 2- dimethylhydrazinecarbonyl) benzenesulfonamide. MS (EI) m/z $C_{24}H_{23}ClN_6O_4S$: 527 (MH^+) .

Example 425: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (2- methoxyethyl) benzamide. MS (EI) m/z $C_{25}H_{24}ClN_5O_5S$: 542 (MH^+) .

Example 426: *N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl)- 3- (4- methylpiperazine- 1- carbonyl) benzenesulfonamide. MS (EI) m/z $C_{27}H_{27}ClN_6O_4S$: 567 (MH^+) .

Example 427: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (2- (pyrrolidin- 1- yl) ethyl) benzamide. MS (EI) m/z $C_{28}H_{29}ClN_6O_4S$: 581 (MH^+) .

Example 428: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (2- (pyridin- 4- yl) ethyl) benzamide. MS (EI) m/z $C_{29}H_{25}ClN_6O_4S$: 589 (MH^+) .

Example 429: *N*- (2- (1*H*- imidazol- 4- yl) ethyl)- 3- (*N*- (3- (2- chloro- 5- methoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) benzamide. MS (EI) m/z $C_{27}H_{24}ClN_7O_4S$: 578 (MH^+) .

Example 430: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (piperidin- 1- yl) benzamide. MS (EI) m/z $C_{27}H_{27}ClN_6O_4S$: 567 (MH^+) .

Example 431: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (2- hydroxyethyl) benzamide. MS (EI) m/z $C_{24}H_{22}ClN_5O_5S$: 528 (MH^+) .

Example 432: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (3- ethoxypropyl) benzamide. MS (EI) m/z $C_{27}H_{28}ClN_5O_5S$: 570 (MH^+) .

Example 433: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (3- (pyrrolidin- 1- yl) propyl) benzamide. MS (EI) m/z $C_{29}H_{31}ClN_6O_4S$: 595 (MH^+) .

Example 434: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (3- (diethylamino) propyl) benzamide. MS (EI) m/z $C_{29}H_{33}ClN_6O_4S$: 597 (MH^+) .

Example 435: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (3- (2- oxopyrrolidin- 1- yl) propyl) benzamide. MS (EI) m/z $C_{29}H_{29}ClN_6O_5S$: 609 (MH^+) .

Example 436: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (pyridin- 2- ylmethyl) benzamide. MS (EI) m/z $C_{28}H_{23}ClN_6O_4S$: 575 (MH^+) .

Example 437: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (2- cyanoethyl)- *N*- methylbenzamide. MS (EI) m/z $C_{26}H_{23}ClN_6O_4S$: 551 (MH^+) .

Example 438: 3- (*N*- (3- (2- chloro- 5- methoxyphenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (2- cyanoethyl)- *N*- ethylbenzamide. MS (EI) m/z $C_{17}H_{25}ClN_6O_4S$: 565 (MH^+) .

Example 439: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (2- (ethylthio) ethyl) benzamide. MS (EI) m/z $C_{26}H_{26}ClN_5O_4S_2$: 572 (MH^+) .

Example 440: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (3- propoxypropyl) benzamide. MS (EI) m/z $C_{28}H_{30}ClN_5O_5S$: 584 (MH^+) .

Example 441: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (5- (diethylamino) pentan- 2- yl) benzamide. MS (EI) m/z $C_{31}H_{37}ClN_6O_4S$: 625 (MH^+) .

Example 442: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (3- methoxypropyl) benzamide. MS (EI) m/z $C_{26}H_{26}ClN_5O_5S$: 556 (MH^+) .

Example 443: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (3- morpholino- propyl) benzamide MS (EI) m/z $C_{29}H_{31}ClN_6O_5S$: 611 (MH^+) .

Example 444: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (pyridin- 3- ylmethyl) benzamide MS (EI) m/z $C_{28}H_{23}ClN_6O_4S$: 575 (MH^+) .

Example 445: 3- (*N*- (3- (2- chloro- 5- methoxyphenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (2- cyanoethyl) benzamide. MS (EI) m/z $C_{25}H_{21}ClN_6O_4S$: 537 (MH^+) . Example 446: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (1- methoxypropan- 2- yl) benzamide. MS (EI) m/z $C_{26}H_{26}ClN_5O_5S$: 556 (MH^+) .

Example 447: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (2- (methylthio) ethyl) benzamide. MS (EI) m/z $C_{23}H_{24}ClN_5O_4S_2$: 558 (MH^+) .

Example 448: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (3- (dimethylamino) propyl)- *N*- methylbenzamide. MS (EI) m/z $C_{28}H_{31}ClN_6O_4S$: 583 (MH^+) .

Example 449: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (3- isopropoxypro- pyl) benzamide. MS (EI) m/z $C_{28}H_{30}ClN_5O_5S$: 584 (MH^+) .

Example 450: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (2- (dimethylamino) ethyl)- *N*- ethylbenzamide. MS (EI) m/z $C_{28}H_{31}ClN_6O_4S$: 583 (MH^+) .

Example 451: *N*- (3- butoxypropyl)- 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) benzamide. MS (EI) m/z $C_{29}H_{32}ClN_5O_5S$: 598 (MH^+) .

Example 452: 3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- N- (2- (diethylamino) ethyl) benzamide. MS (EI) m/z $C_{28}H_{31}ClN_6O_4S$: 583 (MH⁺) .

Example 453: methyl 3- (3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) benzamido) propanoate. MS (EI) m/z $C_{26}H_{24}ClN_5O_6S$: 570 (MH⁺) .

Example 454: 3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- N- methyl- N- propyl- benzamide. MS (EI) m/z $C_{26}H_{26}ClN_5O_4S$: 540 (MH⁺) .

Example 455: ethyl 3- (3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) benzamido) propanoate. MS (EI) m/z $C_{27}H_{26}ClN_5O_6S$: 584 (MH⁺) .

Example 456: 3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- N- (2- (piperidin- 1- yl) ethyl) benzamide. MS (EI) m/z $C_{29}H_{31}ClN_6O_4S$: 595 (MH⁺) .

Example 457: 3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- N- ((1- ethylpyrrolidin- 2- yl) methyl) benzamide. MS (EI) m/z $C_{29}H_{31}ClN_6O_4S$: 595 (MH⁺) .

Example 458: N- (2- (bis (2- hydroxyethyl) amino) ethyl)- 3- (N- (3- (2- chloro- 5- methoxyphenylamino) quinoxalin- 2- yl) sulfamoyl) benzamide. MS (EI) m/z $C_{28}H_{31}ClN_6O_6S$: 615 (MH⁺) .

Example 459: N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl)- 3- (3- (diethylamino) pyrrolidine- 1- carbonyl) benzenesulfonamide. MS (EI) m/z $C_{30}H_{33}ClN_6O_4S$: 609 (MH⁺) .

Example 460: 3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- N- methyl- N- (1- methylpyrrolidin- 3- yl) benzamide. MS (EI) m/z $C_{28}H_{29}ClN_6O_4S$: 581 (MH⁺) .

Example 461: N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl)- 3- (3- (dimethylamino) pyrrolidine- 1- carbonyl) benzenesulfonamide. MS (EI) m/z $C_{28}H_{29}ClN_6O_4S$: 581 (MH⁺) .

Example 462: 3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- N- (2- methyl- 1- morpholinopropan- 2- yl) benzamide. MS (EI) m/z $C_{30}H_{33}ClN_6O_5S$: 625 (MH⁺) .

Example 463: 3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- N- (1H- pyrrol- 1- yl) benzamide. MS (EI) m/z $C_{26}H_{21}ClN_6O_4S$: 549 (MH⁺) .

Example 464: 3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- N- (3- oxopyrazolidin- 4- yl) benzamide. MS (EI) m/z $C_{25}H_{22}ClN_7O_5S$: 568 (MH⁺) .

Example 465: N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl)- 3- (2- ((dimethylamino) methyl) piperidine- 1- carbonyl) benzenesulfonamide. MS (EI) m/z $C_{30}H_{33}ClN_6O_4S$: 609 (MH⁺) .

Example 466: N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl)- 3- (2- (piperidin- 1- ylmethyl) piperidine- 1- carbonyl) benzenesulfonamide. MS (EI) m/z $C_{33}H_{37}ClN_6O_4S$: 649 (MH⁺) .

Example 467: 3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- N- (1- ethylpiperidin- 3- yl) benzamide MS (EI) m/z $C_{29}H_{31}ClN_6O_4S$: 595 (MH⁺) .

General Amide Formation Procedure 1b

[0376] The procedure outlined in **General Amide Formation Procedure 1a** was used to incorporate a number of amines that contained a second amine group protected as the *tert*-butylcarbamate (i.e. where R', within NHR'R", contained a Boc-protected amine group). The deprotection was carried out after HPLC purification of the Boc-protected precursor.

[0377] Into a small 1 dram vial was added 3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) benzoic acid (61 mg, 0.13 mmol, 1.1 equiv) . The acid was dissolved in 1 mL of DMA and DIEA (42 μ L, 0.24 mmol, 2 equiv) was added then added to the solution. The mono- Boc- protected diamine reagent (1 mL of 0.12 M solution in DMA, 1 equiv) was added to solution with stirring followed by HATU (64 mg, 0.17 mmol, 1.4 equiv) . The reaction was stirred overnight at room temperature. Upon completion as indicated by LCMS analysis, 2 mL of methanol was added to the solution. Preparative reverse- phase HPLC was used to isolate the desired product directly from this crude reaction solution. A Waters Fractionlynx preparative reverse- phase HPLC; equipped with a Waters SunFire Prep C 18, OCD 5 μ M, 30 X 70 mm column and running a 5- 100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/ acetonitrile; was used to carry out the purification. The product fractions were combined and concentrated to dryness under reduced pressure by rotary evaporation. A solution of 4 N HCl in dioxane (2 mL) was added. The solution was then stirred at room temperature until no starting material was detected. The deprotected product precipitated out of solution as an HCL salt and was collected by filtration, washed with ether and dried under vacuum.

[0378] The following compounds were prepared according to the above **General Amide Formation Procedure 1b**.

Example 468: 3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- N- (piperidin- 3- yl) benzamide. 3- (N- (3- (2- chloro- 5- methoxyphenylamino) quinoxalin- 2- yl) sulfamoyl)- N- (piperidin- 3- yl) benzamide: ¹H NMR (400 MHz, d₆- DMSO) : 12.82 (s, 1H), 9.12 (s, 1H), 9.04 (s, 1H), 8.85 (d, 1H), 8.65 (s, 1H), 8.55 (s, 1H), 8.18 (m, 1H), 7.98 (s, 1H), 7.69 (m, 2H), 7.43 (m, 2H), 6.69 (dd, 1H), 4.21 (s, 1H), 3.83 (s, 3H), 3.69 (m, 1H), 3.48 (m, 1H), 3.18 (s, 1H), 2.84 (q, 2H), 1.91 (s, 2H) ; MS (EI) m/z for $C_{27}H_{27}ClN_6O_4S$: 567 (MH⁺) .

Example 469: 3- (N- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- N- (piperidin- 2- ylmethyl) benzamide. 3- (N- (3- (2- chloro- 5- methoxyphenylamino) quinoxalin- 2- yl) sulfamoyl)- N- (piperidin- 2-

ylmethyl) benzamide: NMR (400 MHz, d_6 -DMSO) : 12.78 (s, 1H), 9.16 (s, 1H), 9.09 (s, 1H), 8.79 (s, 1H), 8.59 (d, 2H), 8.22 (t, 2H), 7.99 (s, 1H), 7.74 (t, 1H), 7.66 (s, 1H), 7.42 (m, 2H), 6.69 (dd, 1H), 3.82 (s, 3H), 3.69 (dd, 1H), 3.57 (m, 1H), 3.50 (m, 3H), 3.22 (s, 2H), 2.82 (d, 1H), 1.68 (m, 5H) ; MS (EI) m/z for $C_{28}H_{29}ClN_6O_4S$: 581 (MH^+) .

Example 470: 3- (3- aminopyrrolidine- 1- carbonyl)- *N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) benzenesulfonamide. MS (EI) m/z $C_{26}H_{25}ClN_6O_4S$: 553 (MH^+) .

Example 471: 3- (3- aminoazetidine- 1- carbonyl)- *N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) benzenesulfonamide. MS (EI) m/z $C_{25}H_{23}ClN_6O_4S$: 539 (MH^+) .

Example 472: 3- (3- aminopiperidine- 1- carbonyl)- *N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) benzenesulfonamide. MS (EI) m/z $C_{27}H_{27}ClN_6O_4S$: 567 (MH^+) .

Example 473: 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (pyrrolidin- 3- yl) benzamide. MS (EI) m/z $C_{26}H_{25}ClN_6O_4S$: 553 (MH^+) .

Example 474: *N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl)- 3- (3- (methylamino) pyrrolidine- 1- carbonyl) benzenesulfonamide. MS (EI) m/z $C_{27}H_{27}ClN_6O_4S$: 567 (MH^+) .

Example 475: *N*- (2- aminoethyl)- 3- (*N*- (3- (2- chloro- 5- methoxy- phenylamino) quinoxalin- 2- yl) sulfamoyl) benzamide. MS (EI) m/z $C_{24}H_{23}ClN_6O_4S$: 527 (MH^+) .

Example 476: 3- (4- amino- 3- oxopyrazolidine- 1- carbonyl)- *N*- (3- (2- chloro- 5- methoxyphenylamino) quinoxalin- 2- yl) benzenesulfonamide. MS (EI) m/z $C_{25}H_{22}ClN_7O_5S$: 568 (MH^+) .

Example 477

3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-((1-methylpiperidin-2-yl)methyl)benzamide

[0379] 3- (*N*- (3- (2- chloro- 5- methoxyphenylamino) quinoxalin- 2- yl) sulfamoyl)- *N*- (piperidin- 2- ylmethyl) benzamide (299 mg, 0.51 mmol, 1 equiv), prepared using procedures similar to those described for Example 514, was dissolved in 2.3 mL of DMA. Formic acid (388 μ L, 10.28 mmol, 20 equiv) was added to solution with stirring followed by the addition of formaldehyde (508 μ L of 37% aq. solution) . The reaction was then stirred at room temperature overnight. Analysis of an aliquot of the reaction mixture by LCMS indicated the complete consumption of starting material. The reaction was diluted with methanol (2 mL) . Preparative reverse- phase HPLC was used to isolate the desired product directly from the crude reaction mixture. A Waters Fractionlynx preparative reverse- phase HPLC; equipped with a Waters SunFire Prep C 18, OCD 5 μ M, 30 X 70 mm column and running a 5- 100 % gradient with a binary solvent system of 25 mM ammonium acetate in water/ acetonitrile; was used to carry out the purification. ^1H NMR (400 MHz, d_6 -DMSO) : 9.44 (s, 1H), 8.94 (s, 1H), 8.79 (t, 1H), 8.57 (s, 1H), 8.27 (d, 1H), 7.90 (d, 1H) 7.54 (t, 1H), 7.46 (d, 1H), 7.39 (d, 1H), 7.33 (d, 1H), 7.18 (m, 2H), 6.60 (dd, 1H), 3.82 (s, 3H), 3.59 (m, 2H), 3.00 (s, 1H), 2.90 (s, 3H), 1.62 (m, 7H) ; MS (EI) m/z for $C_{29}H_{31}ClN_6O_4S$: 595 (MH^+) .

Example 478

3-(*N*-(3-(2-chloro-5-methoxyphenylamino)quinoxalin-2-yl)sulfamoyl)-*N*-(1-methylpiperidin-3-yl)benzamide

[0380] The title compound was prepared using similar procedures to those used in Example 522. ^1H NMR (400 MHz, d_6 -DMSO) : 9.43 (s, 1H), 8.93 (s, 1H), 8.59 (s, 1H), 8.24 (d, 1H), 7.87 (d, 1H), 7.47 (m, 2H), 7.40 (d, 1H), 7.33 (d, 1H), 7.19 (m, 2H), 6.60 (dd, 1H), 4.21 (s, 1H), 3.82 (s, 1H), 2.76 (s, 1H), 2.50 (m, 7H), 1.91 (m, 2H), 1.63 (m, 2H) ; MS (EI) m/z for $C_{28}H_{29}ClN_6O_4S$: 581 (MH^+) .

Biological Examples

Biological Example 1

PI3K α Luciferase-Coupled Chemiluminescence Assay Protocol

[0381] PI3K α activity is measured as the percent of ATP consumed following the kinase reaction using luciferase-luciferin-coupled chemiluminescence. Reactions were conducted in 384-well white, medium binding microtiter plates (Greiner). Kinase reactions were initiated by combining test compounds, ATP, substrate (PIP2), and kinase in a 20 μ L volume in a buffer solution. The standard PI3K α assay buffer is composed 50 mM Tris, pH 7.5, 1 mM EGTA, 10 mM $MgCl_2$, 1 mM DTT and 0.03% CHAPS. The standard assay concentrations for enzyme, ATP, and substrate are 0.5-1.1 nM, 1 μ M, and 7.5 μ M, respectively. The reaction mixture was incubated at ambient temperature for approximately 2 h. Following the kinase reaction, a 10 μ L aliquot of luciferase-luciferin mix (Promega Kinase-Glo) was added and the

chemiluminescence signal measured using a Victor2 plate reader (Perkin Elmer). Total ATP consumption was limited to 40-60% and IC50 values of control compounds correlate well with literature references.

[0382] Certain compounds of Formula (I) demonstrated the ability to bind to PI3K when tested in this assay. The following embodiments are directed to the compounds themselves as well as their use in a method of treating. For example, in one option, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 8 μ M or less. In another option, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 4 μ M or less. In another option, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 3 μ M or less. In another option, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 2 μ M or less. In another option, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 1.5 μ M or less. In another embodiment, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 1 μ M or less. In another option, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 0.750 μ M or less. In another option, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 0.5 μ M or less. In another option, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 0.3 μ M or less. In another option, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 0.2 μ M or less. In another option, the PI3K inhibitor is selected from the compounds in Table I having a PI3K-binding affinity of about 0.1 μ M or less. In another option, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 0.075 μ M or less. In another option, the PI3K inhibitor is selected from the compounds in Table 1 having a PI3K-binding affinity of about 0.050 μ M or less.

Biological Example 2

Phospho AKT assay

[0383] PC- 3 cells were seeded on 6- well plates at 150, 000 cells/ well. Cells were cultured for 3 days, then treated with compounds in serum- free medium for 3 hr. EGF (100 ng/ml) was added for the last 10 min. Cells were lysed in TENN buffer. Phospho T308 Akt and total Akt were quantified by ELISA performed according to the Biosource assay protocol. The readings of phospho Akt were normalized to total Akt readings.

Biological Example 3

Phospho S6 assay

[0384] PC- 3 cells were seeded on 96- well plates at 8, 000 cells/ well. For each experiment, cells were seeded and treated in duplicated plates: one plate for phospho S6 CellELISA, and one plate for total S6 CellELISA. Cells were cultured on the plates for 3 days, then treated with compounds in serum- free medium for 3 hr in triplicate. Cells were fixed with 4% formaldehyde, quenched with 0.6% H2O2, blocked with 5% BSA, incubated with either phospho S6 antibody or total S6 antibody overnight, incubated with goat- anti- rabbit- IgG- HRP for 1 hr, and developed in chemiluminescent substrate.

Biological Example 4

PIP₃ assay

[0385] MCF- 7 cells grown in 10- cm dishes were starved for 3 hours in DMEM, and then treated with compounds for 20 minutes. In the last 2 minutes of the incubation with the compounds, EGF (100 ng/ml) was added to stimulate the production of PIP3. The medium was aspirated and the cells were scraped with 10% trichloroacetic acid. The lipids were extracted from the pellet after the cell lysates were centrifuged. PIP3 in the cellular lipid extraction was quantified with the AlphaScreen [Registered TM of PerkinElmer] assay in which Grp1- PH is used as the PIP3 specific probe. The amount of cellular PIP3 was calculated from the standard curve of diC₈ PI (3, 4, 5) P3.

Biological Example 5-10

In vivo models

[0386] Compound A is a Compound of Formula 1. Compound B is N- (3, 4- dichloro- 2- fluorophenyl)- 7- ({ [(3aR, 5r, 6aS)- 2- methyloctahydrocyclopenta- [c] pyrrol- 5- yl] methyl} oxy)- 6- (methyloxy) quinazolin- 4- amine.

[0387] Female and male athymic nude mice (NCR) 5-8 weeks of age and weighing approximately 20-25 g were used in the following model. Prior to initiation of a study, the animals were allowed to acclimate for a minimum of 48 h. During these studies, animals were provided food and water ad libitum and housed in a room conditioned at 70-75°F and 60% relative humidity. A 12 h light and 12 h dark cycle was maintained with automatic timers. All animals were examined daily for compound-induced or tumor-related deaths.

[0388] PC- 3 human prostate adenocarcinoma cells were cultured in vitro in DMEM (Mediatech) supplemented with 20% Fetal Bovine Serum (Hyclone), Penicillin- Streptomycin and non- essential amino acids at 37 °C in a humidified 5% CO₂ atmosphere. On day 0, cells were harvested by trypsinization and 3x10⁶ cells (passage 13, 99% viability) in 0.1 mL of ice- cold Hank's balanced salt solution were implanted subcutaneously into the hindflank of 5- 8 week old male nude mice. A transponder was implanted in each mouse for identification, and animals were monitored daily for clinical symptoms and survival. Body weights were recorded daily. Experiments were conducted with Compound A as a single agent as well as Compound A in combination with Taxol and Compound A in combination with Rapamycin. This model can be used to assess the desirability of treating with Compound A in combination with other anti- cancer agents.

[0389] U- 87 MG human glioblastoma cells were cultured in vitro in DMEM (Mediatech) supplemented with 10% Fetal Bovine Serum (Hyclone), Penicillin- Streptomycin and non- essential amino acids at 37°C in a humidified 5% CO₂ atmosphere. On day 0, cells were harvested by trypsinization and 2x10⁶ cells (passage 5, 96% viability) in 0.1 mL of ice- cold Hank's balanced salt solution were implanted intradermally into the hindflank of 5- 8 week old female nude mice. A transponder was implanted in each mouse for identification, and animals were monitored daily for clinical symptoms and survival. Body weights were recorded daily. Experiments were conducted with Compound A as a single agent and the results are not included. This model can be used to assess the desirability of treating with Compound A in combination with other anti- cancer agents.

[0390] A549 human lung carcinoma cells were cultured in vitro in DMEM (Mediatech) supplemented with 10% Fetal Bovine Serum (Hyclone), Penicillin-Streptomycin and non-essential amino acids at 37°C in a humidified 5% CO₂ atmosphere. On day 0, cells were harvested by trypsinization and 10x10⁶ cells (passage 12, 99% viability) in 0.1 mL of ice- cold Hank's balanced salt solution were implanted intradermally into the hindflank of 5-8 week old female nude mice. A transponder was implanted in each mouse for identification, and animals were monitored daily for clinical symptoms and survival. Body weights were recorded daily. Experiments were conducted with Compound A as a single agent as well as Compound A in combination with Compound B. This model can be used to assess the desirability of treating with Compound A in combination with other anti-cancer agents.

[0391] MDA- MB- 468 human breast adenocarcinoma cells, passage number <6, were maintained and propagated in log- phase growth in Dulbecco's Modification of Eagles's Medium (DMEM; Mediatech) containing L- Glutamine supplemented with 10% Fetal Bovine Serum (Hyclone), Penicillin- Streptomycin and non- essential amino acids at 37 °C in a humidified, 5% CO₂ atmosphere. On day 0, cells were harvested by trypsinization, and 10 x 10⁶ cells (passage 10, 98% viability) in 50% cold Hanks balanced salt solution/ 50% Matrigel (100 µL total volume per mouse) were implanted subcutaneously into the mammary fat pads of female nude mice. Experiments were conducted with Compound A as a single agent as well as Compound A in combination with erlotinib. This model can be used to assess the desirability of treating with Compound A in combination with other anti- cancer agents.

[0392] Calu- 6 human lung anaplastic carcinoma cells were cultured in vitro in DMEM (Mediatech) supplemented with 10% Fetal Bovine Serum (Hyclone), Penicillin- Streptomycin and non- essential amino acids at 37 °C in a humidified, 5% CO₂ atmosphere. On day 0, cells were harvested by trypsinization, and 5x10⁶ cells (passage #8, 96% viability) in 0.1 mL ice- cold Hank's balanced salt solution were implanted intradermally in the hind- flank of 5- 8 week old female athymic nude mice. A transponder was implanted in each mouse for identification, and animals were monitored daily for clinical symptoms and survival. Body weights were recorded daily. Experiments were conducted with Compound A as a single agent as well as Compound A in combination with carboplatin. This model can be used to assess the desirability of treating with Compound A in combination with other anti- cancer agents.

[0393] MCF7 human mammary adenocarcinoma cells were cultured in vitro in DMEM (Cellgro) supplemented with 10% Fetal Bovine Serum (Cellgro), Penicillin-Streptomycin and non-essential amino acids at 37 °C in a humidified 5% CO₂ atmosphere. On day 0, cells were harvested by trypsinization, and 5 x 10⁶ cells (passage 10 and 95.4% viability for Study 1, passage 9 and 90% viability for Study 2) in 100 µL of a solution made of 50% cold Hanks balanced salt solution with 50% growth factor reduced matrigel (R&D Systems for Study 1 and Becton Dickinson for Study 2) implanted subcutaneously into the hindflank of female nude mice.

[0394] For subcutaneous or intradermal tumors, the mean tumor weight of each animal in the respective control and treatment groups was determined twice weekly during the study. Tumor weight (TW) was determined by measuring perpendicular diameters with a caliper, using the following formula:

$$\text{tumor weight (mg)} = [\text{tumor volume} = \text{length (mm)} \times \text{width}^2 (\text{mm}^2)]/2$$

These data were recorded and plotted on a tumor weight vs. days post-implantation line graph and presented graphically as an indication of tumor growth rates. Percent inhibition of tumor growth (TGI) is determined with the following formula:

$$\left[1 - \frac{(X_f - X_0)}{(Y_f - X_0)} \right] * 100$$

where X_0 = average TW of all tumors on group day

X_f = TW of treated group on Day f

Y_f = TW of vehicle control group on Day f

[0395] If tumors regress below their starting sizes, then the percent tumor regression is determined with the following formula:

$$\left[\frac{(X_0 - X_f)}{X_0} \right] * 100$$

[0396] Tumor size is calculated individually for each tumor to obtain a mean $\pm$ SEM value for each experimental group. Statistical significance is determined using the 2-tailed Student's t-test (significance defined as $P < 0.05$).

Biological Examples 11-14

[0397] Compound A is a Compound of Formula I and is an inhibitor of class I PI3- kinases. Compound B is *N*-(3, 4-dichloro-2-fluorophenyl)-7-({[(3a*R*, 5*r*, 6a*S*)-2-methyloctahydrocyclopenta-[c]pyrrol-5-yl)methyl}oxy)-6-(methoxy)quinazolin-4-amine.

Prostate Cancer Xenograft Model - A Compound of Formula I in Combination with Taxol

[0398] Compound A was tested alone and in combination with taxol in a prostate carcinoma tumor model. PC-3 is a human prostate carcinoma cell line that harbors a homozygous deletion mutation in PTEN, which results in constitutive activation of the PI3K pathway. In single-dose pharmacodynamic experiments, oral administration of Compound A results in a dose-dependent decrease in the phosphorylation of AKT, p70S6K, and S6 in PC-3 tumors grown ectopically in mice. Repeat-dose administration of a Compound A also inhibits the growth of these tumors, but does not induce regressions.

[0399] Oral administration of Compound A at 100mg/kg qd or 300 mg/kg biweekly (biw) resulted in substantial tumor growth inhibition in mice. See Figure 1. Comparable tumor growth inhibition was achieved with 7.5 mg/kg taxol administered i.v. twice weekly. While tumor growth was inhibited substantially with Compound A alone, the combination of either dose of Compound A with taxol was superior to either agent alone and induced significant regression of the tumors. Body weight loss and dose skipping was minimal in all groups, and was not exacerbated in the combination group indicating that the combination was well tolerated. These results support the use of a Compound of Formula I in combination with taxol in tumors with constitutively activated PI3K signaling.

Prostate Cancer Xenograft Model - A Compound of Formula I in Combination with Rapamycin

[0400] Compound A was tested alone and in combination with rapamycin in a prostate carcinoma tumor model (PC-3 cell line). Oral administration of Compound A at 100mg/kg qd resulted in substantial tumor growth inhibition. See Figure 2. Comparable tumor growth inhibition was achieved with 5 mg/kg rapamycin administered i.p. daily. While tumor growth was inhibited substantially with Compound A alone, the combination of Compound A with rapamycin was superior to either agent alone and induced significant regression of the tumors. Body weight loss and dose skipping was minimal with each agent alone, but body weight loss was exacerbated in the combination group necessitating dose skipping. The fact that tumor regression was observed despite dose skipping suggests that using an intermittent dosing schedule would maintain efficacy and improve tolerability. These results support the use of a Compound of Formula I in combination

with rapamycin in tumors with constitutively activated PI3K signaling.

Non-Small Cell Lung Cancer Xenograft Model - A Compound of Formula I in Combination with Carboplatin

[0401] Compound A was tested both as a single agent and in combination with carboplatin in a NSCLC tumor model. **[0402]** Calu- 6 is a human NSCLC cell line that harbors a heterozygous activating mutation in K- Ras (Q61K) . Oral administration of a Compound A at 100mg/kg qd or 300 mg/kg every fourth day (q4d) to mice bearing Calu- 6 tumors resulted in substantial tumor growth inhibition. See Figure 3. Both dose schedules resulted in similar inhibition of tumor growth. Significant tumor growth inhibition was also observed with 50 mg/kg carboplatin administered i.v. q4d, but was not as pronounced as with Compound A. While tumor growth was inhibited substantially with Compound A alone, the combination of the two agents was superior to either agent alone and resulted in almost complete inhibition of tumor growth. Body weight loss and dose skipping was minimal in all groups, and was not exacerbated in the combination group indicating that the combination was well tolerated. These results support the use of a Compound of Formula I both as a single agent and in combination with platins in tumors with activating mutations in K- Ras.

Non-small Cell Lung Cancer Xenograft - Model A Compound of Formula I in Combination with Compound B - Reference example

[0403] Compound A was tested both as a single agent and in combination with Compound B. The A549 human non-small cell lung carcinoma cell line harbors a homozygous stop mutation in the gene encoding LKB1, and an activating G12S mutation in K-Ras, promoting activation of both PI3K and mTOR. A549 cells also express wild-type EGFR.

[0404] As a single agent, Compound B, an inhibitor of EGFR, was orally administered once-daily at 30 mg/kg and Compound A was orally administered either at 30 mg/kg qd or 100 mg/kg q2d. Combination therapies consisted of Compound B with Compound A at 30 mg/kg or 100 mg/kg. Administration of each agent in the combination groups was separated by 6 h. Single agent administration of Compound B for 18 days caused a significant tumor growth inhibition of 80%. See Figure 4a. A significant tumor growth inhibition of 96% was observed with Compound A at 100 mg/kg q2d, whereas Compound A at 30 mg/kg qd lead to a lower but still significant TGI of 76%. The combination of Compound B 30 mg/kg qd with Compound A 30 mg/kg qd or with Compound A 100 mg/kg q2d resulted in significant efficacy associated with 15% and 39% regression, respectively, which was significantly higher than either of the single agent treatments alone.

[0405] As a single agent Compound B dosed at 30 mg/kg qd was generally well tolerated, with a body weight loss of 1.5-6.9% and no dose omission. Administration of Compound A dosed at 30 mg/kg qd was well tolerated with 4 doses skipped at the beginning of the study, which were not compound-related. Compound A dosed at 100 mg/kg q2d was also well tolerated with 1 dose skipped at the beginning of the study, which was not compound-related. The combination of Compound B at 30 mg/kg qd with Compound A at 30 mg/kg qd was fairly well tolerated with body weight loss of 1 to 8% and 2 doses skipped). However, the combination of Compound B at 30 mg/kg qd with Compound A at 100 mg/kg q2d was associated with body weight loss of 2 to 11% and 13 doses skipped within the first 10 days; however, body weights at the end of the study were not significantly different from the vehicle-treated control group. Single agent administration of Compound B and Compound A were well tolerated with minimal dose skipping. When administered in combination, minor body weight loss was observed that necessitated dose skipping primarily in the 100 mg/kg q2d group.

Breast Cancer Xenograft Model - A Compound of Formula I in Combination with Compound B - Reference example

[0406] Compound A was tested both as a single agent and in combination with Compound B, an EGFR inhibitor, in a breast tumor model. The MCF7 human breast carcinoma cell line harbors a heterozygous, activating mutation in PI3K (PI3KCA/E545K) and expresses wild-type EGFR.

[0407] Compound B was administered orally once-daily (qd) at 30 mg/kg, and Compound A was administered once-daily at 30 mg/kg or once every other day (q2d) at 100 mg/kg. Combination therapies consisted of Compound B together with Compound A at 30 mg/kg qd or 100 mg/kg q2d. Single agent administration of Compound B at 30 mg/kg qd for 14 days caused a tumor growth inhibition of 38%-61%. See Figures 4b-1 and 4b-2. A significant tumor growth inhibition of 83%-91% was observed with Compound A at 100 mg/kg q2d, whereas Compound A at 30 mg/kg qd lead to a lower but still significant TGI of 57%. The combination of Compound B at 30 mg/kg qd with Compound A at 100 mg/kg q2d resulted in a significant efficacy associated with 16-22% regression, which was significantly higher than either of the single agent treatments alone. Combining Compound B at 30 mg/kg qd with Compound A at 30 mg/kg qd lead to a lower but still significant tumor growth inhibition of 66%, but did not add any benefit to the anti-tumor efficacy of the single treatments.

[0408] As a single agent Compound B dosed at 30 mg/kg qd was generally well tolerated, with a non significant final body weight loss of 4.5 to 6.1% and 7 to 13 dose omissions. Administration of Compound A at 30 mg/kg qd and 100

mg/kg q2d was well tolerated with minimal dose skipping and body weight loss. The combination of Compound B at 30 mg/kg qd with Compound A at 30 mg/kg qd lead to a body weight loss of 4 to 13% throughout the study and 14 doses skipped mostly within the first 9 days. The combination of Compound B at 30 mg/kg qd with Compound A at 100 mg/kg q2d was associated with a body weight loss of 3.7 to 13% throughout the study and 20 to 32 dose omissions.

Breast Cancer Xenograft Model - A Compound of Formula I in Combination with Erlotinib

[0409] Compound A was tested both as a single agent and in combination with erlotinib, in an erlotinib-resistant tumor model with elevated PI3K signaling.

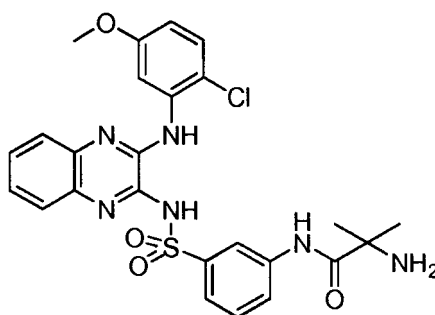
[0410] MDA- MB- 468 is a human breast carcinoma cell line that has an increase in the copy number of the EGFR gene and a homozygous deletion of PTEN. In vitro treatment of these cells with EGFR inhibitors such as erlotinib inhibits EGFR activity but fails to downregulate the PI3K pathway. Oral administration of erlotinib at 100 mg/kg qd to mice bearing MDA- MB- 468 tumors resulted in significant but incomplete tumor growth inhibition. See Figure 5. Oral administration of Compound A at 100mg/kg qd resulted in a similar level of tumor growth inhibition. While tumor growth was inhibited substantially with Compound A alone, the combination of the two agents was superior to either agent alone and resulted in a regression of the tumors.

[0411] Mice administered Compound A at 100 mg/kg qd exhibited a modest (~3%) loss in body weight comparable to vehicle controls. Mice administered erlotinib exhibited an apparent decrease in their rate of body weight gain relative to vehicle controls. Coadministration with erlotinib resulted in a substantial loss in body weight in mice treated with Compound A (19% body weight loss from start of dosing). Consistent with these data, only minimal dose-skipping was required when Compound A was administered as monotherapy (1-3 doses skipped), but substantial dose-skipping was required for Compound A when erlotinib was coadministered. The fact that tumor regression was observed despite dose skipping suggests that use of an intermittent dosing schedule could maintain efficacy and improve tolerability. These results support the use of a Compound of Formula I in combination with erlotinib in tumors expressing EGF receptors and harboring PTEN deletions.

[0412] The foregoing invention has been described in some detail by way of illustration and example, for purposes of clarity and understanding. It will be obvious to one of skill in the art that changes and modifications may be practiced within the scope of the appended claims. Therefore, it is to be understood that the above description is intended to be illustrative and not restrictive. The scope of the invention should, therefore, be determined not with reference to the above description, but should instead be determined with reference to the following appended claims, along with the full scope of equivalents to which such claims are entitled.

Claims

1. A compound which is:



or a single isomer or tautomer thereof where the compound is optionally as a pharmaceutically acceptable salt and additionally optionally as a hydrate and additionally optionally as a solvate thereof; for use in combination with one or more treatments independently selected from one or more chemotherapeutic agents selected from the group consisting of a platin, a taxane, rapamycin, and erlotinib for the treatment of cancer.

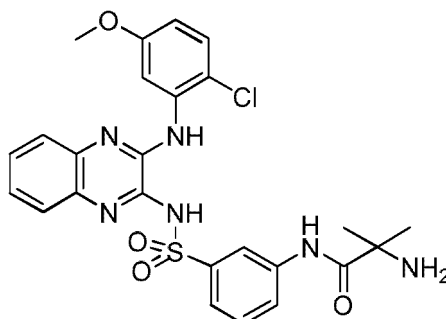
2. The compound or single isomer or tautomer thereof of Claim 1, where the cancer is selected from breast cancer, colon cancer, rectal cancer, endometrial cancer, gastrointestinal carcinoid tumors, gastrointestinal stromal tumors, glioblastoma, hepatocellular carcinoma, small cell lung cancer, non-small cell lung cancer, melanoma, ovarian cancer, cervical cancer, pancreatic cancer, prostate carcinoma, acute myelogenous leukemia, chronic myelogenous

leukemia, non-Hodgkin's lymphoma, and thyroid carcinoma.

3. The compound of claim 1 where the treatment is one chemotherapeutic agent where the chemotherapeutic agent is taxol.
4. The compound of claim 1 where the treatment is one chemotherapeutic agent where the chemotherapeutic agent is rapamycin.
5. The compound of claim 1 where the treatment is one chemotherapeutic agent where the chemotherapeutic agent is carboplatin.
6. The compound of claim 1 where the treatment is one chemotherapeutic agent where the chemotherapeutic agent is erlotinib.
7. The compound of claim 1 where the cancer is selected from prostate cancer, non-small cell lung cancer, and breast cancer.
8. The compound of claim 1 where the chemotherapeutic agent is taxol and the cancer is prostate cancer.
9. The compound of claim 1 where the chemotherapeutic agent is rapamycin and the cancer is prostate cancer.
10. The compound of claim 1 where the chemotherapeutic agent is carboplatin and the cancer is non-small cell lung cancer.
11. The compound of claim 1 where the chemotherapeutic agent is erlotinib and the cancer is breast cancer.

Patentansprüche

1. Eine Verbindung, die folgende ist:



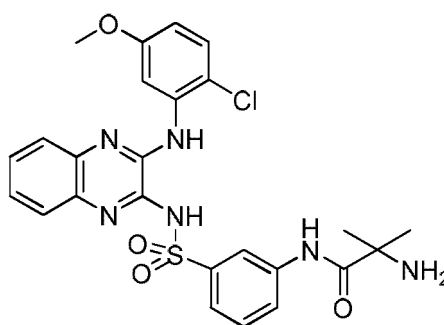
oder ein einzelnes Isomer oder Tautomer davon, wobei die Verbindung wahlweise als ein pharmazeutisch akzeptables Salz und außerdem wahlweise als ein Hydrat und außerdem wahlweise als ein Solvat davon vorliegt; zur Verwendung in Kombination mit einer oder mehreren Behandlungen, die unabhängig ausgewählt sind aus einem oder mehreren Chemotherapeutika, ausgewählt aus der Gruppe, die aus einem Platin, einem Taxan, Rapamycin und Erlotinib besteht, zur Behandlung von Krebs.

2. Verbindung oder einzelnes Isomer oder Tautomer davon gemäß Anspruch 1, wobei der Krebs ausgewählt ist aus Brustkrebs, Dickdarmkrebs, Rektalkrebs, Endometriumkrebs, gastrointestinalen Karzinoidtumoren, gastrointestinalen Stromatumoren, Glioblastom, hepatozellulärem Karzinom, kleinzelligem Lungenkrebs, nichtkleinzelligem Lungenkrebs, Melanom, Eierstockkrebs, Gebärmutterhalskrebs, Bauchspeicheldrüsenkrebs, Prostatakarzinom, akuter myeloischer Leukämie, chronischer myeloischer Leukämie, Non-Hodgkin-Lymphom und Schilddrüsenkarzinom.
3. Verbindung gemäß Anspruch 1, wobei die Behandlung ein Chemotherapeutikum ist, wobei das Chemotherapeutikum Taxol ist.

4. Verbindung gemäß Anspruch 1, wobei die Behandlung ein Chemotherapeutikum ist, wobei das Chemotherapeutikum Rapamycin ist.
5. Verbindung gemäß Anspruch 1, wobei die Behandlung ein Chemotherapeutikum ist, wobei das Chemotherapeutikum Carboplatin ist.
6. Verbindung gemäß Anspruch 1, wobei die Behandlung ein Chemotherapeutikum ist, wobei das Chemotherapeutikum Erlotinib ist.
7. Verbindung gemäß Anspruch 1, wobei der Krebs ausgewählt ist aus Prostatakrebs, nichtkleinzelligem Lungenkrebs und Brustkrebs.
8. Verbindung gemäß Anspruch 1, wobei das Chemotherapeutikum Taxol ist und der Krebs Prostatakrebs ist.
9. Verbindung gemäß Anspruch 1, wobei das Chemotherapeutikum Rapamycin ist und der Krebs Prostatakrebs ist.
10. Verbindung gemäß Anspruch 1, wobei das Chemotherapeutikum Carboplatin ist und der Krebs nichtkleinzelliger Lungenkrebs ist.
11. Verbindung gemäß Anspruch 1, wobei das Chemotherapeutikum Erlotinib ist und der Krebs Brustkrebs ist.

Revendications

1. Un composé qui est :



ou un isomère unique ou tautomère de celui-ci où le composé est facultativement sous la forme d'un sel pharmaceutiquement acceptable et facultativement encore sous la forme d'un hydrate et facultativement encore sous la forme d'un solvate de celui-ci ; destiné à être utilisé en combinaison avec un ou plusieurs traitements sélectionnés indépendamment parmi un ou plusieurs agents chimiothérapeutiques sélectionnés dans le groupe consistant en un platine, un taxane, la rapamycine et l'erlotinib pour le traitement du cancer.

2. Le composé ou l'isomère unique ou tautomère de celui-ci de la revendication 1, où le cancer est sélectionné parmi le cancer du sein, le cancer du côlon, le cancer du rectum, le cancer de l'endomètre, les tumeurs carcinoïdes gastro-intestinales, les tumeurs stromales gastro-intestinales, le glioblastome, le carcinome hépatocellulaire, le cancer du poumon à petites cellules, le cancer du poumon non à petites cellules, le mélanome, le cancer de l'ovaire, le cancer du col de l'utérus, le cancer du pancréas, le carcinome de la prostate, la leucémie myéloïde aiguë, la leucémie myéloïde chronique, le lymphome non-hodgkinien, et le carcinome de la thyroïde.
3. Le composé de la revendication 1 où le traitement est un agent chimiothérapeutique où l'agent chimiothérapeutique est le taxol.
4. Le composé de la revendication 1 où le traitement est un agent chimiothérapeutique où l'agent chimiothérapeutique est la rapamycine.
5. Le composé de la revendication 1 où le traitement est un agent chimiothérapeutique où l'agent chimiothérapeutique

est le carboplatine.

6. Le composé de la revendication 1 où le traitement est un agent chimiothérapeutique où l'agent chimiothérapeutique est l'erlotinib.

5

7. Le composé de la revendication 1 où le cancer est sélectionné parmi le cancer de la prostate, le cancer du poumon non à petites cellules, et le cancer du sein.

10

8. Le composé de la revendication 1 où l'agent chimiothérapeutique est le taxol et le cancer est le cancer de la prostate.

9. Le composé de la revendication 1 où l'agent chimiothérapeutique est la rapamycine et le cancer est le cancer de la prostate.

15

10. Le composé de la revendication 1 où l'agent chimiothérapeutique est le carboplatine et le cancer est le cancer du poumon non à petites cellules.

11. Le composé de la revendication 1 où l'agent chimiothérapeutique est l'erlotinib et le cancer est le cancer du sein.

20

25

30

35

40

45

50

55

Figure 1. Compound A + Taxol in PC-3 Prostate Carcinoma Tumor Model

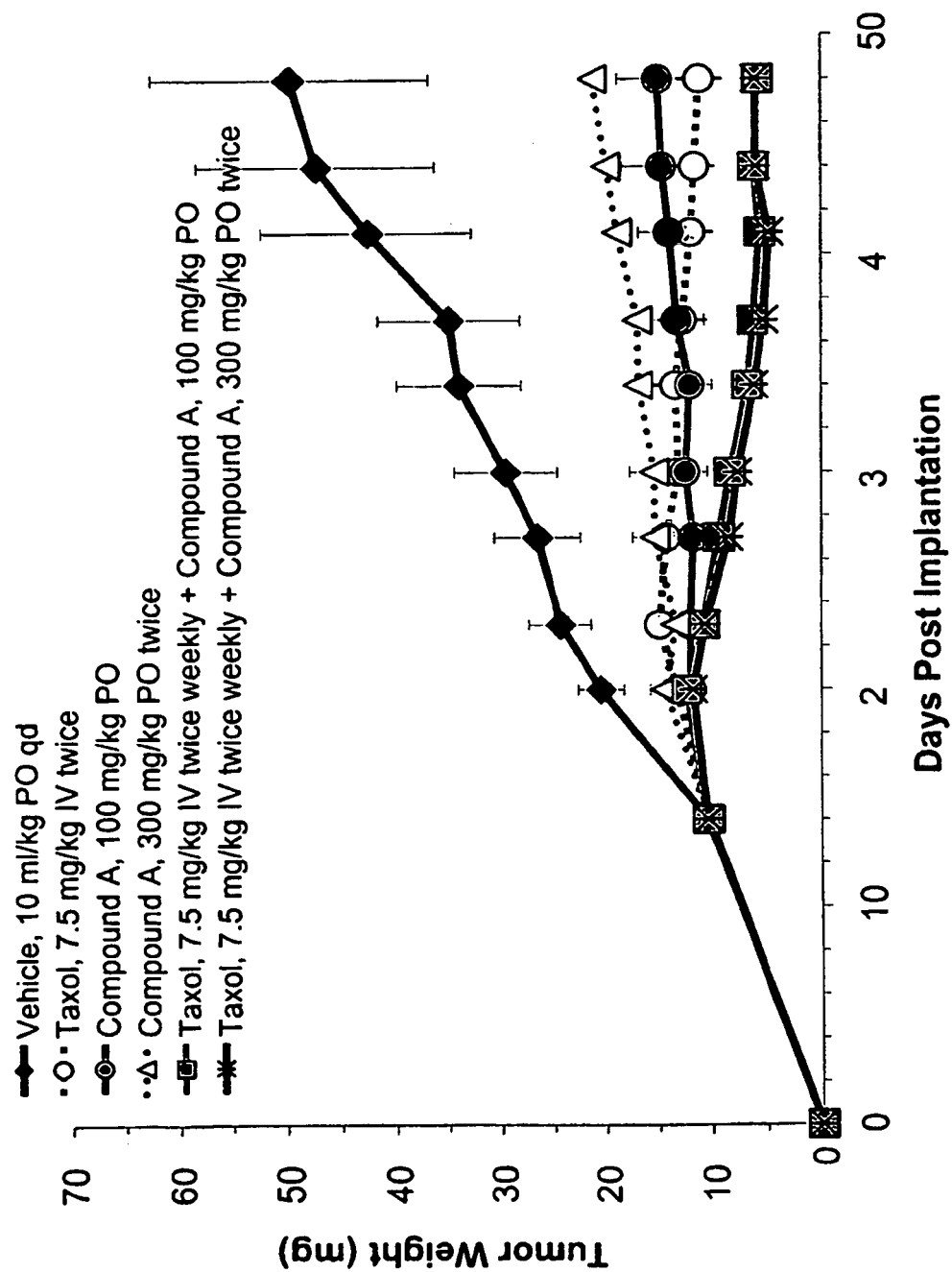


Figure 2. Compound A + Rapamycin in PC-3 Prostate Carcinoma Tumor Model

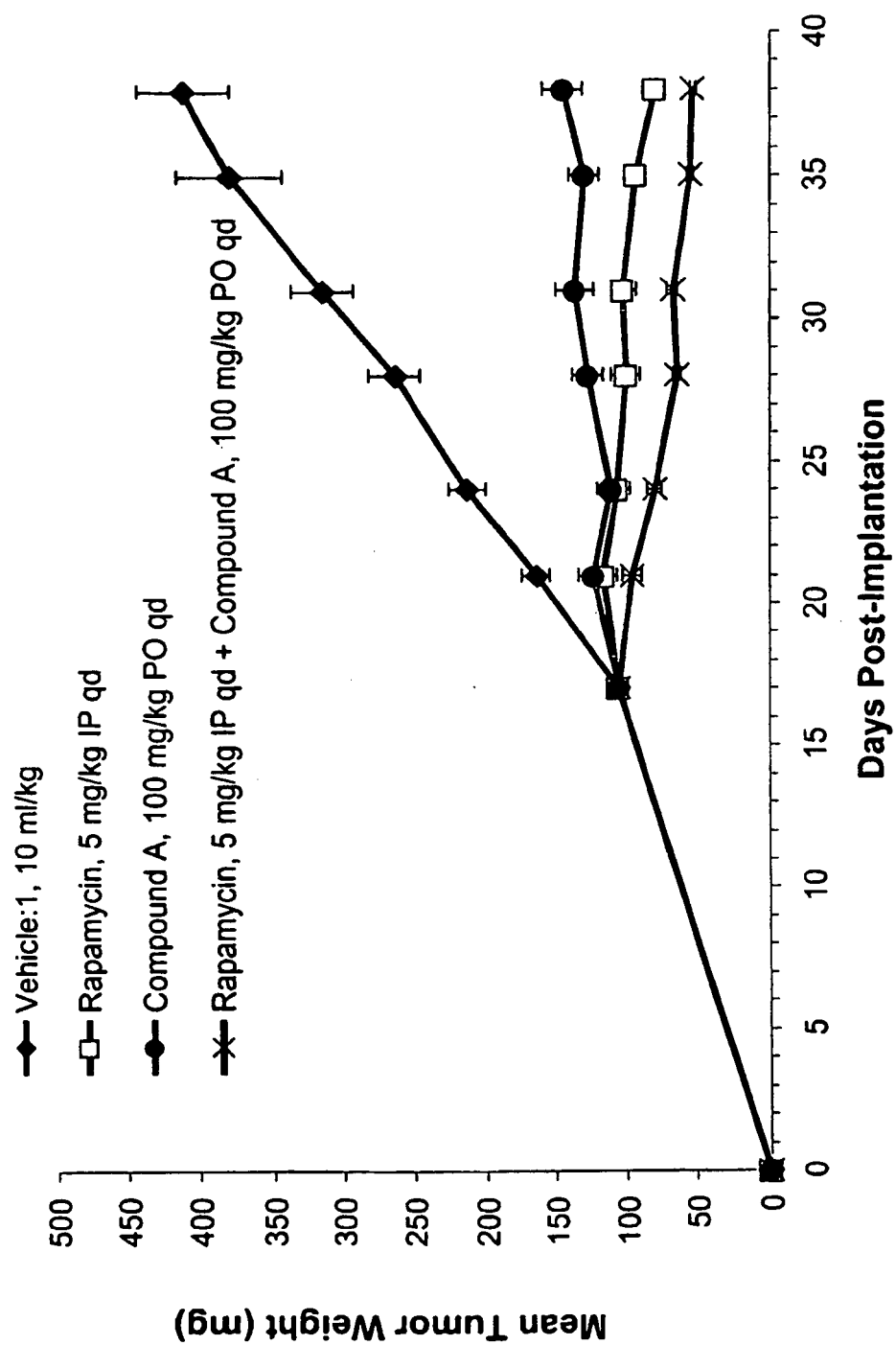


Figure 3. Compound A + Carboplatin in Calu-6 NSCLC Tumor Model

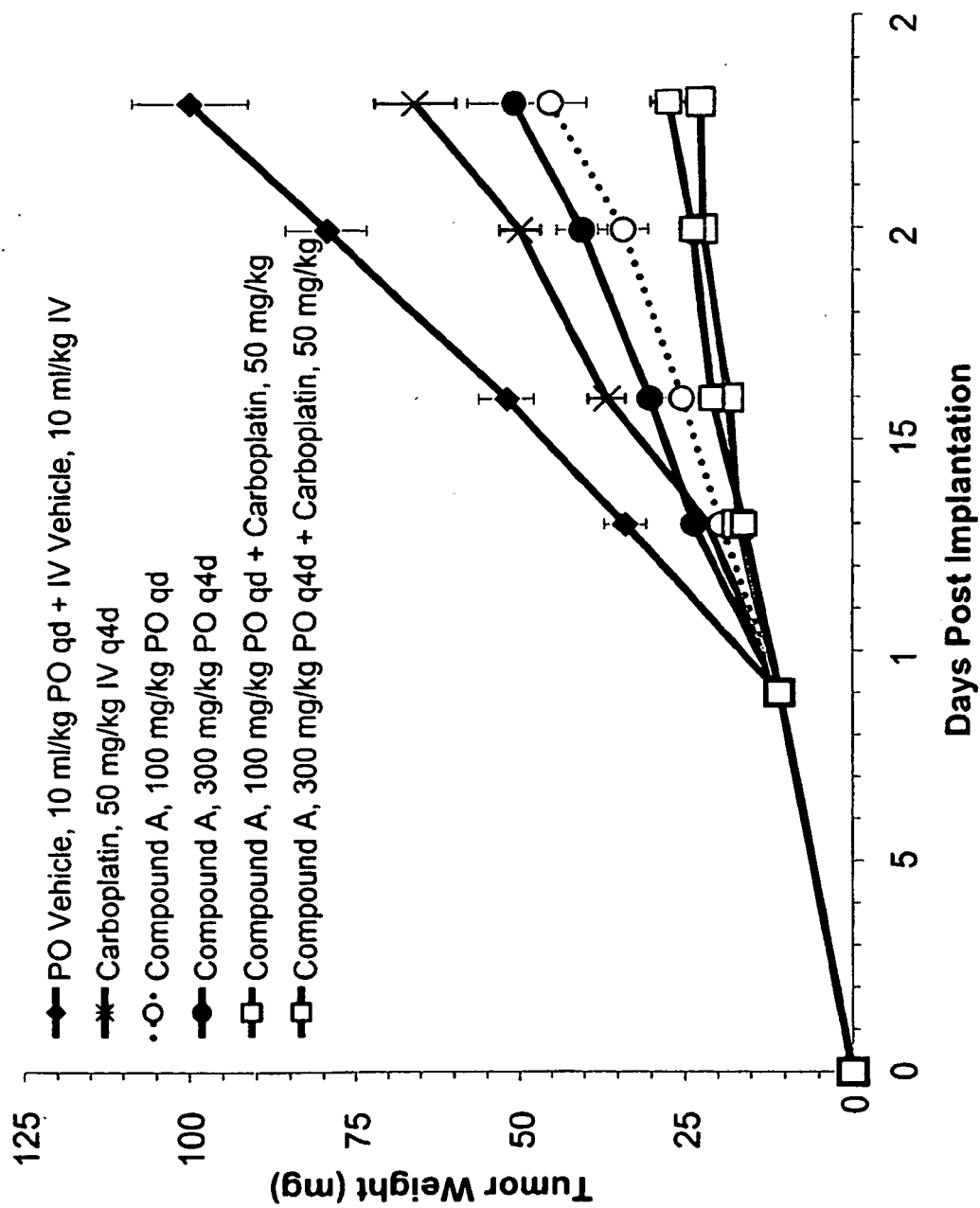


Figure 4a. Compound A + Compound B in A549 Non-small Cell Lung Cancer Tumor Model

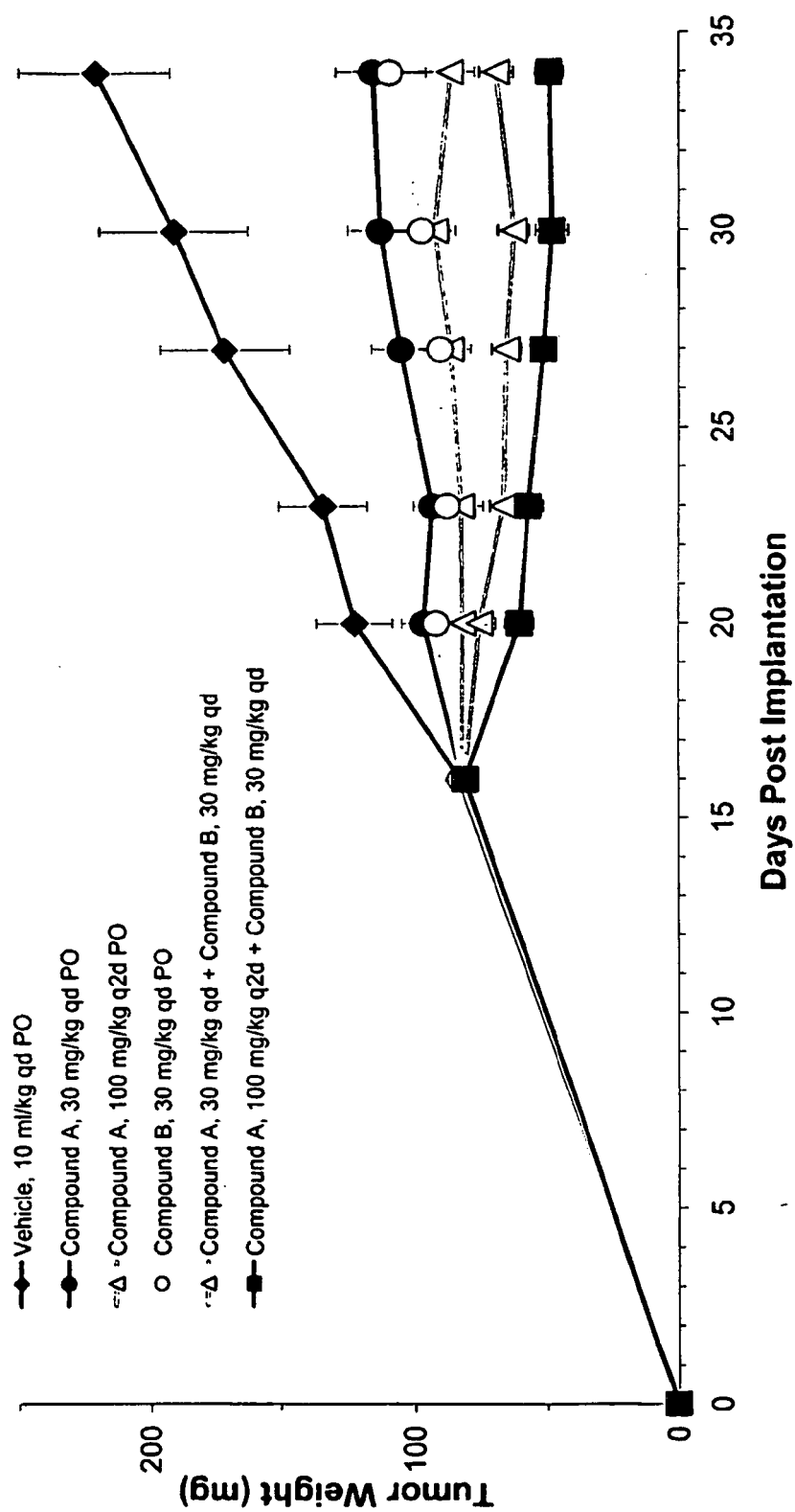


Figure 4b-1. Compound A + Compound B in MCF7 Breast Cancer Tumor Model (Study 1)

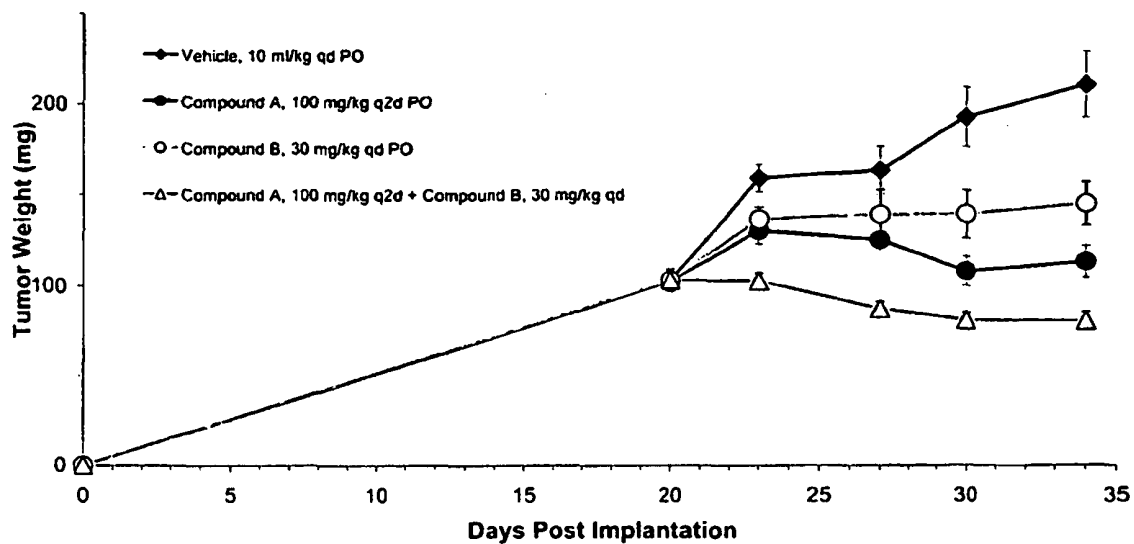


Figure 4b-2. Compound A + Compound B in MCF7 Breast Cancer Tumor Model (Study 2)

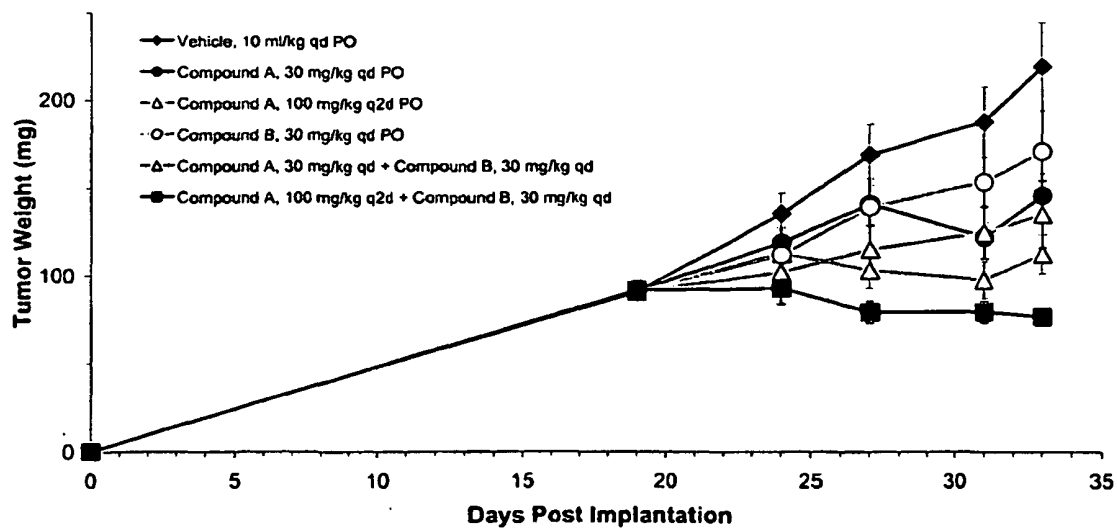
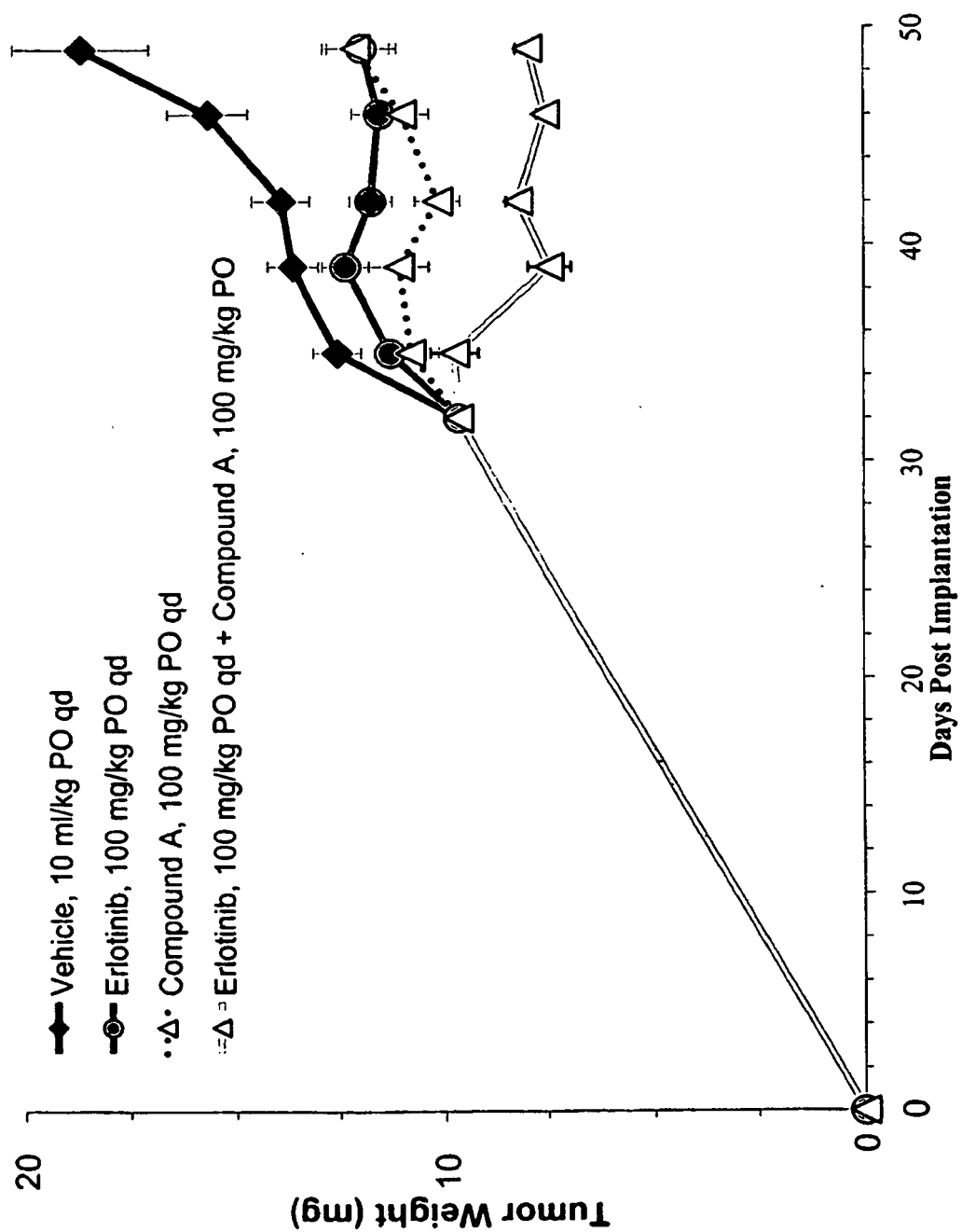


Figure 5. Compound A + Erlotinib in MDA-MB-468 Breast Carcinoma Tumor Model



REFERENCES CITED IN THE DESCRIPTION

This list of references cited by the applicant is for the reader's convenience only. It does not form part of the European patent document. Even though great care has been taken in compiling the references, errors or omissions cannot be excluded and the EPO disclaims all liability in this regard.

Patent documents cited in the description

- US 60923164 B [0001]
- WO 2007023186 A [0006]
- WO 2007044729 A [0006] [0260]
- WO 2004006846 A [0076] [0087] [0104] [0110] [0129]
- US 10522004 B [0076] [0104] [0129]
- WO 2006071819 A [0077] [0104]
- WO 05117909 A [0077]
- WO 2006108059 A [0085] [0089] [0104]
- WO 2005020921 A [0085]
- WO 2006033943 A [0085] [0089]
- WO 2005030140 A [0085] [0086] [0089] [0104]
- WO 06108059 A [0086]
- WO 2006014325 A [0086] [0089] [0104]
- WO 2004050681 A [0087] [0104] [0110]
- WO 2004072051 A [0091]
- WO 2005028434 A [0091]
- WO 2007035620 A [0091]
- WO 2006091963 A [0091]
- WO 06074057 A [0092]
- WO 2005112932 A [0102] [0104]
- WO 2004101583 A [0103]
- US 7160867 B [0103]
- US 11910720 B [0104]
- WO 2006074057 A [0104] [0109]
- US 11722719 B [0104]
- US 11722291 B [0104]
- US 11571140 B [0104]
- WO 2005117909 A [0104]
- US 11568173 B [0104]
- US 10573336 B [0104]
- US 10533555 B [0104]
- US 11568789 B [0104]
- US 4107288 A [0244]
- US 5145684 A [0244]

Non-patent literature cited in the description

- CAMPBELL et al. *Cancer Res*, 2004, vol. 64, 7678-7681 [0005]
- LEVINE et al. *Clin Cancer Res*, 2005, vol. 11, 2875-2878 [0005]
- WANG et al. *Hum Mutat*, 2005, vol. 25, 322 [0005]
- LEE et al. *Gynecol Oncol*, 2005, vol. 97, 26-34 [0005]
- BACHMAN et al. *Cancer Biol Ther*, 2004, vol. 3, 772-775 [0005]
- LI et al. *Breast Cancer Res Treat*, 2006, vol. 96, 91-95 [0005]
- SAAL et al. *Cancer Res*, 2005, vol. 65, 2554-2559 [0005]
- SAMUELS ; VELCULESCU. *Cell Cycle*, 2004, vol. 3, 1221-1224 [0005]
- SAMUELS et al. *Science*, 2004, vol. 304, 554 [0005]
- VELHO et al. *Eur J Cancer*, 2005, vol. 41, 1649-1654 [0005]
- ODA et al. *Cancer Res.*, 2005, vol. 65, 10669-10673 [0005]
- BYUN et al. *Int J Cancer*, 2003, vol. 104, 318-327 [0005]
- LEE et al. *Oncogene*, 2005, vol. 24, 1477-1480 [0005]
- TANG et al. *Lung Cancer*, 2006, vol. 51, 181-191 [0005]
- MASSION et al. *Am J Respir Crit Care Med*, 2004, vol. 170, 1088-1094 [0005]
- WU et al. *J Clin Endocrinol Metab*, 2005, vol. 90, 4688-4693 [0005]
- SUJOBERT et al. *Blood*, 1997, vol. 106, 1063-1066 [0005]
- HICKEY ; COTTER. *J Biol Chem*, 2006, vol. 281, 2441-2450 [0005]
- HARTMANN et al. *Acta Neuropathol (Berl)*, 2005, vol. 109, 639-642 [0005]
- KYRGIU M. *J Natl Cancer Inst*, 2006, vol. 98, 1655 [0007]
- PASETTO LM. *Anticancer Res*, 2006, vol. 26, 3973 [0007]
- BROGNARD, J. *Cancer Res*, 2001, vol. 61, 3986-3997 [0008] [0011]
- CLARK, A. S. *Mol Cancer Ther*, 2002, vol. 1, 707-717 [0008]
- KRAUS, A. C. *Oncogene*, 2002, vol. 21, 8683-8695 [0008]
- KRYSTAL, G. W. *Mol Cancer Ther*, 2002, vol. 1, 913-922 [0008]
- YUAN, Z. Q. *J Biol Chem*, 2003, vol. 278, 23432-23440 [0008] [0011]
- SAGA, Y. *Clin Cancer Res*, 2002, vol. 8, 1248-1252 [0008]
- SARBASSOV, D. D. *Science*, 2005, vol. 307, 1098-1101 [0009]

- **O'DONNELL, A.** *Proc Am Soc Clin Oncol.*, 2003 [0009]
- **O'REILLY, K. E.** *Cancer Res*, 2006, vol. 66, 1500-1508 [0009]
- **POWIS, G.** *Clinical Cancer Research*, 2006, vol. 12, 2964-2966 [0009]
- **SUN, S.-Y.** *Cancer Research*, 2005, vol. 65, 7052-7058 [0009]
- **BIANCO, R.** *Oncogene*, 2003, vol. 22, 2812-2822 [0010]
- **CHAKRAVARTI, A.** *Cancer Res*, 2002, vol. 62, 200-207 [0010]
- **JANMAAT, M. L.** *Clin Cancer Res*, 2003, vol. 9, 2316-2326 [0010]
- **MELLINGHOFF, I. K. N.** *Eng. J Med.*, 2006, vol. 353, 2012-2024 [0010]
- **IHLE, N. T.** *Mol Cancer Ther*, 2005, vol. 4, 1349-1357 [0010]
- **AVIEL-RONEN S.** *Clin Lung Cancer*, 2006, vol. 8, 30-38 [0011]
- **JANNE PA.** *J Clin Oncology*, 2005, vol. 23, 3227-3234 [0011]
- **GOODMAN ; GILMAN et al.** *The Pharmacological Basis of Therapeutics*. Pergamon Press, 1990 [0095]
- *Remington's Pharmaceutical Sciences*. Mack Publishing Company, 1985 [0097]
- **S. M. BERGE et al.** *Pharmaceutical Salts. J. Pharm. Sci.*, 1977, vol. 66, 1-19 [0097]
- *Pro-drugs as Novel Delivery Systems*. **T. HIGUCHI ; V. STELLA.** A.C.S. Symposium Series, and in *Bio-reversible Carriers in Drug Design*. American Pharmaceutical Association and Pergamon Press, 1987, vol. 14 [0101] [0263]
- *Remington's Pharmaceutical Sciences*. Mack Publishing Company, 1990 [0255]
- *Fieser and Fieser's Reagents for Organic Synthesis*. John Wiley and Sons, 1991, vol. 1-17 [0261]
- *Rodd's Chemistry of Carbon Compounds*. Elsevier Science Publishers, 1989, vol. 1-5 [0261]
- *Organic Reactions*. John Wiley and Sons, 1991, vol. 1-40 [0261]
- *March's Advanced Organic Chemistry*. John Wiley and Sons [0261]
- *Larock's Comprehensive Organic Transformations*. VCH Publishers Inc, 1989 [0261]
- **T.W. GREENE.** *Protective Groups in Organic Synthesis*. John Wiley & Sons, Inc, 1991 [0266]
- **S. H. DANDEGAONKER ; C. K. MESTA.** *J. Med. Chem.*, 1965, vol. 8, 884 [0270]
- **S. V. LITVINENKO ; V. I. SAVICH ; D. D. BOBROVNIK.** *Chem. Heterocycl. Compd. (Engl. Transl)*, 1994, vol. 30, 340 [0274]
- **W. C. LUMMA ; R. D. HARTMAN.** *J. Med. Chem.*, 1981, vol. 24, 93 [0274]
- **ASIER et al.** *J. Org Chem*, 2005, vol. 70 (7), 2878 [0332]
- **LEESON et al.** *J. Med.Chem*, 1991, vol. 34, 1243 [0332]